

A-1

Determination of Surface Tension of Solvents Using a Simple Drop Diameter Method

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Abstract:

Surface tension is an essential thermodynamic property of organic solvents, surfactant solutions, and many other liquids from both scientific and industrial perspectives. In scientific research it is a useful tool to investigate the kinetics and mechanisms of the adsorption of molecules in aqueous solutions by monitoring the dynamic surface tension.

Measurement of surface tension of organic solvents using drop diameter method was developed based on the principle of solvent's density, drop area, drop mass, relative gravity and stalagmometer working method. It is a three step technique been described here. Simple regular equipment's were used to find surface tension, no expensive instruments needed. Liquids with different densities can be easily calculated. Some of the common solvents were taken for experiment like acetone, water, benzene, chloroform, carbon tetrachloride etc. at room temperature and regular gravity. The surface tension of all solvents were measured 3 times showing good data range. Relative standard deviation for solvents replicate measurements at each temperature. The results showed good reproducibility and acceptable precision compared with traditional methods. Very low reagent consumptions and short analysis time were achieved using this simple method. Very less time and solvent consuming method and fastest method.

Keywords: Surface Tension, Drop diameter method.

A-2

Solid Lipid Nanoparticles for Transdermal Delivery of Diltiazem Hydrochloride: Optimization, Formulation and In-vitro studies

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Abstract:

In the current research work, SLNs of Diltiazem Hydrochloride was formulated and used as a carrier in the

development of transdermal patch. The objective behind the research was to improve its permeation via skin. Diltiazem hydrochloride is an anti-arrhythmic class 4 calcium channel blocker, highly hydrophilic in nature, undergoing first pass effect, with 30-40% bioavailability, was selected Body Textas a model drug for delivery through skin. Diltiazem hydrochloride SLNs was prepared by utilizing solvent diffusion technique. The prepared SLNs were characterized for particle size, charge, surface morphology and drug content. The optimized SLNs formulation, used as carrier was incorporated into transdermal matrix type patch prepared by solvent casting technique using HPMC and Ethyl cellulose in different ratios along with different concentration of plasticizers and permeation enhancer. Operating variables and process variables like surfactant concentration, lipid concentration, stirring time and rpm was studied. Lipid concentration and surfactant concentration had a pronounced effect on particle size, PDI and zeta potential while entrapment efficiency was significantly affected by lipid concentration. The optimized Diltiazem Hydrochloride SLNs showed entrapment efficiency 70% with particle size 415nm, polydispersity index 0.184 and zeta potential -24.19mV. TEM images revealed sphericity of the particles. High permeation parameters was observed from HPMC E50 patch loaded with Diltiazem Hydrochloride SLNs. The in-vitro release data from phosphate buffer 5.6 pH and 7.4 pH was in favor of Higuchi Diffusion model.

Keywords: Diltiazem Hydrochloride, Solid Lipid Nanoparticles, Solvent Diffusion, Solvent Casting, Transdermal Delivery

A-3

Solubility Enhancement of Ticagrelor By Co-Crystal Technology: Preparation, Solid State Characterization and Solubility Studies

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Abstract:

In the present study a new co-crystal of Ticagrelor(TIC) with Quercetin (QRT) has been prepared with improved solubility. Ticagrelor is a class IV drug with poor solubility and permeability; hence an attempt has been made to improve its solubility by co-crystallization technology. A co-crystal is a structurally homogeneous crystalline material containing

an API and the co-former in definite stoichiometric amounts. In this study the coformer selected was quercetin based on ease of hydrogen bond formation. The co-crystal of Ticagrelor with quercetin was prepared in different ratios (1:1, 2:1, 1:2). Ticagrelor formed stable co-crystals in the ratios 1:1&2:1. The formation of co-crystal was confirmed by FTIR, DSC and PXRD. The dynamic solubility of co-crystals in the ratios 1:1 and 2:1 was increased by approximately 1.7 and 1.6 fold respectively as compared to pure drug. The in-vitro dissolution study demonstrated a 1.6 fold increase in the solubility for selected TIC:QRT (1:1) as compared to its TIC active pharmaceutical ingredient and TIC physical mixture.

A-4

Formulation, Optimization and Evaluation of Valsartan Nanostructured Lipid Carriers

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Abstract:

The present study focuses on the formulation, optimization and evaluation of valsartan nanostructured lipid carriers (NLCs) for improving its oral bioavailability. The valsartan NLCs were prepared by ultrasonication emulsification technique and optimised using 23 full factorial design. Glyceryl monostearate and castor oil were used as solid lipid and liquid lipid respectively. A combination of Tween-20 and sodium lauryl sulphate was used as surfactant mixture. The optimized formulations were evaluated for their average particle size, polydispersity index (PDI), zeta potential (ζ), entrapment efficiency, in vitro drug release and in vivo pharmacokinetic parameters like C_{max}, T_{max}, AUC, apparent volume of distribution, elimination half-life, elimination rate constant and clearance. The optimized valsartan NLCs had an average particle size of 150.0 ± 2.65 nm, PDI of 0.278 ± 0.0065 , zeta potential of -46.1 ± 3.24 mV and an entrapment efficiency of 32%. In vitro drug release studies were studied and compared for valsartan NLCs and standard drug dispersion wherein valsartan NLCs have shown better drug release profiles. In vivo pharmacokinetic data of valsartan NLCs in comparison to pure valsartan dispersion showed a 1.72-fold increase in the bioavailability when administered orally to male Wistar rats. These obtained results clearly indicate an enhancement in the oral bioavailability of valsartan which may help to modify the dosage regimen of valsartan.

Keywords: Nanostructured Lipid Carriers, Factorial Design, Valsartan, Bioavailability Enhancement.

A-5

Formulation and In-Vitro Evaluation of Transdermal Matrix Patches of Doxofylline

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Abstract:

The main objective of formulating the doxofylline transdermal system was to prolong the drug release time, reduce the frequency of administration, and to improve patient compliance. Doxofylline transdermal system play a key role with respect to release of drug from the formulation among others. For this assay, a sample of pure doxofylline and physical mixture of doxofylline, HPMC E-50, and PVP prepared by the solvent evaporation method had acceptable physicochemical characteristics and satisfactory percentage drug release. percentage moisture absorption, folding endurance, flatness, and drug content. In vitro drug release studies were carried using Franz diffusion cell. All prepared formulations indicated good physical stability. Formulation prepared with HPMC E-50 lone exhibited foremost in vitro release via dialysis membrane as compared to all other formulations.

Keywords: Matrix Transdermal Patch, Doxofylline, HPMC E-50, PVP, DMSO, PEG 400

A-6

Design, Optimization and Evaluation of Fast Dissolving Tablets of Aceclofenac Employing Starch Glutarate -A Novel Super Disintegrant

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Abstract:

Aceclofenac (NSAID) a poorly soluble drug is widely used in the treatment of rheumatoid arthritis. The dissolution rate of aceclofenac can be increased by formulating it into fast dissolving tablets, as these dosage forms disintegrate very rapidly into fine suspension of drug particles resulting in higher surface area of drug. Though, several disintegrants are available, there is

continuous need to develop newer disintegrants to have more disintegration and dissolution efficiency. The present research work involves preparation, characterization and evaluation of starch glutarate as a super disintegrant. The prepared starch glutarate was found to be free flowing and amorphous. SEM studies have revealed the amorphous nature of starch glutarate and FT-IR revealed the formation of ester. In the present research work, 2³ factorial design was used for optimization of level of independent variables (starch glutarate, sodium starch glycolate and croscarmellose sodium) on dependent variables (disintegration time and percent released in 10 minutes) in the formulation aceclofenac fast dissolving tablets with less experimentation. Based on the polynomial equations and from the results it was concluded that starch glutarate, (10%), sodium starch glycolate (5%) and croscarmellose sodium (5%) were favourable for formulation of aceclofenac fast dissolving tablets. Therefore, starch glutarate a new modified starch was found to be a promising disintegrant in the formulation of fast dissolving tablets of poorly soluble drugs.

Keywords: Poorly Soluble, Aceclofenac, Starch glutarate

A-7

Design, Optimization and Evaluation of Aceclofenac Orodispersible Tablets by Sublimation Technique Employing 2³- Factorial Design for Optimization

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Abstract:

Aceclofenac (NSAID) a poorly soluble drug is widely used in the treatment of rheumatoid arthritis. The dissolution rate of aceclofenac can be increased by formulating it into orodispersible tablets, as these dosage forms disintegrate very rapidly into fine suspension of drug particles resulting in higher surface area of drug. The present research work involves in the formulation and evaluation of aceclofenac orodispersible tablets employing camphor, menthol and thymol (0-10%) as subliming agents according to 2³ factorial design. In the present research work 2³ factorial design was used for optimization of level of independent variables (camphor, menthol and thymol) on dependent variables (disintegration time and percent dissolved in 10 minutes) in the formulation aceclofenac orodispersible tablets with less experimentation.

Based on the polynomial equations and from the results it was concluded camphor (10%), menthol (10%), thymol (10%) were favourable for formulation of aceclofenac orodispersible tablets in the presence of camphor as subliming agent.

A-8

Formulation and Evaluation of Solid Lipid Nanoparticles of Venlafaxine for Effective Treatment of Depression

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Abstract:

Depression is a common mental disorder that presents with depressed mood, loss of interest, decreased energy, disturbed sleep or appetite, and poor concentration. For the effective treatment of depression, the drug should cross Blood brain barrier (BBB). To overcome the complexity of BBB, solid lipid nanoparticles (SLN) were formulated. Solid lipid nanoparticles are the nanoparticles with sizes in the range of 50–1000 nm and are composed of biodegradable lipids and stabilized by emulsifiers. In current study, venlafaxine was used as a model drug which is also a drug of choice in the treatment of depression. Venlafaxine loaded Solid lipid nanoparticles were prepared by using solvent diffusion technique by varying the amount of monostearin, tween 80, and ethanol. The prepared SLN were subjected to several evaluation parameters like particle size, zeta potential, drug entrapment, surface entrapment as well as *in vitro* diffusion studies. The evaluation shows mean particle size ranged from 102.14–640.7 nm, zeta potential from -21.4 to -2.86, drug content from 71.34% to 80.00% and surface entrapment from 2% to 2.307%. *In vitro* diffusion study of venlafaxine loaded SLN were carried out and drug release from the formulation was in the range of 25.58 – 53% during the study period. Finally, from the present experimental study, it was concluded that venlafaxine loaded SLN were prepared and evaluated successfully and they may serve as a novel carrier to deliver venlafaxine at its target site hence may act as an effective tool for the management of depression.

Keywords: Depression, Venlafaxine, Solid Lipid Nanoparticles

A-9

Inclusion Complexes of Zaltoprofen with Hydroxypropyl-Beta-Cyclodextrin and Auxiliary Substance for Solubility and Dissolution Enhancement

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Abstract:

The current study investigates the binary and ternary inclusion complexes of Zaltoprofen with hydroxypropyl-beta-cyclodextrin (HP- β -CD) for solubility and dissolution enhancement. The influence of L-Arginine, an auxiliary substance, on intrinsic stability constant (K_c) and complexation efficiency (CE) of HP- β -CD was evaluated. The complexes were prepared by physical mixing and co-evaporation methods. The products were characterized by saturation solubility, *in vitro* dissolution, Differential Scanning Calorimetry (DSC), powder X-ray Diffraction (PXRD), Nuclear Magnetic Resonance spectroscopy ($^1\text{H-NMR}$) and Fourier transform-infrared spectroscopy (FT-IR) studies. A significant increase was observed in K_c and CE of HP- β -CD due to addition of L-Arg in ternary complex. The ternary complex showed higher increase in solubility and dissolution of drug than binary complexes indicating the effect of L-Arginine on solubility enhancement ability of HP- β -CD. Thus, the ternary system of Zaltoprofen with HP- β -CD and L-Arginine can be used as a novel approach for solubility and dissolution of Zaltoprofen.

Keywords: Ternary Complex, HP- β -CD, Dissolution Enhancement, Inclusion Complex, Solubility Enhancement

A-10

Development and Evaluation of Rivastigmine Loaded Nanoparticulated Gel for Efficient Management of Alzheimer's Disease

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Abstract:

Rivastigmine is a drug of choice for the treatment of Alzheimer's disease. Alzheimer's disease is a progressive neurodegenerative disorder associated with deposition of senile plaque and formation of neurofibrillary tangles. Drug delivery to the brain is complex process due to the presence of Blood brain barrier (BBB), a unique protective feature which regulates the movement of ions and molecules. To overcome this challenge, nanoparticle drug delivery system is designed. These are designed to deliver pharmaceutical active agents effectively in minimum dose to the target site. In the current study rivastigmine loaded nanoparticles were prepared by modified solvent evaporation method using Eudragit as polymer in different ratios. The prepared nanoparticles were subjected to several evaluation parameters like particle size, drug entrapment, surface entrapment as well as *in vitro* diffusion studies. The evaluation shows mean particle size between 121.01-181.57nm, drug content 72.73%-80.00% and entrapment efficiency of formulation ranging from 2.00%-2.72%. Finally, *in vitro* diffusion study of rivastigmine loaded nanoparticles were carried out and drug release from the formulation was in the range of 30.77-42.75%. The mechanism of release was governed by non-fickian diffusion. From the present study, it can be concluded that prepared Nanoparticulated gel system effectively delivered rivastigmine at a controlled rate and it can be beneficial for the treatment of Alzheimer's disease.

Keywords: Alzheimer' Disease, Nanoparticles, Solvent Evaporation, Rivastigmine.

A-11

Development and Evaluation of Amlodipine Laden *In-Situ* Film

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Abstract:

Film forming formulation are well-defined as non-solid dosage form that produce a substantial film *in-situ* after application on the skin or any other body surface. In the current research, the *in-situ* film of Amlodipine were prepared. The polymeric solution of carbopol and HPMC in different ratio, applied to the skin as a semisolid and form an almost invisible

film *in-situ* by solvent evaporation method. The prepared drug loaded gels and *in-situ* films were subjected for various evaluation parameters like viscosity, spreadability, pH and thickness of film, weight uniformity, percent moisture content, content uniformity test, moisture uptake, water vapour transmission and *in-vitro* diffusion study respectively. The evaluation shows viscosity of gel 25485.58 to 29948.50 cps, pH of gel 6.68±0.483 to 7.08±0.176, spreadability 6.57±0.05 to 9.6±0.11 gm cm/sec, thickness of film 0.187±0.005 to 0.325±0.006 mm, weight uniformity 0.023±0.001 to 0.030±0.002 gm, content uniformity test 92.60 ± 2.1 to 99.04 ± 1.8%, moisture loss percent 4.33 ± 1.80 to 8.38 ± 1.6%, tensile strength 147.2 ± 1.6 to 183.7 ± 1.4 gm, water vapour transmission 4.22 ± 0.90 to 6.98 ± 0.27 %. The *in vitro* diffusion study of amlodipine laden *in-situ* film were carried out and the percent cumulative drug release from the *in-situ* film was in the range of 67.2 – 84.3% during the study period. From experimental study it was concluded that amlodipine laden *in-situ* film was successfully delivered drug via transdermal route, hence reduce first pass metabolism and improved patient compliances.

Keywords: *In-situ* film, Amlodipine, Solvent Evaporation

A-12

Formulation and Evaluation of Extended Release Ocular Inserts: Strategies for Process Improvement and Importance of Overages

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Abstract:

In the current research work, an extended release ocular insert of Sodium Cromoglycate (SC) was prepared using biodegradable polymers and InHouse fabricated glass mould. The ocular inserts were evaluated for various physico-chemical parameters. Physical parameters like appearance and thickness complied, where as assay failed. The input amount of SC in each ocular insert was 6 mg and assay was defined at 5.7 mg to 6.3 mg (95% to 105%) as specification limit. The assay of three inserts were checked and found that only one insert complied (6.3mg corresponding to 105%) and remaining two ocular inserts failed for assay. The test for assay was repeated and observed that two ocular inserts complied (6.1mg/101.6% and 6mg/100%) and one insert failed. The observed values were out of specification

and a decision was taken to carry out detailed investigation. The investigation revealed that out of specification results for assay were because of processing and handling loss i.e., drug loss while transferring the mass from beaker to glass mould. The polymeric drug solution traces left out on the glass rod also accounted for this drug loss. Based on investigation, a decision was taken to add 5% excess drug as overages to compensate for processing and handling loss. The FDA guidelines recommend to add overages in the formulations to compensate processing loss. The inserts were prepared with 5% overages and tested for assay. The assay results were complying with results 6.1 mg, 6 mg and 5.9 mg corresponding to 101.6%, 100% and 98.3% respectively.

Keywords: Biodegradable, In House, Out of Specification, Processing and Handling Loss

A-13

Lipid Nanoparticles to Enhancement the Oral Bioavailability and to Reduce the Hepatotoxicity of Ritonavir

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Abstract:

Ritonavir is an anti-HIV drug with poor oral bioavailability (20%) and hepatotoxicity. Lipid nanoparticles can help to overcome the problems associated with this drug. Aim of the present study was to enhance the oral bioavailability and to reduce the hepatotoxicity of ritonavir by preparing its lipid nanoparticles. The solid lipid nanoparticles (SLNs) and nanostructured lipid carriers (NLCs) of this drug were prepared using ultrasonication emulsion technique. Prepared formulations were characterized with respect to the particle size, polydispersity index, zeta potential, entrapment efficacy, drug release, pharmacokinetics, liver function tests, etc. Mean particle size, PDI, zeta potential and entrapment efficiency of optimized SLNs and NLCs were found to be 266.90 ± 30.90 nm, 0.302 ± 0.059, - 25.20 ± 2.26 mV & 27.28 ± 2.42%; and 335.03 ± 12.50 nm, 0.347 ± 0.069, -25.70 ± 0.76 mV & 37.314 ± 1.58 %, respectively. NLCs showed better release in 0.1 N HCl and phosphate buffer pH 6.8 compared to SLNs and standard drug suspension. Pharmacokinetics of ritonavir loaded SLNs, NLCs and standard were performed on male Wistar rats. Bioavailability of ritonavir was found to be increased in formulation groups

compared to that of standard. Liver function tests also confirmed the decrease in ALT and AST levels in formulation groups compared to the standard. The study concludes that the lipid nanoparticles may be useful to enhance the oral bioavailability and to reduce the hepatotoxicity of ritonavir.

Keywords: Ritonavir, Lipid Nanoparticles, Bioavailability, Hepatotoxicity

A-14

Formulation and Evaluation of Ciclopirox Olamine Niosomes by Ether Injection Method

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Abstract:

A Ciclopirox Olamine loaded niosomes were developed using tween 60 as non-ionic surfactant and cholesterol as membrane stabilizing agent by ether injection method. The prepared niosomes was evaluated for the effect of composition of formulation on the drug loading, vesicle size, polydispersity, entrapment efficiency, and drug release across dialysis membrane. The result showed that addition of cholesterol and non ionic surfactant into these vesicular suspensions leads to a variation of size and it also influence vesicle stability and permeability. Among the five formulations, formulation CNT₆, containing tween 60: cholesterol in the ratio of 1:0.2 showed good drug release and entrapment efficiency. So, after considering all these parameters, formulation CNT₆ was found to be the best formulation having vesicle size 10.09 μm, entrapment efficiency of 75.25±0.14% and drug release of 48.781±2.14% at the end of 24 hrs.

Keywords: Ciclopirox Olamine, Niosomes, Tween 60, Cholesterol, Ether Injection Method

A-17

Comparison and Characterization of Inclusion Complexes and Solid Dispersions for Solubility Enhancement of Poorly Water Soluble Drug Indomethacin

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Abstract:

Indomethacin is a non-steroidal anti-inflammatory drug with prompt anti-pyretic action. It relieves inflammatory or tissue injury related pain. It is a highly potent inhibitor of PG synthesis and suppresses neutrophil motility. The poor aqueous solubility of this drug limits its absorption and dissolution rate which further reduces its bioavailability. Among the various solubilization techniques employed to improve solubility of poorly soluble drugs, preparation of solid dispersions and inclusion complexes was found to be effective in enhancing dissolution rate and oral bioavailability. The solubility was enhanced using techniques like kneading, solvent evaporation, melting and polymers HP β-CD, PEG 4000, PEG 6000 in various drug: polymer ratios. Dissolution studies were performed and the dissolution data was compared with dissolution of pure drug. The formulations showing greater drug dissolution were prepared as tablets and the effect of processing parameters on dissolution was found out. The tablets were evaluated for hardness, weight variation, content uniformity, disintegration. FTIR, DSC, XRD and SEM studies were performed to know presence of any drug- polymer incompatibilities.

Keywords: Cyclodextrins, Inclusion Complexes, Solid Dispersions, Dissolution, Physical Chemical Characterization.

A-18

Formulation and Characterization of Bifonazole Loaded Vesicular Drug Delivery System

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Abstract:

Bifonazole (BFZ) is highly lipophilic drug with a very short half-life (1-2 hr) and is minimally absorbed following dermal application (0.6% of an applied dose). So, in the present investigation bifonazole loaded niosomal gel were prepared and evaluated. Niosomes were prepared by ether injection method using different non-ionic surfactants (span 60, tween 60) along with cholesterol in different proportions (1:0.2,

2:0.4, 1:1). The prepared niosomes were evaluated for various parameters. The *in vitro* release studies indicated that the entire prepared formulations exhibit retarded release for 24 hours. BFZ niosomes containing span 60 with cholesterol in the ratio of 1:0.2 was found to be promising and was incorporated into the 1% carbopol gel. The prepared gel was evaluated for various physico-chemical parameters like organoleptic properties, P^H, viscosity, drug content uniformity, spreadability, *in vitro* drug release study and antifungal activity. Comparatively the BFZ loaded niosomal gel showed controlled drug release then plain BFZ gel and marketed cream and the readings were found to be 26±1.509, 43±1.432, and 55±1.564 at the end of 7 hours. It can be concluded that the BFZ can be successfully loaded in niosomes. Developed niosomal gel formulation of BFZ showed great potential in the treatment of fungal infection by providing a prolonged release profile.

Keywords: Bifonazole, Niosomes, Span 60, Tween 60, Cholesterol, Carbopol Gel

A-19

Preparation and Evaluation of Gliclazide Microcapsules by using Natural and Synthetic Polymers

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Abstract:

Sustained or controlled release of a drug is done to improve the bioavailability and stability of a drug. This can be achieved by preparing one of the multi particulate drug delivery systems that is Microspheres. Thus in this study an attempt was made to formulate Gliclazide microspheres designed for control release through the oral route. The aim of the present study is to increase that drug release time for the treatment of Type 2 Diabetes Mellitus and reduce the number of doses. The study involves preparation and evaluation of Gliclazide microspheres prepared using Ion gelation method. Microcapsules of Gliclazide were prepared by using sodium alginate and polymers such as HPMC and GUAR GUM in the ratio of 1:1, 1:2, and 1:3. The microcapsules were evaluated, on the basis of particle size analysis and micromeritic properties like angle of repose, Carr's index, Hausner's ratio and percentage yield. They were also evaluated for drug encapsulation efficiency and *in vitro*

dissolution studies. The microcapsules were discrete, spherical and free flowing. It was optimized that formulation containing drug and HPMC in the ratio 1:2 releases maximum percentage of the drug within 18 hours. Compatibility studies like DSC and FTIR were performed for the samples which indicated no drug reaction.

Keywords: Gliclazide, Diabetes, Microencapsulation, Ion Gelation Method, Hydroxypropyl Methyl Cellulose, Guar Gum

A-20

Formulation and Evaluation of Rapidly Dissolving Films of 5HT Serotonin Receptor Agonist Zolmitriptan

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Abstract:

Oral route is considered to be the most convenient and easy route of administration for films. It is the novel method for drug delivery through oral cavity. They have fast dissolving action and rapidly reach the systemic circulation. Films are thin and available in various sizes and shapes such as disc, rectangular or square shaped. They are prepared from edible aqueous soluble polymers. The strips are designed in such a way that they can dissolve in saliva. They are opaque or transparent, brittle or flexible. Based on this study, we have developed zolmitriptan fast dissolving strips, allowing reproducible drug dissolution. This helps to relieve pain from migraine effect in less period of time and enhancing patient compliance. The films are prepared with propylene glycol, HPMC, acesulfame potassium and peppermint flavour. The result of maximum release was within 12 mins which tends for faster onset of action. FTIR were performed to study the compatibility between the drug and the polymers.

Keywords: Zolmitriptan, Migraine, HPMC, Fast Dissolving Films

A-21

Effect of Ethyl Cellulose on Drug Release from Mebavarine Hydrochloride Microspheres

Prepared using Ion Gelation Technique

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Abstract:

Mebeverine hydrochloride is an effective spasmolytic drug used for relieving irritable bowel syndrome. The relatively short biological half life and frequent administration of the drug for better therapeutic activity are responsible to formulate the drug into a controlled release dosage form. Mebeverine is a highly soluble drug, thus controlling the drug release from the matrix system is often difficult. Therefore the selection of right excipient is crucial and important during the development of matrix system because there is a chance of drug dumping. Hence different combinations of polymers were used to control the drug release from the matrix systems. The main aim of this study was to prepare and characterize microspheres of Mebeverine HCl using biodegradable natural polymers such as Guar gum, sodium alginate and pectin and extend the release of the drug by using polymers like ethyl cellulose (EC N100) in different combinations. The microspheres prepared were evaluated for assay, encapsulation efficiency, particle size distribution, *in vitro* drug release kinetics. *In vitro* drug release studies were performed in 0.1N HCl for 2hrs followed by in pH 6.8 phosphate buffer for 6-12hrs. The dissolution data demonstrated that the rate of drug release decreased with increase in the enteric coating polymer concentration. The *in vitro* results show faster releasing in Sodium alginate when compared to pectin. The FTIR and DSC studies indicated no possible interaction between Mebeverine hydrochloride and carriers.

Keywords: Mebeverine Hydrochloride, Microspheres, Ethyl cellulose, Enteric Coating

A-22

Formulation and Development of Nose to Brain Delivery of Zolmitriptan

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Abstract:

In the current research, emulsion crosslink method and the spray drying method of Zolmitriptan was formulated. The Mucoadhesive microspheres were prepared by two methods. First method was emulsion crosslink in which the glutaraldehyde used as a cross linking agent, while the second method employed was the spray drying method in which the parameters were optimized with varying drug and polymer ratios. The chitosan was selected as the bioadhesive polymer. The objective behind the research was to examine the consequence of spray-drying parameter that are the inlet temperature and feed rpm on the entrapment efficiency, loading capacity, percentage yield, and particle size on formulating microspheres. Overcome inherent drawbacks associated with conventional dosage forms like oral tablets & regular nasal drops of Zolmitriptan, an attempt could be made to develop an alternative drug delivery system i.e. a nose-to-brain in the form of Nasal mucoadhesive microspheres to increase the rate and extent of CNS absorption and to reduce the dosing frequency of the formulation. To conclude, a nose to brain option of Zolmitriptan could be designed. Various *in-vitro*, *ex-vivo* & *in-vivo* modalities established the validity of the design. The formulation and the method has good prospect of industrial scale up. Apparently the design would not face any regulatory hurdle. With further procedures like pre-clinical & clinical the formulation might reach the suffering patients.

Keywords: Zolmitriptan, Nose To Brain, Chitosan, Mucoadhesive Microspheres, Spray Dryer

A-23

Enhancement of Solubility of Loratidine by Hydrotropic Technique

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Abstract:

Loratadine is an antihistaminic, poorly aqueous soluble drug belongs to the BCS (class II) drug. The effect of hydrotropes such as Sodium Benzoate, Sodium Salicylate, Urea, Sodium Citrate, Sodium Acetate, and Nicotinamide on the solubility of Loratadine was investigated. The drug is being dissolved

in different hydrotropic solution with molar concentration 1 to 5M and the λ_{\max} is being calculated by using UV-visible spectrophotometer. The solubility of the drug was found to be 0.000569 mg/ml which shows that the drug is poorly soluble. Therefore addition of hydrotropic agents has increased the solubility of the drug. The solubility enhancement ratio of different Hydrotropes could be ranked in decreasing order as: Sodium Salicylate>Sodium Benzoate>Nicotinamide>Sodium Acetate>Sodium Citrate>Urea. From the results of melting point, UV scan and FTIR, it may be concluded that the drug is pure and has no impurities. The cumulative drug permeation of sodium Salicylate at 50 min. was released in 30% and in Sodium Benzoate it was 22% and in Nicotinamide it was 16% which shows that the results are in agreement with results of solubility. From the various solution of hydrotropic technique, it was concluded that the highest concentration of the hydrotropic agents has showed the best results by this technique.

Keywords: Loratadine, Hydrotropes, Solubility

A-24

Prediction of *In-Vitro* Drug Release Mechanisms from Extended Release Matrix Tablets of Zidovudine using Goodness of Fit Model

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Abstract:

The objective of the study was to develop controlled release matrix tablet of Zidovudine (AZT) and to understand the release kinetics of drug by applying several mathematical model dependant and independent approaches. Various equations and models are developed for evaluating the drug release. Comparison of original and predicted release profile is most common way for selection of optimum formulation. In this study drug release profiles are characterized by using several parameters like percentage of drug released at 1 h and 12 h (R_{1h} , R_{12h}), dissolution efficiency at 2 h and 12 h (DE_{2h} , DE_{12h}) and pair wise procedures such as similarity factor (f_2), difference factor (f_1) and rescigno indices (ξ_r , ξ_2) for getting the optimum formulation. Six batches (C1 to C6) of different concentration of carbopol embedded controlled release matrix tablets of AZT were evaluated. Further the criteria for selection of appropriate model was based on goodness of fit (R^2 , adj- R^2), sum square residual (SSR), F value and Akaike Information Criterion (AIC). Formulation C5 showed highest values of DE_{2h} , DE_{12h} (19.45%,

57.63%) with acceptance criteria of f_2 (51.63), f_1 (9.91), ξ_1 (0.063) and ξ_2 (0.066). Further, drug release from optimum batch C5 was explained by the Higuchi model, due to highest value of R^2 (0.992), adj- R^2 (0.991) with lowest value of SSR (62.22), F (5.65) and AIC (53.56) data. Moreover a simple mathematical equation was applied to determine the deviation of area under curve (AUC) between predicted and observed dissolution data. On an average of 13.4% percent deviation of AUC was observed in optimum batch.

Key words: Area under curve, Goodness of Fit, Higuchi model, Matrix tablet, Sum Square Residual

A-25

Development of Probiotic-Based Immunoparticles for Pulmonary Immunization against Hepatitis B

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Abstract:

The present study was oriented towards the development of pulmonary vaccine for Hepatitis B using probiotic biomass as an adjuvant. The antigen was spray dried in presence of heat treated, formalin treated and live probiotic biomass. The results indicated that the biomass itself without any additional cryoprotectant is capable of protecting the structural integrity of the antigen. We were able to retain more than 80% of the antigenicity. The scanning electron microscopic images indicated that the formulation bearing live probiotic biomass have spherical size, while the formulations with heat and formalin treated biomass shows irregular shaped particles. The developed formulations were further evaluated for in-vivo immune response. Immunoglobulin G (IgG) titre results were found to be comparable with marketed (aluminium adsorbed) formulations while significantly higher secretory immunoglobulin A titre showed better mucosal immune response than marketed formulation. Therefore, the probiotic biomass can be utilized as a potential cryoprotectant as well as a potent immunomodulator.

Keywords: Biomass, Cryoprotectant, Hepatitis B, Probiotic, Spray Drying

A-26

Formulation and Evaluation of Fenpropfen Buccal Patches

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Abstract:

Mucoadhesive drug delivery systems interact with the mucus layer covering the mucosal epithelial surface, and mucin molecules and increase the residence time of the dosage form at the site of absorption. Mucoadhesion while considering drug delivery is having several merits, because of the ideal physiochemical characters of the mucosal membrane. Various sites for mucoadhesive drug delivery system are ocular, nasal, buccal cavity; GIT, vaginal, rectal and several specific dosage forms have been reported. The main aim of this work was to study mucoadhesive buccal strips of Fenpropfen using various suitable bioadhesive polymers such as CP 934, HPMC K4M, and Na CMC. A backing layer of ethyl cellulose was used which is impermeable in nature. Four formulations were prepared by solvent casting method. The prepared strips were characterized for folding endurance, swelling studies, surface pH, bioadhesive properties and *In-vitro* diffusion studies. The surface pH of all formulations was found to be satisfactory, and values were in between the range of 6.5-7 pH. The drug release was found to be zero order release. The formulation FBP3 was considered as the optimized formulation based on satisfactory evaluation parameters.

Key Words: Bioadhesion, Mucoadhesion, Fenpropfen, Buccal

A-27

Development and Evaluation of Nabumetone Mucoadhesive Tablets

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Abstract:

Mucoadhesive drug delivery systems help in prolonging the drug action and absorption at the site of action, they

interact with the mucus layers and cause interaction resulting in adhesion. The buccal mucosa can be targeted for systemic drug delivery and bypassing the first pass metabolism. The buccal mucosa shows good absorption of most drugs along with sustained action and reduction of systemic adverse effects. The main aim of this work was the formulation of mucoadhesive buccal tablets loaded with nabumetone using various suitable bioadhesive polymers such as CP 934, HPMC K4M, and Na CMC. A backing layer of ethyl cellulose was used which is impermeable in nature. Three formulations were prepared by direct compression method. The prepared tablets were characterized by swelling studies, surface pH, bioadhesive properties, *In-vitro* drug dissolution and *In-vitro* diffusion studies. The surface pH of all formulations was found to be satisfactory, and values were in between the range of 6.5-7 pH. The drug release was found to be zero order release. The formulation MD3 was considered as the optimized formulation based on satisfactory evaluation parameters.

Keywords: Bioadhesion, Mucoadhesion, Nabumetone, Buccal, Tablets

A-28

Formulation and dissolution rate Comparison study of Pioglitazone HCl liquisolid compacts and solid dispersion tablets

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Abstract:

The absorption rate of poorly water-soluble drug, from the orally administered solid dosage form is controlled by its dissolution rate in the fluid present at the absorption site. The dissolution rate of poorly soluble, highly permeable (BCS_II) drugs, such as Pioglitazone HCl, can be improved by the application of the solid dispersions (SD) and liquisolid (LD) technique. In this study, the different formulations of liquisolid compacts using different co-solvents (non-volatile solvents like propylene glycol (PG) and PEG400) and solid dispersion with PEG4000/ PEG6000 were prepared and the effect of several amounts of them on the dissolution behaviour of Pioglitazone HCl was investigated. Liquisolid compacts of Pioglitazone HCl were prepared by using Avicel PH 101, Aerosil 200 and SSG as carrier material, coating material and disintegrant, respectively. Liquisolid compacts and solid dispersion tablets were prepared and evaluated for characteristics like hardness, disintegration

time and dissolution rates. To evaluate any interaction between Pioglitazone HCl and the other components in liquisolid formulations and solid dispersions, FTIR, XRPD and DSC analysis were used. The results showed that the liquisolid formulations exhibited significantly higher drug dissolution rates in comparison with directly compressed and solid dispersion tablets. The enhanced rate of Pioglitazone HCl dissolution derived from liquisolid tablets was probably due to an increase in wetting properties and surface area of drug particles available for dissolution.

Keywords: Pioglitazone HCl, Liquisolid Compacts, Solid Dispersions, PG, PEG400, PEG4000, PEG6000

A-29

Formulation Development and Evaluation of Doxorubicin Hydrochloride Liposomes as a Targeted Drug Delivery Systems

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Abstract:

Liposomes have been used to target drug to specific organs, delay the loss of rapidly cleared, drugs, enhances therapeutic potency and offer a host of the other advantages. Doxorubicin hydrochloride is one of the most commonly used cytotoxic anthracycline antibiotics used in cancer chemotherapy and has been shown to have activity against a wide variety of neoplasms. In the present study Doxorubicin Liposomes are Formulated by "Double emulsion method to form Multivesicular liposomes" to check effect of drug loading and particle size. The present investigation meets this need by providing compositions of multivesicular liposomes useful as a sustained release drug delivery system. Multivesicular liposomes contain multiple non - concentric aqueous chambers per particle within each liposome particle, resembling a "foam" like matrix.

A-30

Enhancement of Dissolution Rate of Clofibrate BCS Class –II Drug by using Liquisolid Compact Technology

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Abstract:

The aim of this study was to improve the dissolution rate of the poorly soluble drug Clofibrate by delivering the drug as a liquisolid compact. Liquisolid compacts were prepared using propylene glycol as solvent, Microcrystalline cellulose as carrier, Starch and Lactose are used as a coating materials. Sodium starch glycolate and Cross carmellose sodium are used as a Super disintegrants. The crystallinity of the newly formulated drug and the interaction between excipients was examined by X-ray powder diffraction and Fourier-transform infrared spectroscopy, respectively. The dissolution studies for the liquisolid formulation and the Conventional tablet were carried out at a pH 6.8 buffer. The results showed no change in the crystallinity of the drug and no interaction between excipients. The dissolution efficiency of Clofibrate at 60 min was increased from 71.02% for plain drug and 81.3% for Conventional Tablet to 100.47% for the liquisolid formulation. The increase in the dissolution rate was also found to be significant compared to the pure drug and Conventional Tablet at pH 6.8 buffer. The liquisolid technique appears to be a promising approach for improving the dissolution of poorly soluble drugs like Clofibrate.

Keywords: Liquisolid Compact Technology, FT-IR, X-RD, SEM, Solubility, Dissolution Rate

A-31

Development of Gastroretentive Multiparticulate Drug Delivery System of Simvastatin

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Abstract:

The purpose of this study was to develop a gastroretentive multiparticulate drug delivery system. It is a site specific delivery system. It delivers the drug either in stomach or in intestine. The drug delivery is obtained by retention of dosage form in stomach and the drug is released in a controlled manner to the specific site either in stomach, duodenum or in intestine. Simvastatin is a lipid-lowering agent. It is a crystalline compound and a BCS class II drug and it is highly absorptive throughout the GIT. Drugs that are easily absorbed from gastrointestinal

tract (GIT) and have short half-lives are eliminated quickly from the systemic circulation. Frequent dosing of these drugs is required to achieve suitable therapeutic activity. The objective was to achieve a controlled release GRDDS in which there is an increase in the longevity of the drug release, more than 12 hours. Also, to achieve retention (floating) time of the formulation, more than 12 hours. To increase and maintain the bioavailability of simvastatin. Multiparticulates were prepared by extrusion followed by spheronization using a mixture of different viscosity grades of HPMC (K4M, K15M, and K100). Sodium bicarbonate was used for producing effervescent base for buoyancy of particulates. Gastroretentive multiparticulates were analysed by using sophisticated methods like Infra-red (IR) Spectroscopy, Differential Scanning Calorimetry (DSC), X-ray Diffraction (XRD) Studies, UV-Visible Spectroscopy, Texture Analysis and Scanning electron microscopy. No significant change was observed in physical appearance, drug content, floatability or in vitro dissolution pattern after storage at 40 °C / 75% RH for 30 days.

Keywords: Gastroretentive, Floating, Mucoadhesion, HPMC, Effervescence, Multiparticulate, Pellets

A-32

Development and Characterization of the Cisplatin Loaded Nanofibers for the Treatment of Cervical Cancer

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Abstract:

A small scale study was carried out to investigate the therapeutic efficacy cisplatin loaded poly-caprolactone / chitosan composite electrospun nanofibers for local chemotherapy of cervical cancers in mice. The prepared nanofibers had shown the sustained release pattern up to one month. Prepared nanofibers were found to have greater mucoadhesive strength. An orthotopic cervical cancer model was established by inducing the EAC cell lines in the vaginal mucosa at cervix region of the mice. Intravaginal administration of the cisplatin loaded nanofibers showed lesser % cell viability as compared to the plain drug. In vivo studies showed a better anti-tumour efficacy of prepared nanofibers in animals at 14th and 21st after the beginning of treatment. Therefore the technique of electrospinning provides a favourable approach for the targeted delivery of the anti-cancer drug via vaginal route against cervical cancer.

Keywords: Cervical Cancer, Chitosan, Cisplatin, Localized, Polycaprolactone

A-33

Fabrication and Characterization of Cefazolin-Loaded Nanofibrous Mats For the Recovery of Post-Surgical Wound

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Abstract:

The aim of the present study was to evaluate the wound healing performance of cefazolin-loaded gelatin nanofiber mats in post-operative wound. The obtained nanofibers were smooth, non-beaded and having diameter ranging from 620-680 nm. Nanofiber mats that are prepared exhibit high drug entrapment, excellent oxygen permeability and sustained drug release behavior. Further, medicated nanofiber mats showed an accelerated wound healing as compared to plain cefazolin. Macroscopical and histological evaluations demonstrated that cefazolin-loaded gelatin nanofiber showed increased epithelialization rate and collagen deposition. The results indicated that therapeutic strategies offer new prospects in the management of post-operative wound repair.

Keywords: Cefazolin, Controlled Drug Release, Gelatin Nanofibers, Post-Operative Wound Healing

A-34

Design and Evaluation of Buccal Bilayer Tablets of Hydralazine

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Abstract:

The buccal route, as an alternative to other traditional methods of systemic drug administration, is a subject of growing interest because of its numerous advantages. Substantial efforts have recently been focused upon placing a drug or drug delivery system in a particular region of the body for extended

period of time. This need is not for local targeting of drugs but also for a better control of systemic drug delivery. In the present work buccal bilayer tablets of hydralazine were prepared by direct compression method by using polymers HPMC K4M and HPMC K15M. The prepared tablets were evaluated for physical parameters like appearance, hardness, thickness, weight variation, friability, swelling index and surface pH; biological parameter-mucoadhesive strength; and other parameters such as drug content uniformity, *in vitro* release, short-term stability and drug excipient interactions (FTIR). Among ten formulations, the formulation BTH151 containing HPMC K15M was found to be promising, which showed $t_{25\%}$, $t_{50\%}$ and $t_{70\%}$ values of 1.12, 4.24 and 5.48 h respectively and *in vitro* drug release of 93.28% in 8 h along with satisfactory bioadhesion strength (6.40g). Stability studies on the promising formulation indicated that there are no significant changes in drug content and *in vitro* dissolution characteristics ($p < 0.05$). The prepared buccal bilayer tablets of hydralazine could stay in the buccal for a longer period of time, which indicate a potential use of buccal tablets of hydralazine for treating blood pressure.

Keywords: Buccal Bilayer Tablets, Hydralazine, Carbopol 934p, Hydroxy Propyl Methyl Cellulose, Bioadhesive Strength, *In Vitro* Dissolution

A-35

On Demand Drug Delivery From Self-Assembled Hydrogel of Methotrexate for Treatment of Rheumatoid Arthritis

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Abstract:

Rheumatoid arthritis is an autoimmune disease that causes chronic inflammation of the joints, which in turn cause swelling, pain, stiffness and redness in the joints. Methotrexate is immunosuppressant and inhibiting proliferation of the lymphocytes through to be responsible for synovial inflammation in rheumatoid arthritis. Local delivery of drugs offers the potential for high local drug concentration while minimizing systemic toxicity, which often observed with oral dosing. However, local depots are typically administered as frequently and include an initial burst followed by a continuous release. To maximize efficiency of therapy, it is critical to ensure that drug is only released when needed. An optimal system would be nontoxic and only release drugs during the

period of exacerbation, self-titrating in response to the level of inflammation. The aim of present investigation was to develop and characterize on demand drug delivery from self assembled hydrogel of methotrexate for rheumatoid arthritis. Development of an injectable self-assembled fibrous hydrogel, from a generally recognized as safe material, which is capable of encapsulation and release of agents in response to specific enzyme lipase that are significantly unregulated in a diseased state. Drug excipients compatibility was determined using FTIR. Self-assembled hydrogel was prepared using amphiphilic polymer ascorbyl palmitate. Batches were prepared by taking various concentrations of amphiphilic polymer. Optimized batch of self-assembled hydrogel containing methotrexate (2 mg), ascorbyl palmitate (1%w/v) and phosphate buffer saline pH 7.4 (2 ml) was characterized for sol to gel time, drug content, clarity, pH, viscosity and rheology.

Keywords: Self-assembled hydrogel, Rheumatoid arthritis, Methotrexate, Systemic Toxicity

A-36

Topically Applied Ultradeformable Transfersosomal Based Gel for the Treatment of Psoriasis

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Abstract:

Psoriasis is a chronic inflammatory genetic disease of the immune system which affects the skin and/or joints. Tazarotene belongs to class of retinoic acid receptor. Tazarotene targets the keratinocytes and modulates the major causes of psoriasis. However, the most common side effects of tazarotene are burning sensation and skin irritation at application site, which prompt for development of novel carrier that could effectively target tazarotene to site of action without producing undesirable side effects. The aim of present investigation was to prepare and characterize tazarotene encapsulated transfersosomal gel for the treatment of psoriasis with least burning sensation and irritation. Drug excipients compatibility was determined using FTIR. Transfersomes were prepared by thin film hydration method using HSPC and surfactant. Prepared transfersomes were characterized for vesicle size, zeta potential and percent drug entrapment. Optimized transfersosomal formulation was incorporated in structured vehicle such as HPMCK100M to formulate the gel. Transfersosomal gel was evaluated for

viscosity, spreadability, pH, *in vitro* drug release study, ex vivo permeation study, skin irritation study and stability study. The vesicle size, zeta potential & percent entrapment was found to be 130 ± 0.53 nm, -10 ± 0.21 mV and 75.23 ± 0.96 % respectively. The pH and viscosity of transferosomal gel was found to be 6.5 and 32000 ± 0.023 cps respectively with good spreadability. The cumulative percentage drug release of tazarotene from transferosomal gel and marketed gel (0.05%) was found to be 85 ± 0.21 % and 79.81 ± 0.43 % respectively. Percentage of drug permeated of tazarotene from transferosomal gel and marketed gel was found to be 74.01 ± 0.65 % and 61.27 ± 0.56 % respectively at the end of 24 hr.

Keywords: Tazarotene, Transferosomes, Psoriasis

A-37

Formulation & Evaluation of Sustained Release Glibenclamide Tablet using Nano Cellulose Extracted from Corn Husk

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Abstract:

This study is to improve the dissolution characteristics of a poorly water-soluble drug glibenclamide (GLB), by using nanocellulose extracted from corn husk. A 3^2 factorial design was employed to screen the significant formulation and process variables. A total of 9 experiments were generated by Designexpert® 7 for screening 2 independent variables namely the amount of NC (X1), amount of MCC (X2). Entrapment Efficiency (Y1), Angle of Repose (Y2) and T90(Y3) were selected as response variables. Properties of glibenclamide sustained release tablet such as FTIR, Pre and Post Compression Parameters were investigated. As a result the *in vitro* release study showed sustained release effect of glibenclamide tablet prepared from nanocellulose. Wet granulation results were better when compared with that of direct compression results. The results proved that tablets prepared using nano cellulose showed sustained release effect of glibenclamide.

Key Words: Nanocellulose, Corn Husk, Agricultural waste, Mechanical treatment, factorial design, sustained release

A-38

Effect of Hydrophilic Polymerized B –

Cyclodextrin on Solubility of Antineoplastic Agent for Topical Delivery in the form of Nanolipid Carriers

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Abstract:

The objective of present research was to study the effect of polymerized β -cyclodextrin (Epi- β -CD) on solubility of model drug 5-fluorouracil. Nanostructured lipid carriers (NLCs) of cyclodextrin complex 5-fluorouracil were prepared for topical delivery. Synergistic effect was observed through simultaneous use of cyclodextrin and NLC. This approach led to increased drug release and skin permeation, thereby improving the overall therapeutic effect. Binary inclusion complexes were prepared by Kneading and freeze-drying methods. These complexes were characterized by FTIR, DSC, drug content and XRD. The best inclusion complex was encapsulated into nano lipid carriers. NLCs were prepared using glyceryl monooleate (GMS) and oleic acid by modified emulsification evaporation method. Four different formulations of NLCs were characterized for particle size, zeta potential, entrapment efficiency, drug loading and drug release. Results revealed that NLCs system were able to entrap 89.2% 5-FU and provided sustained delivery for 24 hrs. NLCs were stable according to ICH guidelines. Thus, the combination of cyclodextrins and nano lipid system led to improvement in solubility and provided desired effect and were effective for the treatment of skin cancer.

Keywords: Cyclodextrin, Nanolipid Carriers, Complexation, Solubility

A-39

Enhancement of Solubility, Preparation and Evaluation of Immediate Release Tablets of Poorly Soluble Drug Repaglinide

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Abstract:

Immediate release tablets or oral disintegrating tablets

will disintegrate rapidly within seconds when placed on the tongue. The objective of the study is to enhance the dissolution, solubility and formulate and evaluate oral disintegrating tablets of poorly soluble drug Repaglinide. Repaglinide is an oral anti-hyperglycemic drug used for the treatment of non-insulin-dependent diabetes mellitus (NIDDM). The solubility of the drug was enhanced by preparation of solid dispersions using solubilising agents such as soluplus and poloxamer. The prepared solid dispersions were formulated into immediate release tablets by direct compression method using various concentrations of cross povidone as super disintegrant. The prepared tablets undergo various evaluation tests such as hardness, weight variation, content uniformity, tablet thickness, friability, disintegration time and *in vitro* dissolution studies. The rate of release of drug from the tablets depends on the concentration of super disintegrant. The immediate release tablets containing soluplus as solubilising agent and crosspovidone as super disintegrant in the concentration of 5% showed better release of drug. Approximately 99.4% of the drug was released in 25 mins from the tablet. Hence based on the physiochemical properties, *in vitro* drug release profile F8 containing 5% of crosspovidone is optimized as best formulation. Drug, polymer compatibility studies were studied by performing DSC and FTIR.

Keywords: Oral disintegrating Tablets, Repaglinide, Diabetes Mellitus, Soluplus, Poloxamer

A-40

Non aqueous Enteric Coating Application of HPMC and Eudragit L100 on Hard Gelatin Capsules: Designed to Achieve Intestinal Delivery

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Abstract:

Omeprazole (OMZ) is a weak base proton pump inhibitor and it can be easily broken down in the acidic location before reaching to the small intestine where it is absorbed. Therefore, the main aim of this investigation is to protect the drug in the stomach environment with the object of exhibiting 100% drug release at the site of absorption. Prior to coating all the capsules were filled with API and other suitable excipients then placed

on to the lab model conventional coating pan. Two different polymers such as (HPMC) and Eudragit L 100 were selected for this study. First, the pre coating solution (HPMC) was employed after drying enteric coating solution (Eudragit L 100) was applied under suitable coating parameter finally over coating solution of (HPMC) was applied and kept for drying. Different coating thickness ranges from 38.33 to 89.75% was observed by Scanning electron microscopy and tested for acid uptake test, disintegration and dissolution tests in pH 1.2 HCl media for 2 hours and pH 6.8 phosphate buffer solution. Less coating thickness capsules were allowed to penetrate the acid and the capsules were ruptured in an acid environment, therefore early drug release was occurred in acid media. Whereas capsules with high coating thickness of 89µm were not allowed acid to penetrate this indicates that the drug could be protected from degradation in the gastric environment.

A-41

Design and Development of Matrix Systems using Hollow Fibers as Carrier

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Abstract:

Development of controlled delivery systems with less or no toxicity is the main objective of researchers in medicine, pharmaceutical scientists, medicinal chemists and other health related disciplines. Controlled release products are designed to maintain constant therapeutic plasma concentration of the drug within the therapeutic range of the drug over prolonged periods. Matrix is defined as a well-mixed composite of one or more drugs with gelling agent i.e. hydrophilic polymers. Matrix technologies have often proven popular among the oral controlled drug delivery technologies because of their simplicity, ease in manufacturing, high level of reproducibility, stability of the raw materials and dosage form and ease of scale-up and process validation. The objective of this work was to develop a partially 'coated' matrix in order to obtain zero-order sustained drug release by means of a simple and flexible manufacturing method. The matrix-in-cylinder system, consisting of a drug-containing HPMC-Gelucire 44/14 matrix inserted in an ethyl cellulose pipe, showed to be a flexible tool to obtain linear, sustained drug release. However, the production of the dosage forms described in this thesis proceeded manually. Improving bioavailability of drugs is

of great interest nowadays, since a lot of recently discovered drugs are characterized by a poor bioavailability (due to poor aqueous solubility and/or poor intestinal permeability), causing formulation problems. Future work to follow up on this research project includes the incorporation of other drugs in the matrix-in-cylinder system to investigate if the dosage form is able to increase bioavailability of BCS Class II, III or IV-drugs.

A-42

Optimization and Evaluation of Telmisartan Fast dissolving Tablets Employing

Starch glutarate- A New Superdisintegrant

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Abstract:

Telmisartan is a Anti-hypertensive drugs which is insoluble in water, hence the drug may be slowly or incompletely dissolves in the gastro-intestinal tract. So the rate of dissolution and therefore its bioavailability is less (bioavailability 42%). The dissolution rate of telmisartan can be increased by formulating it into fast dissolving tablets, as these dosage forms disintegrate very rapidly into fine suspension of drug particles resulting in higher surface area of drug. Though, several disintegrants are available, there is continuous need to develop newer disintegrants to have more disintegration and dissolution efficiency. The present research work involves preparation, characterization and evaluation of starch glutarate as a super disintegrant. The prepared starch glutarate was found to be free flowing and amorphous. SEM studies have revealed the amorphous nature of starch glutarate and FT-IR revealed the formation of ester. In the present research work, 2³ factorial design was used for optimization of level of independent variables (starch glutarate, sodium starch glycolate and croscarmellose sodium) on dependent variables (disintegration time and percent released in 10 minutes) in the formulation Telmisartan fast dissolving tablets with less experimentation. From the results it was concluded that starch glutarate, (10%), sodium starch glycolate (5%) and croscarmellose sodium (5%) were favourable for formulation of Telmisartan fast dissolving tablets. Therefore, starch glutarate a new modified starch was found to be a promising disintegrant in the formulation of fast dissolving tablets of poorly soluble drugs.

Keywords: Poorly Soluble, Telmisartan, Starch Glutarate

A-43

Linagliptin pH Sensitive Solid Lipid Nanoparticles using Quality by Design approach for the treatment of Diabetes Mellitus

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Abstract:

Linagliptin is a DPP-4 Inhibitor used in the treatment of Type II Diabetes. One of the major disadvantages of this drug was low bioavailability and high cost. In this study to overcome these challenges we have developed a new drug delivery for this drug. Drug has been enteric coated with pH sensitive polymer and formulated as Solid Lipid Nanoparticles (SLN's). The main aim of this research was to formulate of Linagliptin pH sensitive Solid Lipid Nanoparticles using Quality by Design (QbD) approach in order to enhance the oral bioavailability. SLN's were prepared by modified solvent injection technique using 3² Full Factorial Design exploiting Design of Expert software and characterized for Particle Size, Entrapment Efficiency, Drug release, Stability studies and pharmacokinetic evaluation studies on Albino Wistar Rats. Overlay plot and Desirability functional approach was used for the optimization. The lowest Particle size was found to be 214±15.9 nm, highest Entrapment Efficiency was 57.35±1.59% and highest in vitro drug release was 95.37±0.21% respectively under optimal conditions with desirability value of 0.963. The pharmacokinetic evaluation study revealed that Linagliptin oral bioavailability was enhanced in Albino Wistar Rats compared to the available dosage forms. Also due to sustained release activity of the formulated dosage form dose frequency can be reduced which leads to reduction in cost. Hence it indicates pH sensitive Solid Lipid Nanoparticles is promising formulation for Linagliptin delivery.

Keywords: DPP-4 Inhibitor, Linagliptin, Factorial Design, Pharmacokinetic Study

A-44

Repaglinide Polymorphs: Conformational and Packing Aspect

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Abstract:

The conformational flexibility and the crystal packing of the molecules are responsible for the polymorphism. The presented study highlights the conformational and packing aspects of the polymorphic forms of repaglinide (RPG), a poorly water-soluble oral hypoglycemic agent using the experimental and *in-silico* approach. The experimental screening for the possible crystal forms were carried out using various solvents, which generated three forms. The crystal structure of Form II and III was determined using PXRD pattern whereas structural analysis of Form I has already been reported. Form I, II and III was found to exist in P212121, PNA21 and P21/c space groups respectively. Conformational analysis was performed to account the conformational flexibility of RPG. The obtained conformers were further utilized to obtain the information about the crystal packing pattern of RPG polymorphs by polymorph prediction module. The lattice energy landscape, depicting the relationship between lattice energy and density of the polymorphs has been obtained for various possible polymorphs. The experimentally isolated polymorphs were successfully fitted into lattice energy landscape.

Keywords: Repaglinide, Polymorphs, Crystal Structure, Crystal Energy Landscape

A-45

Development of Carrier Based Formulation for Topical Delivery of Acyclovir

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Abstract:

Topical delivery has emerged as a promising route of administration because of localized drug action at the target site, avoidance of first pass metabolism, gastrointestinal irritation and metabolic degradation associated with oral administration and safe administration of narrow therapeutic index drugs. In the present study, an attempt has been made for preparation of nanovesicle based gel formulation. In addition, the developed formulation was compared with the commercial formulation for skin permeation and deposition potential. The prepared optimized nanovesicle based gel formulations were subjected to skin permeation and deposition study using Franz diffusion cell with abdominal rat skin as the permeation membrane. The results obtained from the skin permeation study were further

confirmed by the vesicle-skin interaction studies done using ATR-FTIR and Scanning electron microscopy (SEM). Transdermal flux (J_{ss}) of marketed cream and drug solution was found to be 48.97 ± 0.7 and 70.69 ± 2.6 ($\mu\text{g}/\text{cm}^2/\text{h}$) respectively. Transdermal flux of the optimized nanovesicle based gel formulation was found to be the highest with 289.32 ± 10 ($\mu\text{g}/\text{cm}^2/\text{h}$) and the enhancement ratio was 5.90 and 4.09 against marketed cream and drug solution, respectively. On the basis of the conducted experiments and their results, it was observed that nanovesicle based gel formulation demonstrated better skin permeation and deposition when compared to marketed cream and drug solution. Thus, it can be concluded that the nanovesicle based gel formulation is a better alternative for the effective topical delivery of Acyclovir.

Keywords: Acyclovir, Nanovesicles, Skin Deposition, Franz Diffusion Cell

A-46

Development and characterization of dual drug targeted novel curcumin loaded liposphere based gel to regulate inflammation and keratolysis for the treatment of psoriasis

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Abstract:

Psoriasis is an autoimmune skin disorder characterized by hyperproliferation and poor differentiation of keratinocytes. It significantly affects patient's quality of life, with prevalence of 2-5% population among the world. Poor solubility, poor skin penetration and erratic absorption are some problems associated with the topical delivery of existing drugs. To overcome the above mentioned disadvantages curcumin loaded lipospheres were prepared by solvent evaporation method with slight modification. Liposphere loaded gel showed slow release of drug and shear thinning behaviour that is desirable property of topical formulation. The formulations were characterized by particle size, zeta potential, drug entrapment efficiency, drug loading, transmission electron microscopy, X-RD and Differential scanning calorimetry study to ensure the effectiveness desired formulation. The particle size of liposphere system was found to be well suited for topical drug delivery ($\leq 70\text{nm}$) and the percentage of entrapment efficiency was observed 90.82 ± 2.39

%DSC revealed the molecular dispersion of curcumin when incorporated in lipospheres. Liposphere systems proved to be a promising topical system for the delivery of curcumin as they possessed the ability to entrap the drug at very high levels and high stability, and to sustain the anti-inflammatory effect of the drug.

Keywords: Hyperproliferation, Keratinocytes, Curcumin, Salicylic Acid, Liposphere Gel

A-47

Evaluation of Few Marketed Generics of Cefotaxime Sodium for Injection I.P

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Abstract:

The main purpose of this study was to compare few important physical parameters of the marketed products of Cefotaxime Sodium for Injection 500mg manufactured by generic companies. The marketed packs were coded as Brand A and Brand B respectively and tested for various physical parameters like Appearance, pH, clarity, reconstitution time and primary packing quality. The samples were reconstituted as per the instruction given on carton and pH was determined using calibrated pH meter. From the results, it was found that few physical parameters were comparable with respect to parameters like pH and clarity whereas phenomenal difference was observed in reconstitution time and appearance of the product. Brand A showed very less reconstitution time, good clarity of reconstituted solution and acceptable physical appearance or description as compared to Brand B. The increase in reconstitution time & inferior physical appearance observations of Brand B may be because of sourcing of raw materials from economic source or depends on other important processing parameters like method of manufacturing (different process employed by generic companies), in-process controls, quality of starting materials or even quality of intermediates used for manufacturing of raw materials that is being sourced by the companies. Based on the study, it was concluded that Brand A was superior to Brand B.

Keywords: Cefotaxime Sodium, Reconstitution Time, Clarity

A-48

Recent Advancements in Drug Eluting Sutures

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Abstract:

Surgical sutures are used to assist closure and healing of surgical or trauma-induced wounds by upholding tissues together to facilitate healing process. There is a huge variety of suture materials for medical purpose. E.g. knotless barbed sutures, antimicrobial sutures, bio-active sutures such as drug-eluting and stem cells seeded sutures, and smart sutures including elastic, and electronic sutures. Sutures increase the capabilities to improve tissue approximation and wound closure. Drug eluting sutures are the advanced type of sutures being used for surgical purpose via delivery of drug to the specified area. These newer strategies expand the usefulness of sutures from being used as just a physical entity approximating opposing tissues to a more biologically active component enabling delivery of drugs and cells to the desired site with immense application potential in both therapeutics and diagnostics. The modified sutures not only have to retain their mechanical integrity for the duration of the healing process, but deliver the loaded drugs in a controlled, pre-designed fashion. These nanostructured fibers are produced by electrospinning and electrospraying techniques offer tuneable release kinetics applicable to diverse biomedical applications. Drug eluting sutures provide reduced surgical site infections, accelerated wound healing, reduced post-operative complications and most important reduce the need for supplement drugs. The biggest challenge in the production is to achieve the desired concentration and effect of the

drug without compensating the important mechanical properties of sutures, that can be achieved by fastened polymer degradation and control release approaches. Current review gives an updated information on recent advancements in drug eluting sutures.

A-49

Synthesis, Characterization and Evaluation of Co-crystal of Glipizide

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Abstract:

Co-crystals are the crystalline complexes of two or more neutral molecular components bound through non-covalent interactions in the crystal lattice in stoichiometric ratio. Co-crystallization of an active pharmaceutical ingredient (API) is one of the most recent and emerging technique, employed to improve the biopharmaceutical characteristics without influencing the bioactivity of the drug. In the present study co-crystal of Glipizide (GPZ), a second generation oral hypoglycemic agent belonging to BCS Class II was prepared with a GRAS (Generally Regarded as Safe) status co-former *i.e.*, picolinic acid (PA) using solvent assisted grinding. The prepared co-crystal was characterized using various analytical techniques such as DSC (Differential Scanning Calorimetry), FT-IR (Fourier Transform Infrared Spectroscopy), PXRD (Powder X-ray Diffraction) and SSNMR (Solid State Nuclear Magnetic Resonance). The DSC thermogram depicted a single endotherm at 150.28°C, which was different from melting endotherms of individual components, indicating formation of new phase. This was further corroborated with PXRD data. The changes in vibrational frequency of functional groups, shown by FT-IR confirmed their participation in hydrogen bonding. All these techniques substantiated the co-crystallization of GPZ with PA. To obtain the knowledge of hydrogen bonding network in the co-crystal, the crystal structure was determined using BIOVIA® Material Studio. The changes in the chemical shift in the SSNMR spectrum supported the hydrogen bonding in the crystal structure.

Keywords: Glipizide, Co-crystal, Solubility

A-50

Formulation Design and Optimization of Cetirizine Hydrochloride Oral Disintegrating Tablets by Direct Compression Technique: An Approach of Central Composite Design

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Abstract:

We used experimental design to formulate and optimize Cetirizine Hydrochloride oral disintegrating tablets by direct compression, screening for the mutual effect of synthetic Croscarmellose sodium (CCS) and natural *Hibiscus rosa-sinensis* mucilage (HRM) as disintegrants in the formulation. The influence of three levels independent variables each of CCS (X1) and HRM (X2) concentrations were investigated on dependent variables disintegration time (DT) (Y1), % friability (F) (Y2) and % cumulative drug release (DR) (Y3) by central composite design. The model's reliability was verified by the probability and adequate precision values from the analysis of variance, while the significant factor effects influencing the investigated responses was identified using multiple linear regression analysis. Perturbation and response surface plots were interpreted to evaluate the response sensitivity towards the variables. The interaction between drug and excipients was studied by FT-IR and DSC. A checkpoint batch was also prepared to verify the rationality of the developed mathematical model. The optimization model predicted DT of 13.271sec, F of 0.498, and DR of 99.768% for 16.04 mg of CCS after minutes. The present study demonstrates the use of HRM is a suitable natural disintegrant to formulate and design Cetirizine Hydrochloride oral fast disintegrating tablets. Combination of CCS and HRM showed significant improvement of drug release with enhanced oral bioavailability for better patient compliance.

Keywords: Croscarmellose Sodium (CCS), Hibiscus Rosa-Sinensis Mucilage (HRM), Multiple Linear

Regression Analysis

A-51

In-Vivo Study of Orodispersible Tablet of Primaquine

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Abstract:

The main objective of the study is to evaluate orodispersible tablets of Primaquine with different *in-vivo* parameters. Various formulations of Primaquine were prepared for *in-vivo* study through different methods and by using different ratios of synthetic superdisintegrants (sodium starch glycolate, croscarmellose sodium and crospovidone) at the concentrations ranging from 3%-12%. The *in-vivo* bioavailability study of drug was performed on twelve male albino rats (weighing 300–450 gm) and rats were randomly divided into four groups of equal size using parallel design. Next step in the study was HPLC analysis, in this study two conditions were followed by us. The conditions were- (a) Chromatographic conditions: Plasma concentrations of Primaquine were determined using an HPLC method with slight modification. (b) Preparation of plasma samples: Plasma (0.5 mL) with 0.5 mL acetonitrile and 0.5mL methanol were vortex-mixed for 30 s. The samples were centrifuged at 4000 rpm for 5 min. The upper layer was then transferred to another tube, and 20 ml were injected into the column for analysis. Last evaluation parameters were pharmacokinetic study and statistical analysis.

Keywords: Orodispersible, Crospovidone,
Bioavailability, Primaquine

A-52

Design, Optimization, Comparative Pharmacodynamics and Safety Evaluation of Brimonidine Tartrate *In Situ* Gel by using Quality by Design

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Abstract:

The poor bioavailability and therapeutic response due to rapid pre-corneal elimination is challenging task for designing of ophthalmic drug delivery system. To overcome this barrier various novel ophthalmic drug delivery systems are now in the research and development. *In situ* gel is one of the acceptable concept with the prospective of cost, dose and side effect. Brimonidine tartrate is alpha 2 adrenergic agonist mostly

prescribed in chronic glaucoma treatment. The present study was directed towards designing, evaluation and safety testing of brimonidine tartrate in *situ gel*. A 3² factorial design was used to optimize the formulation. The optimized formulation were evaluated for clarity, pH measurement, gelling capacity, drug content, viscosity, *in vitro* drug release study, sterility test, preservative efficacy study, *ex vivo* permeation study, safety study, the comparative pharmacodynamic reveals the desired release of drug for eight hours form optimized gel forming solution. *Ex vivo* study were performed on goat cornea showed 86.75% drug release and *in vivo* study performed on normotensive rabbits showed promising sustained release effect upto 8 hours. The developed technology has IPR potential and commercial applicably. The technology may reduce total dose, dosing frequency and systemic side effect of conventional formulation available in the market.

Keywords: Brimonidine Tartrate, In Situ gel,
Temperature Dependent System, 3² Factorial Design

A-53

Preparation and Evaluation of Carrier based 5-Fluorouracil Microparticulate Formulations

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Abstract:

Cancer remains a foremost cause of mortality, but over last decades despite of overall debility in incidences, gastric cancer remains the fourth most common type and second leading cause of cancer-related deaths worldwide. 5-FU (5-fluoro-2,4-pyrimidinedione) a pyrimidine antimetabolite in combination or alone is first line drug in chemotherapy regimens for gastric tumours. Due to erratic and unpredictable absorption of 5-FU from GIT, I.V route is preferred besides its severe systemic side effects. In the present study, attempt has been made for the development of gastroretentive floating microsphere formulation of 5-FU. Spray drying method was used for preparation of microsphere formulation. Further, formulation was characterized for *in-vitro* study, *ex-vivo* intestinal permeability study and *in-vivo* studies. Optimized formulation showed sustained release behaviour with entrapment efficiency (82.10±0.07%) & particle size (5.13±1.02µm). Developed formulation was also found to have

smooth and nearly spherical shape microspheres (particle size $5.13 \pm 1.02 \mu\text{m}$), good flow properties with Carr's index (5.17 ± 0.05) and angle of repose (14.07 ± 0.03). There was no drug excipient interaction observed as measured by XRD and FTIR analysis. Moreover, *Ex-vivo* intestinal permeability showed the increased intestinal absorption of optimised formulation as compared to market formulation. Further, *in vivo* gastric retention time study confirmed the >6 hrs retention of developed formulation. In conclusion, developed sustained release gastric microspheres leads to increase in oral bioavailability, increased patient compliance and abridged side effects.

Keywords: 5-Fluorouracil, Gastric Tumours, HPMC K 15M, Floating Microspheres

A-54

Teriflunomide loaded Nanostructured lipid Carrier (NLCs): Design, characterization and ex vivo- in vivo assessment

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Abstract:

Present research work aims to prepare nanostructured lipid carriers (NLC) based hydrogel and study its potential for the topical application of Teriflunomide (TFM). TFM is an active metabolite of Leflunomide which belongs to Disease Modifying Anti Rheumatic Drug (DMARDs) and considered as effective for treatment of Rheumatic Arthritis. In the present study TFM-NLCs were prepared using probe sonication technique. Glyceryl monostearate, Acrysol K150, Gellucire44/14 & PEG 600 were selected as the solid and liquid lipid, surfactant & co-solvent respectively.³³ Box Behnken factorial Design was applied to obtain statistically optimized Lipid formulation. The developed lipid formulation then dispersed in 1% (w/v) Carbapol 934P gel medium to maintain the consistency of topical formulation. The average particle size, zeta potential and PDI for TFM loaded NLCs were found to be 95.85 ± 0.56 , $-21.95 \text{mV} \pm 0.24$, and 0.12 ± 0.02 respectively. *Ex vivo* permeation study revealed significant improvement in flux, apparent permeability coefficient, steady state diffusion coefficient and drug deposition of TFM in rat skin as compared to the Plain TFM gel. These results shown that the prepared TFM -NLCs has high potential to improve penetration of TFM through the Stratum Corneum with enormous retention which is pre-requisite for topical application of TFM-NLCs. *In vitro* drug release study shown initial burst release followed by

sustained release for prolonged period of time. Anti-inflammatory and anti-rheumatic activity shown significant result as compared to plain TFM gel on CFA induced rat paw edema model. Stability study was performed as per ICH guidelines and the formulation was found to be physically, chemically stable.

Keywords: Teriflunomide, nanostructured lipid carriers (NLC), Anti rheumatic.

A-55

Formulation and Development of Bi-layer Matrix Tablet of Lornoxicam by Using 3² Full Factorial Design

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Abstract:

The aim of present work was "Formulation and development of Bi-layered tablet of Non-steroidal anti-inflammatory". Drug lornoxicam has a selective analgesic and non-steroidal anti-inflammatory effect. The Bi-layer OD (once daily) tablet of lornoxicam were prepared with immediate release and sustain release part. This formulation of lornoxicam include at least one immediate release (IR) component (methanol+kyron T-314) and one extended release (SR) component include a release controlling material (HPMC K 100 M and EUDRAGIT RS 100). Preformulation study was carried out for measurement for physico-chemical property. *In vitro* drug release profile for optimized formulation was at least 99% after 24 hours. 3² full factorial experiment was designed to study the effect of concentration of HPMC K 100 M (X1) and EUDRAGIT RS 100 (X2) combination on the % cumulative release after 2 hours (Q2), after 11 hours (Q11) and on the % cumulative release for 24 hours (Q24) in the core tablet. Batch 30 selected as the optimized batch and reproducibility for this batch as batch no. 35. Response surface graph examine the effects of independent variables on the responses studied. In final batch drug release decrease with increase in concentration of polymer.

Key words: Non-Steroidal Anti-Inflammatory, Once Daily (OD), 3² Full Factorial Design, Surface Response

Plot

A-56

Preparation and characterization of Fluconazole

encapsulated sustained release Liposomes

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Abstract:

The present objective of the study was to prepare pro-liposomal gel bearing an anti-fungal agent, Fluconazole intended for topical application. Various proliposome formulations were prepared using thin film hydration technique by varying the lipid phase composition (phosphatidyl choline/cholesterol). Proliposome formulations were characterized for vesicle size, vesicle size distribution, vesicle morphology, drug content, entrapment efficiency, percentage yield value, storage stability analysis, FTIR & DSC for phospholipid drug compatibility, in vitro diffusion study, release kinetic studies and antifungal activity. A spherical shape of reconstituted Fluconazole liposome with an average vesicle of 34.61 ± 0.29 to 51.45 ± 0.45 μm was observed in photomicrographs. The percentage entrapment of the drug was increased with an increase in phospholipid composition in the range of 55.13 ± 3.12 to $69.61 \pm 0.99\%$. FTIR & DSC studies showed no possible drug-exciipient interaction. Proliposomal gel showed prolonged release of Fluconazole. Stability studies indicated that product is stable and should be stored at low temperatures. The optimized proliposomal gel (F7) was compared with commercially marketed gel which exhibited enhanced dissolution profile. Proposed Fluconazole proliposomal gel showed sustained release with enhanced antifungal activity implicating its potential in effective drug delivery for the topical treatment of vagina.

Keywords: Fluconazole (FLZ), Proliposome, Thin Film Hydration, In Vitro Drug Release

A-57

Critical Process Parameters Optimisation of Tablet Film Coating

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Abstract:

The aim of this study was to identify and screen the critical process parameters by design of experiments and then

optimizing it further using the "Environmental Equivalency" (EE) factor. In Film-coating of tablets variables and factors like core tablet composition, coating equipment, process conditions and coating suspension etc influence on quality and visual appearance of the final product. The process parameters identified during the process include inlet air temperature, optimization air pressure, spray rate and the responses reflected on surface roughness (Ra) and loss on drying (LOD) percentage. An initial screening stage was carried out using the 2^3 full factorial design, where the surface roughness is measured by using instrument Profilometer and found to be in the range of 2.25 to 2.97. LOD is measured using Halogen Moisture Analyzer and varies from 1.35 to 2.62. The EE factor is expressed by an equation involving ten individual parameters using the software "TAAC". EE value were obtained from software and found to be in the range of 2.486 to 8.063. Thus final optimization was carried out by using two different techniques viz. "DOE" and EE factor. 'Surface finish' and 'moisture content' of the tablet were used as measurable responses to judge the quality of coating process.

Keywords: CPP, CQAs, DOE, TAAC and EE

A-58

Optimization Of Reaction Conditions to Fabricate *Ocimum Sanctum* Synthesized Silver iNanoparticles and Its Application to Nano-Gel Systems For Burn Wounds

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Abstract:

The study deals with sequential optimization strategy based on box-behnken method to optimize the process variables for efficient production of *Ocimum sanctum* synthesized silver nanoparticles using biological synthesis. Four substantial factors influencing the dependent variables viz size, zeta potential and PDI were identified as silver nitrate concentration, temperature, amount of plant extract and stirring speed as independent variables. The contribution of the studied factors in monitoring dependant variables were evaluated via analysis of variance. The validity of the model developed was verified, and the statistical analysis showed that the optimal operational conditions were 4.90mM of AgNO_3 , 4.13%(w/v) of plant extract, 60°C and 500 rpm which primes to form silver nanoparticles of smallest size 83.41 nm with maximum zeta potential of -20.20 and PDI of 0.28. The topical formulation was prepared

by incorporation of optimized AgNPs into the carbopol gel base. Further, the gel was evaluated in vivo using the rat model of skin wound healing. The measurement of the wound areas was performed on 2nd, 4th, 6th, 8th, 10th, 12th and 14th days and the percentage of wound closures were calculated accordingly. By the 14th day, silver nanoparticle gel showed 100% wound healing activity compared with that of the standard as well as control base.

Key words: Silver Nanoparticles (AgNPs), Nanogel, Wound Healing

A-59

Novel Pharmaceutical Approach as Dissostrip for the Delivery of Terbutaline Sulphate in the Treatment of Asthma

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Abstract:

Asthma is a chronic disease which is characterized by recurrent episodes of cough, breathlessness and wheezing which ultimately leads to a condition where there is difficulty in swallowing of solid content. Terbutaline sulphate is a selective β_2 adreno receptor agonist widely used in the treatment of bronchial asthma and other obstructive lung diseases with reversible bronchial hyperactivity but possess low oral bioavailability due to extensive first pass metabolism. Sublingual route was chosen as the route of administration with an aim of overcoming the above mentioned difficulties. Hence, formulation of fast dissolving dissostrips of TBS was attempted in the present research work. Initial screening of polymers both single and combination and also the screening of plasticizers led to the selection of HPMC E15 as a strip former with propylene glycol as plasticizer. The strips were evaluated for various physical parameters. Disintegration time, *in-vitro* dissolution and *in-vitro* permeation. The formulation F5 at concentration 3% of HPMC E15 and 15% of propylene glycol was selected as optimum which showed a disintegration time of 30 seconds. The final formulation was compared with marketed dosage form i.e. tablets and it was observed that the dissolution profile of the final formulation showed more than 90% release of drug with 10 minutes as compared to about more than 30 minutes for marketed formulation. *Ex-vivo* release studies also proved that the drug was highly permeable and about 80% of the drug was released within 28 minutes. Thus, the sublingual

dissostrips of TBS were successfully formulated and may result in better patient compliance, quicker onset of action,

Keywords: Asthma, Terbutaline Sulphate, Sublingual

Dissostrips

A-60

Formulation and Evaluation of Controlled Release Orally Disintegrating Tablets of Ambroxol Hydrochloride

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Abstract:

Controlled release orally disintegrating tablets (CRODTs) of ambroxol HCl were prepared for the treatment of cough and to improve patient compliance by reducing dosing frequency and eliminating the need of water for swallowing tablets. Ion exchange resins, Indion 254, Amberlite IRP64 and Amberlite IRP69, were used to mask bitter taste of ambroxol HCl. Twelve batches (C1-C12) of drug-resin complexes in ratios 1:1-1:4 were prepared by a batch ion-exchange process. Prepared complexes of ambroxol HCl were evaluated for their particle size, drug loading, taste masking and *in vitro* drug release. On the basis of drug loading, C12 batch (ambroxol HCl-Indion 254 in 1:4 ratio) was selected for further processing. Five formulations (F1-F5) of ambroxol HCl having resinate polymer ratio of 1:1 to 1:5 were prepared by solvent evaporation method using hydroxypropylmethylcellulose K100M as the coating polymer. The particle size of resinate from different batches ranged between 35.93- 54.55 μm . After 12 hours, drug release rate was observed from 73.69-96.43% from different formulations of coated resinate of ambroxol HCl. F1 formulation was used in preparing CRODTs by direct compression. Hardness of CRODTs of ambroxol HCl was $3.233 \pm 0.0751 \text{ kg/cm}^2$, thickness was $4.31 \pm 0.026 \text{ mm}$ and friability was $0.4152 \pm 0.14\%$. The disintegration time of CRODTs of ambroxol HCl was $51 \pm 1.70 \text{ s}$.

Keywords: Indion 254, Amberlite IRP64, Amberlite IRP69, HPMC K100M, Direct Compression

A-61

Development And Evaluation Of Gastro Retentive Controlled Release Dosage Form Of Chlordiazepoxide

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Abstract:

The aim of present study was to develop and evaluate gastro retentive controlled release dosage form of Chlordiazepoxide by using Hydroxy Propyl Methyl Cellulose K4M and Xanthum gum to avoid accumulation of metabolites of Chlordiazepoxide and to reduce dosing frequency. Hydrodynamically balanced system was evaluated for this purpose. Tablets were prepared successfully by wet granulation method by using PVP K 30 as binding agent and HPMC K4M, Xanthum gum as retarding polymer. Optimization of formulation was done by using 3² full factorial design where independent variables are X1 (concentration of HPMC K4M) and X2 (concentration of Xanthum gum).The prepare blend of tablet were evaluated for pre-compression parameters like bulk density, tapped density, carr's index, hausner' ratio and in vitro drug release, % swelling index and stability study. The prepared blend has good flow property and compressibility. Due to combination of HPMC K4M and Xanthum gum polymers tablets maintain its matrix integrity and show good prolonged release in controlled manner. Swelling index was in range from 33 to 75 %. *In vitro* drug release of tablet was carried in 0.1N HCL up to 18 hrs and its show 95-98 % drug release. Use of Xanthum gum control the initial burst drug release effect of matrix tablet and HPMC is rapidly swelling hydrophilic polymer which form highly viscous gel barrier which control the drug release from system.

Keywords: Chlordiazepoxide, Gastro-retentive, Controlled Release, HPMC k4M, Xanthum Gum

A-62

Preparation and Evaluation of Indomethacin Microcapsules Using Ion Gelation Technique

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Abstract:

Oral drug delivery is the simplest and easiest way of administering drugs. Because of the greater stability, smaller bulk, accurate dosage and easy production, solid oral dosage forms have many advantages over other types of oral dosage forms. Microcapsules are one of the novel oral drug delivery system prepared to achieve extended release of the drug and to improve bioavailability. The main objective of the study is to extend drug release and to reduce dosing frequency. The purpose of the present investigation was to formulate and evaluate microcapsules of indomethacin by ion gelation technique. Microcapsules are spherical in nature. The controlled effect of microcapsules depends on the polymer concentration and type of polymers used in the formulation. Microcapsules were prepared using hydroxyl propyl methyl cellulose and ethyl cellulose as coating material by evaporation of solvent in ion gelatin technique. The formulation containing hydroxyl propyl methyl cellulose used in this concentration of 0.5% as the coating material shows better release of drug. About 95.4% of the drug was released in 18 hours from microcapsules containing HPMC in the concentration of 0.5%. DSC, FTIR studies were performed to study the drug polymer compatibility. Scanning electron microscope was also performed to study the microscopic aspects of drug and polymers.

Keywords: Ion Gelation, Microcapsules, Sustained Release, Ethyl Cellulose

A-63

Fabrication and Evaluation of Nanoparticles Entrapped Two Compartment Sugar Free Lozenges for Throat Infection

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Abstract:

The present work was aimed to increase the palatability of dual drug loaded solid oral dosage forms by imparting flavour, colorant and making them more appealing

for the treatment of throat diseases. Dual drug loaded lozenges were developed to achieve drug release for longer time, prolonged effect of dextromethorphan and to provide additive effects of dextromethorphan and guaiaiphenesin. The study involved preparation and evaluation of dextromethorphan or dextromethorphan entrapped nanoparticles loaded two compartment sugar free lozenges, where dextromethorphan loaded nanoparticles made up the core of the lozenge and guaiaiphenesin was incorporated in the coating layer over the core. The average weight, thickness, hardness, friability, Mouth dissolving time, disintegration time of lozenges was found to be ~ 2.79 gm, between 1.084 ± 0.051 cm, between 7.4 ± 0.54 kg/cm², 0.23%, 22.6 ± 2.06 min and 30.3 ± 0.64 min respectively. Particle size, polydispersity index, zeta potential, entrapment efficiency were found to be 187.8 nm, 0.323, -7.54 mV and 67.733% respectively. The guaiaiphenesin loaded shell showed nearby complete release in the first 30 minutes at salivary pH. After 30 minutes the remaining core was transferred to physiological pH and showed release up to 12 hours which was found to be along 98.0%, indicating that the formulation successfully sustained release of the drug for 12 hours continuously.

Keywords: Dextromethorphan, Nanoparticles, Sugar Free Lozenges, Guaiaiphenesin, Throat Infection

A-65

Solid Lipid Dispersion as a Strategy to Enhance Permeability of Metformin Hydrochloride

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Abstract:

This novel study aims to improve absorption of BCS Class III drug Metformin Hydrochloride by preparing the solid lipid dispersion with lipidic material i.e. phosphatidylcholine for permeability enhancement of drug. Metformin Hydrochloride as anti-diabetic drug was chosen because it is used for the treatment of type II diabetes as a first line drug and the only problem with this drug is its low bioavailability due to its low permeability across the biological membrane. Co-evaporation method is the method of preparation used for preparing solid lipid dispersion due to the ease of availability and less time consuming. The formulated solid lipid dispersion was selected for enhancement of the absorption of drug via various mechanisms,

such as controlling the release of active ingredients, improving their bioavailability and reducing unwanted drug side effects. Solid lipid dispersion for increasing permeability is best method as comparison to other delivery systems. The formulated solid lipid dispersion was further modified containing Eudragit S100 as a pH dependent coating polymer and it solubilizes at pH 7 into enteric coated pellets and the coating of pellets is done by pan coating method. Extrusion-Spheronization method was used for the formulation of pellets. Enteric coated pellets was formulated to enhance the intestinal permeability so that pellets remain intact with stomach but the release of drug in intestine. This whole novel research was focusing on the main aim to improving the absorption of Metformin Hydrochloride across the biological membrane.

Keywords: Metformin Hydrochloride, Phosphatidylcholine, Solid Lipid Dispersion, Extrusion-Spheronization

A-66

Formulation and Evaluation of Sustained Release Drug Delivery System of Acyclovir-Loaded Microspheres

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Abstract:

The aim of the present work was to prepare microspheres of acyclovir to prolong residence time in stomach and to sustain the release of acyclovir as Acyclovir has low oral bioavailability as only 10-20% absorption of drug occurs in GIT. Acyclovir loaded microspheres were prepared by Non-aqueous solvent evaporation method. The resultant microspheres were evaluated for average particle size, percentage encapsulation efficiency, in -vitro drug release. Fourier transform infrared (FTIR) spectroscopy was used to investigate the physical state of the drug in the microspheres. Different ratio of drug and polymer (EUDRAGIT RS) were used and 1:5 (e.g. 250:500) shows prolonged residence time. The particle size of microspheres was in the range of 85.5 ± 1.2 to 130 ± 4.9 μ m. Percentage encapsulation efficiency was between 45-75% w/w. The FTIR spectroscopy indicated the stable character of acyclovir in microspheres and also revealed absence of interaction. The in vitro drug release study showed that acyclovir release from the microspheres was slow and sustained for more than about 9h.

Keywords: Acyclovir, EUDRAGIT RS Non-Aqueous Solvent Evaporation Method, Microspheres

A-67

Microencapsulation of Orally Controlled Delivery of Isoniazid

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Abstract:

The main objective of this present research is to achieve oral controlled release of Isoniazid and to enhance the half life of drug. For this purpose mucoadhesive microcapsules were formulated by employing feasible emulsification-ionic gelation method by using sodium alginate and carbopol 934 as coating polymers. Formulated microcapsules were properly evaluated. In this present research influence of method on rate of drug release and concentration of polymer coat on rate of drug release from the Isoniazid microcapsules were studied. The rate of drug release was found to be decreased by increasing the concentration of the coat polymer. The prepared microcapsules were evaluated *in vitro* by microscopical examination, determination of the particle size, yield and microencapsulation efficiency. The filled capsules were assessed for content uniformity and drug release characteristics.

A-68

Formulation and Evaluation of Metronidazole Emulgels

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Abstract:

The objective of the present study was to formulate and evaluate the emulgel system for Metronidazole using different types of gelling agents: HPC and Carbopol 971. All the formulation trials of emulgels were evaluated for appearance, pH, spreadability, viscosity, drug content and *in-vitro* drug release studies. *In-vitro* release study demonstrated diffusion controlled release up to 12 hours. The drug release profile of optimized formulation exhibited zero order kinetics. All the prepared emulgels showed acceptable physical properties concerning colour, homogeneity, consistency, spreadability,

and with higher drug release than marketed product. Of all evaluation parameters carbopol971 based formulation showed better properties hence it was selected as optimized formulation in our study. Finally based on above obtained results it was suggested that the Metronidazole emulgel formulation can be prepared.

Key words: Metronidazole, Carbopol 971, HPC

A-69

Solubility Enhancement and Formulation Development of Cefpodoxime Proxetil Loaded Solid Lipid Nano Particles

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Abstract:

The purpose of this study was to increase the solubility of Cefpodoxime Proxetil (Class IV drug) through Solid Lipid Nanoparticle. Solid Lipid Nanoparticles were successfully prepared by modified solvent evaporation method. Here, Box-Behnken design has been applied where lipid (X^1), Surfactant (X^2) and Polymer (X^3) are independent factors. Dependent variables are angle of repose (Y^1), Entrapment efficiency (Y^2) and $T_{90\%}$ (Y^3). Properties Solid Lipid Nanoparticle tablets such as FTIR, Particle size, Pre and Post compression parameters were investigated. As a result the nanoparticle showed particle size below 300 nm of all the batches. TEM confirmed that nano particles obtained are of irregular shape. The *in-vitro* release study showed sustained release of Cefpodoxime Proxetil from Solid Lipid Nanoparticle up to 24 hrs. The results proved that Cefpodoxime Proxetil loaded Solid Lipid Nanoparticle tablets prepared using lipid showed increased solubility.

Keywords: Solid Lipid Nanoparticles, Cefpodoxime Proxetil, Box-Behnken Design, *In-Vitro* Dissolution

A-70

Insulin Incorporated Microparticles: A Formulation Optimisation Study for Oral Administration

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Abstract:

Currently 346 million people suffer from diabetes worldwide. Insulin therapy via subcutaneous injections has poor patient compliance due to its invasive nature and difficulty in self administration. The aim of the current study is to prepare and evaluate the potential of chitosan-based microparticles for the delivery of insulin via oral route. Chitosan-based microparticles were prepared using emulsification cross-linking technique. Briefly, 1%, 2% and 3% w/v concentrations of chitosan were dissolved in 1%v/v acetic acid. Appropriate volumes of paraffin oil and span 80 were mixed and added to the aqueous phase, along with glutaraldehyde. The resulting emulsion was centrifuged and filtered to obtain microparticles. Morphology, particle size, zeta potential, swelling index of these microparticles was evaluated. Insulin-loaded microparticles were incubated in enzymatic medium to assess the protection offered to insulin. Insulin release studies were performed in simulated-gastric fluid (pH 2) and in simulated-intestinal fluid (pH 6.8). The zeta potential of microparticles with all the three chitosan concentrations revealed a near-neutral surface charge (3.43 ± 0.20 mV). *In-vitro* release studies revealed that the 2%w/v chitosan-based formulation provided a sustained insulin release of $25.92 \pm 0.20\%$ over a 24 hour period. Encapsulation efficiency of the three formulations ranged between $92.43 \pm 4.18\%$ and $95.88 \pm 0.41\%$ while the loading capacity was between $20.56 \pm 2.05\%$ and $37.81 \pm 20.93\%$. Protection studies revealed that the 2%w/v chitosan system offered a higher protection to insulin in the enzymatic medium compared to its 1% and 3%w/v chitosan based counterparts.

A-71

Press Coated Delayed Release of Chrysin Solid Dispersion: A Dual Approach for Enhancing Therapeutic Efficacy

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Abstract:

Chrysin (CRN), a flavonoid has been a boon in addressing versatile issues ranging from treating anxiety to preventing cancer. Poor aqueous solubility ($2.9 \mu\text{g/mL}$) and pre-intestinal release are major challenges in oral delivery of CRN. In the present research work an attempt has been made to tackle this dual problem by formulating press coated delayed release tablets

of CRN solid dispersion (PD-CRN-SD). CRN-SD showed higher solubility with Gelucire[®] 50/13 > Soluplus[®] > PEG 4000 at varying CRN: carrier ratios (1:1, 1:3, 1:5). Saturation solubility and *in vitro* dissolution studies of various CRN-SD formulation confirmed that CRN-SD prepared by solvent evaporation technique and (CRN:Gelucire[®] 50/13) ratio (1:1) had higher solubility in distilled water ($18.10 \pm 0.96 \mu\text{g/mL}$) as compared to pure CRN ($2.96 \pm 0.54 \mu\text{g/mL}$) and CRN: Gelucire physical mixture ($3.90 \pm 0.20 \mu\text{g/mL}$). The formation of CRN-SD was confirmed by conversion of CRN from its less soluble crystalline state to amorphous state by DSC and XRPD studies. A delayed release press coated CRN loaded tablet was prepared using HPMC K15: Eudragit L100 (2:3) as press coating material. *In-vitro* dissolution studies using pH change method confirmed absence of pre-intestinal release of CRN from PD-CRN-SD and significantly higher release at the intestinal pH 6.8 (>98%) as compared to CRN-SD core tablet which showed complete release in the first 2h at pH 1.2. PD-CRN-SD tablets thus hold a promise for enhanced therapeutic efficacy. Moreover the techniques employed ensure ease of scalability and commercially applicability of the formulation.

Key words: Chrysin, Press Coated, Solid dispersion, Site Specific

A-72

Design and Optimization of Repaglinide Buccal Tablets by using Box-Behnken Design

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Abstract:

The current study involves the formulation development and optimization of Repaglinide bilayer buccal tablets through quality by design approach. A three-factor, three-level Box-Behnken design was employed to study and optimize the formulation factors, drug-polymer ratio (X1); inter polymer (NaCMC:Pectin) ratio (X2); amount of thiolated chitosan (X3). Fifteen batches of Repaglinide bilayer buccal tablets were prepared by two-step direct compression method and evaluated for dependent responses, Mucoadhesion strength (MS; Y1); cumulative amount of drug permeated in 12 hr (Q12hr; Y2) and average flux of drug (f; Y3). Presence of Thiolated chitosan significantly improved the bioadhesion and *ex vivo* permeation of Repaglinide via the buccal membrane. The formulations

were also evaluated for various physicochemical characters and *in vitro* drug release study using simulated saliva, pH 6.2. *ex vivo* mucoadhesion and permeation study were conducted using porcine buccal membrane. The mathematical regression equation were developed, contour plot and response surface plot were used to relate the independent factors and dependent responses. The result of ANOVA ($p < 0.05$) for the regression coefficients, r^2 , adjusted r^2 , predicted r^2 indicates the statistical significance of the polynomials. The optimized formulation factors were selected by feasibility and grid search. Validation of the optimization study with six checkpoints formulation indicates prognostic ability of the design model. The Box-Behnken design is the most useful model in identifying and optimizing the critical formulation parameters in the Repaglinide bilayer buccal tablets.

Key words: Quality by Design, Repaglinide, Buccal Tablet, Box-Behnken Design, Optimization

A-74

Using Ag85A-loaded Immunostimulating Complexes as Mucosal Vaccination Against Tuberculosis

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Abstract:

Tuberculosis (TB) is one of the major highly destructive diseases in the world, mainly caused by *Mycobacterium tuberculosis*. Now these days extremely drug resistant TB and multi-drug resistant TB are becoming global problem. Calmette-Guerin (BCG) is the only available vaccine which protect against TB. Due to an associated low risk of infection with *Mycobacterium tuberculosis* and variable effectiveness of the vaccine, BCG vaccine is not recommended in adults and elderly patients but on other side also effective in children. The main aim of this research study is to develop such a vaccine which will not only provide a better and safer profile in children and adults, but also effective in elderly patients. In this present study, Antigen 85 complex (Ag85)-loaded immune stimulating complex (ISCOM) was prepared for pulmonary delivery of vaccine. Immunological outcomes clearly indicated significant improvement in humoral as well as cellular immune responses after pulmonary immunization with ISCOMs containing Quil A in mice.

Keywords: Mucosal Vaccines, Immunostimulating Complexes, Immune Response, Tuberculosis

A-75

Formulation and Evaluation of Sublingual Tablets of Olanzapine for the Treatment of Psychotic Disorder

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Abstract:

In the current research, sublingual tablet of olanzapine was formulated for the treatment of psychotic disorder. The objective of this current research is to formulate and evaluate olanzapine loaded sublingual tablet for effective treatment of psychotic disorder by reducing first pass ratio and increasing bioavailability. The aim of this research was to improve its bioavailability, avoids the first pass metabolism and addition of sweetener to mask the bitter taste of olanzapine. The sublingual tablet were prepared by direct compression method using superdisintegrant like croscarmellose, sodium starch glycolate, synthetic binder PVP K-30, MCC, Mannitol, Talc and sweetener like Aspartame. The prepared tablets were evaluated for Hardness, Friability, Weight variation, Disintegration time and *in-vitro* drug release. The evaluation parameter like Hardness, Friability, and Weight variation are found within the limits and the disintegration test was carried out using a tablet disintegration apparatus. The time required to obtain complete disintegration of the tablets was found within the range of 50sec-59sec. It was observed that concentration of superdisintegrant has significant effect on the disintegration time of olanzapine tablet formulation. *In-vitro* drug release studies were performed by using pH 6.8 phosphate buffer used as a dissolution medium showing 88.22% drug release within the time interval of 30 min. Thus, it was concluded that this study can be beneficial for the formulation of sublingual tablets of olanzapine for the treatment of psychotic disorder and was successfully prepared in terms of better patient compliance which bypasses the hepatic metabolism.

Keywords: Olanzapine, Sublingual, Bioavailability, First Pass Metabolism, Superdisintegrant

A-76
Development, *In-Vitro* and *Ex-Vivo* Evaluation of

Muco-Adhesive Buccal Tablets of Candesartan Cilexetil To Improve Oral Bioavailability

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Abstract:

Candesartan cilexetil (CC), is an anti-hypertensive drug belongs to angiotensin-II receptor antagonist. CC possesses poor oral bioavailability due to first-pass metabolism and poor aqueous solubility. Hence, buccal drug delivery was an approach to improve bioavailability. Buccal mucoadhesive tablets of CC were prepared by direct compression technique, using carbopol-934, sodium carboxy methyl cellulose (NaCMC) and HPMC as mucoadhesive polymers. Prepared tablets were evaluated for weight variation, hardness, friability, drug content, swelling, *in-vitro* release and *ex-vivo* permeation studies through porcine buccal mucosa. From the results, all the tablets were within the pharmacopeial limits. The swelling and bio-adhesive strength values were increased and drug release was decreased with increasing polymer concentration. The optimized formulation F55, prepared with combination of NaCMC and carbopol released 95.6% of drug in 6h and exhibited controlled drug release, follows zero-order kinetics with diffusion as release mechanism. The *ex-vivo* permeation studies revealed that more than 60% of drug permeated in 8h. Therefore, the buccal tablets of CC were successfully developed and enhancement in oral bioavailability is further confirmed by conducting *in-vivo* studies.

Key words: Candesartan Cilexetil, Buccal Tablets, Polymers, *In-Vitro* Release, *Ex-Vivo* Permeation

A-77

Formulation and Evaluation of Fast Dissolving Thin Strips of Venlafaxine Hydrochloride for the Treatment of Depression

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Abstract:

In the current research, Fast dissolving thin strips (FDTS)

of Venlafaxine hydrochloride was formulated for the treatment of depression. Depression is a common mental disorder and affects people in all communities across the world that presents with depressed mood, loss of interest, decreased energy, disturbed sleep and poor concentration. The objective is to formulate FDTS of Venlafaxine to overcome the problem of decrease bioavailability bypassing hepatic metabolism. FDTS dissolve or disintegrate in mouth when they came in contact with saliva, as the oral mucosa is highly vascularised so drug directly enter into systemic circulation and provide quick onset of action and instant bioavailability. The FDTS of Venlafaxine were prepared by solvent casting method by using hydrophilic polymers HPMC E5, superdisintegrant like MCC, croscopolvidone and sodium starch glycolate, plasticizer PEG-400, sweetening agent, saliva stimulating agent. The prepared FDTS were evaluated for Surface texture, Appearance, Weight variation and its value was found within range, Film thickness ($0.18 \pm 0.07\text{mm}$ to $0.21 \pm 0.02\text{mm} \pm 0.07\text{mm}$ to $0.21 \pm 0.02\text{mm}$), Folding endurance (184-195times), Surface pH (6.71 ± 0.05 to 6.83 ± 0.03), % Moisture loss ($2.18 \pm 0.05 \pm 0.05$ to $3.01 \pm 0.72 \pm 0.72$), % Moisture uptake ($2.05 \pm 0.27 \pm 0.27$ to $2.62 \pm 0.71 \pm 0.71$), % Drug content ($93.06 \pm 0.64\% \pm 0.64\%$ to $97.43\% \pm 0.41\% \pm 0.41\%$), Disintegration test, *In-vitro* dissolution test. It was evaluated that different superdisintegrant has significant effect on disintegration time (28sec-35sec) and dissolution rate of FDTS of Venlafaxine.

Keywords: Venlafaxine, Fast Dissolving Thin Strips (FDTS), Bioavailability, Solvent Casting

A-78

Mannosylated Bilosomes as a Nanocarrier for Oral Immunization against Hepatitis B

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Abstract:

For vaccine delivery through mucosal route, vesicular carriers systems like liposomes orniosomes are one of the potential candidates. Though they are not used for oral immunization due to the lack of stability in the gastric environment. Bilosomes represents a key advance in oral immunization because they have the ability to resist degradation in the gastric environment. In the present study, development and evaluation of the mannosylated bilosomes for dendritic cell targeting

was envisaged. Formulation was evaluated for morphological characteristics, entrapment efficiency, stability in SGF and SIF. slgA level at all local and distal mucosal sites were found to be increased. While parenteral vaccine was unsuccessful to provide any considerable cell mediated response. Thus the formulation shown extended humoral, cell mediated and mucosal immune responses by using the novel non invasive vaccine which can confer protection against disease for prolonged period of time. Stability of the formulations was assessed in simulated gastric fluid (SGF, pH 1.2) and simulated intestinal fluid (SIF, pH 7.5). Bilosomes and mannosylated bilosomes were significantly highly stable in the GIT fluids i.e. $p < 0.05$ and $p < 0.01$.

Keywords: Hepatitis B, Oral Immunization, GALT, Bilosomes, Dendritic cell, Mannosylation

A-79

Design and Characterization of Aripiprazole B-CD Complex Loaded Buccal Film

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Abstract:

The main aim of the present study was to formulate and characterize a β -cyclodextrin loaded aripiprazole buccal film to bypass the first pass metabolism and avoidance of presystemic elimination within GI tract. Aripiprazole is atypical antipsychotic agent and for the treatment of schizophrenia, bipolar disorder, major depressive disorder (as an adjunct) and irritability associated with autism. Aripiprazole- β cyclodextrin loaded buccal films prepared by solvent evaporation technique with various hydrophilic polymers like hydroxyl Propyl methyl cellulose 100M, HPMC K6M, starch and PEG 4000 & PEG 6000. The formulated buccal films were evaluated for their physiochemical parameters like weight variation, thickness, folding endurance, drug content, moisture content and moisture absorption. *In vitro* drug release was carried out by dialysis method. All these buccal films were >70% of drug released within 1hr and obeyed first order release kinetics. Optimized buccal film were showed dissolution profile same with innovator product.

Key words: Aripiprazole, Buccal Film, Drug release

A-80

Formulation and Evaluation of Biodegradable

Gingival Film Containing Metronidazole and Ciprofloxacin HCl

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Abstract:

The study was aimed at the development of a gingival film containing metronidazole and ciprofloxacin HCl in a carrier which consisted of a widely used biodegradable polymer chitosan for local delivery of the drugs aimed for a prolonged efficacy in gingivitis. The patch was developed by solvent casting technique on a glass substrate. Their physical characteristics such as drug content, pH and folding endurance exhibited acceptability. FT-IR and DSC studies supported compatibility between drug and polymer as well as stability within the patch. An attempt was made to minimize the loss of the drugs in the mouth by way of casting a second layer of eudragit over the drug loaded first. The Moisture loss and uptake data indicated physical stability and mucoadhesion. From other evaluation results it could be concluded that the films had desired physical and mechanical properties, mucoadhesion along with good *in-vitro* drug release. Anti-bacterial efficacy of patch was validated on *staphylococcus aureus*. Accelerated stability data showed adequate stability.

Keywords: Metronidazole, Ciprofloxacin, Chitosan, Bilayer, Mucoadhesion

A-81

Design, development, characterization and evaluation of tamoxifen loaded zein microneedles

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Abstract:

In the current work, zein microneedles loaded with tamoxifen have been formulated. The objective of the work was to evaluate different strategies of drug loading onto zein microneedles. Microneedles were prepared using the

micromolding technique. The prepared microneedles were evaluated for size, uniformity and mechanical strength. Microneedles were seen to be $965 \pm 23 \mu\text{m}$ long and $363 \pm 15 \mu\text{m}$ wide at the base. Mechanical tests were conducted using a texture analyzer to ascertain the bending forces of the prepared microneedles. A bending force of $16.09 \pm 1.52 \text{ N}$ was observed for blank microneedles. For tamoxifen entrapped and tamoxifen coated microneedles, a bending force of $17.64 \pm 2.01 \text{ N}$ and $20.7 \pm 2.14 \text{ N}$ was observed respectively. Tamoxifen was either entrapped into the zein matrix before microneedle casting or dip coated onto zein microneedles using a 30% polyvinyl pyrrolidone coating solution. Drug loading onto the microneedles was calculated by dissolving the microneedle array and analysis by HPLC. An RP-HPLC method was developed for analysis of tamoxifen. Drug release and skin permeation of tamoxifen from zein microneedles was studied using the Franz diffusion cell apparatus. Negligible drug release from tamoxifen entrapped microneedles was observed. With tamoxifen coated microneedles, nearly $120 \mu\text{g}$ drug release in 15 minutes was followed by partitioning of the drug back into the zein matrix. Over 48h of skin insertion, tamoxifen was not seen to permeate across the skin. $26.58 \pm 2.83 \mu\text{g}$ tamoxifen was recovered from the viable epidermis after 48h.

Keywords: Zein, Microneedles, Tamoxifen, Transdermal Delivery, Micromolding

A-82

Transfersomes: Recent Advances in Skin Carcinoma Therapy

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Abstract:

Transfersomes are a form of flexible, adaptable or ultra-deformable vesicle, the concept were introduced in 1991 by Gregor Cevc. Flexibility is produced by incorporation of an edge activator in the lipid bilayer structure. The original composition of these vesicles is soya phosphatidyl choline incorporating sodium cholate and a small concentration of ethanol. It has been claimed by Idea AG that intact transfersomes penetrate through the pores of stratum corneum which are smaller than its size and get into the underlying viable skin into the blood circulation. Transfersomes vesicles are reported to improve in vitro skin delivery of a range of drugs and in vivo penetration to achieve therapeutic amount that are comparable with

subcutaneous injection. They can act as a carrier of drugs in anticancer, analgesic, anesthetic, corticosteroids, sex hormones insulin, gap junction protein and albumin. The review highlight information like Transfersomes, regulatory aspects, method of preparation, mechanism of action, marketed preparation available.

Key words: Transfersomes, Elastic Vesicles, Deformable Vesicles

A-83

Development and Evaluation Nanoemulsion Gel for Transdermal Drug Delivery System using Sulidac

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Abstract:

To enhance the solubility and permeability of poorly water soluble Sulidac, nanoemulsion gel was formulated for the treatment of rheumatoid arthritis. Among the oils, surfactants and co-surfactants elainic acid, tween80 and ethanol were selected as they showed maximum solubility to lornoxicam. The pseudo ternary phase-diagrams was constructed to find optimal concentration that provided the highest drug loading. The prepared nanoemulsions were subjected through thermodynamic stability testing. The droplet size, scanning electron microscopy (SEM) and zeta-potential were investigated. The optimized formulation of nanoemulsion NE₂ which was showing 95.93% drug release was incorporated into polymeric gel of Carbopol940 for convenient application and evaluated for viscosity, P^H, *in-vitro* permeations studies, skin irritation test and anti-inflammatory activity. The *in-vitro* skin permeations profile of optimized formulation was compared with Sulidac gel and nanoemulsion gel NG₂. The significant increase in permeability ratio (K_p), flux (J_{ss}) and enhancement ratio (E_e) was observed. The anti-inflammatory effect of formulation NG₂ showed significant increase 72% inhibition effect in 24hrs when compared to Sulidac gel on Carrageenan induced paw edema in rats. The results suggested that nanoemulsion gels are potential vehicles for improved transdermal delivery of Sulidac.

Keywords: Nanoemulsion, Nanoemulsion gel, Scanning Electron Microscopy, Viscosity

A-84

Formulation And Evaluation of Transdermal patch of Povidone-Iodine

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Abstract:

The main purpose of this research was to develop a matrix-type transdermal therapeutic system containing drug Povidone-Iodine, Pressure Sensitive Adhesive (PSA) like acrylic adhesive by used the solvent evaporation technique. Different concentrations of Labrasol, oleic acid and triacetin were used to enhance the transdermal permeation of Povidone-Iodine patch. Polyethylene monolayer film as a backing membrane and Silicone coated polyester film as a release liner preferred in preparation of transdermal patches of Povidone-Iodine. Formulated transdermal patches were physically evaluated with regard to percentage moisture absorption, thickness, weight variation, drug content, tensile strength, % elongation, folding endurance. All prepared formulations indicated good physical stability. *In vitro* skin permeation studies of formulations were performed by using Franz diffusion cells. Formulation containing 5% drug, 85% adhesive solution and 10% triacetin as permeation enhancer showed best *in vitro* skin permeation through human cadaver skin or rat skin as compared to all other formulations. The results rate was found to follow zero order kinetics. These results indicate that the formulation has shown optimum release in concentration independent manner. Stability study indicates that drug remains stable for six months and primary irritation study indicated that the transdermal patches are non-irritant.

Keywords: Transdermal Patch, Povidone-Iodine, Pressure Sensitive Adhesive (PSA), Permeation enhancer, Stability

A-85

Sirna Through Microneedles Array by Transdermal Delivery System

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Abstract:

Microneedle arrays may represent a better way to deliver siRNAs across the stratum corneum. In this study,

we evaluated for the first time the ability of the solid silicon microneedle array for punching holes to deliver cholesterol-modified housekeeping gene (*Gapdh*) siRNA to the mouse ear skin. Treating the ear with microneedles showed permeation of siRNA in the skin and could reduce *Gapdh* gene expression up to 66% in the skin without accumulation in the major organs. The results showed that microneedle arrays could effectively deliver siRNA to relevant regions of the skin noninvasively. Successful development of siRNA therapies has significant potential for the treatment of skin conditions (alopecia, allergic skin diseases, hyperpigmentation, psoriasis, skin cancer, pachyonychia congenital) caused by aberrant gene expression. Although hypodermic needles can be used to effectively deliver siRNA through the stratum corneum, the major challenge is that this approach is painful and the effects are restricted to the injection site.

Keywords: Microfabricated, Microneedles, Transdermal Drug Delivery

A-86

Formulation and Evaluation of Cefadroxil Topical Ointment

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Abstract:

Cefadroxil has good tissue diffusion & exerts more sustained action at the site of infection after oral absorption. Our aim of the study was to check topical cefadroxil has any efficiency over staphylococcal superficial skin infection or not. Pre-treatment nasal swabs were obtained from 25 healthy human volunteers and bacterial load was record. After single application of topical cefadroxil 3% in left anterior nare and gesture in right anterior nare nasal swabs were obtained and results were compared. 150 patients with staphylococcal surface skin infections were distributed in 4 groups: Group A - oral cefadroxil 500 mg twice daily for 5 days, Group B - topical cefadroxil (0.5 % to 5%) twice daily, Group C - cefadroxil 500 mg orally plus gesture topically twice daily and Group D -cefadroxil 500 mg orally plus cefadroxil preparation topically twice daily. Bacterial load was measured before treatment, on follow up & after clinical heal and results were compared.

Keywords: Staphylococcal Superficial Skin

Infections, Cefadroxil

A-87

Formulation and Development of Chitosan-Protein Based Hydrogel For Wound Healing

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Abstract:

In the current research medicated chitosan –protein based hydrogel was formulated for wound healing activity. Wound is a sharp injury which damages the dermis of the skin. Biopolymer-protein based hydrogels can act as closure for wounds, keeping it moist and remove unwanted extrudes from the damaged skin. Hydrogel remain on the wound for longer period of time and facilitate faster healing of the wound as well as keep the environment moist on wound. Hydrogel dressing create a moist healing environment, which promotes granulation, epithelialisation and autolytic debridement. Antibiotic in hydrogel prevent microbial contamination reduce intracellular bacteria and possess anti-inflammatory properties. Pain killer in hydrogel used for pain relief action. We developed a simple method to prepare hydrogel that combines the beneficial properties of both chitosan and protein and eliminate the use of toxic chemicals and devoid of tedious process. The water uptake capacity of hydrogel was found to be highly optimal for maintaining a moist environment effective for wound healing. Normally 21 days are required for complete wound healing but with the prepared formulation complete recovery of the wound was observed within 15 days. The prepared chitosan –protein based hydrogel shows good wound healing properties.

Keywords: Hydrogel, Chitosan, Protein

A-88

Development of Nanostructured Lipid Carrier Based Controlled Release Formulation for Topical Delivery of Clotrimazole

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Abstract:

Nanostructured lipid carrier based gel (using Carbopol) was developed as a potential topical system for Clotrimazole topical delivery for the treatment of skin infections. Stearic acid nanostructured lipid carriers with various oleic acid content were successfully prepared using high speed homogenization followed by ultrasonication. The characterizations of the prepared NLC formulation for topical application on the skin were assessed by means of particle size distribution, zeta potential, drug entrapment efficiency and *in vitro* drug release studies to select suitable NLC formulation. The addition of OA resulted in massive crystal order disturbance and less ordered matrix of NLC, hence, increased the drug entrapment efficiency. The suitable NLC formulation encompasses particle size of 54.56 nm to 167.8 nm with -15.57 to 26.95 mV zeta potential and 0.0562 to 1.211 polydispersity index which indicates good stability of NLC dispersion. NLC formulation showed good entrapment efficiency in the range of 40.226 % to 68.008 % with cumulative *in-vitro* release 97.60 % up to 12 h. The value of r^2 (Korsmeyer–Peppas equation) indicated good linearity showing anomalous (non-Fickian) diffusion. The gel formulation of Clotrimazole loaded NLCs can be used for sustained/prolonged topical delivery of the drugs.

A-89

Evaluation of ocular retention and bioavailability of mucoadhesive Bromfenac nanoparticles by sustained ophthalmic delivery

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Abstract:

The present study was designed to formulate the sustained ocular delivery of bromfenac loaded mucoadhesive chitosan nanoparticles (NPs). The NPS were prepared by different ratios of chitosan and sodium alginate by ionic gelation method. The prepared nanoparticles were characterized by its particle size, zeta potential, entrapment efficiency, *in vitro* release and ocular irritation test. The NPs are employed for transcorneal permeation and *in vivo* evaluation was carried out in rat eye for ocular toxicity studies. The pharmacokinetic data of selected NPs were compared with marketed bromfenac formulation (Megabrom). The selected NPs were characterized by their mean particle size 202 ± 2.02 nm, encapsulation efficiency 73.4 ± 1.25 % and zetapotential $+32.3 \pm 0.12$ mV. *In vitro* release exhibited biphasic drug release profile with initial burst followed by slow drug release. The NPs exhibited significant mucin adhesion. The Draize's test showed that, there was no irritation upon topical

instillation of prepared NPs. The AUC_(0-∞) of NPs was increased up to 4.02 fold and clearance was decreased up to 5.5 fold as compared to marketed ophthalmic formulation and were found to be safe in histopathological studies. It is concluded that he formulated bromfenac NPs showed prolong ocular residence and reducing dosing frequency.

Key words: Bromfenac, Draize's Test, Mucoadhesive, Nanoparticles, Sustained Release

A-90

Investigation of Surfactant Chain Length on Release Pattern of Cyclosporine from Microemulsion Laden Contact Lenses

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Abstract:

Cyclosporine is effective in treating variety of ophthalmological conditions, including chronic dry eyes syndrome. Currently the drug is delivered using eye drops (Restasis®, Allergan), which has a small residence time in the eyes, leading to low bioavailability of less than 5%. The essential idea was to encapsulate the drug in microemulsion and entrapped this drug-laden microemulsion in the hydrogel contact lenses for sustained drug delivery. The study also investigate the basic fundamental effect of surfactant chain length and molecular weight of block copolymer on the stability of microemulsion and so the release rate/pattern from hydrogels. Globule size and dilution test (transmittance) suggest that the stability of microemulsion increases with increase in carbon chain length of surfactants and molecular weight of pluronics. Optical transmittance of direct drug-laden hydrogel was decreased due to precipitation of hydrophobic drug in hydrogel, while in microemulsion laden hydrogels, the transmittance was improved with stability of microemulsion in hydrogels. In vitro release data showed sustained drug release within the therapeutic window for 21 days with microemulsion laden hydrogels. The study revealed the application of microemulsion to tailor/facilitates/control the release of hydrophobic drug like cyclosporine from contact lenses for extended period of time, without altering critical lens property.

Key words: Cyclosporine, Ophthalmic drug delivery,

Microemulsion, Hydrogel Contact Lenses

A-91

Glycyrrhetic Acid Conjugated Embelin Loaded PLGA Nanoparticles for Management of Alcohol Induced Hepatotoxicity

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Abstract:

Hepatotoxicity needs immediate attention to sustain life and as a result is often exposed to the prolonged treatment with drug/ herbal medications. The Ligand decorated nanoparticles play important role to the specific targeted drug delivery to the liver site. Glycyrrhetic Acid (GA) conjugated to the PLGA for effective liver targeting. Surface modified Embelin loaded GA-PEG-PLGA nanoparticles were prepared by using nanoprecipitation technique and conjugation of GA-PEG-PLGA was attained through hemisuicinate chemistry. These surface modified nanoparticles were further evaluated for its targeting mechanism for uptake in liver cell line (HepG2), liver enzyme and biodistribution studies in liver, respectively. GA-PEG-PLGA nanoparticles are nearly spherical of 316 nm in diameter with homogeneous structure and smooth surfaces. A significant decline in the % drug release of Embelin from GA-PEG-PLGA NPs SIF (pH 6.8). The results indicated that coupling of glycyrrhetic acid slows down the release of drug from the NPs and thereby imparts a sustained release nature. The surface modified Embelin loaded GA-PEG-PLGA nanoparticles significantly increases the uptake of drug in liver by 2.5 folds more than plain drug. So it can be attributed that Glycyrrhetic acid has the ligand properties for the receptors presents in the liver, so can be used for liver targeting, as well as it is efficient against hepatotoxicity.

Keywords: Embelin, Glycyrrhetic Acid, Nanoparticles, PLGA, Targeted Drug Delivery

A-92

Formulation and Evaluation of Metronidazole Tableted Microspheres for Colon Drug Delivery

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Abstract:

The motivation behind this examination is to detail and create tablets dose shape containing Metronidazole which has swelling and drifting properties as a gastroretentive controlled-discharge medicate conveyance framework to enhance tranquilize bioavailability. Fifteen distinct definitions of bubbling framing skimming frameworks were planned utilizing HPMC K15M, thickener, co-povidone, Eudragit RL PO, pluronic F-127 and additionally polypropylene froth powder as swelling specialists and sodium bicarbonate with/without citrus extract as gas-shaping operators at various creations. Six out of these 15 plans which have attractive tablet coating conduct were additionally contemplated with the consolidation of Metronidazole. The tablets were assessed in view of tablet physicochemical properties, coating conduct, swelling capacity and medication disintegration considers which were done utilizing 0.1M hcl at 37°C for 8 hours. Besides, assessment of the powder blends utilizing Fourier change infrared (FT-IR) spectroscopy, differential checking calorimetry (DSC) and examining electron magnifying instrument (SEM) were researched. The vast majority of the tablets demonstrate great physicochemical properties aside from F11 which contains pluronic F-127 as its discharge impeding network shaping polymer. Different definitions indicate high swelling limit, capacity to skim for no less than 8 hours in vitro and have maintained medication discharge attributes. Information got demonstrated that F3 which contains HPMC (12.5%w/w), thickener (25%w/w), co-povidone (12.5%w/w) and sodium bicarbonate (31.7%w/w) is an appropriate plan with short skimming slack time.

Keywords: Metronidazole, Tableted microspheres, Solvent evaporation Method, Direct Compression Method

A-93

Folate Conjugated Long Circulatory Solid Lipid Nanoparticle of Paclitaxel For Lung Carcinoma Targeting: Cellular Uptake and Bio-Distribution Study

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Abstract:

Present work established paclitaxel (PTX) encapsulated folate conjugated solid lipid nanoparticle (F-SLNs) for the lung squamous carcinoma targeting that advance targeting propensity with enhance biodistribution and pharmacokinetic properties of drugs. Paclitaxel encapsulated SLNs (PTX-SLNs) and Folate conjugated SLNs (F-SLNs) were prepared by the ethanol injection method and characterized by particle size, polydispersity index, zeta potential, entrapment efficiency and drug loading capacity. The PTX-SLNs and F-SLNs had the particle size 190.46 ± 1.9 and 231.11 ± 2.3 nm respectively as determined by the TEM. The entrapment efficiency and drug loading capacity of F-SLNs were $79.42 \pm 1.6\%$ and $17.3 \pm 1.9\%$, respectively. *In-vitro* drug release and *in-vivo* study (biodistribution & pharmacokinetics) was also performed to confirm efficacy and cellular uptake. The drug release behaviour was tested by *in-vitro* release up to 72 hrs. The cell uptake study by fluorescence microscopy shows higher accumulation of F-D-SLNs to lung sac as compared to D-SLNs by using Rhodamine-B dye. *Ex-vivo* study depicted GI_{50} of PTX-SLNs and F-SLNs were 9.72 and 5.84 respectively in spite of GI_{50} of paclitaxel solution (PS) is an 18.512 by SRB assay. Biodistribution study through F-SLNs formulation was observed $25.86 \pm 0.39\%$ and PS was observed $3.14 \pm 0.46\%$ of the lung squamous carcinoma cells. The haemolytic study shows the diminish toxicity of F-SLNs ($4.36 \pm 0.6\%$) in contrast to PTX-SLNs ($12.36 \pm 0.8\%$) and PS ($25.41 \pm 0.4\%$). A momentous improvement of drug concentration was found in the carcinogenic squamous cells through F-SLNs.

Keywords: Solid Lipid Nanoparticles, Folate, Lung Cancer, Paclitaxel, SRB Assay, Squamous Cell Carcinoma, *Ex-Vivo*

A-94

Formulation and Evaluation of Implantable Drug Delivery System of Temozolomide by using Hydrophilic Polymer

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Abstract:

The present research study was carried out to formulate and evaluate the implants of temozolomide using hydrophilic

polymers. Temozolomide implants were formulated using extrusion method with different grades of carbopol. The powdered blend was evaluated for micromeritic properties like angle of repose, bulk density, tapped density, carr's index, hausner's ratio. The formulated Implants were analyzed for drug content uniformity, thickness, weight variation and short term stability study. In vitro release study of implant was performed using 0.1N hydrochloric acid and it is maintained at $37 \pm 0.5^\circ\text{C}$. In vitro release study demonstrated that the release rate of temozolomide from the implant matrix was a function of concentration of the polymer. As the concentration of polymer was increased, drug release from the matrix was extended. The release of drug from all implant formulations was found to be uniform and was extended over a period of 12 hrs. The implant formulations were found sterile, uniform in weight and size. The drug content was found to be in the range of 97.2 to 101.33%. Drug interaction studies revealed that there were no chemical interactions between Temozolomide and polymers used in the study. Short term stability studies of implants revealed that implants were stable, and there were no significant changes in the physical appearance and drug content of the implants formulations. The results of the study demonstrated that implantable drug delivery system of temozolomide can be formulated by using hydrophilic polymer.

Key words: Carbopol Cross linking, Hydrophilic polymer, Implants, Temozolomide

A-95

Effective Encapsulation of Docosahexanoic acid (DHA) with Improved *In Vitro* and *In Vivo* Parameters

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Abstract:

Docosahexanoic acid is an essential fatty acid and is of paramount importance for the normal development of brain and other neuronal tissues, especially in the early stages of life where brain mass index increases approximately 3.5 times of its initial mass. In this research work, DHA oil was encapsulated to form free flowing powder using spray-drying technology. The objective of this research was to improve the physiochemical characteristics of the DHA oil in order to improve its oxidative stability and storage shelf life in comparison to marketed formulation. The optimized batch exhibited maximum

entrapment of 98.46% with 10% w/w loading of DHA oil. The SEM micrograph of powder reveals that particles were of spherical shape, with no visible cracks on surface. Peroxide formed during the room temperature and refrigerated storage conditions was in the acceptable range which proves the oxidative shielding of DHA inside the encapsulant. Optimized batch also showed better *ex-vivo*, *in-vivo* performance than marketed formulation along with increased number of neuronal cells in hippocampal area. Thus, this study concludes that wall materials used in this proportion along with optimized process parameters were the best combination to encapsulate DHA oil by spray drying.

Keywords: Encapsulation, Docosahexanoic Acid, Oxidative stability, Spray Drying

A-96

Formulation, In-vitro and In-vivo evaluation of gastroretentive multiparticulate DDS of Repaglinide

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Abstract:

The objective of the present research is to correlate the *In-vitro* drug release with *In-vivo* pharmacodynamic activity of gastroretentive multiparticulate prepared by solvent evaporation method using three different viscosity grades of ethylcellulose (7, 18-20 and 50 cps). The FT-IR spectra of pure drug and the formulations were superimposable as there is no shift in major peaks. DSC study showed a sharp melting peak for repaglinide at 138.04°C . Formulation with EC (18-22 cps) also showed a sharp peak at 135.767°C . Hence FT-IR and DSC revealed repaglinide and EC are compatible. The entrapment efficiency increased as the concentration of EC increased and it was in the range of 59.33 to 81.23 %. Formulation F1 to F4 showed zero lag time with floating duration upto 5 h, F5 to F7 also showed zero lag time with floating duration up to 12 h, F8 showed floating duration up to 12 h but did not float because of higher density, F9-F12 did not exhibit buoyancy. Formulation F7 sustained the drug release upto 12 h with buoyancy. *In-vivo* pharmacodynamic activity showed that the microcapsules of the optimized formulations showed antidiabetic activity upto 12 h. study SEM studies were performed only for optimized formulation F7. It showed that microcapsules were more almost

spherical in shape with rough surface having small pores on it. Stability study for optimized formulation F7 was performed as per ICH guidelines at 40°C ± 75 % RH for 6 months did not show any significant change. Hence sustained release with gastro retention up to 12 h can be achieved using EC 18-22 cps by solvent evaporation method.

A-97

Canagliflozin Loaded Self-microemulsifying Drug Delivery System: Development and Evaluation

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Abstract:

The aim of the present investigation entails the development and systematically optimized self-microemulsion preconcentrates for improving the solubility and oral bioavailability of canagliflozin. Preconcentrate constituents i.e. oils, surfactants and co-surfactants were selected on the basis of solubility studies and their concentration range capable of influencing the formation of microemulsions was determined. D-optimal mixture design was employed for studying the interaction behavior of desired responses and was optimized using desirability approach. The optimized formulation underwent *in vitro*, *ex vivo* and *in vivo* evaluation to determine the dissolution rate, permeation rate and oral bioavailability of the drug. The optimized formulation containing Lauroglycol FCC (80 mg), Tween 80 (300 mg) and Transcutol P (120 mg) showed desired attributes of measured responses with desirability value of 0.764. The optimized formulation exhibited optical birefringence and was physically stable with mean drug content of 99.584 mg/g of SMEDDS. *In vitro* drug release from optimized formulation was five folds greater as compared with marketed tablets in phosphate buffer saline pH 7.4 ($P < 0.05$). *Ex vivo* permeation of the drug across excised intestinal segments (duodenum, jejunum, ileum and colon) was observed to be 3.51, 5.62, 4.52 and 2.98 folds higher, respectively, than pure drug. The morphological behavior showed uniform nano-structured globules with negligible aggregation as confirmed in transmission electron microscopic study. Accelerated stability studies indicated stability of the optimized formulation over 3 months storage period.

Keywords: Solubility, Canagliflozin, SMEDDS, Mixture design, Bioavailability

A-98

Development and Characterization of Nasal Delivery of Selegiline Hydrochloride Loaded Nanolipid Carriers for the Management of Parkinson's Disease

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Abstract:

The aim of the study was to formulate and characterize Selegiline HCl loaded nanolipid carrier for the management of parkinson's disease. The particle size of the optimized formulation was found to be 133±6.08 nm and PDI 0.357±0.06. The release of drug of optimized formulation was up to 97% in 24 hours. Nasal administered Selegiline HCl loaded nanolipid carrier had more concentration of drug in brain in comparison to plain Selegiline HCl. Selegiline HCl loaded nanolipid carrier significantly restored the behavioral parameters and biochemical levels.

Keywords: Parkinson's, Selegiline HCl, Nasal delivery, Rotenone, Pluronic F68

A-99

Chemotherapeutic Evaluation of Guar Gum Coated Chitosan Nanoparticle Against Experimental Tuberculosis

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Abstract:

The major goal of the current research was to develop and evaluate the therapeutic potential of anti-tubercular drugs (ATDs) loaded natural polysaccharide comprising of chitosan nanoparticle coated with guar gum for experimental tuberculosis (TB). Nanoparticulate formulation was prepared by ionotropic gelation technique followed by spray drying. Morphological analysis suggested that optimized nanoparticles were found to be discrete and spherical in nature with a particle size distribution range from 230±4.5 nm to 310±6.2 nm. Guar gum coated chitosan nanoparticles (CGNPs) among the

leading formulation exhibited the highest cell uptake potential confirmed by FACS analysis. Challenge study also supports the in-vivo bio-distribution illustrated by the significant reduction in CFU count in experimental TB in mice. Histopathology study demonstrated that none of the treated group shows any evidence of lung tissue abnormality. Hence, the study marked the fact that CGNPs could be a promising carrier for selective delivery of ATDs to alveolar macrophages for efficient management of TB with the interception of minimal side effects.

Keywords: Tuberculosis, Guar Gum Coated Chitosan Nanoparticle, Alveolar Macrophages, Pulmonary Drug Delivery, Challenge Studies

A-100

Design, Development and Validation of Novel Dry Powder Inhaler Device

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Abstract:

Dry powder inhalers (DPIs) are devices through which an active drug is delivered as a dry powder formulation for local or systemic effect via the pulmonary route. An ideal DPI should be a device, which is simple to use, cost effective, convenient to carry, sufficient moisture protection, accurate and uniform dose delivery, deliver optimal drug particle size, and high fine particle fraction (FPF) and low flow rate dependency. There are over 20 different DPI devices, single or multiple dose devices, breath activated and power driven, available in the market. However, these devices have significant limitations. This study was focused on designing, developing and validating a novel in house DPI. The result shows that *in vitro* performance was better to that of the reference product. The *in vitro* deposition studies indicated that the device geometry have a significant effect on aerosol dispersion performance. Our DPI prototype has improved aerosolization performance without significant increases in device resistance.

Key Words: Dry Powder Inhaler, Aerosol, Pulmonary Delivery, Salbutamol, Particle Fraction

A-101

Formulation and Evaluation of Lumefantrine Capsule by using Liquisolid Technique

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Abstract:

The objective of present research work was to formulate and evaluate of Lumefantrine capsule by using novel liquisolid technique to give increased dissolution rate of poorly water soluble drug Lumefantrine. It is a long acting anti-malarial drug and is effective in treatment of resistant *p.falciparum malaria*. It belongs to BCS class IV drug having low solubility and low permeation. Hence it is necessary to increase the solubility of drug to increase bioavailability for effective pharmacological action. All the preformulation parameters were evaluated such as organoleptic characterization of drug sample, melting point, pH, identification of drug samples by using UV spectroscopy and FTIR analytical method, preparation of calibration curves, solubility studies of drug sample like qualitative, quantitative and pH dependent solubility of drug in buffer solution of different pH. Liquisolid capsule formulation F-1 to F-9 were prepared by using different type and concentration of non-volatile solvent like PEG- 400, Tween 80 and propylene glycol and microcrystalline cellulose, aerosil as carrier and coating material respectively. All the formulation were evaluated for bulk characterization, stability study as per ICH guidelines, In-vitro dissolution studies, drug content and IR, DSC and SEM studies confirmed that there was no significant interaction between the drug and excipient used in liquisolid formulation. Among all, formulation F-9 was considered to be best formulation, which release up to 99% of the drug in 60 min. From this study it was concluded that liquisolid method is promising alternative for improvement of dissolution property of water insoluble drugs.

Keywords: Lumefantrine, Avicel, Propylene glycol, Tween 80, Aerosil

A-102

Formulation and Evaluation of Solid Self Microemulsifying Drug Delivery System (Smedds) of Resveratrol

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Abstract:

This research was aimed to improve the oral bioavailability of Resveratrol, which belongs to BCS class-II and having very low (1%) oral bioavailability. The present research work describes the formulation of microemulsion of Resveratrol by spontaneous emulsification method. The formulation is optimized and characterized on the basis of dilution study, % transmittance study, particle size determination (60-65nm), zeta potential (-20mv to -25mv), viscosity (49-50cp), dye solubility test, conductivity test and stability study by pseudo ternary phase diagram. From the optimized formulation of microemulsion, SSMEDDS was prepared using microcrystalline cellulose as solid adsorbent material and it was converted into free flowing powder by drying at room temp. This powder was then subjected to various characterizations like bulk density, tapped density, Carr's index, Hausner's ratio and angle of repose to determine its flow characteristic. Ex-vivo absorption study on wistar rat duodenum was done for the comparison of % drug release profile between microemulsion of Resveratrol, and SSMEDDS. At the end of 7.5 hr percent drug release was 95.5%, and 94.2% respectively. Finally for in-vivo study, SSMEDDS (test) was selected & compared with Resveratrol powder (control). In-vivo study was performed on male wistar rats and the plasma drug level was determined by HPLC method. Various pharmacokinetic parameters such as C_{max}, T_{max}, AUC_{0-t}, AUC_{0-∞}, MRT were determined by "Kinetica" software. Conclusively, SSMEDDS shows 4.2 fold increases in oral bioavailability compare to Resveratrol powder.

Keywords: Resveratrol, Microemulsion and SSMEDDS

A-103

Nelfinavir Mesylate Loaded SMEDDS for Improved Oral Bioavailability: Qbd Based Fabrication and Evaluation

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Abstract:

Nelfinavir mesylate (NFM) is a protease inhibitor used for the selective inhibition of HIV-1 and HIV-2 protease, which is

essential for the maturation and replication of viral cells. The low oral bioavailability (17-47%) of NFM is associated with its high lipophilic behavior, p-gp efflux, low intrinsic dissolution rate in gastric fluid (0.09 mg/min/cm²) and high-first pass metabolism. Therefore, the present investigation was aimed to fabricate and evaluate the self-microemulsifying drug delivery system for improving the oral bioavailability of NFM. The ternary phase diagram suggested microemulsion area to be 19%. On this basis, D-optimal mixture design was used to formulate the NFM loaded SMEDDS. Further, the software generated numerically optimized NFM loaded SMEDDS were developed by using desirability function. Optimized SMEDDS formulation of NFM contains 20% oil, 65% surfactant and 15% co-surfactant. The optimized SMEDDS formulation was thermodynamically stable having mean globule size (73.19±5.33), PDI (0.163±0.024), self emulsification time (<1 min) and showed no sign of precipitation during its dissolution profile. The results of transmission electron microscopy depicted the spherical shape of the reconstituted SMEDDS. Therefore, it can be concluded that fabrication of NFM loaded SMEDDS could be considered as a potential drug delivery carrier to improve the solubility and oral bioavailability of NFM.

Keywords: Nelfinavir Mesylate, D-Optimal Mixture Design, Oral Bioavailability, In-Vitro Dissolution

A-104

Formulation and Evaluation of Etoricoxib Oro Dispersable Tablets using Direct Compression Method

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Abstract:

Oral disintegrating tablet (ODT) is defined as "A solid dosage form containing an active ingredient which rapidly disintegrates usually within seconds when placed upon the tongue". In the present study, an attempt was made to prepare and formulate oral disintegrating Etoricoxib tablets for the faster drug release and onset of action. Etoricoxib is a non steroidal anti inflammatory drug which acts as selective COX-II inhibitor. Oral disintegrating tablets of Etoricoxib were prepared by using simple economical method of direct compression using Cross povidone, Cross carmellose sodium, Sodium starch glycolate

and Calcium silicate as the super disintegrants. The prepared tablets were evaluated for their hardness, weight variation, disintegration time, wetting time, tablet thickness, friability, and *in vitro* dissolution studies. About 98.6% of the drug was released in 10 mins from the tablets. DSC study were performed and showed no interaction between the drug and the excipient.

Keywords: Etoricoxib, Oro-Dispersable Tablets, Direct Compression Method, Cross Povidone, Cross Carmellose Sodium, Sodium Starch Glycolate, Calcium Silicate

A-105

Enhancement of Dissolution Rate and Physicochemical Characterization of Irbesartan Inclusion Complexes using Cyclodextrins

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Abstract:

Irbesartan is an angiotensin II receptor antagonist used for the treatment of hypertension. In the present study, an attempt was made to prepare inclusion complexes of irbesartan (a poorly water soluble drug) for the enhancement of solubility and dissolution rate. Irbesartan inclusion complexes were prepared by kneading technique in various concentrations of drug: carrier. Irbesartan was used as a model drug to evaluate its release characteristics from the formulations. For various concentrations of β -CD and HP β -CD phase solubility studies were performed. The carriers at various concentrations showed negative ΔG_{tr}° values, indicating the drug's spontaneous nature of solubilization, and it decreased with an increase in its concentration indicating that the reaction became more favorable as the carrier concentration increase. The drug solubility increased linearly with increasing polymer concentration indicative of the A_L type of solubility phase diagram. All the inclusion complexes exhibited higher rates of dissolution values than Irbesartan pure drug and corresponding physical mixtures. The physical properties of the prepared solid dispersions were characterized by FTIR and DSC studies.

Keywords: Dissolution, Inclusion Complexes, Irbesartan, Solubility, β -CD, HP β -CD

A-106

Use of Quality by Design (Qbd) in Process Optimization and Scale Up of Combination Product with Top Spray Granulation

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Abstract:

In this study, QbD was used for development of robust process for product having two Active Pharmaceutical Ingredients (APIs) manufactured using top spray granulation separately for each API. The purpose of this study was to identify and optimize the critical process parameters to ensure process robustness during scale-up, technology transfer and product life cycle thereof. Various process parameters were identified in a risk assessment which included inlet air temperature or product temperature, spray rate, inlet air volume, and atomization air pressure. However, based on prior knowledge, few parameters like inlet air temperature, spray rate, inlet air volume were considered for setting up structured experimentation plan. Design of experiments (DoE) using multivariate analysis (definitive screening design) was used and input process parameters were included. Statistical design with 8 runs having adequate statistical power for two separate granulations was selected. This being combination product and considering the potential segregation, particle size distribution (PSD) was evaluated for both granules along with Critical Quality Attributes (CQAs) e.g., assay, content uniformity and dissolution as the "response" for this study. For one of the APIs, it was observed that higher spray rate leads to coarser granules. In case of other API, there was significant interaction between inlet air temperature and spray rate and higher spray rate with lower inlet temperature yields granules with PSD close to PSD of granules for other active.

Keywords: Qbd, Doe, Top Spray Granulation, Combination Product, Segregation

A-107

New Polymorph of L-Tyrosine: Virtual and Experimental Insights

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Abstract:

The pharmaceutical industry's mission for the material is to rapidly advance development programs with good confidence that form and formulation problems are unlikely to arise and to maximize a compound's potential as a therapeutic. This makes the study of polymorphism of pharmaceutical compounds highly important. Now-a-days, most of the drugs are formulated in crystalline form, so the manufacturing units highly concentrate on the investigation of crystal polymorphism to optimize the physico-chemical properties of API and to avoid the manufacturing defects before the drug product development. The emphasis is laid on exploring the various polymorphic modifications of L-tyrosine which is well known dietary supplement for its antidepressant and mood elevator effect. In the present study, various polymorphic forms L-tyrosine were explored using Polymorph Predictor module of Material Studio. Recrystallization of L-tyrosine from various solvents resulted in a new form which was characterised by means of typical structure-sensitive analytical techniques, such as DSC, PXRD and FTIR spectroscopy. Our results confirm the existence of other polymorphic form of this molecular entity which can further be utilized to explore its solubility and dissolution profiles. This further adds the importance of crystal structure prediction (CSP) study in screening of polymorphism in pharmaceuticals.

Keywords: Polymorphism, Crystal Structure, X-Ray Diffraction, Calorimetry (DSC), FTIR, Hydrogen Bond

A-108

Design and Development of Clopidogrel Bisulfate Gastroretentive Formulation using Quality by Design Tools

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Abstract:

Myocardial infarction i.e., heart attack is a fatal condition which is on the increase all over the world. It is reported that, a large number of heart attack cases occurs in morning hours which are attributable to platelet aggregation. Clopidogrel bisulfate (CBS) is an antiplatelet agent and has become a drug of choice

for prevention of heart attack. It is soluble in acidic pH, poor solubility at alkaline pH and has a narrow absorption window. So, its long residence time in stomach is desirable. Therefore, a floating matrix tablet was developed for gastroretention which is simple and inexpensive formulation. Quality by design tools were used to design and optimize the processes. The floating tablets were prepared by direct compression method using swelling polymer and effervescent agent to achieve gastric retention. The design of experiment was used for screening and optimization of formulation and process related parameters. To analyze floating tablets, dissolution and floating studies were carried out. Also absorption studies were carried out by using non-everted goat gut sac method to check absorption of CBS thorough intestine. The optimized batch F-4 gave maximum drug release of 88.74 and FLT of 82 sec. which is in the range of QTPP predictions. It was observed that, greater absorption of CBS occurs for tablet retained into gastric pH than retained into intestinal pH recorded at different time intervals. Thus, CBS floating system looks to open up a window of opportunity for developing formulations with drugs that are stable in gastric region.

Keywords: Clopidogrel Bisulfate, Floating Tablet, Quality by Design, Design of Experiment

A-109

To Mask the Bitter Taste of Rizatriptan Benzoate and Develop Water Dispersible Tablets by using Indion 234 and Alginate Acid

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Abstract:

Rizatriptan benzoate is anti migraine drug. Report indicates that, it has very bitter taste, which deters its use in geriatrics patient thus not comply with prescription that results in high incidence of non-compliance and ineffective therapy. To mask the bitter taste of Rizatriptan benzoate and develop water dispersible tablets by using the combination of Indion 234 and Alginate acid a well palatable and patient compliant Rapid disintegrating tablet could be successfully prepared using direct compression method. The prepared optimized tablet showed rapid disintegration as well as rapid dissolution. Thus the rapid disintegrating tablet of bitter drug having better taste and pleasant mouth feel can be successfully formulated.

A-110

Formulation and Evaluation of ODT of Felodipine

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Abstract:

Recent advances in Novel Drug Delivery Systems (NDDS) aim to enhance safety and efficacy of drug molecule by formulating a convenient dosage form for administration and to achieve better patient compliance. One such approach is fast disintegrating/ dispersing tablet formulation. In the present work, fast disintegrating tablets of Felodipine were designed with a view to enhance solubility by complexation process. Complexes were prepared using β -cyclodextrin. Different techniques were employed for preparation of Complexes with modified β cyclodextrin like physical mixture, kneading technology and solvent evaporation. The properties of the prepared solid mass of felodipine were characterized by in vitro dissolution studies, UV- spectroscopy. Full factorial design was used to optimize the tablet composition. Tablet were manufactured with alternate formula compositions and were analyzed for various parameters including hardness, wetting time, disintegration time and drug release. The analytical data from the optimization experiments were verified. These values were found to be very close to those predicted data from the analysis. All the results were in compliance with the requirements of ODTs.

Keywords: Orally Disintegrating Tablet, β -Cyclodextrin, Felodipine

A-111

Starch Extracted from Sago used as Potential Superdisintegrant in Fast Dissolving Tablets of Glipizide

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Abstract:

In present research work, starch was extracted from sago with DMSO (Dimethyl sulfoxide) & heated at 60°C and

used as superdisintegrant in glipizide formulation. The basic aim of research work to develop optimized conc. of extracted starch used as superdisintegrant in glipizide formulation and compared with existing superdisintegrant like sodium starch glycolate and croscarmellose sodium (Same conc. as starch extracted from sago) . The extracted starch was characterized in terms of solubility, pH, ash value, extractive value; LOD and thermal analysis have done by FTIR, SEM & HPTLC study. Direct compression technique was employed for formulation of fast dissolving tablets of Glipizide by varying the concentrations of sago starch which acted as natural superdisintegrant for optimization. The formulated fast dissolving tablets were subjected to various evaluation parameters like hardness test, thickness, friability test, drug content, disintegration test and dissolution test. In-vitro disintegration time of the tablets varied from 2 minutes to 4 minutes. Out of all the formulations, the formulation (F3) with the sago starch of 5% showed higher drug release of 95% at the end of 2 min 16 seconds. further when composed with the existing synthetic superdisintegrants such as sodium starch glycolate (5%), croscarmellose sodium (5%) the release profiles of the F3 with sago starch 5% was found to be superior in terms of release and mechanisms.

Keywords: Sago Starch, Superdisintegrants, FTIR, SEM, HPTLC

A-112

Formulation and Evaluation of Rabeprazole Sodium Delayed Release Tablets

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Abstract:

The aim of the present study was to develop a pharmaceutically stable cost effective and quality improved formulation. Rabeprazole belongs to a class of compounds called proton pump inhibitors substituted benzimidazoles, which inhibit the final common step in gastric acid secretion. The key action mechanism is inhibition of hydrogen and potassium adenosine triphosphate an enzyme present in the gastric perital cells. Rabeprazole sodium delayed release tablets were prepared by direct compression method using different excipients as well as with varying concentrations of polymer proportions using HPMC Phthalate 55 as enteric coating material.

A-113

Design and Evaluation of Chronotherapeutic Delivery of Verapamil Hydrochloride by Pulsincap Technology

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Abstract:

The aim of the present research was to develop pulsincap formulation of Verapamil Hydrochloride for chronotherapeutic colon targeted delivery. Formaldehyde treatment was done to increase the disintegration time of capsule body. Hydrogel plug was prepared by combining hydrophilic and hydrophobic polymers to obtain the exact degree of swelling. Based on the disintegration time of the capsule bodies, optimised formulation was selected for preparation of pulsincap. The powder blend was prepared by varying the concentration of sodium starch glycolate and sodium bicarbonate, Vivapur concentration with Verapamil Hydrochloride. The pulsincaps were formulated with the optimized concentration of sodium starch glycolate and sodium bicarbonate sealed with prepared hydrogel plug. FTIR study confirms that there was no incompatibility between verapamil hydrochloride and polymers. The drug content was estimated by using pH 7.4 buffer solution and it was found to vary between $98.44 \pm 0.67\%$ to $100.45 \pm 0.25\%$. The swelling study was carried out by using three different buffer solutions and found in the range of $50.12 \pm 0.21\%$ to $56.75 \pm 0.61\%$. Formulation F9 was found to have the desired time dependent drug release pattern, and hence was considered as the optimized formulation. From this study, it can be concluded that the pulsincap formulation can serve as a useful technique for time dependent colon targeted delivery of Verapamil hydrochloride.

Keywords: Verapamil Hydrochloride, Pulsincap, Chronotherapeutics, Hydrogel Plug, Swelling Index

A-114

Formulation and Evaluation of Trilayer Glipizide Tablets

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Abstract:

Glipizide, a BCS class II drug commonly prescribed for the type II diabetes, as an oral hypoglycaemic agent. But its insolubility in water leads to low oral bioavailability due to limiting dissolution rate. Therefore, the solubility of glipizide was increased by preparing its liquisolid compact. The complex of HPMC K15M and HPMC K4M with glipizide at different ratios was prepared by liquisolid compact method. Trilayer tablets were prepared by compression method in three steps, by using HPMC K15M, HPMC K100M, xanthan gum, chitosan, carbopol 974 and carbopol 980 as bioadhesive agents. The trilayer tablets were evaluated for various physicochemical properties and *in vitro* drug release studies. The saturated solubility of glipizide was increased by preparing liquisolid compact (LSC). The mucoadhesive strength and force of LSC batch was found to be the more than other batches 26.1 grams and 0.256. The drug release from LSC batch was found to be the maximum than other batches studied was 61.94%. LSC batch was compared with marketed formulation Glynase XL10 and showed 51.6% similarity factor. *In vitro* release kinetics of batch F7 followed the zero order release and super class II transport diffusion.

Keywords: Glipizide, Chitosan, Liquisolid Compact, Trilayer Tablets

A-115

Development of Anti-Acne and Oil Control Face Wash as Thin Layer Dry Strips

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Abstract:

Anti-acne agents are one of the most demanding cosmaceuticals as its indication have been verified and globally adopted. Many anti-acne formulations have been developed and ongoing to change or explore newer and better compositions with better pharmacoeconomics and patient compliance. In the proposed project, we have developed cost effective thin layer dry strips face wash. Developed films is not only cost effective but also minimise skin infection, early ageing, wrinkles and comparatively better alternative to liquid, gel, semisolid face washes. In the present study, solvent casting method was employed to prepare thin film containing salicylic acid. Salicylic acid an organic acid, belonging to the class of keratolytic agents has been used as anti-acne agent due to its property in reducing swelling controlling overgrowth of skin cells. Developed formulation provides sufficient tensile

strength, and provides efficacy and stability compared with other formulations.

A-116

Formulation and Evaluation of Valsartan Capsule Prepared by Using Liquisolid Technique

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Abstract:

“Liquisolid Technique” also known as “Powder Solution Technology” is considered new, safe, economic technique to enhance solubility and dissolution rate of the poorly water soluble drugs. Liquisolid formulations are prepared by converting liquid drug or drug in liquid state (solution, suspension or emulsion using non-volatile solvent) into dry, non-adherent, free-flowing, and readily compressible powder by blending liquid medication with carrier as well coating materials. Dissolution rate is considered major rate limiting step for many poorly water soluble drugs due to their low aqueous solubility which may further result in poor bioavailability. The objective of current research work was to formulate and evaluate Valsartan capsule prepared by using liquisolid technique. Valsartan is an antihypertensive drug having low aqueous solubility (0.021 mg/ml) and low bioavailability (23%). After screening various vehicles for saturation solubility studies, PEG- 400, Tween 80 and propylene glycol were selected as non-volatile solvents. About 9 liquisolid capsule formulations from VLS1 to VLS9 were prepared using Avicel PH102 as carrier and Aerosil 200 as coating material. All the formulations were evaluated for bulk characterization, drug content and in-vitro dissolution studies. Among all, VLS9 formulation containing Tween 80 was optimized as it showed better flow properties and high *in-vitro* dissolution profile. FTIR and DSC studies confirmed no significant drug excipient-interaction and SEM, PXRD studies confirmed conversion of crystalline drug to amorphous state.

Keywords: Valsartan, Non-Volatile Solvent, Avicel PH 102, Aerosil 200

A-117

Design of Nanosized Selegiline Loaded Bio-Nanosuspension for Ocular Delivery

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Abstract:

The current research work aimed to design nanosized Selegiline loaded Bio-nanosuspension using *Buchanania lanzan* as bio-retardant, bio-stabilizer and bio-suspending agent for ocular delivery. Selegiline is a medication which functions as a MOA-B inhibitor and meant for depression treatment and has various side effects like dry mouth, sore throat, nausea and diarrhea through oral route. The biopolymer from *Buchanania lanzan* was isolated by addition of optimized quantity of acetone non solvent and recovered by filtration and used as bio-retardant and bio-stabilizer. The Selegiline loaded Bio-nanosuspension was prepared using novel biopolymer isolated from *Buchanania lanzan* as bio-retardant (1%, 2%, 3%, 4%, 5%) and standard polymer sodium alginate (1%, 2%, 3%, 4%, 5%) by sonication solvent evaporation method and evaluated for pH stability studies, particle size, wetting, sedimentation, aggregation, viscosity, entrapment efficacy, ocular irritancy test, in vitro drug release and stability studies. The prepared bio-nanosuspensions were found to be safe and compatible with the ophthalmic delivery for treatment of depression and this is a novelistic approach significantly delivering the drug for prolonged period and the biopolymer was served as a promising excipient for delivering dosage forms.

Keywords: Selegiline, Bio-nanosuspension, *Buchanania lanzan*, Biopolymer, Bio-retardant, Bio-stabilizer

A-118

A Smart Delivery Approach for Olanzapine via Novelistic Trans Vermillion Platform

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Abstract:

The skin of vermilion platform is unique. It consists primarily of mucous membrane, which has fewer and different glands than ordinary skin. The aim of the present research work was to explore trans vermilion as a novelistic platform by suitably designing nanosized olanzapine loaded bio-flexi films. The objective was achieved by suitably formulating olanzapine loaded bio-flexi films using biopolymer from *Juglans regia*. Standard synthetic polymer such as *Sodium alginate* has also been used in the formulation process. The isolated biopolymer

was evaluated for their various physicochemical properties. They were shown the sufficient efficacy and stability. The bio-flexi films were prepared by solvent casting method using olanzapine as model drug for the management of psychosis. During the bio-flexi films formulation the drug was incorporated in them by nanosizing. Bio-flexi films were prepared using biopolymer *Juglans regia* (FJ1-FJ7), standard polymers Sodium alginate (FS1-FS7). These formulations were subjected for various evaluation parameters of the bio-flexi films such as Surface pH, weight uniformity, thickness, folding endurance, drug content uniformity, %moisture content and *in-vitro* release profile. The results of in vitro release studies revealed that the Bio-flexi films showed the good release profile over the period of 24 hours. It has been concluded novel bioexcipient isolated from *Juglans regia* is biocompatible, biodegradable because of its edibility property and is devoid of toxicity.

Keywords: Olanzapine, *Juglans regia*, Sodium Alginate, Biopolymer, Trans Vermillion Platform

A-119

Formulation, Development, Optimization and Evaluation of Capecitabine Loaded Eudragit S100 Nanoparticles for Colorectal Cancer Targeting

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Abstract:

Colorectal cancer is cancer that starts in colon and rectum. As the colon and rectum are the most interior part of gastrointestinal tract, it is a great challenge to target drug to colon and rectum for the local treatment of colorectal cancer. The aim of the current research was to develop Capecitabine loaded Eudragit S 100 nanoparticles for colorectal cancer targeting which would release drug neither in stomach nor in small intestine as Eudragit S 100 is a pH sensitive polymer, would release drug only in colorectal region. Six formulations of Capecitabine loaded Eudragit S100 nanoparticles with varying concentration of drug and polymer were prepared by nanoprecipitation method. The formulations were optimized in order to maximize % drug entrapment efficiency and minimize particles size. The optimized formulation was evaluated for % drug entrapment efficiency, zeta potential, particle size distribution, Fourier transform infrared (FT-IR)

spectroscopy analysis, differential scanning calorimetry (DSC) study, transmission electron microscopy (TEM) analysis, in vitro drug release and cytotoxicities study using HT 29 cell lines and pharmacokinetic study by using rabbit model.

Keywords: Colorectal Cancer, Eudragit S100, Nanoprecipitation, pH Sensitive Polymer

A-120

Water-Soluble Complex of Curcumin with Cyclodextrins Inflammation Targeted Treatment for Dry Eye Disease

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Abstract:

In the current specification of dry eye disease main attention has been given to insufficiencies of the lacrymal system and the medium covering the surface of the eye, the tear film, eyes do not make enough tears, or the tears evaporate too quickly. This leads to the eyes drying out and becoming inflamed (red and swollen) and irritated. The primary objective of this research work was to develop inflammation targeted curcumin eye drops for the treatment of dry eye disease. Extensive research over the past half century has shown that curcumin, a component of the golden spice turmeric (*Curcuma longa*) has eiotropic activities that emanate from its ability to modulate numerous signaling molecules such as proinflammatory cytokines, apoptotic proteins, NF- κ B, cyclooxygenase2, 5LOX, STAT3, Creactive protein, prostaglandin E, prostate specific antigen, adhesion molecules, phosphorylase kinase, transforming growth factor β , triglyceride, ET1, and creatinine in human participants. To enhance the solubility of curcumin, it was complexed with arginine. Further, curcumin and curcumin arginate were complexed with HP β CD (Hydroxy- Propyl Beta Cyclodextrin) by freeze drying and characterized by fluorescence spectral studies, FTIR, DSC, SEM. Formulations were reconstituted with PBS 6.8 and the content of curcumin and curcumin arginate in the inclusion complex was found to be 85.96% and 87% respectively. The curcumin- and curcumin arginate-HP β CD eye drops were found to be clear and free of particulate matter and the pH of the formulations was 6.8, which suggested that the formulation can be well tolerated in the eyes as the pH of tear fluid is also 6.8.

Keywords: Eye, Dry Eye Disease, Curcumin, Eye Drops, Curcumin Arginate-HP β CD

A-121

Formulation and Optimization of Meloxicam Loaded Polymeric Nanoparticles

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Abstract:

In the Current Investigation, Meloxicam loaded polymeric Nanoparticles were prepared with an aim to increase the solubility & dissolution rate of the said drug. Polymeric nanoparticles were prepared by Emulsion Crosslinking technique using the different ratio & concentration of Chitosan. The prepared formulations were characterized for various properties like morphological studies, particle size, polydispersity index, zeta potential, Differential Scanning Calorimetry, IR spectroscopy, etc, followed by *in vitro* drug release studies. The final optimized formulation was found to be MC6, MLX: Chitosan (10:200) with mean size of 84 ± 1.7 nm and having polydispersity index of 0.086. The shape and surface morphology of the nanoparticles were evaluated by the use of scanning electron microscopy (SEM). The SEM photomicrograph revealed that the carrier system was more spherical in shape and uniformly distributed without any aggregation or adhesion of nanoparticles. The crystalline state evaluation was done by DSC of Meloxicam (plain drug), optimized formulations MC6. The DSC thermogram revealed that crystalline state was apparently unaltered following sonication operation. The formulations characterized for percent drug release and saturation solubility. The drug release study revealed that increase in surface area may have enhanced the dissolution rate. From the Noyes-Whitney equation the increased surface area and saturation solubility due to decreased radius resulted in increased dissolution velocity. The percent drug release obtained was more than 90% in case of MLX nanoparticles as compared to pure drug which was only 30%. The bioavailability of drug is dissolution rate limited, so particle size reduction can significantly improve the performance of drug.

Keywords: Meloxicam, Chitosan, Scanning Electron Microscopy (SEM)

A-122

Formulation, Evaluation and Optimization of Nanosuspension Containing Risperidone

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Abstract:

Poorly water-soluble drugs such as Risperidone (RIS) (2.8 mg/L) offer challenging problems in drug formulation as poor solubility is generally associated to poor dissolution characteristics and thus to poor oral bioavailability. The objective of this study was to identify and optimize formulation and process variables affecting characteristic and scale-up of nanosuspension manufacturing process on bead mill i.e media milling bottom down technique considering industrial perspective. Formulation factors evaluated were ratio of different polymer to drug and to beads. Whereas process parameters were milling bead concentration and stabilizer concentration. Responses measured in this study include zeta potential and mean particle size. The test revealed that ratio of polymer to drug have significant effect on zeta potential whereas variable milling bead concentration at constant milling speed and milling time have significant effect on the particle size distribution of nanosuspension. The X-ray powder diffraction pattern of drug milled at high and low speed reveals no form conversion when compared with unmilled drug. The formulated nanosuspension has shown a faster % cumulative release (48.07% in 10 min), relative to that of raw Risperidone (RIS) oral solution (7.20% in 10 min), mainly due to the formation of nanosized particles. The factorial design revealed that there was no significant difference in the dissolution profiles of fresh and aged nanosuspension. These results indicate the suitability of formulation procedure for preparation of nanosized poorly water-soluble drug with significantly improved *in vitro* dissolution rate and thus possibly enhance fast onset of therapeutic drug effect.

Keyword: Risperidone (RIS), Milling Agent (ZrO_2)

A-123

Cetirizine Hydrochloride Oral Disintegrating Tablets: Optimization by Central Composite Design

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Abstract:

We used experimental design to formulate and optimize Cetirizine Hydrochloride oral disintegrating tablets by direct compression, screening for the mutual effect of synthetic Croscarmellose sodium (CCS) and natural *Hibiscus rosa-sinensis* mucilage (HRM) as disintegrants in the formulation. The influence of three levels independent variables each of CCS (X1) and HRM (X2) concentrations were investigated on dependent variables disintegration time (DT) (Y1), % friability (F) (Y2) and % cumulative drug release (DR) (Y3) by central composite design. The model's reliability was verified by the probability and adequate precision values from the analysis of variance, while the significant factor effects influencing the investigated responses was identified using multiple linear regression analysis. Perturbation and response surface plots were interpreted to evaluate the response sensitivity towards the variables. The interaction between drug and excipients was studied by FT-IR and DSC. A checkpoint batch was also prepared to verify the rationality of the developed mathematical model. The optimization model predicted DT of 13.271sec, F of 0.498, and DR of 99.768% for 16.04 mg of CCS after minutes. The present study demonstrates the use of HRM is a suitable natural disintegrant to formulate and design Cetirizine Hydrochloride oral fast disintegrating tablets. Combination of CCS Combination of CCS and HRM showed significant improvement of drug release with enhanced oral bioavailability for better patient compliance. The formulation was further optimized by surface response methodology and their combined influence was predicted.

A-126

Development and In Vitro Characterization of Nanoemulsion Embedded Thermosensitive in-situ Ocular Gel of Diclofenac Sodium for Sustained Delivery

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Abstract:

The objective of this work was improvement in the ocular bioavailability of diclofenac sodium by enhancing drug

permeation across cornea and promoting drug retention time on ocular surface. Using pseudo ternary phase diagrams transparent regions were identified and nanoemulsions were prepared by self-emulsification method, which were further optimized by emulsification study and drug content. Three best NE formulations were incorporated in 20% poloxamer 407 (thermosensitive polymer solution) to formulate nanoemulsion embedded thermosensitive *in-situ* ocular gel and further evaluated on the basis of gelation temperature and drug entrapment. Optimized nanoemulsion formulation was evaluated by TEM, particle size analysis and zeta potential. The optimized NE gel formulation changes from sol-gel phase at physiological temperature. Comparison of dissolution profile of developed formulation was carried out with the marketed formulation. The formulated NE *in-situ* gel showed drug release for a longer duration of time as compared to the marketed eye drops (0.1% w/v Voltaren Ophtha). The *in vitro* release data was fitted to various kinetic models. It was found that the *in vitro* drug release of diclofenac sodium nanoemulsion thermosensitive gel was best explained by zero order. *In vitro* transcorneal permeation study was carried out on isolated goat cornea and comparison was done with marketed formulation, which showed that developed formulation exhibited higher permeation across goat cornea in 4 hours (44.65%) compared with that of the marketed formulation (31.25%). Hence this novel formulation was found to be a good replacement for conventional eye drops due to higher permeation and prolonged precorneal residence time.

A-127

Formulation and In vitro Evaluation of Telmisartan Mouth Dissolving Tablet

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Abstract:

Telmisartan belongs to BCS-II drug with low solubility and high permeability which is a Non peptide Anti Hypertensive Angiotensin II Receptor used for the treatment of hypertension to lower the blood pressure and vascular headache. Hence in the present research work mouth dissolving tablets of Telmisartan were developed with Superdisintegrants like Crospovi-

done, Pregelatinised starch and Sodium starch glycolate in various concentrations like 2-5%, 1-20% and 2-8% w/v by direct compression method. FTIR study of Optimized formulation reveals that, there is no interaction between drug and excipients. XRD study shows that drug is crystalline in nature. The prepared tablets were evaluated for Disintegration Time, Wetting Time, Water absorption Ratio, hardness, friability and *in vitro* dissolution study, Uniformity of dispersion. Weight variation and friability of tablets were within I.P. limits. Among all, the formulation F3 (containing 7% w/v concentration of Sodium starch glycolate) was considered to be the best formulation, having disintegration time of 20 seconds, wetting time 45sec, water absorption ratio 74.40, *in vitro* dispersion time 17sec and *in vitro* drug release of 99.94% in 15 minute. All the formulations follows Higuchi order release kinetics.

Keywords: Telmisartan, Direct Compression Method, Sodium Starch Glycolate, Crospovidone, Pregelatinised Starch

A-128

Drug Delivery of Cyclosporine by pH Triggering from Contact Lens to Treat Dry Eye Syndrome

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Abstract:

In present research work a novel pH-sensitive Eudragit S100 nanoparticle-laden contact lenses were designed to provide sustained drug release at therapeutic rates, without getting prior drug release during sterilization and shelf life period. Nanoparticles were prepared by Quasi-emulsion solvent diffusion technique at different ratios of drug to Eudragit S 100. Percent-

age swelling and optical transparency of nanoparticle-laden contact lenses were improved in comparison to direct drug laden contact lenses (DL-50). In flux study, DL-50 batch showed maximum sustained drug release, but the lenses appeared opaque due to precipitation of drug during sterilization, suggesting the limitation of method. The nanoparticle-laden contact lenses showed sustained drug release profile, which was inversely proportional to the amount of nanoparticle loading in contact lenses. Interesting to note that nanoparticles forms nanochannels after dissolution of Eudragit S 100 during flux in tear fluid (pH=7.2), followed by precipitation of drug in hydrogel matrix. So as the amount of nanoparticle loading increases, more number of channels were formed, which causes formation of large cavities in contact lens matrix allowing precipitation of drug. Nanoparticle-laden contact lenses with 1:1 ratio showed the most promising results of sustained drug release up to 10 days, without affecting optical and physical properties of contact lenses. Packaging study confirmed that the Eudragit S100 nanoparticle-laden lenses did not allowed the entrapped drug to release in packaging solution (buffer, pH = 6.5) during shelf life period. Contact lenses appeared safe in *Draize* rabbit eye test.

Keywords: Cyclosporine, Ophthalmic Drug Delivery, Nanoparticles, Hydrogel Contact Lenses

A-129

Development and Evaluation of Technetium Labelled Microparticles

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Abstract:

The main objective of the research work was to develop

user friendly, lyophilized kit of Human serum albumin (HAS) macroaggregates, suitable for labelling with technetium –99m (^{99m}Tc) for lung perfusion studies. Human serum albumin is used for the study, which is biodegradable polymer. It is safe and FDA approved biodegradable polymer. Various trial batches were prepared using various formulas and methods. The trial batches were observed and evaluated to select a formula for the desired size of microparticles. Based on this optimizations reproducible batches were prepared which were further evaluated for various critical parameters like pH, particle size, particle no., radiochemical purity and entrapment of ^{99m}Tc-MAA in the particles. Bio-distribution studies of optimized batch were carried out on swiss mice of 6 week age. The optimized batch was found to be showing 80% of the lung uptake. The stability studies of the developed ^{99m}Tc-MAA was carried out initially in blood serum. The stability of the unlabeled kit of macroaggregated albumin of all the batches was carried out at refrigerated conditions of 5°C +/- 3°C for two months. During stability studies of radiochemical purity was determined. Thus the recommended stability conditions for the batch is 2-8°C. Thus a stable, non-irritant, safe and effective ^{99m}Tc loaded microparticles (macroaggregated Albumin) of desired size range of less than 60µm was developed, optimized and evaluated for lung uptake by doing biodistribution-studies.

Keywords: Microparticles, Lung Perfusion, Human Serum Albumin, Radiochemical, Technetium

A-131

Study on Tumor Targeted Biodegradable Albumin Nanoparticulate System Containing Epirubicin for the Treatment of Breast Cancer

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Abstract:

Owing to the development of nanotechnology and biotechnology, nanoparticles of biodegradable polymers as effective drug delivery systems have received significant attention. They have the ability to carry various therapeutic agents including anticancer drugs, DNA, peptides and proteins. Over the past decade, there has been an increasing interest in using nanotechnology for cancer therapy. The development of smart targeted nanoparticles that can deliver drugs at a sustained rate directly to cancer cells may provide better efficacy and lower toxicity for treating primary and advanced metastatic tumors. Recently, albumin based nanoparticles

have received much attention by the researchers owing to its biodegradability, biocompatibility and the ability to deliver a wide range of drugs. The aim of the present study was to formulate and evaluate albumin nanoparticles containing epirubicin hydrochloride. The albumin nanoparticles containing the drug epirubicin hydrochloride was prepared by solvent evaporation method. The prepared nanoparticles were characterized for mean particle size, surface charge, size distribution and drug loading capacity of the nanoparticles. The mean particle size of the selected batch was 98.18 nm and surface charge was -34.4 mV. The study on in vitro release of all drug loaded batches in pH7.4 phosphate buffer exerted a bi-phasic release pattern with an initial burst effect followed by a zero order release. The release kinetics studies showed that the release was zero order diffusion controlled and the n value obtained from the Korsmeyer- Peppas model showed that the release mechanism was non- Fickian.

A-132

Development and Evaluation of Aceclofenac Topical Gel

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Abstract:

Topical gel formulations of Aceclofenac were prepared using various polymers including Carbopol-934, Carbopol-940, HPMC, and Poloxamer 407 in different concentration for the treatment of rheumatoid arthritis. The gels were evaluated for various parameters such as homogeneity, grittiness, skin irritancy, extrudability, in vitro drug release, viscosity (Brooke field viscometer), pH, drug content, and stability studies. The in vitro release rate of gel was evaluated using Franz diffusion cell containing cellophane membrane with phosphate buffer pH 7.4 as the receptor medium. The release rate of the gel was found to obey Higuchi model. The percentage of drug release follow following order Poloxamer-407 > HPMC > Carbopol940 > Carbopol-934.

Keywords: Aceclofenac, Carbopol, Poloxamer Hydroxyl Propyl Methyl Cellulose, Anti Inflammatory Activity

A-133

Fabrication, Characterization and Enhanced *in-vivo* Evaluation of Carbopol Based Nanoemulsion gel of Apigenin for UV Induced

Skin Carcinoma

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Abstract:

The aim of this present study was to develop a potential novel formulation of carbopol based nanoemulsion gel containing apigenin using tamarind gum emulsifier which was having the smallest droplet size, the highest drug content, and a good physical stability for Skin delivery. Apigenin loaded nanoemulsion was prepared by high speed homogenization method and they were characterized with respect to morphology, zeta potential, differential scanning calorimeter study and penetration studies. *In vitro* release studies & skin permeation of apigenin loaded nanoemulsion by goat abdominal skin was determined using Franz diffusion cell and confocal laser scanning microscope (CLSM). The cytotoxicity of the reported formulation was evaluated in HaCaT Cells (A) and A431 cells (B) by MTT assay. The nanoemulsion formulation showed droplet size, polydispersity index and zeta potential of 183.31nm, 0.532 and -31.9mV respectively. The nanoemulsions were characterized by TEM demonstrated spherical droplets and FTIR to ensure the compatibility among its ingredients. CLSM showed uniform fluorescence intensity across the entire depth of skin in nanocarriers treatment, indicating high penetrability of nanoemulsion gel through goat skin. The nanoemulsion gel showed toxicity on melanoma (A341) in a concentration range of 0.4–2.0 mg/mL, but less toxicity toward HaCaT cells. The carbopol-based nanoemulsion gel formulation of apigenin possesses better penetrability across goat skin as compared to marketed formulation.

Keywords: Apigenin, Carbopol, CLSM, Nanoemulsion, Skin Cancer

A-134

Quality by Design Approach for Development and Evaluation of Self Emulsifying Drug Delivery System of Nitrofurantoin

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Abstract:

The Objective of present work is to develop a Solid Self Emulsifying Drug Delivery System (S-SMEDS) of poorly water soluble drug Nitrofurantoin (NFT). Nitrofurantoin is antibiotic or antimicrobial drug belongs to BCS Class II drug, having half-life 20 minutes. For formulation; solubility of NFT was determined in oil, surfactant and co-surfactant. The final components of micro-emulsion were found to be Cinnamon oil, Tween 20 as surfactant and PEG400 as a co-surfactant. Pseudoternary phase diagram NFT loaded micro-emulsion were prepared and optimized by using design of Experiments (DOE). By considering 2 factor globule size and emulsification time the 9 formulations were prepared. Batch No. 5 was selected on the basis of optimization of globule size 0.492 and emulsification time 75sec. According to the design of experiments, probability plots, pounter plots, pormal probability, Response surface plot 3D response curve it was observed that with increase in ratio of surfactant to co-surfactant and emulsification time leads to decrease in particle size. formulated NFT SMEDDS was characterized for the various tests followed by formulated liquid microemulsions was converted into solid by Adsorption technique by using Neusilin US2. Solid SMEDDS formulation was tested for various test including FTIR DSC study and XRD study. Results showed that drug releases from S-SMEDDS formulation were found to be significantly higher compared to pure Nitrofurantoin. Stability study results showed that S-SMEDDS was found to stable.

Keywords: Nitrofurantoin, Solubility, Doe, S-SMEDDS, Dissolution Rate

A-135

Design and Evaluation of Polymeric Nanomicelles for Dipeptidyl Peptidase-4 Inhibitors

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Abstract:

Dipeptidyl peptidase-4 (DPP-4) inhibitors is a class of oral diabetic drug available in market as a solid dosage form, they are commonly known as gliptins and are generally prescribed for people with type 2 diabetes who have not responded well to drugs such as metformin and sulphonylureas. The drug selected for the study is a DPP-4 inhibitor and the

selected dosage form is in nanomicellar range, which offer an approach to develop novel drug delivery system for gliptins. Nanomicelles are self-assembled, nanosized colloidal particles with a hydrophobic core and hydrophilic shell. The objective of this study is to develop polymeric nanomicellar formulation by direct dissolution method. The prepared nanomicelles were evaluated for its shape, size distribution, and entrapment efficiency for the treatment of diabetes. The nanomicelles formulations were analysed for particle size and particle size distribution with the help Malvern zetasizer analyser. The optimized (F-3) nanomicellar formulation showed a mean particle size of 368 nm and particle size distribution (PSD) of 0.564. The entrapment efficiency and drug loading for the best formulation (F-3) is to be performed.

Keywords: Dipeptidyl Peptidase-4, Polymeric Nanomicelles, Particle Size, Particle Size Distribution, Entrapment Efficiency

A-136

Formulation and Evaluation of a Herbal Gel of Plant Extract for Treatment of Filariasis

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Abstract:

Filariasis is caused by a group of parasitic worms that are transmitted through the bites of infected mosquitoes. Globally, 947 million people in 54 countries are at a risk of getting affected by filariasis. The purpose of the present work is to formulate and evaluate novel herbal gel formulation of *Tephrosia purpurea* extract for effective treatment of filariasis. Herbal gel was prepared using the extract in different proportion and different ingredients. The gel was evaluated using the following parameters like appearance, pH, Spreadability, drug release, rheological properties, extrudability and antioxidant activity useful for effective treatment of filariasis. The flavonoids found in the plant are effective in the treatment of filariasis. In future, in-vivo study on animals can further prove the effectiveness of formulation for treatment of filariasis.

Keywords: Herbal Formulation, Flavonoids, Tephrosia Purpurea, Filariasis

A-137

Formulation and Development of Glucosamine

and Chondroitin Poly-Sulfate Solid Dosage Form for Osteoarthritis Management

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Abstract:

The aim of the present research work was to formulate the Glucosamine and Chondroitin Poly-Sulfate convention table by wet granulation. The Glucosamine sulphate, Chondroitin Poly-Sulfate and all the excipients are sieved followed by wet mixing using PVPK 30 and dried, milled, blending and shifted for tablet compressive on. The prepared tablets batches were evaluated for Description, Identification, thickness, weight variation, hardness, uniformity of dosage units, disintegration, dissolution and assay as per USP/ EP and In-house. Results shows that all parameters were comply to limits. The assay results of Glucosamine sulphate was found to be 520 mg against 475 mg-525 mg limits and in case of Chondroitin Poly-Sulfate assay was found 404 mg against 380 – 420 mg. Based above discussion it can conclude that Glucosamine and Chondroitin Poly-Sulfate tablet can very useful combination to manage Osteoarthritis as it is to help with cartilage formation and also help to repair and give cartilage its elastic properties and is thought to have an anti-inflammatory effect, which can help to reduce the painful swelling in the joints that occurs when the exposed bones in the joint rub together.

Keywords: Tablets, Glucosamine Sulfate, Chondroitin Poly-Sulfate, Dissolution

A-138

Studies on the Solid Dispersion of Raloxifene; Preparation and Characterization

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Abstract:

In the present study, raloxifene and β -Cyclodextrin (β -CD) solid molecular dispersions were prepared with a view to study the effect and influence of β -CD on the solubility and dissolution rate of this poorly aqueous soluble drug. Phase solubility profile revealed that the solubility of raloxifene

was significantly increased in the presence of β -CD and was classified as A_L -type, indicating the possible 1:1 stoichiometric inclusion complex with a stability constant of 328.65 M^{-1} . Effect of variable such as drug: carrier ratio were studied. Physical characterization of the solid molecular dispersion was characterized by Fourier transform infrared spectroscopy (FT-IR), Differential scanning calorimetry (DSC) The scanning electron microscopy (SEM), and X-ray diffraction studies (XRD). These studies revealed that a distinct loss of drug crystallinity in the solid molecular dispersions is ostensibly accounting for enhancement of dissolution rate in distilled water containing 0.1% Tween 80. The scanning electron microscopy (SEM) study revealed that all the binary systems appeared as agglomerates and exhibiting the presence of a homogenous solid phase which could also be responsible for the enhanced dissolution rate in comparison with the pure drug. The drug release from the prepared solid molecular dispersion exhibited a first order kinetics. Solid molecular dispersions of raloxifene showed a 6.77 times fold increase in dissolution rate over the pure drug.

Keywords: Raloxifene, β -Cyclodextrin, Solid Molecular Dispersions, Kneading Method, Dissolution, Release Kinetics

A-139

Formulation and *In Vitro* Evaluation of Tizanidine Hydrochloride Floating Tablet

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Abstract:

Tizanidine hydrochloride is a centrally acting α_2 -adrenergic agonist drug. Tizanidine used to manage muscle spasms, and used for people with multi sclerosis, spinal cord injury or muscle spasticity. To prepare the effervescent floating tablet of selected drug with HPMC K 4M, HPMC K15M, HPMC K100M, NaHCO_3 , citric acid in different ratios for finding out optimize formulation. Tizanidine hydrochloride is an orally administered prokinetic agent that facilitates or restores motility through-out the length of the gastrointestinal tract. The objective of the present investigation was to develop effervescent floating tablets of tizanidine hydrochloride for prolongation of gastric residence time in order to overcome its low bioavailability (34-40 %) and short biological half life (2.5 h). Tablets were prepared by the direct compression method, using

different viscosity grades of hydroxypropyl methylcellulose (HPMC K4M, K15M and K100M). Tablets were evaluated for various physical parameters and floating properties. Further, tablets were studied for *in vitro* drug release characteristics in 12 hours. Drug release from effervescent floating tablets was sustained over 12 h with buoyant properties. FTIR study revealed that there is no drug excipient interaction. Based on the release kinetics, all formulations best fitted the Higuchi release kinetics.

Keywords: Tizanidine Hydrochloride, HPMC, *In Vitro* Drug Release, Buoyancy

A-140

Cancer Targeting Potential of Transferrin Conjugated Surface Engineered Multi-Walled Carbon Nanotubes in Lung Cancer Delivery

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Abstract:

The aim of this work was to develop multi-walled carbon nanotubes (MWCNT). The objective of this research to enhance the therapeutic and safety aspects of MWCNTs in docetaxel delivery for lung cancer treatment and explore the cancer targeting potential of the docetaxel laden transferrin tethered surface engineered MWCNTs nanoconjugate for effective treatment of lung cancer and compare it with the commercial docetaxel injection (Docel™). D-Alpha tocopheryl polyethylene glycol 1000 succinate (TPGS) was used as an amphiphilic surfactant to improve the aqueous dispersity and biocompatibility of MWCNT. The developed MWCNTs nanoformulations were extensively characterized by Fourier-transform infrared, Raman spectroscopy, particle size, polydispersity, zeta potential, transmission electron microscopy, and encapsulation efficiency. The entrapment efficiency was determined to be $74.9 \pm 2.4\%$ (DTX/TPGS-MWCNT-Tf) and $73.1 \pm 1.8\%$ (C6-MWCNTs-Tf). Human lung cancer cells (A549 cells) were employed as an *in-vitro* model to access cellular uptake, cytotoxicity, cellular apoptosis, and reactive oxygen species (ROS) of the docetaxel/coumarin-6 loaded MWCNT. The cellular uptake results of transferrin conjugated MWCNT showed higher efficiency in comparison with free C6. The IC50 values ($0.32 \pm 0.01^*$) demonstrated that the transferring conjugated

MWCNT could be 136-fold more efficient than (Docel™) after 24 h treatment with the A549 cells. Flow cytometry analysis confirmed that cancerous cells appeared significantly ($P < 0.05$) in the sub- G1 phase for transferrin conjugated MWCNT in comparison with Docel.

Keywords: Docetaxel, TPGS, MWCNTs, Transferrin, A549 cancer cell line

A-141

Formulation Development and Optimization of Microparticles of Gefitinib for Sustained Release

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Abstract:

Gefitinib (GEF) is a tyrosine kinase inhibitor, the FDA reapproved it in 2015 as a first line treatment of non-small cell lung cancer. It is presently available as tablets and has to be taken by oral route in high doses of 250-500 mg per day as it has poor solubility in water. The solubility issues affects not only its onset of action but also bioavailability. Hence there is a need to have alternate route for administration for GEF so that better bioavailability and therapeutic efficacy can be achieved. Looking into these drawbacks Gefitinib was incorporated in microparticles of size below 10 microns so as to make them deliver by the pulmonary route. Gefitinib was incorporated into PLGA based microparticles to provide sustained release of the drug. The microparticles were prepared by emulsion solvent evaporation using biodegradable polymer PLGA (50:50) and combination of PLGA-Polaxamer 188 (GEF-PLGA-P188 MS) in three different ratios. The formulations were characterized by DSC, FTIR, and SEM. A 2³ Full factorial design was utilized to optimize the size, entrapment efficiency and drug release kinetics. SEM Microscopic studies of the optimized formulation confirmed that the prepared microparticles were both smooth and spherical. The GEF-PLGA-MS and GEF-PLGA-P188 MS released 82.4 and 75.3% respectively in 72 hours. The prepared microparticles were in the size range of $7.2 \pm 1.3 \mu\text{m}$. The drug release was governed by first-order kinetics and followed Fickian diffusion mechanism in both the cases. It was concluded that gefitinib can be efficiently loaded into the biodegradable polymer PLGA to provide sustained release of the drug and also have size range so as to target the lungs by the pulmonary route.

Keywords: Microparticles, Gefitinib, Sustained Release,

Factorial Design

A-142

Cyclodextrin Complexed Arteether for Enteric Delivery: Formulation Development for Bioavailability Enhancement

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Abstract:

The main problem with α , β -arteether is low solubility in water, poor bioavailability and degradation in stomach, which leads to high dose requirement. Bioavailability may be improved by enhancement in solubility and degradation in stomach may be prevented by enteric coating. There are many problems which may be overcome by applying selected strategies: Better acceptability, Improved bioavailability, Improved patient compliance, No need to take injections (invasive method), Good stomach and intestinal tolerance and Improved therapeutic effect. The present study is proved to be a successful approach of development and optimization of enteric coated oral dosage form of arteether for the very first time. This eventually leads to increase in solubility and ultimately to enhancement of bioavailability of poorly water soluble drug, arteether.

Keywords: Arteether, Nanolipid Carrier, Solubility, Antimalarial activity, In Vivo Studies

A-143

Formulation and Evaluation of Mucoadhesive Microspheres for the Controlled Delivery of Famotidine

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Abstract:

Oral ingestion is the most convenient and commonly employed route of drug delivery. Though, the low bioavailability and short biological half-life of drug for the oral administration favors the development of a controlled release formulation. Controlled drug delivery systems offer numerous advantages

compared to conventional dosage forms such as improved efficiency, reduced toxicity and improved patient compliance and convenience. Famotidine is a H_2 receptor antagonist with short biological half-life (3.5-4.5h) and low oral bioavailability (40-45%) has been used as a model drug. Famotidine has variable absorption in the gastrointestinal tract and the absorption in the intestine is less due to microbial degradation. Hence, an oral controlled release preparation of famotidine should be preferably placed in the stomach to achieve uniform drug absorption. Novel interpenetrating polymer network (IPN) of locust bean gum (LBG) and poly vinyl alcohol (PVA) were prepared and crosslinked with glutaraldehyde (GA) to form mucoadhesive microspheres by emulsion cross-linking method to deliver model anti-ulcer drug, famotidine. Microspheres formed were spherical with smooth surfaces as revealed by SEM and mean particle size as measured by optical microscopy ranged between $10.83 \pm 0.75 \mu\text{m}$ to $21.13 \pm 0.74 \mu\text{m}$. Drug entrapment efficiency was ranges between $65.44 \pm 2.57\%$ to $84.67 \pm 2.58\%$. Percentage mucoadhesion of the microspheres was found to be in the range between $63.33 \pm 2.57\%$ to $86.66 \pm 3.65\%$. *In vitro* release studies were performed in pH 1.2 media. Based on the results of *in-vitro* studies it was concluded that these IPN mucoadhesive microspheres provided oral controlled release of famotidine.

A-144

Design, Optimization and Formulation of Sustained Release Tablets Using Surface Response Methodology

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Abstract:

The aim of the study was to develop and evaluate colon targeted, compression coated matrix tablet of Aceclofenac sodium using prolonged release characteristics of HPMC K100 M with pH dependent solubility property of a Eudragit S 100. The pH dependent release was achieved by coating matrix tablet with Eudragit S100, soluble at pH 7. All the formulations of 32 factorial design were evaluated for the physicochemical parameters and subjected to *in vitro* drug release in 0.1 N HCl for two hour, three hour in pH 6.8 Phosphate buffer then in pH 7.4 phosphate buffer up to 12 hrs. Multiple regression analysis, two way ANOVA followed by Bonferroni Post Test were performed. Polynomial equations and response surface plots

were generated for all dependent variables. It was observed that both the factors had significant effect on dependable variables (t_2 , t_6 and t_{12}). The presence of hydrophilic HPMCK100M sustains the drug release in colon due to formation of gel layer around the core tablet and EudragitS100 releases drug slowly over prolong period of time by pH dependent solubility.

Keywords: Aceclofenac Sodium, Colon Target, Sustained Release, Response Surface Methodology, Optimization

A-145

Preparation, Optimization and Evaluation of Sustain Release Microsphere of Nifedipine

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Abstract:

Polymer and cross linking agent affects drug release of microsphere. Nifedipine microspheres were prepared using ionotropic gelation technique, varying polymer and crosslinking agent concentration and keeping concentration of Nifedipine and sodium alginate, temperature and rpm constant. Various ratios of drug: polymer (Hydroxy propyl methylcellulose, Eethylcellulose, Eudragit) (1:1, 1:2, 1:3 w/w), and cross linking agent (4%, 5%, 6% w/v) were studied. Aim of study is to examine effects of this parameter on the particle size, percentage yield, entrapment efficiency and *in-vitro* release of Nifedipine microspheres. Eethylcellulose, Hydroxy propyl methylcellulose and Eudragit microsphere show Percent entrapment in range of 78.43-88.92%, 81.44-91.96%, 87.15-94.67% and drug release 76.36-92%, 75.08-94.59%, 84.15-95.89% respectively. EU-6 batch were found to be optimizing in terms of percent yield (91.89%), percent entrapment (93.04%) and *in-vitro* release (95.89%). Optimized formulations were subjected to scanning electron microscopy, FTIR and accelerated stability study. The smooth surface of microspheres as seen by SEM due to complete homogeneity of drug and polymers. FTIR study shows there was no incompatibility seen in between drug and polymer used. Accelerated stability study was carried out at $40 \pm 20\text{C}/75 \pm 5\% \text{RH}$ condition for a month and found to retain their stability with respect to drug entrapment and drug release. Thus, the variation in polymer/cross linking agent ratios have an influence on the physical characteristics of the microspheres and increase in polymer and crosslinking agent

concentration causes a decrease drug release by increasing the crosslink density thereby creating barrier for drug diffusion.

Keyword: Crosslinking Agent, Microspheres, Nifedipine, Ionotropic Ge

A-146

Formulation and Evaluation of Sustained Release Matrix Tablets of Nateglinide

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Abstract:

The present work is to design and evaluate sustained release matrix tablets of Nateglinide. It is an attempt to study the influence of various hydrophilic polymers on drug release rate and the effect of nature of the different polymers and percentage of the polymer on the rate of drug release from matrix tablets. The Nateglinide matrix tablets were prepared by direct compression method using 16 stations Rotary tableting machine, flat-faced punches. Different formulations F_{1-12} matrix tablets are prepared using polymers like HPMC, Tragacanth, and Ethyl cellulose. The recompression parameters of the powdered blends i.e angle of repose, compressibility index (carr's index), Hausner's ratio of all the formulations was found to be within the official limits. These matrix tablets were evaluated for weight variation, hardness, thickness, friability and dissolution studies. The FT-IR studies interpreates that there was no interaction between the drug polymer and the excipients. The evaluation parameters for the sustained release formulation were within the acceptable range. Out of all the three polymers i.e HPMC, ethyl cellulose and Tragacanth, Ethyl cellulose showed better retardation of drug release. Formulation F_6 containing 75% of ethyl cellulose retarded the release of the drug Nateglinide upto 12 hours. Hence from this study we can conclude that ethyl cellulose can be used as a matrix forming material to retard the release the Natiglinide from matrix tablet.

Keywords: Sustained Release, Natural Polymers, HPMC

A-147

Design and Evaluation of Aceclofenac Gel Containing Fixed Oils as Permeation Enhancers

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Abstract:

The objective of this study was to prepare and evaluate Aceclofenac Transdermal Gel with different fixed oils as permeation enhancers. Aceclofeanc transdermal gels were prepared by dispersion method using natural polymer (xanthum gum 1% and Carbopol 934 p 1%) as gelling agents. Permeation enhances (virgin and marketed oils) with two different concentrations 1% and 5% were used. FTIR (Fourier transform infrared spectroscopy) compatibility studies were performed. Solubility studies revealed that permeation of Aceclofenac was highest with virgin sesame oil. These gels were evaluated for pH, drug content, extrudability, spreadability, in/ex vivo studies, skin irritation and stability studies. The experiments have shown good physicochemical properties. In-vitro diffusion studies concluded that samples taken have shown more than 90% drug release in 8 hrs, in comparison to 2 control gels. Ex-vivo permeation studies have shown better release of aceclofenac (flux, permeability coefficient and enhancement ratio). Experiments revealed no skin irritations and durability increase at room temperature for one month. Hence, formulation containing virgin & marketed oils have a better permeation enhancer providing good permeation of aceclofenac.

Keywords: Aceclofenac, Transdermal Gel, Permeation Enhancers, Virgin Oil, Marketed Oil

A-148

Formulation of Glibenclamide and Atorvastatin Calcium Nanopartilces by Liquid Antisolvent (Las) Precipitation Method through Double Step Comminution Technique

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Abstract:

The aim of the present study was to formulate Glibenclamide and Atorvastatin Calcium Nanoparticle. The glibenclamide and atorvastatin calcium drug nanoparticles were successfully prepared by liquid antisolvent precipitation technique. The compatibility study was carried out by using FT-IR indicated no interaction between the drug and excipients used in the preparation of nanoparticles. The XRD and DSC

was determined, and the data of the optimized glibenclamide formulation revealed that the prepared nanosized glibenclamide powder was existed in crystalline form and the optimized atorvastatin calcium formulation indicated that the atorvastatin calcium nanosized powder was in amorphous form. The SEM microphotograph revealed that the optimized nanosized glibenclamide powder exhibited plate and rod shaped particles. And the atorvastatin calcium nanosized powder represented spherical shaped particles. Dissolution study of optimized formulation glibenclamide and atorvastatin calcium nanoparticles in fixed dose combination demonstrated a marked enhancement of dissolution rate, which was achieved due to reduction in drug particle size. The *in-vivo* studies in albino wistar rats demonstrated the mark enhancement in bioavailability of drugs. The stability study mixture of glibenclamide and atorvastatin calcium powder when stored at refrigerated temperature has shown no significant changes in physical appearance, drug content, particle size. And conversely the sample stored at room temperature has shown significant increase in particle size, with no significant changes in drug content and physical appearance.

A-149

Formulation and Characterization of the Improved *In-Vitro* Mucoadhesion, Absorption and *In Vivo* Antiepileptic Potential of Chitosan-Based Pregabalin Microsphere (CBPM) via Intranasal Administration

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Abstract:

The present research work portrays the formulation of chitosan based pregabalin microsphere (CBPM), with a goal to enhance its *in vitro* mucoadhesion, diffusion and rapid absorption via intranasal route. The CBPM was formulated using ionotropic gelation method, with incorporation of selected studied variables; the best formulation was optimized using box-behnken design. The design-optimized CBPM was characterized for its physico-chemical and functional parameters viz., particle size and zeta potential, scanning electron microscopy (SEM), differential scanning calorimetry (DSC), Fourier transforms infrared spectrophotometry (FTIR) and powder x-ray diffractometry (PXRD), *in vitro* mucoadhesion, diffusion study and *ex-vivo* permeation. The antiepileptic activity of optimized CBPM was also evaluated for its effect

on Pentylene tetrazol (PTZ)-induced seizures. The obtained microsphere was found to be spherical with smooth surface. The percentage of *in vitro* mucoadhesion of optimized CBPM was found to be 80.53 ± 1.29 . The *in vitro* diffusion study of CBPM showed to be enhanced, compared to that of pure pregabalin. The *ex-vivo* permeation of CBPM also exhibited a same release pattern with that of diffusion study. The design-optimized CBPM formulation demonstrated an excellent antiepileptic activity, with significant protection and delayed the production of onset of convulsion in PTZ – induced seizures.

Keywords: Chitosan, *In Vitro* Mucoadhesion, *In Vitro* Diffusion, Antiepileptic Activity, Intranasal Route of Administration

A-150

Medicated Chewing Gum: A Promising Base/ System for Oral Delivery of Therapeutics

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Abstract:

From the past few decades scientific and technological advancement have been made in the pharmaceutical research and development. The oral route has gained more popularity due to its ease of administration. Medicated Chewing gum (MCG) is one of the most popular oral confectionary products. It is potentially useful for administering drugs either locally or systematically through the oral mucosa which is highly vascular in nature resulting in rapid absorption of the drug into the systemic circulation. Medicated Chewing gum (MCG) represents the newest system in pharmaceuticals with wide applications of medicines and nutraceuticals. Formulation of medicated chewing gum includes many ingredients with mixture of the water soluble and water insoluble gum base i.e., softener, sweeteners, antioxidants, coloring agent, active substances etc and elastomers, fillers, waxes, emulsifiers respectively. Poorly water soluble drugs can be administered by complexation with cyclodextrin or other solubilization technique. Drug release from MCG can be increased by increasing the amount of softeners and emulsifiers in gum base and retarded by using hard gum base. A sustained drug release can be achieved by using microencapsulation or agglomerations or by using a solid system of lipophilic active ingredients bound to the cation

exchange resin. Medicated Chewing gum is used in prevention of dental caries, dryness of mouth and maintaining oral hygiene. A few digestive products and many gum based nutraceuticals industry targeting weight management, probiotics and vitamins, Muscular aches and headache with several other indications like xerostomia, antihistaminic, motion-sickness gums and anxiety.

A-151

Nano Technological Approach for Treatment of Glaucoma

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Abstract:

Glaucoma is a group of eye diseases which result in damage to the optic nerve and vision loss. The most common type is open-angle glaucoma with less common types including closed-angle glaucoma and normal-tension glaucoma. Open-angle glaucoma develops slowly over time and there is no pain. Side vision may begin to decrease followed by central vision resulting in blindness if not treated. Closed-angle glaucoma can present gradually or suddenly. The sudden presentation may involve severe eye pain, blurred vision, mid-dilated pupil, redness of the eye, and nausea. Vision loss from glaucoma, once it has occurred, is permanent. In the present work polymeric Nano fibers was developed for the effective treatment of glaucoma using timolol maleate and dorzolamide hydrochloride as model drugs. The Nano-fibers were prepared by electro spinning technique and were characterized on the basis of fiber diameter, morphology, entrapment efficiency, mucoadhesive strength, and drug release behavior, etc. Final formulations were inserted in the cul-de-sac of glaucoma induced rabbits and the efficacy of the formulation was evaluated. The results clearly indicated the potential of the developed formulation for occur drug delivery. There was a significant fall in the intraocular pressure compared to commercial eye drops.

Keywords: Nano-Fiber Patch, Intraocular Pressure, Mucoadhesive Strength, Draize Test

A-152

Formulation and Evaluation of Medicated Nail Lacquer of Butenafine HCl for Effective Treatment of Nail Disorders

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Abstract:

In the current research, medicated nail lacquer of Butenafine HCl for Effective treatment of nail disorders was formulated. The drug delivery via nail plate is done due to association of several disorders into nail, for e.g. onychomycosis, psoriasis, paronychia, and onycholysis. The objective of current study is to focus on nail delivery for the treatment of nail disorders by using nail lacquer. These nail lacquer contains drug and film former as a major constituent, after application it leaves as film in the surface of application on evaporation of the volatile solvent. For the treatment of nail disorders, it is difficult to delivered the drug through nail so there are some chemical, Physical, Mechanical penetration enhancers Like-Salicylic acid, Urea and Thioglycolic acid etc, which reduces the nail barrier and improve drug penetration through nail. The medicated nail lacquer were prepared by simple mixing method. The prepared nail lacquer was evaluated for drying time 45-49sec, gloss was satisfactory, nonvolatile content was 0.97-1.57 was seen with complete evaporation of volatile matter leaving a thin film and water resistance of the formulations was also high and in-vitro permeation studies was carried out on Hooves from freshly slaughtered cattle in Franz diffusion cell pH 7.4 buffer for up to 12 hrs. Thus, it can be concluded that the film forming polymer act as a matrix system for sustained or controlled release of the drug into the nail.

Keywords: Medicated Nail Lacquers, Nail Disorders, Antifungals, Penetration Enhancers

A-153

Formulation, Optimization & Evaluation of Stavudine Extended Release Tablets by Geomatrix Technology

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Abstract:

The present study was to formulate and evaluate geomatrix tablets of Stavudine. Stavudine is a nucleotide analog drug used in the treatment of acquired immune

deficiency syndrome (AIDS) has been incorporated into directly compressed geometrices where excipients like Eudragit, ethyl cellulose, HPMC, MCC, aerosil and magnesium stearate were used. Polymers are water soluble, insoluble and acid resistant polymers. Formulation was optimized on the basis of acceptable tablet properties (weight variations, drug content hardness, friability) and *in-vitro* drug release. The resulting formulation produced robust tablets with optimum hardness, consistent weight uniformity and low friability. The optimized formulation F4 was found to have good Geomatrix integrity throughout study. The drug release study was carried out at $37\pm 0.5^\circ\text{C}$ in phosphate buffer of pH 7.4 for 24 hrs. It was found that the drug release profile of these formulations were uniform and sustained throughout the study period. The drug release kinetics of prepared tablets was evaluated for different kinetic models. The regression values of the optimized formulations were found to higher (0.987) in Higuchi model indicating drug releases by diffusion. The stability studies were carried out according to ICH guidelines which indicate that the selected formulations were stable.

Keywords: Controlled release, Ethyl cellulose, Eudragit RL 100, Geomatrix, Stavudine, HPMC.

A-154

Development of Valsartan Pediatric Formulation using Lipid Based Co-crystal for Pediatric Hypertension

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Abstract:

The incidence of hypertension in the pediatric and adolescents is increasing due to lifestyle changes. Based on data in adults, Valsartan is drug of choice and it is considered as one of the preferred agents in hypertensive children with diabetes. The available pediatric formulations in Indian as well as in global market consists of reconstitution type products using Valsartan Tablets. In current work, valsartan's solubility was improved using lipidic excipient. The solubilized valsartan was processed to yield Valsartan Co-crystals. These were characterized for NMR, DSC, XRD and SEM. The Powder XRD diffractogram of plain valsartan shows characteristic peaks at 13.62° , 19.94° and 221.12° with high intensity. Whereas, XRD of co-crystals showed disappearance of these peaks, indicating formation of amorphous nature. SEM studies indicated the porous nature of

co-crystals and total disappearance of needle shaped irregular particles as observed for drug. Solubility studies for co-crystals was studied in co-solvents and at different pH conditions. Further, these were incorporated into suspension dosage form using suitable excipients. Dissolution of developed dosage form was comparable with VALZAAR® tablets 40 mg in pH 6.8 phosphate buffer at absorption wavelength of 250 nm. The apparent permeability constant was found to be 2.6699×10^{-6} cm/s.

Keywords: Valsartan, Co-crystals, Pediatric hypertension, Lipid

A-155

Formulation, Development and Evaluation of Lornoxicam: Bilayer Tablets

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Abstract:

The objective of the present study was to develop bi-layer tablets of lornoxicam, a highly potent nonsteroidal antiinflammatory drug with short half-life, that are characterized by initial burst drug release in the stomach and comply with the release requirements of sustained-release products. Each of the proposed bi-layer tablets is composed of an immediate-release layer and a sustained-release layer, anticipating rapid drug release that starts in the stomach to rapidly alleviate the symptoms and continues in the intestine to maintain protracted analgesic effect. Immediate release layer prepared by using dry granulation method in which ac-di sol used as a disintegrant for immediate release of drug, roll compaction of drug with sodium citrate which act as buffering agent and create basic microenvironmental pH inside the tablets favorable to drug release in acidic conditions. Sustained release layer formulated by using HPMC as release retardant, two grades of HPMC that are HPMC K4M and HPMC K100M used to get sustained release profile for 24 hr. various trial batches are taken to get desired release profile. Batch F8 formulate as bilayer tablet in which drug as to sodium citrate ratio taken 1:5 show maximum drug release 24.67 % for 1 hr in immediate release layer and drug release 98 % for 24 hr in sustained release layer is selected as optimized batch of bilayer tablet formulation. All the prepared bilayer tablets showed acceptable physical properties before and after storage.

Keyword: Lornoxicam, Ac-di sol, HPMC Grades

A-156

Solubility, Dissolution and Bioavailability Enhancement of Piroxicam by Poly Ethylene Glycol Solid Dispersions

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Abstract:

The current study was aimed to reveal the best polyethylene glycol (PEG) as carrier to increase the solubility, dissolution and bioavailability of BCS class II drug (Piroxicam) as model. The solubility nature of Piroxicam in different ratios of PEG 1500, 3350, 4000, 6000, 8000 and 20000 in distilled water was studied at $37 \pm 1^\circ\text{C}$. The solubility of Piroxicam was found to enhance with increased quantity of PEG 1500, 3350, 4000, 6000, 8000 and 20000. The Piroxicam solid dispersions (PSD) with PEG 1500, 3350, 4000, 6000, 8000 and 20000 were fabricated using 1:1 and 1:2 (Piroxicam:PEG) ratio by fusion method. The compatibility of Piroxicam with PEG used were checked by differential scanning calorimetry (DSC) and Fourier transform infrared (FTIR) spectroscopy. The FTIR spectroscopic studies revealed that there is no chemical interaction between Piroxicam and PEGs used. The solid dispersions prepared with PEG 6000 exhibited enhanced solubility, dissolution and bioavailability of Piroxicam compared with other PEGs used.

Keywords: Piroxicam, Solubility Enhancement, Fusion, Solid dispersion.

A-157

Development and Characterization of Tailored IPN Hydrogel Beads for Oral Controlled Release Delivery of Furosemide

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Abstract:

The IUPAC definition of IPN is "a polymer comprising two

or more networks which are at least partially interlaced on a molecular scale but not covalently bonded to each other unless chemical bonds are broken. A mixture of two or more pre formed polymer networks is not an IPN". Interpenetrating network (IPN) beads of modified cellulose containing Furosemide, a loop diuretic were prepared by single water in water (w/w) emulsion gelation process, with tailored sodium carboxy methyl xanthan and sodium carboxy methyl cellulose, using AlCl_3 as cross-linking agent. The influence of different formulation variables like polymer ratio, gelation time, concentration of cross-linking agent on in-vitro physico- chemical parameters were performed. The tailored IPN bead were analytically evaluated by Attenuated total reflectance Fourier Transform Infra-Red (ATR FTIR) Spectroscopy, X-ray diffraction (XRD) and Differential scanning calorimetry (DSC) analysis. ATR-FTIR and DSC study reveals the potentiality of conversion of furosemide acid form to an amorphous sodium furosemide salt, during process development of IPN hydrogel beads which may improve the intrinsic dissolution rate of furosemide in gastric media, which may eventually increase the bioavailability of furosemide administered by IPN hydrogel beads through oral route.

Key words: IPN, Hydrogel Beads, Furosemide, DSC

A-158

Design, Development and Evaluation of Atorvastatin Calcium (ATC) Mucoadhesive Microspheres to Enhance the Bioavailability through a Novel Formulation Approach

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Abstract:

The aim of this study is to formulate Chitosan mucoadhesive microspheres of ATC using Denaturation technique. Low oral bioavailability of ATC (14%) due to high first-pass effect makes it as prime target for oral sustained drug delivery. ATC was encapsulated by Denaturation method using chitosan mucoadhesive polymer. 9 formulations of ATC were prepared by using different drug polymer ratios (1:1, 1:2 and 1:3). The formulation MF8 was selected as an ideal formulation based on the *in vitro* release profile which shows an extended drug release of 79.28% at the end of 24 h in 0.1 N HCl. SEM analysis and drug-polymer interaction studies were performed only for the ideal formulation, MF8. The *in vitro* release data of

all mucoadhesive microsphere formulations were plotted in various kinetic equations to understand the mechanisms and kinetics of drug release. The ideal formulation, MF8 followed Zero order (0.978) and value of "n" is calculated to be 1.061 indicated that the drug release shows Super Case-II Transport. The increased relative oral bioavailability (f_r) of test formulation (MF8) was 1.44 folds when compared to control formulation. The developed mucoadhesive microspheres of ATC may be used for prolonged drug release, thereby improving the bioavailability.

Keywords: Atorvastatin Calcium, Denaturation method, MF8, Bioavailability, Narrow Absorption Window Drugs.

A-159

Improving the Bioavailability of Silver Sulfadiazine by Microemulsion Gel Formulation :A Transdermal Approach

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Abstract:

The promising field of NDDS extends this approach to help design carrier systems that can be tailored to suit the target site. Gelling micro emulsion drug delivery system is a novel approach for the formulation of drug compounds for transdermal. This approach combines advantages of both solutions as well as gels. Gel-forming system prolongs the residence time of the drug and improves transdermal bioavailability. Microemulsion is one of the most promising approaches towards overcoming the formulation difficulties of lipophilic drugs. Silver sulfadiazine used to treat mild to serve burnt surfaces and chronic ulcers. Silver sulfadiazine, being structural analog of PABA, selective inhibits bacterial folate synthase. Our aim was to design the formulation that will not be difficult to remove at the same time not easily washed off i.e. combine the advantages of microemulsion and gel. Microemulsion gel was formulated using olive oil, Tween80 (Surfactant), Water & Carbopol 940 as gelling agent . The 3² factorial design was used for optimization of formulation. The data obtained was evaluated using Stat Ease Design Expert Software and analyzed statically. The r^2 was high indicating the adequate fitting of the quadratic model. Gel microemulsion improves the therapeutic efficacy of drug due to greater penetration as the presence of surfactants increase the membrane permeability. The presence of the gelling polymers

in this microemulsion is expected to prolong residence on the skin.

Keywords: Silver sulfadiazine, Olive oil, Tween 80, Carbopol 940, Prolonged Residence

A-160

Development and Evaluation of Solid Self Emulsifying Drug Delivery System of Lercanidipine Hydrochloride

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Abstract:

Solid Self Emulsifying Drug Delivery System (SEDDS) was developed for the improvement of solubility and bioavailability of poorly water soluble drug Lercanidipine Hydrochloride which has very less water solubility (0.000165mg/ml) and absolute bioavailability of 10%. The Liquid Self Emulsifying Drug Delivery System concentrate was prepared using different oils, surfactants and cosurfactants which are screened based on saturation solubility studies. The SEDDS prepared using oil as peppermint oil, surfactant as propylene glycol, cosurfactant as PEG 400 showed very good self emulsification property. The prepared SEDDS were subjected for evaluation of various parameters like self-emulsification property, FTIR studies, DSC studies, viscosity, globule size determination, zeta potential determination, robustness to dilution, thermodynamic stability studies. Liquid SEDDS showed good emulsification with globule size 357.2nm and PDI 0.491. The optimized SEDDS formulation with 20% oil, 7% surfactant, 63% cosurfactant and 10% drug was used for preparation of Solid SEDDS following physical adsorbent technique using Avicel PH 101 as inert solid adsorbent in 1:4 ratio. The results of our study concludes that the Avicel PH 101 can be used for the preparation of solid SEDDS to improve the solubility and bioavailability of poorly water soluble drug Lercanidipine Hydrochloride.

Keywords: Solid SEDDS, Lercanidipine Hydrochloride, Pseudo Ternary Phase Diagram, Self-Emulsification, Avicel PH 101.

A-161

Vegetable Capsules: Recent Advances and Applications

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Abstract:

Vegetable capsules are mainly obtained from plant and its products including cellulose. These are emerging as novel concept that replaces the usage of gelatin or non-vegetable capsules. Non-vegetable capsules are not preferred by most people because they are prepared from animal skin. In vegetable capsules, Hydroxypropyl methyl cellulose (HPMC) is mainly used to manufacture the capsule shell. HPMC capsules expand the range of capsule applications. HPMC is available in methoxy and hydroxypropoxy groups. These groups affect many of the HPMC properties such as gelation temperature, viscosity, flexibility and hydration. This material like beige powder or granules, practically insoluble in hot water, in acetone, in dehydrated ethanol and in chloroform, but dissolves in cold water giving a thermal gelation property. The animal source of gelatin can be a quandary for certain consumers such as vegetarians or vegans and religious or ethnic groups, Since unmodified gelatin is prone to cross linking when in contact with aldehydes, solubility problems might be expected with certain fill formulations. The non-gelatin capsule shells are made up of such as Starch, HPMC, PVA, and Alginate. The main objective of this review is to compile the data and cover the applications of vegetable capsule; its shells and its future benefits.

Key words: HPMC, Vegetable Capsule Shell, Gelatin, Hypromellose, Dissolution, Alginate

A-162

Fabrication of Quercetin Loaded Mannosylated Liposomes for Skin Carcinoma

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Abstract:

The major source of skin cancer is solar ultraviolet (UV) radiation. In the present study the thin film hydration method was employed to prepare the Quercetin loaded Liposomes

(QuLps) with improved drug incorporation and loading properties. The response surface method with quadratic model was employed to study the effect of selected parameters in the formulation of Liposomes. Delivery system F₅ (with Drug: lipid ratio 1:45), sonication time 20 min. showed maximum drug entrapment efficiency (51%) and Drug loading capacity 2.89% and maximum drug release 31.4% and the mannosylated form of these formulation showed 39.1% entrapment efficiency, 1.79% drug loading capacity. These optimized nanocarrier also showed diffusion controlled prolonged release of medicament as indicated shown by *in-vitro* drug release studies. The average size of the optimized formulation were around 119 nm and the average diameter of mannosylated form were 120.7 nm and zeta potential value -26.2 mV and -27.3 mV respectively. The response variable of optimized formulation was found to be percentage drug entrapment efficiency 62%, 3.89% drug loading capacity and 36.7% drug release. The drug entrapment efficiency of the Liposomes increases with lipid ratio. The method selected in the study allowed good loading capacity and good entrapment efficiency of Quercetin. All the result provides supplementary evidences that the Quercetin loaded Liposomes (QuLps) have good entrapment efficiency and drug loading capacity than the mannosylated Quercetin loaded Liposomes (M-QuLps).

Keywords: Skin Cancer, Quercetin, Mannosylated Liposomes, Thin Film Hydration Method.

A-163

Development of Nanosuspension for Improved Dissolution and Bioavailability of Gliclazide

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Abstract:

Gliclazide is an oral anti-hyperglycemic agent used for the treatment of non-insulin-dependent diabetes mellitus. Gliclazide is BCS class II drug having poor aqueous solubility and dissolution rate thus resulting in variable bioavailability. The present study aims at formulating and evaluating nanosuspension of gliclazide. Gliclazide nanosuspension was prepared by precipitation-ultrasonication method. For the optimization of Gliclazide nanosuspension, a 3² full factorial design was selected. Gliclazide nanosuspension was evaluated

for particle size, zeta potential, morphological evaluation by FESEM, FTIR analysis, differential scanning calorimetry (DSC), X-ray diffraction, drug content, drug release and bioavailability study in wistar rats. For the optimized Gliclazide nanosuspension formulation (F9), the particle size was found to be 160.8 nm and drug content 96.25 %. The drug release after 8 h of dissolution was 89.73 ± 2 % as compared to dissolution (43.528 ± 1.01 %) of pure drug. XRD study exhibited presence of drug in nanocrystalline form. DSC studies exhibited lowering of the endotherm which indicated changes in the crystallinity of Gliclazide during nanosuspension. FTIR spectra indicated no chemical change in the formulation during nanosuspension preparation. The oral bioavailability was evaluated by C_{max} and AUC_{0-24} value and was found to be approximately 1.5 fold and 9.6 fold greater when compared to gliclazide pure drug. Conclusively, nanosuspension formulation is a promising alternative approach for oral delivery of Gliclazide with improved bioavailability.

Keywords: Precipitation, Ultrasonication, Nanosuspension, Gliclazide, Bioavailability

A-164

Formulation and Evaluation of Dapsone Anti-Acne Gel

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Abstract:

Acne vulgaris is a worldwide skin disease. Acne vulgaris is a disease of pilosebaceous unit of skin. It is characterized by the formulation of open and closed comedones, papule, pustule, nodules and cysts. It is the most common disorder treated by dermatologists. Topical treatments are used for various diseases and disorders. For acne treatment, both oral and topical routes are used. Topical route is better route for site specific delivery. Dapsone has antibacterial and anti-inflammatory activities. In present study, the anti-acne gel of Dapsone was prepared by using Transcutol-P as a solubilizing agent and various gelling agents viz. Carbopol-934, Carbopol-940, HPMC K-100M, HPC and HEC. The prepared gels were characterized for appearance, pH, viscosity, spreadability, drug content and in-vitro drug diffusion. Maximum % of drug diffused after 5 hours was found to be 55.07% from 1% Carbopol-934 gel.

Keyword: Acne vulgaris, Anti-acne, Dapsone, Transcutol-P, Carbopol-934.

A-165

Process (FBP) & Validation of Donepezil Hydrochloride Coated Pellets for Oral Drug Delivery

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Abstract:

Development of consistent reproducible quality product which meets its intended therapeutic application is primary aim of any pharmaceutical development. Applying principles of QbD is structured, risk based, proactive scientific approach for pharmaceutical development which helps in understanding process to produce reproducible quality product. Recently formulation of multiparticulate system for oral drug delivery is gaining popularity due to its various advantages over single dosage forms. It provides various therapeutic and technological advantages over process such as reduced subject variability, flexibility of tailoring doses without much variation in the process, pliability of blending of various release profiles, reduced risk of local GI irritation etc. In the present study donepezil hydrochloride coated pellets were developed and optimized using FBP technology. Donepezil is reversible inhibitor of acetylcholinesterase and mostly used in treatment of dementia and attention deficient disorders. Development of pellet formulation was adopted considering its technological advantages and commercial flexibility and increasing popularity. In purview of this, main focus of this study is to provide robust, rugged and sophisticated Wurster coating process using FBP for development of coated medicated pellet formulation by utilizing quality by design (QbD) concept.

Keywords: Donepezil, Alzheimer's Disease, Fluidized Bed Processor, QbD.

A-166

Application of Mixed Cosolvency and Solid Dispersion in Improving Solubility and Dissolution Rate of Piroxicam

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Abstract:

The mixed cosolvency approach utilizes the phenomenon of using two or more hydrotropes in their optimum concentration to increase the solubility of poor water soluble drugs. The present research focuses on to evaluate the extent of solubility enhancement of piroxicam, a poorly water soluble BCS class II drug, using mixed cosolvency approach. Hydrotrope (urea, sodium benzoate and nicotinamide) blends in ratios 1:1, 1:2 and 1:3 were dissolved in water and solubility of piroxicam was determined by shake flask method. Sodium benzoate and urea in ratio 2:1 gave best solubility enhancement ratio of 113.3. This blend was used in formulating solid dispersions of piroxicam in different ratio 1:1, 1:5 and 1:10. The in vitro drug release studies revealed that increasing the concentration of hydrotropes in the solid dispersion enhanced the dissolution rate of piroxicam. The pure piroxicam released 27.5% as compared to 84.9% from SD1, 87.5% from SD2, and 93.8% from SD3 in 30 minute in 0.1 N HCl. On comparing the drug release of piroxicam SDs with the marketed formulation of piroxicam (Pirox 20 mg), it was found that after 30 min 84.4% drug was released from marketed formulation. DSC and XRD studies confirmed the reduction in crystallinity of piroxicam in prepared solid dispersions. We observed a synergistic effect of two hydrotropes taken together in optimized ratio for increasing the solubility of piroxicam. Further, solid dispersion of piroxicam with binary hydrotropic blend was successfully employed in increasing dissolution rate of piroxicam.

Keywords: Hydrotrope, Aqueous Solubility, Nicotinamide, Urea, Tablet

A-167

Formulation, Characterization and Evaluation of Oral Medicated Jelly of Paracetamol using Natural Polymers

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Abstract:

Oral medicated jelly formulations offer rapid dissolution and absorption of drugs thereby ensuring an early onset of action. These formulations are easily taken by paediatric and dysphagic patients. In the present study, an attempt was made

to formulate, characterize and evaluate oral jelly formulations of paracetamol (PCM). PCM oral jellies were prepared by employing natural polymers such as pectin, guar gum, xanthan gum alone and their combinations. The physical parameters, weight variation, pH, spreadability, viscosity, syneresis, *in vitro* dissolution testing, content uniformity and stability studies were performed. DSC studies of formulations depicted an absence of melting endothermic peak of drug indicating the presence of PCM at molecular level. FTIR studies exhibited that there was no interaction between PCM and carriers. The pH of prepared formulations was found in the range of 6.27 to 7.06. The spreadability was found to be directly proportional to polymer concentration and found between 4.02 and 20.13 g.cm s⁻¹. The viscosities of jellies were between 3161 and 9751 cps depending upon concentration of polymers. Syneresis was more pronounced in jellies having lower concentration of gelling agent. Formulations F5 (pectin-guar gum) and F7 (pectin, guar and xanthan gum) exhibited 86.21% and 67.26% drug release in 15 minutes. The formulations F5 and F7 were found to be stable for 90 days and revealed no significant changes in physical characteristics and drug content.

Keywords: Paracetamol, Medicated Jelly, Paediatric Patients, Natural Polymers

A-168

Implementation of Quality by Design Principles in the Development of Self-Assembled Polymeric Micelles

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Abstract:

The present study aimed to improve the permeability of ceftazidime a class III drug via formulating Polymeric micelles by direct dissolution method using quality by design approach. Quality target product profile (QTPP) and critical quality attributes (CQA) were defined and identified, accordingly. The preliminary formulation was prepared using Plackett Burman design as tool for Quality Risk management (QRM). Critical parameters were identified based on results obtained from pareto charts along with literature data, product and process knowledge and understanding. Quality risk analysis identified effect of co solvent and type of surfactant as CMA(Critical Material Attribute). The relationship between identified CMA and Vesicle size, percent entrapment and drug release as CQA was described in the design space using design of experiments –

Box-Beckham Design response surface method. Obtained results from statistically designed experiments enabled establishment of mathematical models and equations that were used for detailed characterization of influence of identified CMA upon Polymeric micelle vesicle size, percent entrapment and drug release and their subsequent optimization. The comparative pharmacokinetic data obtained by IV dose of marketed formulation and Polymeric micelle confirm faster absorption and higher C_{max} values for the optimized formulation.

Keywords: Polymeric Micellers, Ceftazidime, Quality by Design

A-169

Formulation and Development of Doxycycline Medicated Chewing Gum by using Biodegradable Natural Gum Base

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Abstract:

Medicated chewing gum is a drug delivery system consisting of a gum material through which active drug is delivered for local treatment of mouth diseases or systemic absorption. Comparative study was done to make doxycycline medicated chewing gum by using synthetic directly compressible gum base and biodegradable wheat protein which is extracted from wheat flour and prepared by direct compression technique. Formula for Medicated chewing gum was prepared by optimizing both the concentration of gum base and plasticizers i.e glycerol and sweeteners, flavouring agent, lubricant, anti-adherent etc. Prepared powder blend and directly compressible chewing gum was evaluated for various pre-formulation parameters and weight variation, drug content, hardness and *in vitro* drug release. Increase in concentration of gum base increases hardness and decreases drug release. Increase in concentration of plasticizer increases softness of product and drug release. An optimized formulation of wheat protein gum base and glycerol shows 89% drug delivery compared to formulation containing synthetic gum base which shows 85% drug release within 30 min. Synthetic gum base which are currently used in chewing gums does not get degraded in environmental conditions but wheat protein is biodegradable and a potential gum base for medicated chewing gum.

Keywords: Doxycycline Chewing Gum, Medicated Chewing Gum, Gingivitis, Periodontitis

A-170

Clotrimazole Cubosomes for Enhanced Antifungal Activity: Formulation, Development and Evaluation

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Abstract:

Clotrimazole (CTZ) is a poor water soluble antifungal drug that is most commonly employed as a topical treatment in management of candidiasis. The present work focused on the formulation and evaluation of CTZ loaded cubosomal gel, developed for topical fungal infections. The objective of the present work is to develop cubosomal gel formulation of clotrimazole (CTZ) to encapsulate high drug load for improved therapeutic efficacy and that could retain the drug in the skin for longer duration of time which not only enhances its antifungal action but also accelerates the healing process. Cubosomes were prepared by top-down technique using different concentrations of GMO and poloxamer 407 and optimized by quality by design approach. The prepared formulations were evaluated by TEM, % entrapment efficiency, particle size distribution, rheology, *in vitro* drug release and *in vitro* antifungal activity. TEM revealed the internal cubic structure of vesicles. The optimized cubosomal dispersion exhibits particle size 105.19 ± 0.27 nm and 92.4 ± 0.10 % entrapment efficiency. Further, comparative evaluation studies showed significantly higher antifungal activity of CTZ loaded cubosomal gel as compared to conventional suspension of CTZ against *Candida albicans*. The study altogether indicated that clotrimazole loaded cubosomal gel can serve as a potential topical antifungal gel to treat the fungal infections like candidiasis.

Keywords: Clotrimazole, Optimization, Cubosomes, Candidiasis, Topical drug Delivery

A-171

Formulation and Evaluation of Transdermal Patches of Ketoprofen

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Semalty

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Abstract:

Main objective of present work was to formulate and evaluate the transdermal patches of Ketoprofen for effective transdermal drug delivery. Patches were formulated by solvent cast method using two different ratios of ethylcellulose and hydroxypropylmethyl cellulose (3:7 & 4:6). Polyethylene glycol (PEG400) and propylene glycol were used as plasticizer and permeation enhancer. Eight formulations (F1-F8) were prepared and evaluated. Formulation F2 showed highest percent drug content of 78.12%. FTIR analysis showed no interactions between drug and polymers. Weight uniformity test showed weight of formulations ranging from 145 ± 1.9 mg (F8) to 161.2 ± 1.5 mg (F6). Thickness of patches was in the range of 2.00 mm to 2.40 mm indicating uniformity in thickness. Folding endurance test showed that patches under stress does not break and retained their integrity. Moisture content analysis indicates no significant effect of concentration of permeation enhancer and plasticizer on moisture content. Percent elongation and tensile strength analysis indicates that patches have adequate strength. Scanning electron microscopy showed that formulations F2, F4, F6, F7 and F8 have smooth surfaces whereas formulations F1, F4, F5 have rough surfaces. *In-vitro* permeation studies showed that percent drug release of formulations was in the range of 57.4% (F8) to 64.5% (F2) at end of 9 hours of study and was slow and prolonged over the period of study.

Keywords: Transdermal Patches, Ketoprofen, Solvent Cast Method, Ethylcellulose, Hydroxypropylmethyl Cellulose

A-173

New Supermolecule of Etodolac: Characterization and Evaluation

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Abstract:

Supermolecules represent a novel, promising and versatile approach for optimizing the physicochemical properties of poorly water soluble drugs. The present work has emphasized on the preparation, characterization and

biopharmaceutical evaluation of new supermolecule of BCS Class II anti osteoarthritis drug, Etodolac (ETD) with 6-chloronicotinic acid (6CNA) as coformer. The prepared supermolecule was characterized by using various analytical tools such as differential scanning calorimetry (DSC), fourier transform infrared spectroscopy (FT-IR) and powder X-ray diffraction (PXRD). DSC scan of new solid form shows the appearance of single endothermic transition at 138.37°C different from the melting peaks of both the drug (151.85°C) and coformer (193.51°C) indicating the formation of new stable phase. FTIR study indicated shifting of amide and carbonyl peaks between participating molecules and appearance of new peaks in PXRD pattern suggesting formation of new cocrystal (ETD-6CNA). Equilibrium solubility study of ETD-6CNA showed improvement in solubility as compared to pure drug. Thus supramolecular chemistry approach has potential in ameliorating the dissolution limited bioavailability of poorly water soluble drugs.

Keywords: Etodolac, Supermolecule, Cocrystal

A-174

Preparation of Nanosponges of Loratadine

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Abstract:

In this study, loratadine loaded nanosponges were prepared by emulsion solvent evaporation method using ethyl cellulose as polymer & poly vinyl alcohol as cross-linker. A 32 full factorial design was applied to investigate the effect of the EC: PVA ratio (1:2, 1:3, 1:4) and the stirring rate (1000, 1450, 1700 rpm) as independent variables. Nanosponges were evaluated for particle size, percentage entrapment efficiency, SEM and *in vitro* drug release. FTIR studies indicated compatibility of the drug to polymer. Increase in entrapment efficiency and decrease in particle size was observed by increasing polymer to cross-linker ratio. The optimized parameters were, polymer crosslinker ratio of 1:2 and 1450 rpm as speed for the best for-

mulation. SEM studies revealed that the prepared nanosponges were spherical & porous. The formulation was subjected to in vitro drug release study (USP-II apparatus). In vitro drug release studies were conducted in 0.1N HCl and phosphate buffer solution, pH 6.8 and found to be 92.63% (120 min) and 32.4% (8 h) by pure drug and 74.29% (180 min) and 82.6% (8 h) by nanosponges in both the media respectively. The optimised nanosponges were loaded in the carbopol gel for topical release of the drug. The gel was prepared and evaluated for pH, spreadability, viscosity, drug content and in vitro drug release using cellophane membrane. The pH was found to be 7.46, spreadability was 9.8 cm and drug content was 8.9%. Viscosity was found to be 40,000 cps at rpm 10. In vitro drug release was found to be 31.3% after 6 h of diffusion. In conclusion, the present study was dealt with the formulation, optimization and evaluation of nanosponges for improving solubility of drug, stability and controlled release of loratadine orally as well as topically.

Keywords: Loratadine, Ethyl Cellulose, Solubility, In Vitro Drug Release Study, Carbopol Gel

A-175

Design and Evaluation of Prednisolone Microparticles for Colonic Drug Delivery

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Abstract:

A pH dependent polymer, Eudragit S100 and HPMC were used to prepare microparticles of prednisolone for targeted delivery to colon. Solvent evaporation method was employed to prepare prednisolone microparticles. Prepared microparticles were evaluated for various evaluation parameters like drug

content, particle size, surface morphology, and *in vitro* drug release. Particle size of the prednisolone microparticles ranged between 84-200 μm . The drug content in the microparticles was 92.08%. Scanning electron microscopy revealed that prepared microparticles were spherical with many pores on their surfaces. Microparticles prepared with the blend of Eudragit S100 and HPMC showed extended release of prednisolone at pH 7.4, when compared to Eudragit S 100 microparticles. Prednisolone microparticles were compressed to tablets by direct compression. Prepared tablets of prednisolone microparticles maintained their drug release profile. Drug release from tablets followed Korsmeyer- Peppas model. The tablets of the prednisolone microparticles were prepared which were also evaluated for drug release studies which showed the drug release over 8 hours. The targeted and the delayed release of prednisolone from microparticles can be used for the treatment of inflammatory bowel disease.

Keywords: Inflammatory Bowel Disease, Eudragit S100, Solvent Evaporation, Direct Compression, Tablet

A-176

Formulation and Evaluation of Simvastatin Immediate Release Tablet Based on Liquisolid Compact Technique

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Abstract:

Simvastatin is a drug of choice of first line of treatment of hyperlipidemia. It is poorly water-soluble drug which belongs to BCS class II (Low solubility and High permeability) and its half-life is 3 hr. with less than 5% bioavailability. There are several techniques to enhance the dissolution of poorly soluble drugs. Among them, the technique of Liquisolid compacts is a promising technique. Attempt was made to investigate the use of liquisolid technique in improving the rate of dissolution of Simvastatin in solid dosage form. The Liquisolid tablets were formulated by using propylene glycol (PG) as liquid vehicle, microcrystalline cellulose (Avicel PH 200) as a carrier material, silica (Aerosil® 200) as a coating material and sodium starch glycolate (SSG) as a superdisintegrant. The new mathematical model and 32 full factorial design was utilized to formulate various Liquisolid powder systems. The selected independent variables were % concentration of PG (X1) and Carrier: coating ratio (X2). The dependent variables were % cumulative drug release at 25 minutes (Y1), Disintegration time (Y2), and

Angle of repose (Y3). All the prepared Liquisolid batches were subjected to flow properties, hardness, weight variation, friability, disintegration time, drug content uniformity and *in vitro* dissolution tests. Liquisolid system was also tested for DSC and FT-IR. DSC and FT-IR study suggested loss of Simvastatin crystallinity upon liquisolid formulation, indicating that drug was held in molecularly dispersed state, which leads to enhanced drug dissolution properties. All the tested liquisolid tablet formulations showed higher drug dissolution than the pure API, marketed formulation and directly compressible tablets of Simvastatin.

Key words: Simvastatin, Liquisolid Tablets, Immediate Release Tablets, Solubility, Dissolution

A-177

Formulation and Evaluation of Matrix Tablets of Novel Dammar Gum Derivatives

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Abstract:

The objective of present investigation was to design semisynthetic derivatives of naturally occurring Dammar gum (DG) obtained from *Shorea wiesneri*, by amide coupling to enhance its aqueous solubility. Derivatization was confirmed by using thin layer chromatography and Fourier transform Infrared spectroscopy. Dammar gum derivatives (DGD I and DGD II) were characterized by melting point, acid value, differential scanning calorimetry and solubility studies. The solubility studies revealed 200 to 300 fold increase in aqueous solubility. Matrix sustained release tablets of (model drug) Diclofenac sodium was formulated using DGD I and DGD II as matrix forming agents. All the formulated batches were evaluated for parameters like hardness, friability, thickness, weight variation, percentage *in vitro* drug content and drug release. The release pattern revealed matrix tablet formed using derivatives showed sustained release as compared to that of Dammar gum, with % drug release of 71.20% and 70.23% after 12 hour, with DGD I and DGD II respectively. The results obtained are comparable with marketed formulations and with diclofenac sodium matrix tablets prepared by using HPMC-100. The kinetic model which DGD I and DGD II follows are Hixson crowel and zero order kinetic respectively. Thus it can be concluded that

the prepared derivatives could be successfully used in drug delivery application as matrix forming agent.

Keywords: Dammar gum, Matrix Forming agent

A-178

Formulation, Optimization & Evaluation of Mouth Dissolving Tablets of an Antihistaminic Drug using Solid Dispersion Technique

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Abstract:

Major objective of present work was to prepare “mouth dissolving” tablet of a poorly soluble drug Cinnarizine, by using solid dispersion technique to improve its solubility. Solid dispersion of the drug was prepared using carriers, Polyethylene glycol (PEG)-4000, Polyethylene glycol (PEG)-6000 and Polyvinyl (PVP)-K 30 by solvent evaporation method, physical mixture and fusion method. Solid dispersion of cinnarizine was evaluated for drug content and *in vitro* dissolution study. 0.1 N HCl solution has been used for dissolution. The *in vitro* dissolution study showed the maximum increase in release rate of cinnarizine in its solid dispersion form with PVP-K30. The tablet was prepared by using superdisintegrants L-HPC, crospovidone and croscarmellose sodium. All the formulations were evaluated for weight variation, hardness, friability, drug content, *in vitro* disintegration time, wetting time and *in vitro* dissolution. The friability of the formulation was found to be maximum (0.8%) and minimum (0.6). Formulation with 9% L-HPC showed the less disintegration time (29s) and dissolution more than 90% drug release at the end of 5 minute.

Keywords: Solid dispersion, Superdisintegrant, Dissolution, Solubility, Mouth Dissolving Tablet

A-179

Formulation and Evaluation of Cream for Scabies and its Comparative In Vitro Diffusion Studies

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Abstract:

The present study was aimed to formulate a stable cream

for scabies with improved stabilities. The cream was prepared by dispersion method. The formulation was evaluated for FT-IR spectroscopy, solubility of API, Particle size, pH, homogeneity, spreadability, drug content, formaldehyde content, water content and comparative invitro skin permeation studies by discriminating between two diffusion media i.e. PBS:ethanol (50:50) and PBS:ethanol (20:80). The in-vitro permeation study was subjected to various mathematical models viz. zero order, first order, Peppas model and Higuchi model. The formulation was subjected to stability studies at 40°C/75% RH and 25°C/60% RH for a period 3 months. The formulation was found to be stable at both 40+ 2°C/75 + 5 % RH and 25+ 2°C/60 + 5 % RH. The developed cream containing API was found to effective for the treatment of scabies.

Keywords: Scabies, In-vitro Permeation, Higuci Model

A-180

Formulation and Evaluation of Ramipril Transdermal Patch

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Abstract:

A good Transdermal patch containing can be formulated by solvent casting technique using as film former and propylene glycol as plasticizer such Transdermal patches are advantages in providing effective treatment for Hypertension with enhanced patient compliance. From the in-vitro release results observed that the films prepared by using different ratios of HPMCK 15M, PVPK30 and EC Transdermal Ramipril patches were formulated using DBP as a plasticizer and DMSO as a penetration enhancer proved to exhibit better release characteristics. It can be reasonably concluded that Ramipril can be formulated into Transdermal patches to prolong its release characteristics. Thus the formulation HPMCK15M and Ethyl Cellulose was found to be the best for controlled release. The Cumulative drug release from Formulation RM4 was found to be 99.64% after 24 hrs. So the formulation RM4 is emerged as ideal formulation for Ramipril because it showed better release with sustained effect as compared to other formulations.

Keywords: Ramipril, Sustain Release, First Pass Metabolism, Solvent Casting Technique.

A-181

Transdermal Delivery of Pravastatin Loaded Microemulsion Based Drug Delivery System Developed By Central Composite Design

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Abstract:

The present study involves formulation and optimization of microemulsion based Transdermal therapeutic system to improve bioavailability of Pravastatin, an anti lipidemic agent. It is a low bioavailable drug (18%). Drug-Excipient compatability studies were conducted by FTIR. Capmul MCM was screened as oil phase; Tween 80 and Transcutol P were selected as surfactant mixture for microemulsions, due to their good solubilising capacity of Pravastatin. Water titration method was used for the preparation of microemulsions to construct pseudo-ternary phase diagrams by using CHEMIX software. The microemulsion was optimized using a three-factor, three-level Central composite design, the independent variables selected were oil (Capmul), surfactant mixture (Tween 80 and Transcutol) and water, dependent variables selected were size (Y1), flux (Y2), and Zeta potential (Y3). Mathematical equations and response surface plots were used to relate the dependent and independent variables. The prepared microemulsions were evaluated for various physico-chemical parameters, *ex vivo* permeation studies by using Franz diffusion cells. All parameters were within the acceptable limits. Optimized formulation composition was selected by feasibility and grid search. The optimized formulation was showed flux 86.6 ($\mu\text{g}/\text{cm}^2/\text{h}$), Zeta potential-33.8 \pm 15 mV, size 38 \pm 1.8 nm. The permeation of optimized microemulsion formulation showed 4.1 folds higher flux when compared with drug solution (21.08 $\mu\text{g}/\text{cm}^2/\text{h}$). Validation of the optimization study with 6 confirmatory runs indicated high degree of prognostic ability of response surface methodology.

Key words: Pravastatin, Transdermal, Chemix, Hyperlipidemia, Central Composite Design

A-182

Design and Evaluation of Microsphere Loaded Gel of Ketoconazole for Antifungal Activity

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Abstract:

In the current scenario, 40 million people have suffered from fungal infection in developed as well as underdeveloped nations, Candidal Infection are among the widespread superficial cutaneous fungal infections which leads to life threatening systemic candidiasis. The objective behind the research was to develop a stable microsphere gel of Ketoconazole which can be a better option for sustained release of the drug and formulation was evaluated for the antifungal activity in wistar rat. The prepared microsphere gel was evaluated for appearance, pH, drug content, spreadability, drug release, stability, skin irritation studies and antifungal activity. The pH and drug content of the gel was found to be 7.183 and 91.93% respectively. The diffusion of drug from microsphere loaded gel at 7 hrs and 24 hrs was found to be 44.39% and 73.01% for in vitro and 37.81% and 63.48% for ex vivo respectively. When compared with the conventional drug loaded gel, the release was found to be 83.14% and 92.51% at the end of 7 hrs and 24 hrs respectively for in vitro release and for ex vivo the release was found to be 78.63% and 92.02% respectively. The formulation was found to be non-irritant when applied on skin of wistar rat and a significant reduction in the colony formation was observed at the end of the study. A stable topical novel drug delivery of ketoconazole with sustained release was formulated.

Keywords: Ketoconazole, Microsphere, Candidiasis, Skin Irritation Studies, Drug Release

A-183

Formulation and Evaluation of Soya Lecithin Liposomes of Amphotericin B

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Abstract:

In the current study soya lecithin liposomes of Amphotericin B (Amp B) intended for topical use were formulated. The primary objective of research was to study the effect of concentration of soya lecithin and cholesterol on liposome formulation. Total six formulations (F1-F6) were formulated by thin film hydration technique using a rotary evaporator. Formulations were subjected to drug content

analysis, drug entrapment efficiency, particle size analysis, FTIR analysis, in vitro permeation study and scanning electron microscopy. Formulation F1 (Drug: Soya lecithin: Cholesterol ratio of 1:10:2) showed the highest value of drug content 86.08% and drug entrapment efficiency 67.62%, respectively. Study showed that an increase in concentration of soya lecithin and cholesterol lead to an increase in drug content and drug entrapment efficiency but up to certain degree only. FTIR analysis indicates no significant interactions between drug and polymers. SEM analysis showed that liposomes formulated were nonuniform in shape having rough surfaces. Particle size analysis was done by Zeta sizer. Formulation F1 have largest average particle size of 1081nm and formulation F4 have smallest average particle size of 556.0nm. Analysis showed that formulations were monodisperse in nature individually and higher the concentration of soya lecithin and cholesterol used smaller the size of liposomes. Permeation studies showed that formulation F4 showed highest drug release of 40.09% which indicates smaller the particle size higher the permeation of drug.

Key words: Liposome, Amphotericin B, Soya Lecithin, Cholesterol, Zetasizer

A-184

Development, Characterization and Evaluation of Self Nano Emulsifying Drug Delivery System of Lutein

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Abstract:

Lutein, one among several carotenoids, has been recognized as an important supplement in the prevention of ocular diseases especially, age-related macular degeneration (AMD) which is a leading cause of blindness in elderly people. Limited aqueous solubility and bioavailability hinders its clinical use despite of its important pharmacological activity. In present study, self nano emulsifying delivery system based dosage form of lutein was prepared to improve its aqueous solubility, dissolution profile and bioavailability. Ternary phase diagrams were constructed to identify the self-emulsifying regions. Optimized formulation was found to be transparent yellowish in appearance and thermodynamically stable. Globule size was found in nanometric size range of 29.5 ± 0.1 nm with zeta potential (τ) value of -16.7 ± 0.3 mV having the % transmittance

value of $99.6 \pm 0.09\%$ and 29.6 ± 0.7 sec of emulsification time. Lutein loaded liquid SNEDDS had faster *in vitro* release of $96.43 \pm 0.1\%$ in time period of 25 mins in comparison to pure drug showing the value of $37.4 \pm 0.98\%$ and marketed formulation having value of $42.2 \pm 1.12\%$. In *ex vivo* permeation study drug absorbed is 88.9% in 6 hrs which is 1.7 folds more than pure drug. Besides this, *in vivo* bioavailability study also showed 2.1 folds increase when compared to the pure drug. Formulation was found to be stable under accelerated stability conditions ($45^\circ\text{C}/75\%\text{RH}$) as per ICH guidelines. Hence, the result of present investigation demonstrated that self nano emulsifying delivery system is a promising approach for the effective oral delivery of lutein.

A-185

A novel approach in fabrication and characterization of self-micro-emulsified tablets (SMETS) of Naproxen

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Abstract:

Naproxen (NPX), a propionic acid derivative, is used extensively in inflammatory diseases such as acute gout and rheumatoid arthritis. The absorption of NPX is dissolution rate limited and therefore, they exhibit poor bioavailability resulting in multiple dosing of drug as well as fluctuation in blood concentrations. The present investigation aims to design and develop a self- micro-emulsifying tablets of NPX to enhance the dissolution. The self-emulsifying system containing NPX and linoleic acid (oily mix), PEG 400 and tween 80 was mixed with appropriate amount of maltose, cross carmelose sodium and microcrystalline cellulose to prepare self-emulsified granules (SEG). The tablets from eight formulations were obtained by wet granulation compression of the SEG. The granules were characterised through flow property. The tablets were tested for weight variation, friability, hardness, drug content, disintegration and *in-vitro* dissolution profile. DSC and FTIR study of the pure drug and formulation were carried out to determine the possible interaction between the drug and the excipients. Droplet size distribution of disintegrated SMET emulsion sample was found to be within 3.29 ± 3.09 to $5.52 \pm 3.91 \mu\text{m}$ infers that all the formulations showed good emulsification properties with low globule size. The tablets showed acceptable physical properties with disintegration time

less than 35 sec. The dissolution profile of selected formulation revealed more than 80 percent drug released in just 20 minutes. DSC study suggested slight crystalline change in drug. Lack of significant interaction between NPX and excipients was revealed from the FT-IR study.

Keywords: Naproxen, Self-Micro-Emulsified Tablets, Droplet Analysis, Dissolution

A-186

Solubility Enhancement of Lumefantrine Using Various Solubilization Techniques

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Abstract:

The main objective of current research work was to enhance solubility of Lumefantrine using various solubilization techniques. Dissolution rate is often rate limiting step for poorly water soluble drugs and pose a major challenge for those drugs. Solubility enhancement of drug subsequently improves absorption and bioavailability. In this research work, reason to select Lumefantrine is that it is a BCS Class IV having low aqueous solubility (0.009 mg/ml) and low permeability. It is an antimalarial drug which is highly effective in treatment of resistant *P.falciparum malaria*. It is orally administered and generally given in combination therapy with artemether such as Coartem tablets (strength 20/120mg; artemether/lumefantrine) in order to treat malaria. In the present research work, various techniques employed to enhance solubility of Lumefantrine include cosolvents, hydrotrophy, solid dispersions, liquisolid technique and nanoemulsions. Cosolvent technique was used employing ethanol, PEG 600 and propylene glycol as cosolvents. Hydrotrophy technique was used using sodium acetate, sodium ascorbate, sodium benzoate, sodium salicylate, piperazine anhydrous, tri-sodium citrate dihydrate. Solid dispersions were prepared using PEG 6000, PEG 4000 and PVP K30 in different drug polymer ratios. Liquisolid technique employed using different non volatile solvents like Tween 80, propylene glycol and PEG 600, Avicel and Aerosil. Nanosuspensions of Lumefantrine prepared by precipitation-ultrasonication method using ethanol, PEG 6000, HPMC E50 and PVP K30 and Tween 80 as stabilizer. Among all the above mentioned techniques cosolvents as well nanosuspension techniques showed maximum solubility of 31.67 and 33.67 mg/ml respectively which can be considered tremendous increase in solubility of Lumefantrine.

Keywords: Solubility, Cosolvents, Solid Dispersion, Liquefied Technique, Nanosuspensions

A-188

Development and Evaluation of Fast Dissolving Oral Films of Lercanidipine Hydrochloride

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Abstract:

In the current scientific scenario the drug delivery technology has become highly competitive and rapidly evolving with ever increasing demand. Fast dissolving film (FDF) is one such type of an innovative and unique drug delivery system which is gaining much attention in the research field of rapid dissolving technology. FDFs are the most advanced form of oral solid dosage form due to more flexibility, comfort and acceptability. These drug delivery systems allow the medication to bypass the hepatic first pass metabolism thereby increasing the bioavailability of the drug. Lercanidipine Hydrochloride, a potent antihypertensive and antianginal drug is rapidly and almost completely absorbed from the gastrointestinal tract following oral administration. However, absolute bioavailability is reduced to approximately 10% because of extensive first pass metabolism to inactive metabolites. In the present study, an attempt was made to formulate Lercanidipine Hydrochloride oral dispersible films using natural polymers which are economic, safe and non-toxic. Lercanidipine Hydrochloride oral dispersible films were formulated by solvent-casting method using natural polymers like Guar Gum, Sodium Alginate and Crospovidone as superdisintegrant. Formulation OFS1 with Sodium Alginate (1%) and crospovidone is considered as the optimized formulation as it showed faster disintegration rate (25.12 sec), maximum *in vitro* drug release i.e., 96.34 % within 8 mins. No significant changes were observed during stability studies for the optimized formulation.

Keywords: Lercanidipine Hydrochloride, Oral Fast Dissolving Film, Sodium Alginate, Guar Gum

A-189

Formulation and Evaluation of Montelukast Oral Jelly for Pediatrics

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Abstract:

In the current research, Montelukast oral jelly was formulated. The objective behind the research was to develop Montelukast oral jelly using taste masking abilities especially for pediatric patients for the treatment of allergic conditions like hay fever or seasonal allergic rhinitis and asthma. The unique feature of oral jelly is that it is easily chewed and dissolves in saliva and hence doesn't require water. Moreover, Jellies are formed by aggregation of polymers with minimum two components; the gelling agent and the fluid component. Different batches were prepared using different concentrations of gellan gum (2%, 3%, 3.5%, 4%, and 4.5%) and gelatine (1%, 2%, 3%, 4% and 5%) and prepared by the heating method. The prepared jelly was evaluated for the various parameters like appearance, pH, viscosity, texture, consistency, sugar crystallization, stiffness and *in vitro* release study. The pH was found in the range of 5.90-6.81, viscosity increases with the increase in concentration of gelling agent, the prepared batches were non-sticky. *in vitro* drug release study was performed by using simulated salivary fluid and percentage of drug release of formulation F4 was found to be 93.67%. These parameters show satisfactory results therefore, the research opened new doors for bitter drugs.

Keywords: Oral Medicated Jelly, Pediatrics, Taste Masking, Gelling Agent

A-190

Are We using Therapeutically and Economically Effective Antacids?

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Abstract:

Stomach acid contains hydrochloric acid which aids in food digestion. Excess stomach acid produces a condition known as acid indigestion, acid reflux, dyspepsia, heart burn, peptic ulcer and other non specific gastro intestinal symptoms. Gastro-esophageal reflux disease (GERD) is very common in Indian population. Commercial antacids containing one

or more bases are available to treat these conditions by neutralizing the excess acid in the stomach. There is a need to check the efficacy of various antacids as a matter of public concern because choosing the right antacid is very essential. The acid neutralizing capacity (ANC) of an antacid is the amount of acid that it can neutralize. The present study is aimed at evaluating the cost effectiveness and acid neutralizing capacity of certain commonly used marketed antacid gel preparations. This ANC can be best measured in the laboratory by a process known as back titration employing bromophenol blue as an indicator. This involves dissolving the antacid in an excess of acid and then titrating the acidic solution against a known concentration of base until the endpoint is reached. The moles of acid neutralized equals the difference between the moles of acid added and the moles of base required for the back titration. Different antacid gel brands were evaluated for ANC and the cost per ml of antacid was calculated. This will surely help clear the picture about antacid's effectiveness from doctor's as well as patient's point of view and will reinforce their use.

Keywords: ANC, Bromophenol Blue, Back Titration, GERD, Antacids

A-191

Enhancement of Solubility of Simvastatin using Solid Dispersion Technology

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Abstract:

Simvastatin is an anti-hyperlipidemic drug and it belongs to BSC class II (poorly soluble and highly permeable). Its low solubility limits its dissolution, distribution delivery to the target organ and bioavailability. In this study the solubility of simvastatin was enhanced by preparing solid dispersion of the drug with HP- β - cyclodextrin. The poor dissolution properties of the drug are resolved by placing the drug particle inside the hydrophilic carrier material in the solid state. Kneading methods was used for the preparation of solid dispersion. The FTIR and DSC results showed no change in the drug after crystallization process. The sharp peaks of XRPD studies showed spherical crystals with minor reduction in height of the peaks. Phase solubility study was also investigated in phosphate buffer pH 7.0 is linear over a wide range of HP- β - CD concentrations. The negative values showed the spontaneous nature of the solubility of the solid dispersion. From the solubility data

obtained, it can be concluded that there is a 6 fold increase in solubility of the drug at 1:1 ratio. The results were proved statistically using ANOVA. It was found that solubility of the drug was improved and was concluded that it may be due to the conversion into amorphous form from the crystalline form and also due to improved wettability of the simvastatin particles in aqueous solution.

Keywords: Solid Dispersion, Simvastatin, FTIR, DSC, XRPD, HP- β - CD, ANOVA

A-192

Formulation Development of Directly Compressible Paracetamol and Aceclofenac by Spherical Crystallization Technique

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Abstract:

The aim of this work was to prepare combined dosage form of Paracetamol and Aceclofenac by spherical crystallization techniques for direct compression. Directly compressible Paracetamol was prepared by Non-typical spherical crystallization technique and optimized by taking different amounts of Polyvinyl pyrrolidone K30 (PVP) solution. Spherical crystals of Aceclofenac were prepared by typical spherical crystallization technique using a three solvent system comprising acetone: dichloromethane: water (bridging liquid, good solvent and bad solvent, respectively) and hydroxypropyl methylcellulose-50 cps in different concentrations used as hydrophilic polymer. The effect of rotation speed and bridging liquid amount on spherical crystals were studied. Both drug formulations were evaluated for improvement in compressibility by Heckel analysis. Elastic recovery study showed decreased elastic recovery with increase in compressional pressure. Angle of repose and Carr's index showed spherical crystals were within the theoretical range. Percent yield and drug content were more than 70 and 90 % respectively. FT-IR and DSC study for both paracetamol and aceclofenac exhibited no interaction between drug and excipients. X-ray diffraction showed crystalline nature of paracetamol and aceclofenac. SEM studies showed that aceclofenac crystals were spherical whereas paracetamol crystals were agglomerates with different shapes. The dissolution behavior of DCP from combined dosage form

was comparable to marketed formulation.

Keywords: Flowability, Compressibility, Heckel Analysis, Elastic Recovery

A-193

Formulation and Evaluation of Atenolol Oro Dispersible Tablets by Co-Processed Super-Disintegration Process

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Abstract:

The aim of present research is to formulate Atenolol oral disintegrating tablets. Atenolol is β_1 cardioselective adrenergic receptor blocker widely used in the treatment of hypertension, angina pectoris, arrhythmias and myocardial infarction. It works by slowing down the heart rate and reducing the workload on heart. Atenolol was specially developed so as to pass the blood brain barrier and overcome the side effects such as depression and nightmares. It has been reported that Atenolol undergoes extensive hepatic first pass metabolism following oral administration and has shorter biological half life of 6 to 7 hours with oral bioavailability of 50%. The conventional tablets of Atenolol were reported to exhibit fluctuations in the plasma drug levels after administration. Atenolol ODTs are prepared by novel co processed super disintegration process using cross povidone and cross carmellose sodium as the super disintegrants. The prepared tablets were evaluated for their hardness weight variation, disintegration time, wetting time, water absorption, ration friability and *in vitro* dissolution studies. In this ODTs containing cross carmellose sodium and cross povidone as super disintegrants in the ratio of 1:1 showed better release of drug. About 99.5% of the drug was released from the tablets in 6 minutes. Therefore based on the physico chemical properties *in vitro* drug release profile and mouth feel formulation F1 containing 1:1 of cross carmellose sodium and cross povidone was optimized as the best formulation.

Keywords: Atenolol, Oro Dispersible, Co Processed Super Disintegration, Cross Carmellose Sodium

A-194

Formulation and Evaluation of Oro Dispersible Tablets Based on Ondansetron Hydroxypropyl- β -Cyclodextrin Complexes

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Abstract:

Ondansetron, a poorly water soluble drug of BCS Class II is a potent antiemetic drug used in cancer chemotherapy induced nausea and vomiting. In this study the solubility of ondansetron was increased from 0.018 ± 0.005 mg/ml to 2.82 ± 0.54 mg/ml by complexing with hydroxypropyl- β -cyclodextrin using kneading method. Oro dispersible tablets containing Ondansetron hydroxypropyl- β -cyclodextrin were prepared by direct compression method. Crospovidone was used as superdisintegrant in range of 2.5 to 10% w/v where 1:1 complex exhibited the highest solubility. Disintegration time was found to be in range of 60 to 80 seconds for various prepared batches. The f_2 value were in range of 38-43 showing a significant different release from that of marketed products. In vitro release study displayed complete release of drug within 30 min. Thus this approach proves to be successful in fulfilling the objective of rapid disintegration and dissolution and thus can be applied in future to formulate ODTs of Ondansetron that will provide quick relief in intolerable vomiting condition.

Keywords: Ondansetron, Hydroxypropyl- β -Cyclodextrin, Direct Compression, Oro Dispersible Tablets

A-195

Development, Characterization and In vivo Evaluation of Clarithromycin Nano Suspension

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Abstract:

Poorly soluble drugs make upto one third of united states pharmacopeia recognized drugs. More than 40% of new chemical entities are lipophilic compounds. Lipophilic compounds have poor aqueous solubility and imperfect

dissolution profile which causes their low bioavailability. Poorly soluble compounds can be usually classified into two types of molecules. 'Grease ball' and 'Brick dust' molecules. A comparative pharmacokinetic study was conducted for marketed suspension and nanosuspension. The pharmacokinetic evaluation clearly showed that the bioavailability of optimized nanosuspension was found to be increased by 1.88 times than that of marketed suspension. Results of this study lead to the conclusion that nanosuspension approach is effective in preparing clarithromycin formulations with enhanced dissolution velocity and oral bioavailability attributed to increased saturation solubility.

A-196

Enhancement of Solubility of Poorly Water Soluble Drug Rifabutin by Mixed Solvency Approach

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Abstract:

Rifabutin is broad spectrum antimicrobial agent used in the treatment of mycobacterium tuberculosis and in MDR-TB. The aim of study was to enhance the solubility of Rifabutin using mixed solvency technique. For this various hydrotropic agent like sodium benzoate, sodium caprylate and nicotinamide and cosolvent like propylene glycol in different percentage was considered. Aqueous solubility of rifabutin in case of selected blends (8 blends) ranged from 200-500mg/ml as compared to solubility in distilled water (.19mg/ml) were observed. The enhancement in the solubility of rifabutin in a mixed solvent containing hydrotropes (5% sodium benzoate, 5% Nicotinamide & 35% Sodium caprylate) was observed more than 2500 folds than water. Recent study proved that the synergistic enhancement in solubility of a Rifabutin due to mixed cosolvent effect.

Keywords: Mixed Solvency, Rifabutin, Aqueous Solubility, Hydrotropic Agent, Synergistic Enhancement.

A-197

Optimization of Proniosomal Gel by the Application of CCD

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Abstract:

The purpose of this research is to optimize the proniosomal gel for topical application of azelaic acid by central composite design. The proniosomal dispersion was prepared using coacervation separation technique where 3³ full factorial design using Central composite design was applied to optimize the proniosomal gel. The drug to surfactant ratio (X1), Concentration of lecithin (X2) and concentration of Cholesterol (X3) were selected as independent variables whereas dependent variables selected for study were entrapment efficiency (Y1), particle size (Y2), PDI (Y3). In-vitro drug release and release kinetics were carried for the optimized formulation P14. The formulations which were optimized by CCD showed the results which were found to be satisfactory with particle size 186 nm, PDI 0.15, encapsulation efficiency of 82.5% and in-vitro release of 82.2%. The prepared gels incorporated with optimized proniosomes (PR4) showed pH of 6.8, satisfactory homogeneity, spreadability and viscosity with 89.23% drug content. In vitro release of Azelaic acid from proniosomal dispersion and its gel showed prolonged drug release up to 24 hours, which could be due to embedment of drug in the surfactant based proniosomal core. Thus it can be concluded that the CCD can be a promising optimization technique for the formulation of proniosomal gel in efficient treatment of psoriasis

Keywords: Azelaic Acid, Proniosomal Drug Delivery, 3³ Full Factorial Design using Central Composite Design

A-198

Development and Evaluation of Carbamazepine Loaded Immediate Release Tablet

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Abstract:

Carbamazepine, anti-epileptic drug, needs to release immediately from the formulations to treat the convulsion. In the present study an attempt was made to prepare and evaluate immediate release Carbamazepine 200mg tablets with various different combinations of Sodium stearate, Sodium lauryl

sulfate, Lactose, Cross carmellose sodium, Avicel (pH102) and Talc. Granules were directly compressed to form tablets after satisfying the various pre compression parameters like Angle of repose, Compressibility index and Hausner's ratio, which were found to be fair to excellent. The average weights of tablets were found to be 500mg. The hardness of the tablets (batch 1) containing 1 mg Sodium stearate, 33.25 mg Lactose, 20 mg Cross Carmellose Sodium, 260.75 mg Avicel (pH102) and 5 mg Talc, were found to be low, others were found to be more than 6.9kg/cm². The thickness of all the tablets satisfied the desired result. The tablets with desired hardness were evaluated for in-vitro drug release profile that resulted in a release of 82.5% and 99.6% at 15min and 60min respectively. Hence the same formulation (containing 6 mg Sodium lauryl sulfate, 28.25 mg Lactose, 20 mg Cross carmellose sodium, 260.75 mg Avicel pH102 and 5 mg Talc) may be optimised to be best amongst the rest of the batches and carried over for further study.

Keywords: Carbamazepine, Direct Compression, In-Vitro Drug Release

A-199

Formulation and Evaluation of Capecitabine Loaded PLGA Nanoparticles for Colorectal Cancer Targeting

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Abstract:

Colorectal cancer (CRC) is a major worldwide health problem owing to its high prevalence and mortality rate. Capecitabine is a promising drug for CRC therapy. It has a short elimination half life (0.5 -1 h) and requires relatively high dose with 150 mg/m² twice per day and it has no site specific action. Enabling chemotherapeutic drugs to target cancer cells using nanoparticles would be a major development in the treatment of CRC. The objective of present research was to improve bioavailability to cancer cells by developing sustained release nanoparticles of capecitabine for site specific delivery to colon without releasing the drug in stomach and small intestine. The nanoparticles were prepared by solvent evaporation method using PLA as polymer, acetone as solvent. The nanoparticulate formulations were evaluated for FT-IR, DSC, particle size, zeta potential, in vitro release study, mucoadhesion test and transmission electron microscopy (TEM) analysis. FTIR and DSC

studies confirmed the absence of interaction between drug and polymer. Optimised formulation had particle size of 20-100 nm, zeta potential -10±2.5 v and entrapment efficiency 75±5.1 %. In vitro drug release study using dialysis bag in phosphate buffer pH 7.4 medium demonstrated sustained release from nanoparticles for 24h. In vitro antiproliferative studies confirmed marked cytotoxicity of nanoparticles on HT 29 cell lines.

Keywords: Colorectal Cancer, Nanoparticles, Bioavailability, Capecitabine, PLGA

A-200

Bioceramic Nanoparticles for Co-Delivery of Teriflunomide and Methotrexate: A Synergistic Therapeutic Approach Towards Effective Management of Rheumatoid Arthritis

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Abstract:

The aim of present research work is to develop hydroxyapatite (HA) nanoparticles (NPs) comprising of Methotrexate (MTX), Teriflunomide (TFL) and a combination thereof, for effective treatment of Rheumatoid Arthritis and to evaluate their pharmacodynamic and biochemical potential on adjuvant-induced arthritis model after their subcutaneous delivery. Drug-loaded HA-NPs were prepared by wet-chemical precipitation method. The synthesized NPs were optimized for size by constructing Box-Behnken experimental design. The Particle size of the optimized formulations, MTX-HA-NP and TFL-HA-NP was found to be 268.3± 73.86 nm and 224.3±83.80 nm with drug loading 67.04±1.12% and 53.11±0.84% respectively. *In-vitro* release studies showed sustained release pattern of drugs for 24 hours. For *in-vivo* studies, the dose of drugs in both mono and combination therapies was optimized and all the formulations (TFL-HA-NP, MTX-HA-NP, TFL-MTX-HA-NP, TFL-ORAL, MTX-ORAL) were evaluated by arthritic assessment, histopathological and biochemical hepatotoxic studies. During arthritis assessment, MTX-HA-NP and TFL-HA-NP were found to be effective than their respective oral therapies MTX-TAB and TFL-TAB while, TFL-MTX-HA-NP showed best results in bone and cartilage micro-architecture recovery. Histopathological studies further confirmed the maximum effectiveness of TFL-MTX-HA-NP.

Keywords: Rheumatoid Arthritis, Hydroxyapatite, Dmards, Sustained Delivery, Oxidative Stress, Hepatotoxicity

A-201

Drug Infusion Control by Predictive Control Models for Enhanced Therapeutic Outcomes in Critical Care Patients

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Abstract:

Critical Care Patients (CCP) constitutes a special category of population who are in criticality of health undergoing surgical recuperation. CCP undergoing surgery or recovering in intensive care units, require drug administration parenterally to regulate physiological variables such as blood pressure, cardiac output, heart rate, and degree of consciousness. The rate of infusion of each administered drug is critical, requiring constant monitoring and frequent adjustments. In this work the drug infusion system control is implemented using model predictive control strategy which aims to deliver better therapeutic outcomes by gaining control over the quantum and duration of infusion of drugs, thereby gaining an enhanced therapeutic response by automating the process. This simulation study ideates and tests the effectiveness of such an approach and explores the feasibility of better drug delivery.

Keywords: Drug Infusion, Model Predictive Control, Critical Care Patients, Therapy

A-202

Preparation And Evaluation Of Cefixime Oro Dispersible Tablets By Direct Compression Method Using 32 Full Factorial Design

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Abstract:

Oro dispersible tablets of Cefixime were prepared with a view to enhance patient compliance by direct compression

method using 3² full factorial design. Crospovidone (2-10% w/w) was used as superdisintegrant and microcrystalline cellulose (0-60% w/w) was used as diluent, along with directly compressible mannitol to enhance mouth feel. The tablets were evaluated for hardness, friability, thickness, drug content uniformity, in vitro dispersion time, wetting time and water absorption ratio. Based on in vitro dispersion time (approximately 11 s); the formulation containing 10% w/w Crospovidone and 60%w/w microcrystalline cellulose was found to be promising and tested for in vitro drug release pattern (in pH 7.2 phosphate buffer), short-term stability (at 40°/75 % RH for 3 m) and drug-excipient interaction. This formulation showed four-fold faster drug release (t50% 1.2 min) compared to the conventional commercial tablet formulation (t50% 5.1 min). Short-term stability studies on the formulation indicated that there are no significant changes in drug content and in vitro dispersion time (p < 0.05).

A-203

Development of MUPS based Gastroretentive Drug Delivery System of Metoprolol Succinate

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Abstract:

In the present research, MUPS (Multiple Unit Particulate System) of metoprolol succinate was developed using fluidized bed coating technique. Metoprolol succinate undergoes an extensive first pass metabolism and also has short biological half-life (3-4 hrs.). The objective of this study was to achieve long gastric retention of formulation and prolonged drug release profile that results in maximum drug absorption, minimum frequency of dose administration and improvement of bioavailability and therapeutic efficacy. Three separate layers of drug, effervescent agent and release retarding polymer, were coated on inert core pellets (600 µm). The formulation was performed in three steps; firstly, the drug was coated on core pellets with pharmacoat 615 (HPMC) as binder then, effervescent layering (sodium bicarbonate) was done over the drug layered pellets using pharmacoat 615 as a binder and Polymer coating (Eudragit NE 30 D) was applied. The size, shape of pellets, friability and drug release were evaluated. The particle size was above 850µm. The friability was found to be 0.11 and 0.10 under fluid bed condition and Roche friabilator, respectively and bulk density was found to be 0.867 g/cc. Drug loading and process efficiency was found to be 180.74mg/g

pellets, 98.90 %, respectively. In-vitro drug release of the developed batch (90.57%) was higher as compared to the marketed product (86.34%), with similarity and dissimilarity factors as 99.98 and 11.23, respectively.

Keywords: MUPS (Multiple Unit Particulate System), Metoprolol Succinate, Gastro-Retentive Formulation, Fluidized Bed Coating

A-204

Preparation and Characterization of Curcumin-Loaded Gelatin Nanoparticles as Effective Targeted Drug Delivery System to Treat Wound

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Abstract:

Wounds are often complicated by bacterial infection, contributing to morbidity and mortality. Curcumin is a naturally derived substance with innate antimicrobial and anti-inflammatory and wound healing properties, but its use in wound infection is limited by toxicity, incomplete microbial coverage, inadequate penetration and rising resistance. The present work was aimed to enhance absorption and provide sustained release of the curcumin in intracellular site for better penetration and minimized toxicity hence targeting the aim we have developed and optimized gelatin nanoparticles by using a two-step desolvation method with slight modification. The nanoparticles were characterized by various *in-vitro* characterization methods such as particle size determination, zeta potential, % yield, entrapment efficacy and drug release. The study was also established to ensure anti-inflammatory and antioxidant activity of formulation due to presence and adequate delivery of curcumin. Particles with a mean diameter of 200-300 nm were observed and the percentage of entrapment efficiency was found to be $86.8 \pm 2.36\%$. Results showed that the two step desolvation method is an appropriate method for preparing Gelatin nanoparticles formulation which was found to be stable with marked anti-inflammatory and antioxidant activity may open a new door for the effective treatment of infected wound.

Keywords: Curcumin, Gelatin, Nanoparticles, Two Step Desolvation, Wound Healing

A-205

Trastuzumab-Conjugated TPGS-Chitosan Nanoparticle Targeted Delivery of Docetaxel for Breast Cancer Therapy

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Abstract:

In the current research, Docetaxel loaded D- α -tocopherol polyethylene glycol succinate 1000 conjugated chitosan (TPGS-Chitosan) nanoparticles were prepared with or without Trastuzumab decoration. The objective behind the research was to develop Human epidermal growth factor receptor (HER-2) targeted anticancer therapeutic. The particle size and entrapment efficiency of conventional, non-targeted and targeted nanoparticle were found to be 126-186nm and 74-78% respectively. In-Vitro MDA-MB-231 cells showed that docetaxel loaded chitosan nanoparticles, non-targeted and HER-2 receptor targeted TPGS-Chitosan have enhanced cellular uptake and cytotoxicity with a promising bioadhesion property, in comparison to conventional nanoparticles. The IC_{50} values of non-targeted and targeted nanoparticles from cytotoxic assay were found to be 43 and 223 folds higher than DocelTM. In-Vivo pharmacokinetic study showed that 2.33 and 2.82 fold enhancement in relative bioavailability of docetaxel for non-targeted and HER-2 receptor targeted nanoparticles, respectively than DocelTM and i.v. administration of non-targeted and targeted nanoparticle achieved 3.48 and 5.94 times longer half-life in comparison to DocelTM. Histopathology results of non-targeted and targeted nanoparticles showed lesser toxicity to vital organ like lungs, liver and kidney compared to DocelTM.

Keywords: Breast Cancer, HER-2 Receptor, Targeted Nanomedicine, Chitosan, TPGS

A-206

Design, Preparation and Evaluation of PLGA-based Polymeric Nanoparticles of Cilnidipine using Design of Experiments

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Abstract:

This study involves formulating polymeric nanoparticles (NPs) of Cilnidipine, an anti-hypertensive drug. The objective behind the research was to formulate PLGA-based polymeric nanoparticles of cilnidipine using two different grades of polymer. The formulation variables studied were: amount of polymer, concentration of surfactant, homogenization time and sonication time and optimized using Central Composite Design. The prepared NPs were further evaluated for entrapment efficiency, loading efficiency and particle size. Homogenization and ultrasonication had an effect on the particle size of the formulation. It was found that PLGA 75:25 based cilnidipine NPs showed smaller particle size (252.6 ±5nm), maximum entrapment efficiency (98.1%) and higher loading efficiency (65.74%) as compared to PLGA 50:50 based NPs. The formulation was characterized using Differential Scanning Calorimetry, Fourier Transform Infrared Spectroscopy and X-Ray Crystallography. XRD showed that the entrapment efficiency and crystallinity was found to be more prominent in the PLGA 75:25 based NPs. Thus cilnidipine loaded NPs can be successfully formulated and evaluated for further in-vitro and in-vivo studies.

Keywords: Cilnidipine, PLGA, Central Composite Design

A-207

Formulation and Evaluation of Lurasidone Bilayer Tablet

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Abstract:

The present investigation was to develop a bilayer tablet for lurasidone which was designed to get the immediate and controlled release by using direct compression technology. The bilayer tablet were prepared by using optimized polymers such as croscarmellose, crospovidone, HPMC [K4 and k100], MCC, starch, sodium lauryl sulphate, magnesium stearate and talc. The granules were evaluated for angle of repose, bulk density, tapped density, hausner's ratio, carr index and moisture content. The tablets were evaluated by weight variation, thickness, hardness, friability, drug content and invitro release

studies. From the release data analysis, it was conformed that, F8 formulation showed best release (99.53%) for 24 hrs at minimum concentration of HPMC (84mg). This research study proved that the optimized formulation (f8) fulfilled many requirements such as, cost effectiveness, quick onset of action and patient compliance.

Key Words: Lurasidone, HPMC, Bilayer Tablets, Croscarmellose, Crospovidone

A-208

Formulation and Evaluation of Ibuprofen Suspension using Natural and Synthetic Suspending Agents

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Abstract:

Ibuprofen is a non steroidal anti-inflammatory drug. It is used to relieve pain from various conditions such as headache, dental pain, menstrual cramps, muscle aches, or arthritis. By using synthetic suspending agents such as methyl cellulose and using natural suspending agents such as fenugreek seed powder, prepare 1%, 2% formulations F1, F2, F3, F4. The method involved in this preparation is trituration method by using mortar and pestle. Evaluation tests are performed such as *Invitro*-sedimentation volume, particle size analysis, flow rate, Determination of pH, Determination of viscosity, dissolution, Assay of Ibuprofen. Phytochemical tests and swelling index for fenugreek seed powder, these tests shows that the Ibuprofen suspension F4 shows better stability than other three formulations.

Keywords: Ibuprofen, Fenugreek Seed Powder, Methyl cellulose

A-209

Scaffold the Combinational Delivery of Dapsone and an Antibiotic by Ethanolic Liposomal Gel for Treatment of Lapromatous Leprosy

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Abstract:

Applying Ethosomal Gels (EGs) in transdermal drug delivery systems has evoked considerable interest because of their good solubility and biocompatibility. The aim of present study was to prepare and characterize ethosomes of antileprotic drug Dapsone (DAP) together with an antibiotic Cloxacillin Sodium (CLXS) which may deliver these drugs to targeted site more efficiently than marketed gel preparation of DAP and also overcome the problems related with oral administration of CLXS. Ethosomes were prepared by cold method then characterized for particle size, entrapment efficiency (EE), zeta potential and permeation studies. Vesicular size was determined by scanning electron microscopy and found to be varied from 127 ± 9.01 to 215 ± 7.23 nm depending on the concentrations of soya lecithin and ethanol. The average percent drug entrapment efficiency of formulations ranged between 52.31% to 73.51% and 49.07% to 71.91% for DAP and CLXS respectively. The high ethanol concentration in ethosomes has shifted the vesicular charge from positive to negative. It was observed that F1 and F2 formulations were having zeta potential of -25.08 ± 1.03 mV and -50.11 ± 1.97 mV respectively and do not aggregate rapidly. The drug release of ethosomes ranged from 84.68% to 96.58% and 64.89% to 84.21% for DAP and CLXS respectively. Ethosomal gel was prepared with optimized ethosome and studied for its release and physicochemical characteristics. Finally, G5 demonstrated better ($p < 0.05$) antileprotic effect to improve effectiveness, stability, bioavailability and to reduce side effects and toxicity associated with the chosen drugs in order to treat Leprosy.

Keywords: Dapsone, Cloxacillin Sodium, Ethosomes, Ethosomal Gel, Irritation Study

A-210

Development and Evaluation of Mucoadhesive Hydrogel Formulation of Acyclovir for Ophthalmic Drug Delivery

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Abstract:

Acyclovir is widely used in the treatment of herpes simplex keratitis and is available in the market as 3% eye

ointment for ocular infection. It needs to be frequently administered in the eyes and is associated with drawbacks i.e., matting of eye, greasiness and blurred vision. The present research focuses on development of mucoadhesive hydrogel of acyclovir for improvement of pre-corneal drug retention resulting into less frequent drug administration. The hydrogel formulation was prepared using polycarbophil as gelling agent along with cyclodextrin, propylene glycol, glycerin, benzalkonium chloride. Developed mucoadhesive hydrogel formulation was evaluated for various parameters and the pH, viscosity and drug content was found to be 6.38, 286 mPas (cPs) and 96.05% respectively. In the developed hydrogel and marketed ointment product after 8 hours the cumulative percent drug release was 74.2% and 53.2%, percent cumulative drug permeation was 13.95% and 10.63% whereas the apparent corneal permeability coefficient (P_{app}) was 3.79×10^{-7} (cm/sec) and 3.46×10^{-7} (cm/sec) respectively. Developed formulation was isotonic and non-irritant to the eye. On the basis of observations, it can be concluded that the developed mucoadhesive ophthalmic hydrogel formulation could be a better alternative to the presently available eye ointment of acyclovir.

Keywords: Acyclovir, Herpes Simplex Keratitis, Mucoadhesive Hydrogel

A-211

Development and Characterization of Pharmaceutical Cocrystal of Bisacodyl for Enhancement of its Solubility

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Abstract:

Pharmaceutical cocrystals is a recent physical approach for altering the physicochemical properties of drugs. Pharmaceutical cocrystals belong to a sub-class of cocrystals in which one of the components is a drug molecule (or active pharmaceutical ingredient, API) and the second is a harmless food or drug grade additive (generally regarded as safe, GRAS). The two components are hydrogen-bonded in a fixed stoichiometric ratio in the crystal lattice. Bisacodyl is an [organic compound](#) that is used as a stimulant [laxative](#) drug with low aqueous solubility. This work deals with the method of preparation of cocrystal of bisacodyl to enhance its solubility. Characterization of cocrystal is done by various spectroscopic, X-ray and thermal method of analysis.

Cocrystals of bisacodyl were prepared with selected coformers of GRAS (generally regarded as safe) status using the different method like solution crystallization, solid state grinding and slurry method. In the past ten years, pharmaceutical cocrystals have demonstrated significant promise in their ability to modify the physicochemical and pharmacokinetic properties of drug substances, such as solubility and dissolution rate, bioavailability, particle morphology and size, tableting and compaction, melting point, physical form, biochemical and hydration stability, permeability, etc. Due to the above described property, we have formulated cocrystal which has shown the promising effect and enhanced the physicochemical property. The cocrystal with selected co-formers showed improvement in solubility of Bisacodyl.

Keywords: Cocrystal, GRAS, Bisacodyl, Stimulant laxative, Solubility.

A-212

Development and Evaluation of Mucoadhesive Microspheres of Satranidazole

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Abstract:

Satranidazole (STZ), potent anti-amoebic agent is a BCS class-2 drug. STZ undergoes first pass metabolism in liver and its reported oral bioavailability is 40%. To encounter its poor bioavailability, mucoadhesive microspheres (MP) using natural polymers were designed, developed and evaluated. The reason behind using mucoadhesive MPs was to increase prolonged time of drug at absorption site with specific bioadhesive polymers resulting in targeting of drug to colon. The mucoadhesive MPs formed with sodium alginate polymer were hard and spherical shape compared to the chitosan and pectin polymers by hot ionic gelation method. FTIR study of pure drug and its physical mixture was done and showed no chemical interaction. The 13-formulations were designed on the basis of drug to polymer ratio of 1:1, 1:3, 1:5 and 2.5, 5, 10% cross linker using central composite design and the observed responses were particle size and encapsulation efficiency (EE). The formulation MP3 was optimized with particle size ($689 \pm 3.51 \mu\text{m}$), high EE (65.01 ± 2.25) and sustained release till 12 hrs. SEM study showed spherical shape microspheres with rough surface. It was also observed that complete detachment of microspheres from mucosal tissue took 2.5 hour by wash

off technique indicating good mucoadhesive properties of sodium alginate. Higher concentration of sialic acid with hydroxyl groups and hexosamine in mucin adjacent to colon may lead to higher mucoadhesion with long residence time of alginate MPs adjacent to colon. Thus, mucoadhesive MPs using sodium alginate polymer were most satisfactory due to its biocompatibility, biodegradability, non-toxic nature and suitability for sustained delivery.

Keywords: Satranidazole, Sodium Alginate, Microspheres, Mucoadhesive

A-213

Cinnarizine Floating cum Mucoadhesive Films using Polyacrylamide Corn Fibre Gum: Formulation, Development and Evaluation

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Abstract:

Floating drug delivery system is advantageous for drugs which are absorbed primarily in the upper gastrointestinal tract. The cinnarizine is such drug that extensively absorbed from stomach and has poor aqueous pH dependent solubility. Therefore, the aim of present work was to develop floating films that could have enhanced dissolution performance with favorable buoyancy in acidic conditions. For this purpose, the Polyacrylamide- Corn fibre gum (PAC) was developed in our lab that bears both mucoadhesive as well as floating behavior. The floating films of CNZ (50 mg/4cm² area) were prepared by dissolving (1% -4% w/v) PAC in water. These solutions were dried in oven at 50°C for 24 h. The films formed were brittle with non-uniform film texture. Therefore, Sorbitol was used as plasticizer to increase the flexibility of films. The tensile strength of prepared films was 27.08 ± 1.56 N with 20.166 mm extensibility determined using TA-XT Plus texture analyser. The mucoadhesive strength of prepared film was found to be $24.7 \pm 2.24 \times 10^2$ g. The results suggested that film float for 8 hrs and maintained its integrity with no lag time. *In-vitro* release performance of floating films showed zero-order release with maximum release of more than 85% in 8 h. The swelling characteristics of the films taken after 2, 4, 6, and 8 hrs suggested more than 80% swelled after 8 hrs with swelling component was 1.05 with $r^2=0.96$ and percent erosion after 8 hrs was $2.64 \pm 0.56\%$. The drug excipients studies showed no interaction.

Keywords: Floating Drug Delivery System, Cinnarizine, Polyacrylamide Corn Fibre Gum, Sorbitol

A-214

Development of Microemulsion based Ocular Drug Delivery System of Gatifloxacin for Treatment of Bacterial Conjunctivitis

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Abstract:

Gatifloxacin is a fluoroquinolone antibiotic used for the treatment of bacterial conjunctivitis. Conventionally used Gatifloxacin eye drops are required to be instilled frequently due to poor transcorneal permeation, rapid elimination induced by tear turnover, blinking and drainage of formulation. Thus in present research a microemulsion based ocular formulation was developed with improved corneal penetration, increased residence time and reduced dosing frequency resulting into effective therapeutic effect and patient compliance. The microemulsion was prepared using Oleic acid as oil phase, Tween 80 as surfactant and Cremophor RH 40 as co-surfactant. The pseudoternary phase diagrams were constructed with different surfactant to co-surfactant ratio out of which the ternary system giving the highest region of microemulsion was selected. The microemulsion based eye drop formulation was prepared by using oleic acid, tween 80, cremophor, NaCl, benzalkonium chloride. It constituted of pH 5.86, viscosity 25 mPas, osmolarity 305 mOsm/kg, zeta potential -22 mV, globule size 106 nm, in-vitro release after 8 hr was 99.39%. HET CAM test was also performed to evaluate ocular irritancy showed no irritation. The transcorneal permeation in freshly excised goat cornea of marketed eye drop product after 12 hrs was 27.01% while of developed formulation was 43.16% which showed that developed formulation had enhanced transcorneal permeation than the marketed eye drop. Thus, on the basis of results of research, microemulsion based eye drop formulation of gatifloxacin can overcome the drawbacks of conventional eye drop formulation.

Keywords: Microemulsion, Gatifloxacin, Bacterial Conjunctivitis, Ternary System

A-215

Bone drug delivery system: Development and In-Vitro Characterization

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Abstract:

In the current research, the possibility of local delivery of drugs to the bone was studied through the development of a drug-containing bioglass scaffold prepared from a bioglass prepared by melting process. Assessment for bioactivity was done by *in-vitro* acellular method. Factors such as size of the scaffold, concentration of the drug and dissolution medium which could affect drug release from the scaffolds were studied with gatifloxacin as model drug. Drug release from the scaffolds was controlled by coating them with a biodegradable polymer such as Chitosan. Drug release from the scaffolds was studied for 6 weeks under *in-vitro* test conditions. Results at the end of the study showed that larger size of the scaffold and more drug concentration gave a better release profile. It can be concluded that the scaffolds could be used for local drug delivery in bone.

Keywords: Bioglass Scaffolds, Acellular Method, Chitosan, Bone Infection

A-216

Design, Development and In-vitro Characterization of Self Emulsifying Drug Delivery System for Donazol

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Abstract:

The oral route is the preferred route for chronic therapy. Numerous potent lipophilic drugs exhibit low oral bioavailability due to their poor aqueous solubility properties. The main objective of this project is to formulate stable, solid self emulsifying drug delivery system of danazol that simultaneously Emulsify when exposed to the aqueous phase. Danazol is selected as a good drug candidate for formulation of self emulsifying drug delivery system as it is a class IV compound having low solubility and low permeability. Phase solubility studies were conducted using various oils (Oleic acid, and Soybean oil, Sunflower oil), Surfactants (Tween 20, Cremophor

RH40) and co-solvent (Ethanol) for the maximum solubility of Danazol. Ternary phase diagrams were constructed to evaluate the self-emulsification domains and were also for the optimum concentrations of oil and surfactants in the formulation. The globule size analysis and zeta potential of all the developed formulations were studied using Malvern Zeta Sizer. *In-vitro* release studies were conducted using USP Type II dissolution test apparatus in 0.1N HCl and, the formulation of Danazol SEDDS was compared with conventional. Emulsification time was found to be less for the formulas D, G & H. The globule size of Formulation containing Ethanol: Tween20 (1:4) was found to be 85.50 nm and the globule size of formulation containing Ethanol: Cremophore RH40 (1:4) was found to be 67.49 nm. According to Constantinides these are stable, isotropic and clear oil in water dispersions. Fourier Transform Infrared Spectroscopy analysis of the excipients, drug and Formulation shows no Drug-Excipients interactions.

Keywords: Danazol, Self Emulsifying Drug Delivery system, FT-IR

A-217

Formulation and Evaluation of a Single Rod Subdermal Implant of Levonorgestrel for Contraception

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Abstract:

Population foundation of India (PFI) endorses the efficacy of subdermal implant for female contraception and affirms it as one of the best contraceptive choice, yet the research to the referred context is still at dormancy in our country. The current study seeks the aptness of formulating Levonorgestrel as a subdermal implant for female contraception and to evaluate its protracted delivery of drug (20µg/day) in a controlled manner. Subdermal implant is formed from a Levonorgestrel embedded core comprising of liquid silicone rubber and platinum catalyst framed to a single rod shaped implant by uniformly dispersing the ingredients in a planetary mixer followed by moulding using hand injection moulding machine. Surrounding the axially extending rod is a polymeric membrane in intimate contact with the matrix core. The study furthermore extends its objective to determine the concentration of fumed silica that has to be incorporated in the enveloped polymeric membrane to achieve the favourable release. The evaluation studies on

the prototypes preparations with respect to length (28-29mm), diameter (2.48-2.51mm), hardness (60.33-71 kg/cm²), weight variation (230.34mg) was under acceptable limits. The tensile strength of core was found to be 0.653± 0.00032N/mm². *In vitro* drug release study indicated a burst release followed by a constant release after 8 days. The membrane formulation with 5% fumed silica was successful in delivering the targeted release of 20µg/day of Levonorgestrel for contraception.

Keywords: Levonorgestrel, Liquid Silicone Rubber, Subdermal Implant, Hand Injection Moulding, Contraception

A-218

Formulation and Evaluation of Antidandruff Herbal Shampoo Gel

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Abstract:

Dandruff is a condition in which dead skin cells from the scalp come off in scales that are visible on the hair. This cause redness and irritation of the scalp. The most common fungi involve in the dandruff is pityrosporum. Various antifungal agents are widely used in hair shampoos for the treatment of dandruff. These products show temporary effect for span of hours in a day on the scalp. These medication have several adverse effects like erythema, photosensitivity, allergic dermatitis, excessive skin irritation, head ache, urinary problem etc. Herbal medicines now a day are gaining importance for treating many diseases due to their lesser side effects as compared to allopathic medicines. In this investigation the aloe vera based anti-dandruff herbal shampoo gel was formulated and evaluated. The anti-dandruff herbal shampoo gel was developed by using aloe vera and that herbal oils such rosemary oil, lemon grass oil, hibiscus oil was used. The anti-dandruff herbal shampoo gel was evaluated by various parameters such as Wash ability Viscosity study, Extrudability, Skin Irritation test, Antifungal activity and Stability study. Aloe vera herbal shampoo gel shows optimum PH and viscosity. The anti-fungal activity of gel found to be zone of inhibition around 16mm. This anti dandruff gel of could be used as an effective in the treatment of dandruff on scalp. The developed herbal shampoo gel contain all good characters of an ideal shampoo gel and it was found to be harmless, more effective and economic.

Keywords: Anti dandruff, Herbal shampoo, Gel, Aloe vera, Anti-fungal Activity

A-219

Formulation and Evaluation of Pulsatile Drug Delivery System of Benazepril

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Abstract:

The purpose of this research is to prepare enteric coated tablets consisting of Benazepril (BNP) by Direct compression method. Benazepril has an oral absorption of 37% and is metabolized almost fully. The protein binding is about 96.7% and half-life of 10-11 h¹. The BCS classification of benazepril is class I. Based on these findings drug delivery and therapy should be modified to achieve an effective drug level at the required time. This can be achieved by adapting a pulsatile drug delivery system of a suitable drug. 9 formulations of BNP were prepared by using different polymers. The formulation F2 was selected as an ideal formulation based on the *in vitro* release profile which shows drug release of 98% at the end of 12 h in 0.1 N HCl. Drug-polymer interaction, Pre-compression and Post-compression studies were performed only for the ideal formulation, F2. The *in vitro* release data of all Pulsatile Drug Delivery tablet formulations were plotted in various kinetic equations to understand the mechanisms and kinetics of drug release. The ideal formulation, F2 followed Zero order (0.983) and value of "n" is calculated to be 1.534 indicated that the drug release shows Super Case-II Transport. In conclusion, pulsatile drug release over a period of 1-12 hours, consistent with the requirements for chronopharmaceutical drug delivery, can be achieved by using pH-dependant polymers.

Keywords: Benazepril, Direct compression, F2, Pulsatile Drug Delivery System, Chronopharmaceutical Drug Delivery

A-220

Use of Quality by Design (Qbd) for Development of Product based on High Shear Wet Granulation Including Process Optimization and Scale up Principles for Technology Transfer

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Abstract:

Qbd principles including statistical design of experiments (DoE) and scale up principles were successfully used for development of a robust product manufactured using high shear wet granulation process. critical process parameters were identified and optimized using DoE to ensure process robustness during scale-up and confirmed during technology transfer. Various process parameters were identified which is typical of high shear wet granulation like granulating fluid quantity, kneading time, granulating fluid addition time, impeller and chopper speed etc. However, based on prior knowledge, few parameters like granulating fluid quantity, kneading time, granulating fluid addition time were considered for setting up structured experimentation plan. Design of experiments using multivariate analysis was used Initially the design yielded 8 runs which was further augmented with 4 runs totaling to 12 runs to understand the relationship between studied factors and chosen responses. The study revealed interaction between granulating fluid quantity and kneading time at different levels which was not linear. Significant impact was observed for granulating fluid quantity on the dissolution profile. Further during development, the product was modified keeping qualitative composition similar and a bridging DoE was performed and process was mapped for factors affecting chosen responses.

Keywords: Qbd, Doe, High Shear Wet Granulation, Scale up Principles

A-221

Formulation and Evaluation of Tinidazole, Lactobacillus and Prebiotic Oral Dosage Form

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Abstract:

India is a tropical country. The children as well as adults suffer from various bacterial, giardial and antiprotozoal infections. This project is aimed at preparation of oral dosage form (tablet) for the Gastro-intestinal (GI) infections. Tinidazole

(TND) is well known as an antiprotozoal, antibacterial and anti-giardial drug for oral use. In combination with probiotic there is synergy. Probiotic such as lactobacillus, bifid bacterium are helpful bacteria which help in removing the pathogenic bacteria from GI tract. The selection of the lactobacillus strains is based on the criteria of their tolerance to bile acid and adherence to the intestinal wall (i.e. Lactobacillus acidophilus and lactobacillus sporogenes). In addition their survival and growth in the intestine require proper use of prebiotics i.e. inulin. The newly developed formulation containing tinidazole, probiotic mixture and prebiotic is new and is not available in the market. After development of the formulation their evaluation (% drug content, in-vitro release, viability count of lactobacillus strains) has been carried out before finalizing the composition in the tablet or capsule dosage form.

Key Words: Tinidazole (TND), Lactobacilli, Prebiotic, Probiotic, Tablet, Viability

A-222

Three Dimensional (3D) Drug Printing: A Revolution in Pharmaceutical Science

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Abstract:

Three-dimensional (3D) printing is a manufacturing method in which objects are made by fusing or depositing materials in successive layers laid down under computer control. These objects can be of almost any shape or geometry and are produced from a 3D model as defined in a computer-aided design (CAD). Since the inception of 3D printing in 1984 it has evolved immensely and has been used in many fields including medicine, architecture and more recently in pharmaceutical manufacturing. From lab grown organs to drug delivery devices, 3D printing is advancing rapidly and in future course of time it is going to transform and change the way we live and work. 3D printing in pharmaceuticals has been used to produce many novel dosage forms like microcapsules, Complex Drug-Release Profiles, nanosuspensions, and multilayered drug delivery devices. From industrial point of view it also offers important advantages like, cost-effectiveness, increased productivity, democratization of design and manufacturing, and enhanced collaboration. Keeping in view the recent approval given by USFDA to the first 3D printed antiepileptic

drug the focus has now shifted to the personalized medicine as it offers an important benefit to patients who need medications that have narrow therapeutic indices or a higher predilection to be influenced by genetic polymorphisms. 3D printer is now seen as a valuable, efficient and economical tool to manufacture individualized medications, tailored to specific patients based on their needs and thereby change the future of pharmacy practice in general and pharmaceutical care in particular.

Key words: Three Dimensional Printing, Manufacturing, Personalized Medicine, USFDA

A-223

Design and *In Vitro* Characterization of Gastroretentive Mucoadhesive Tablets of Atorvastatin Calcium

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Abstract:

Mucoadhesive tablets have the potential to be used for controlled release drug delivery, but coupling of mucoadhesive properties to tablet has additional advantages. Atrovastatin calcium, a HMG- CoA reductase inhibitor is the treatment of choice is moderate to severe familial or non- familial hypercholesterolemia and oral bioavailability is less than 12%. Hence Atrovastatin calcium is considered a suitable candidate for the design of gastro retentive mucoadhesive tablets. The mucoadhesive tablets were developed by using different viscosity grades of HPMC (K4M, K15M and K100M) and PVP K30 by direct compression method. The *in vitro* dissolution profiles of the prepared formulations of Atrovastatin calcium were found to be extended the drug release over a period of 6-12 hours and the drug release was found to be decrease with an increase in viscosity of polymer. Release of Atrovastatin calcium from the developed formulations was found to be follow zero order kinetics ($r=0.950$ to 0.997) and correlation coefficient ($r>0.9$) indicated good fit of Higuchi model suggesting that diffusion is the predominant mechanism controlling the drug release. The best formulation F7 was found to be stable at 40c and 75%RH following a three month stability study. Formulation F7 appears suitable for further pharmacodynamic and pharmacokinetic studies to evaluate clinical safety of these gastroretentive mucoadhesive tablets of Atrovastatin calcium in suitable animal and human models.

Key words: Atorvastatin Calcium, Mucoadhesive, Extended Release

A-224

Formulation and Evaluation of Solid Dispersion of Nimesulide with Selected Polyethylene Glycols

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Abstract:

Nimesulide is a poorly soluble drug in aqueous media for better bioavailability the rate of dissolution should be enhanced so as to keep more drug in solution under sink conditions. The present work is aimed at enhancing the rate of dissolution of Nimesulide by preparing solid dispersions using PEG 4000 and PEG 6000 as carriers. uniform and homogenous solid dispersions of Nimesulide with PEG 4000 and PEG 6000 were prepared in the ratio of 1:1, 1:2, 1:3, 1:4 by fusion technique. the pure drug, powder blend and solid dispersion were subjected to dissolution studies using a buffer pH 8.5 and 1.2pH buffer containing 3% SLS disposition and all the released the drug within an accepted range. In the above study several fold increase in dissolution was observed with the solid dispersion of Nimesulide containing PEG 4000 as carriers compared to the pure drug and the physical mixtures. as the concentration of the carriers increased the cumulative amount of the released also gradually increased. The enhance solubility is probably due to the solubilization effect of PEG at higher level and Similar results were observed with PEG 6000.

Keywords: Solid Dispersion, PEG 4000, PEG 6000, Physical Mixture

A-225

Formulation Evaluation of Niosomal Drug Delivery in Cancer Chemotherap

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Abstract:

Drug delivery systems are defined as formulations

aim for transportation of a drug to the desired area of action within the body. The aim of the study was to investigate the feasibility of using Niosomes as a drug delivery system for Methotrexate. By entrapment of drug in Niosomes, dose also could be reduced. Niosomes were prepared by Ethanol injection method using cholesterol and Surfactant. Particle size, zeta potential, entrapment efficiency and *in vitro* drug release studies were performed. From the results of the present study it may be concluded that formulation containing drug with 350:300 (surfactant: cholesterol) ratio was showing small vesicles size, high Percentage of entrapment with the desired sustained release of the following drugs. Zeta potential of the optimized formulation was measured and found to be -27.3mv for the formulation depending on the addition of the negatively charged Dicyetyl phosphate. The entrapment efficiency was found to be 93.04% for the optimized formulations. Span 60 contained formulations were found to be higher entrapment efficiency. This may be accounted that the saturation of lipid domains with reference to lipophilic drug. So span 60 was optimized with respect to higher entrapment efficiency of drug. *In vitro* release was found to be biphasic as the release was controlled by dialysis and lipid bilayer. incorporation of cholesterol affected the release rate of encapsulated drug.

Keyword: Niosomes, Ethanol Injection Method, *In Vitro* Release

A-227

Development of Controlled Release Intravaginal Mucoadhesive Multiunit Pellet of Miconazole Nitrate

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Abstract:

Vaginal lumen is receptive site for various pathologic conditions such as bacterial, fungal and viral infection, the conventional vaginal formulations such as suppositories, pessaries, creams and gel are associated with drawbacks of leakage, messiness, and tendency to escape from the body. The mucoadhesive drug delivery system retains drugs for longer duration which can enhance the resident time of drug in the vaginal cavity, which reduces the frequency of administration. Miconazole Nitrate is a drug of choice for the treatment of

fungal infection in the vaginal cavity. The objective of present work was to formulate controlled release mucoadhesive multi-unit pellet system (MUPS) of miconazole nitrate using fluidized bed coating technique and compressing it to tablet dosage form which should disintegrate rapidly into MUPS and adhere to vaginal lumen hence releasing the drug in a controlled manner for longer duration of time. The drug loaded multi-unit pellets were prepared using MCC pellet as a core material, ethyl cellulose and HPMC K4M were used as a release controlling excipient and mucoadhesive polymer respectively. Finally, the MUPS were compressed into tablet which showed rapid disintegration, controlled release for 12 hours and 90% mucoadhesion for 10 hours.

Keywords: Miconazole Nitrate, MUPS (Multi Unit Pellet System), Intravaginal Mucoadhesive, Fluidized Bed Coating

A-228

Development and Optimization of Naringenin loaded Solid Lipid Nanoparticles using Plackett Burman and Box-Behnken Designs

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Abstract:

The aim of the present study was to develop solid lipid nanoparticles of Naringenin for enhancing its oral bioavailability via enhanced intestinal lymphatic transport. The developed formulation was optimized by using quality-by-design approach (Plackett–Burman and Box-Behnken Design). Primary screening was performed with the use of 12-run, 2-level Plackett Burman design which followed by Box Behnken Design for further optimization. Their physicochemical properties, Entrapment efficiency, Zeta potential and drug release of NGN-SLNs were characterized. As a result, NGN-SLNs showed nearly spherical particles with mean particle size, zeta potential, entrapment efficiency were found 230 nm, -31.20 mV and 88.42 % respectively. *In-vitro* drug release studies showed initial burst release by a prolonged release. *In-Vivo* pharmacokinetic study of optimized NGN-SLNs was performed to investigate the effects on the bioavailability and intestinal lymphatic absorption. Present study result indicates that the NGN-SLNs could potentially be exploited as a carrier for drug delivery with additional controlled drug release.

Keywords: Naringenin, Solid Lipid Nanoparticle, Box-Behnken Design, Plackett-Burman Design, Quality-by-Design

A-229

Formulation Development of Prolonged Release Tablets Containing Ternary Solid Dispersion of Tacrolimus

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Abstract:

The aim of the present study was to prepare prolonged release formulation of tacrolimus with both a prolonged release profile and improved solubility of tacrolimus. The ternary physical mixtures and solid dispersions of the tacrolimus were prepared and optimized to understand physicochemical characteristics and dissolution behaviour in order to enhance solubility. Tacrolimus loaded solid dispersions were formulated with Poloxamer- 407 and TPGS 1000 via spray drying method resulted increased the solubility and dissolution of the poorly water soluble tacrolimus. Solubility studies indicated that Poloxamer 407 and TPGS 1000 increased the solubility of drug upto 563 µg/ml. The percent drug release of tacrolimus from optimized ternary solid dispersion was found to be 92.68%. The optimized solid dispersion was punched into prolonged release tablets using HPMC, ethyl cellulose and talc as excipients by direct compression method in different ratios, out of which 2.5:66:129:2.5 ratio was found to be the best with percent drug release of 92.32% as compared to the 80.12% drug release of commercial product. In release kinetics study, the first order model describes beneficially drug release kinetics. The stability study of the formulation was carried out as per ICH guidelines for one month and there was no much variation in the *in-vitro* release and drug content of tablets at 0 day and after 30 days. These results showed improvement of solubility and dissolution rate of tacrolimus from the developed ternary system and similarity in the dissolution profiles of optimized prolonged release formulation and the commercial product.

Keywords: Tacrolimus, Poloxamer- 407, TPGS 1000, HPMC, Prolonged Release Tablet

A-230

Formulation and Evaluation of Anti Aging Cream Containing Metformin

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Abstract:

Aging is a natural phenomenon which relates to various changes in the physiology of skin. Aging can be noticed by seven key signs like fine lines and wrinkles, change in skin-tone and texture, age spots, surface dullness, visible pores, blotchiness and dryness. Anti aging creams are moisturise based skin care products marketed with promise of making consumer look younger by reducing masking and preventing signs of skin aging. Metformin is widely used to treat diabetes. The American diabetes association recommends metformin as a first-line agent to treat type-2 diabetes. Metformin is being tested on human beings for its anti aging properties. Metformin improves gene expression profile in older adults with damaged glucose tolerance to that of youngster. The objective of this project was formulation development and evaluation of anti aging cream containing Metformin Hydrochloride. To formulate optimize anti-aging cream containing Metformin, 3² full factorial design was employed using stearic acid, cetyl alcohol (independent variables) and all other excipients (glycerol, liquid paraffin, methyl paraben and triethanolamine). The percentage drug content was selected as dependent variables and the best formulation was selected by the design expert version 10. The optimized formulation o/w anti-aging cream containing Metformin was found to be homogeneous, easily spreadable and had good consistency and extrudability. In addition the formulated cream had good after feel and emollient effect. The drug release was very less from optimized cream indicating its topical effect on skin to reduce aging process.

Keywords: Aging, Metformin, Cream, Diabetes

A-231

Formulation and Evaluation of Triple Action Cream

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Abstract:

The aim of present research work was to prepare and evaluate triple-action cream which is having the anti-inflammatory, anti-fungal and anti-bacterial properties containing synthetic ingredients. The synthetic drugs used in the preparation were clotrimazole, terbinafine and beclamethasone propionate which will show triple actions. Total Nine formulations were prepared using factorial design by changing the concentration of the different active constituents and emulsifying agent. The optimized formulation TAC 1 was found to be consistent. The characterization was done on the basis of viscosity, pH, skin-irritation test and spreadability. The optimized formulation was also subjected to the antibacterial studies and compared with marketed preparation. On basis of results obtained, it was concluded that optimized formulation shows better antibacterial property and also having antifungal and anti-inflammatory action.

Key Words: Triple action, antifungal, Optimization, Antibacterial, Cream

A-232

Preparation and Characterization of Repaglinide Nanoparticles for the Management of Type 2 Diabetes Mellitus

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Abstract:

Repaglinide (RPG) is an oral hypoglycemic agent with excellent bioavailability (90–98%) and a short plasma half-life (2–6 h). A full dose of RPG is required before each meal; hence, therapy may become inconvenient. Thus, the aim of the present study was to design a novel delivery system to maintain peak plasma levels of RPG for the long-term management of diabetes mellitus. In present research work two nanoparticle formulations were prepared by combining RPG with poly (lactic-co-glycolic) acid alone or as a copolymer with methoxypolyethylene glycol (RPGNP1 and RPGNP2, respectively); both formulations were subjected to in vitro and in vivo characterization. In vivo characterization was performed in a streptozotocin (STZ)-induced diabetic male albino rats. The mean particle size of the RPGNP1 and RPGNP2 formulations was 387.8±11.9 and 310.2±12.4 nm, respectively, with a zeta potential of) 27.4±0.7 and)15.7±0.5 mV, respectively. The entrapment efficiency and drug content of RPGNP1 (58.7±1.3% and 27.4±2.3%, respectively) was better than that of RPGNP2

(45.8±1.2% and 24.3±1.1%, respectively). Blood glucose levels of RPGNP1- and RPGNP2- treated STZ-diabetic rats were reduced significantly (to normal levels) compared with untreated STZ-diabetic rats ($P < 0.05$), but there was no difference between the two treatment groups ($P > 0.05$). However, whereas RPGNP1 was effective for a period of only 24 h, RPGNP2 was effective for up to 1 week. The results of the present study show that RPGNP2 effectively manages type 2 diabetes mellitus for up to 1 week.

Keywords: Biodegradable, Long Circulating, Nanoparticles, Repaglinide, Type 2 Diabetes Mellitus

A-233

Development and Evaluation of Clobetasol Propionate Loaded Nanoemulgel for Treatment of Plaque Psoriasis

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Abstract:

Nanoemulgel was prepared using combined technique of w/o Single emulsion technique and Homogenization method. Nanoemulgel was characterized on the basis of size, poly dispersity index (PDI), FTIR, DSC, spreadibility, rheology, zeta potential, percentage *in vitro* penetration, percentage *in vitro* retention, percentage *in vitro* release and physical stability studies. Skin is exposed to UV-B light to produce psoriasis. Psoriasis was assessed in terms of increase in activity scores i.e redness, inflammation, and surface area. Further histopathology was done to assess changes in the state of disease in different groups of animals. The characterization of optimized formulations was performed and results found were as the optimized blank nanoemulsion formulations have particle size of 212.1 ± 11.1 nm and PDI 0.162 ± 0.03 nm. The optimized drug (0.5mg) loaded formulation have particle size and PDI of 240.5 ± 9.2 and 0.282 ± 0.03 respectively. The pH of the gel was 5.51 ± 0.91 , $21.12 \pm 0.15\%$ spreadibility and %drug content in the gel was found to be $88.61 \pm 0.39\%$. Percentage *in vitro* release of clobetasol propionate loaded nanoemulsion (F30), nanoemulgel (F31) and marketed formulation in 10% methanolic PBS (pH 5.5) was found to be $84.24 \pm 1.35\%$, 66.83 ± 1.67 and 57.67 ± 1.83 respectively in 24 hrs. Pharmacokinetic study was also performed after 24 hr of application of formulations. Nanoemulgel (F31) shown less release in blood plasma as compared to marketed drug and $t_{1/2}$ of nanoemulgel (F31) was increased to 2 times as compared to marketed formulation. As per experiment conducted within standard, control, diseased and test formulation histopathological studies had shown

changes in epidermal layer in various groups from which we can conclude that test formulation (F31) shows better result than marketed formulation.

A-234

Enhancement of Bioavailability of Rosuvastatin by Complex Formation with Thermal Fraction of Clarified Butter

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Abstract:

Present investigation shows confirmatory evidence for complex formation of Rosuvastatin calcium (RST) with a fraction of Clarified butter (CB) by sophisticated techniques. Fractions of CB were prepared according to thermal behavior at different temperatures (30°C, 40°C, 50°C). RST calcium biform complex in (1:1), (1:2), w/w proportions with fractions were prepared. Physico-chemical properties of all fractions of CB were determined as per Indian Pharmacopoeia. Fractions were subjected for FT-IR and *in-vitro* release studies (simulated gastric fluid, pH 1.2 for 2 hours and simulated intestinal fluid, PH 6.8 for 7 Hrs) and on the basis of it, optimized (1:1) w/w proportions were further characterized for DSC & FT-IR studies. RST shows a strong carbonyl band at 1543.05 cm^{-1} , all fractions showed disappearance of strong carbonyl band at 1543.05 cm^{-1} , spectra of biform complex shifted to higher frequency 1747.51 cm^{-1} (13.29 \% cm^{-1} increase), that show carboxylic and OH group of saturated or unsaturated fatty acid present in clarified butter. The *in-vitro* release of RST calcium was $99.23 \pm 0.08 \text{ \%}$ by (1:1) w/w in sustenance form than remaining. DSC thermogram peak of RST calcium shifted from 67.4°C to 58.10°C . Thus, clarified butter and its fraction are prominent in improving the bioavailability of RST.

Keyword: Rosuvastatin, clarified Butter, Cow Ghee

A-235

Role of Polymers in the Formulation of Prolonged Release Pellet by Pelletization Technique - Novel Drug Delivery Tool

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Abstract:

Pelletization is the agglomeration process that converts fine powders or particles of bulk drugs and excipients into small, free flowing, spherical units called pellets. By the use of variety of polymers, pellets can be designed to obtain sustained, controlled or site-specific delivery of the drug. Role of polymers is not limited to the formation of pellets but it is also used for coating of pellets. Polymers such as ethyl cellulose, microcrystalline cellulose, HPMC K4M are used as prolonged release polymers and eudragit L100-55 is used as extended release polymer, which play a vital role in altering the drug release pattern. Factors that affect the choice of polymers are rate of diffusion across the membrane, pellet coating so that neither dissolution nor degradation of the polymers should occur during its active lifetime. Polymer ratio is very important to obtain proper extrudates from the extruder. The polymers that are to be used for extrusion are ethyl-cellulose, cellulose acetate butyrate, poly (ethylene-co-vinyl acetate), eudragit, HPC, HPMC, crosspovidone, sodium carboxy methyl cellulose. For prolonged release pellets the polymers used were microcrystalline cellulose, hydroxyl propyl cellulose by extrusion-spheronization technique; this technique is a newer and ideal technique of pelletization for the formulation of pellets. Hence spheronization technique for pellet formation can be improved by the effective utilization of polymers by identifying the ratio of polymer and the physical stability of the polymer to be used.

Keywords: Polymers, Novel Drug Delivery System, Pelletization

A-236

Dissolution Enhancement of Efavirenz using β -Cyclodextrin by Solid Dispersion Technology

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Abstract:

The objective of present study was to enhance the dissolution rate of Efavirenz (EFV) by solid dispersion technique. Efavirenz is an antihuman immunodeficiency virus (antiHIV) drug and it acts by inhibiting the non-nucleoside reverse transcriptase of HIV. It is also used as a antiretroviral drug. Efavirenz and Beta – Cyclodextrin (β – CD) solid dispersions were prepared with an intention to study the influence of β – Cyclodextrin (β – CD) on the solubility and dissolution rate of this poorly soluble drug. Phase solubility profile indicated

that the solubility of Efavirenz was significantly increased in the presence of β – CD and was classified as type A_L. Physical characterization of the solid dispersion was characterized by Scanning electron microscopy (SEM), Differential scanning Calorimetry (DSC) and X-ray diffraction studies (XRD). Effect of variable such as drug : carrier ratio were studied. These studies revealed that a distinct loss of drug crystallinity in the solid dispersion is ostensibly accounting for enhancement of dissolution rate in distilled water. Solid dispersion of efavirenz showed a 4.06 times increase in dissolution rate over the pure drug. The drug release from the prepared solid dispersion exhibited a first order kinetics.

Keywords: Efavirenz, β – Cyclodextrin, Solid Dispersion, Kneading Method, Dissolution

A-237

Studies on Solid Dispersions of Carvedilol: Preparation and In-vitro Characterization

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Abstract:

Carvedilol is a poorly water-soluble oral antihypertensive agent. The aim of the study was to enhance the solubility and dissolution rate of poorly soluble drug Carvedilol (BCS class II drug) using its solid dispersions (SDs) with β -cyclodextrin. The prepared solid dispersions were characterized for their drug content, differential scanning calorimetric studies (DSC), X-ray diffraction studies XRD and in-vitro release studies. Effect of drug:carrier ratio was studied. The scanning electron microscopy (SEM) study revealed that the binary systems appeared as agglomerates and exhibiting the presence of a homogenous solid phase responsible for enhanced dissolution rate compared to pure drug. The release of the drug from the solid dispersion follows From the results, it was clear that solid dispersion formulation showed improved dissolution rate than pure drug and physical mixture. Solid dispersion of carvedilol showed a 7.48 times fold increase in dissolution rate over the pure drug.

Keywords: Carvedilol, β – Cyclodextrin, solid dispersion, kneading method, Dissolution.

A-238

Formulation and evaluation of Controlled

Porosity Osmotic Pump of Valsartan

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Abstract:

The aim of the current work to design a porous osmotic pump based drug delivery system for controlled release of Valsartan. The porous osmotic pump contains pore-forming water-soluble additives in the coating membrane, which after come in contact with water, dissolve, resulting in an situ formation of a micro porous structure. The dose regimen of Valsartan is one 5-mg tablet 2-3 times a day. The plasma half-life ranges from ~2 to 3 hrs. hence, Valsartan was chosen as a modern drug with an aim to develop a controlled release system to that Ditropan XL was achieved for optimized formulation ($f_2 > 50$) independent of hydrodynamic conditions. The effects of different formulations variables, namely, ratio of drug to osmogen, membrane weight gain, and level of pore former on the in vitro release was studied. Cellulose acetate (CA) was used as the semi-permeable membrane. It was found that drug release rate increased with the amount of Valsartan because of the increased water uptake, and increased driving force for drug release. Valsartan release was inversely proportional to the membrane weight gain; however, directly related to the level of pore former, sorbitol, in the membrane. This system was found to deliver Valsartan at a zero-order rate for 16 hrs. The effect of pH on drug release was also studied.

Keywords: Osmotic System, Valsartan, Osmogens, Cellulose Acetate, Pore Former

A-239

Formulation, Development and *In vitro* Evaluation of Fast Dissolving Tablet of Etodolac

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Abstract:

Etodolac is a member of nonsteroidal anti-inflammatory drugs which is generally use orally in a tablet formulation. The major drawback of Etodolac is local gastrointestinal toxicity and ulceration upon chronic use which may lead to the gastroenteritis lead to termination of Etodolac in such

conditions. It is contraindicated in patients having active peptic ulceration, history of peptic ulcer or G.I. haemorrhage. To avoid such problems and to increase the bioavailability of the Etodolac the fast dissolving tablets should be prepared. The aim of present research work was to formulate Fast dissolving tablets of Etodolac in order to enhance its effectiveness and use of the drug. For Fast dissolving tablets most promising NSAID's candidate Etodolac is selected for the present study.

Keywords: Etodolac, Nonsteroidal Anti-inflammatory, Fast Dissolving Tablets, Bioavailability

A-240

Formulation and Characterization of Trihexyphenidyl Hcl Loaded Soild Lipid Nanoparticles for Better Anti-Parkinsonism Therapy

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Abstract:

Trihexyphenidyl hydrochloride is a selective M1 muscarinic acetylcholine receptor antagonist. It discriminates between the M1 and peripheral muscarinic subtypes (cardiac and glandular). Trihexyphenidyl hydrochloride partially blocks cholinergic activity in the CNS, which is responsible for the symptoms of Parkinson's disease. Trihexyphenidyl hydrochloride is considered as a class 3 compound having high water solubility and less permeability. In this study Trihexyphenidyl hydrochloride loaded SLN's were prepared with a view to overcome the drawbacks of conventional tablet dosage form and to prevent from intestinal degradation. Solubility studies were carried out and it was found to be highly soluble in water. Physical characterization of the Trihexyphenidyl hydrochloride loaded SLN was characterised by Fourier transforms infrared spectroscopy and Differential scanning calorimetry. These studies revealed that the lipid and drug were compatible. The scanning electron microscopy study revealed the uniformity of particle size and shape. Batch prepared with 60:40 ratio of Lipid: Surfactant (w/w), with 10mg drug was showed entrapment efficiency of 78.93% and drug loading was 6.06%. SLN formulations released the drug in sustained manner over a period of 24hrs. Peppa's model was the best fit model which indicates the release of drug from the formulation is by diffusion, erosion and swelling. In studies, the

percentage haemolysis was found to be 11% indicating that it was safe towards the RBC lysis.

A-241

Preparation and Characterization of Carboxymethyl Cellulose Linked Dextran Hydrogel for Enhance the Percutaneous Absorption of Piroxicam

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Abstract:

The aim of this study was to develop hydrogel (HG) of carboxymethyl cellulose (CMC) tailored dextran containing piroxicam intended for topical skin delivery. The hydrogel were prepared by conjugation of CMC with amino dextran, and then piroxicam was added to encapsulation in the hydrogel matrix. The HGs were characterized in terms of particle size, zeta potential, morphology, entrapment efficiency, and in vitro drug release. The particle size was found 134 nm of CMC-DEX HGs and entrapment efficiency was found 87.36±1.23 % and 72.35 ± 2.35% in case of CMC-DEX HGs and CMC HGs respectively. *In vivo* study of piroxicam loaded CMC-DEX hydrogels formulation contributed higher (6.22 mg/mL) than CMC HGs (plain) formulation concentration in plasma (3.21 mg/mL). In CMC hydrogels, the piroxicam retained was 15.61 ± 1.5 mg/mL and 6.24 ± 1.2% in case of epidermis and dermis respectively, other than, in case of CMC-DEX HGs the piroxicam retention on epidermis and dermis was 5.21±1.19mg/mL and 26.34± 0.5 mg/mL in 12 hrs.

Keywords: Hydrogel, CMC, Dextran, Ermis, Epidermis, Plasma, Penetration, Retention

A-242

Preparation and Characterization of Pectin-Drug Conjugates

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Abstract:

Drug polymer conjugates offer several advantages

of increased solubility, improved bioavailability and pharmacokinetics, reduction in antigenicity and immunogenicity and possibility to form advanced complex system. In the present research an attempt was made to conjugate a hydrophobic drug curcumin with a hydrophilic polymer pectin. The conjugation of curcumin with pectin was carried out by first activating the free carboxylic groups of pectin using N, N'- dicyclohexylcarbodiimide (DCC) in the presence of catalytic amount of dimethylaminopyridine (DMAP). The reaction was carried out under nitrogen atmosphere at 60-65° C. for 7 hours. The mixture was dialyzed to remove unreacted curcumin for 1 day against DMSO and 3 days against deionised water. Finally, the prepared conjugate was freeze dried and then stored for further studies. The curcumin - pectin conjugate was characterized by Fourier Transform Infrared Spectroscopy, ¹H NMR, UV spectroscopy and HPLC. The conjugation of curcumin to pectin was confirmed by IR spectra, which indicated an additional peak of ester group at 1745 cm⁻¹. The presence of NMR peaks in the aromatic region due to aromatic protons of curcumin confirmed the presence of curcumin in the conjugate. The results of UV absorption spectra showed shift in the absorption maxima of the conjugate as compared to curcumin. The assay of curcumin – pectin conjugate by HPLC revealed that 10 mg of product contained 3843.9 mcg of drug. The curcumin - pectin conjugate prepared in the study appears to be a promising polymer drug system for drug delivery applications.

Keywords: Polymer Drug Conjugate, Curcumin, Pectin

A-243

Design, Development & Characterization of Atazanavir Loaded Nano Structured Lipid Carrier for Better Anti HIV Therapy

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Abstract:

The study deals with the investigation carried out on the Atazanavir loaded nano structured lipid carrier. Based on the literature studies excipients like lipid (Compritol 888ATO) & surfactant (Tween 80) were selected for the formulation of Atazanavir loaded nano structured lipid carriers. In formulation development, Atazanavir loaded NLC's were prepared by solvent emulsification evaporation method and the effect of certain process and formulation variables such as lipid concentration

, surfactant concentration, particle size and other necessary evaluation studies were performed. The prepared NLCs were evaluated for particle size analysis, zeta potential, *in vitro* drug release studies. All the above investigations brought out many facts which lead to following conclusions: The selected lipid was found to be compatible with Atazanavir based on FTIR & DSC peak matching method studies. Study on various formulation variables revealed that all the variables are important. Batch prepared with 1:9 ratio of Solid Lipid : Liquid Lipid, with 2% concentration of surfactant (F5) showed the minimum particle size and that was identified as ideal batch. The F5 batch was showed entrapment efficiency of 86.39% and drug loading was 7.62%.

A-244

Formulation and Evaluation of Idoxuridine Ocusert

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Abstract:

Ophthalmic insert is defined as sterile preparation with solid or semisolid consisting and whose size and shape are especially designed for ophthalmic application. The aim of the present work is formulation and evaluation of ocusert containing idoxuridine for better drug delivery and retention time. In present study reservoir type ocular inserts comprising reservoir film of hydrophilic polymer (HPMC/PVA), along with idoxuridine and poly ethylene glycol 400, in double distilled water were prepared by film casting method on Teflon coated Petri dishes. The drug reservoir is sandwiched between two layers of rate controlling membrane, tested for drug content, physical characteristics, interaction between drug and polymers after sterilization by gamma radiations and *in vitro* drug release. Each ocular insert contained 1mg of the drug. The ocular inserts were stored in an airtight container under ambient conditions. The optimized formulation was found to be satisfactory in terms of desired pharmaceutical characteristics with controlled drug release characteristics.

Keywords: Formulation, Ocusert, Idoxuridine, HPMC, Rate Controlling Membrane

A-245

Formulation Development and Evaluation of Oro Dispersible Tablets of Bitter Drug by using Ion Exchange Resins

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Abstract:

Drug delivery using orally disintegrating tablets is rapidly gaining importance since tablets either disintegrate or dissolve in the mouth rapidly, without requiring water to aid in swallowing. Unpleasant taste mainly bitterness had lead to dilemma in formulation development of ODT. This undesirable taste diminishes the acceptance and usefulness of many beneficial, safe and efficacious drugs. Thus elimination or reduction of bitterness is an important mainstay of product evaluation in ODT formulation. Model drug used for ODT is a highly potent spasmolytic, very bitter drug and slightly soluble in water. ODT of this model drug was formulated and bitter taste of the drug was successfully masked by using ion-exchange polymer Kyron T114 and Croscarmellose as a super disintegrating agent. Post compressible parameters (hardness, friability, thickness, and drug content) were within the acceptable limits. Various formulations were prepared using various ratios of the excipients and drug polymer ratio. Batch VII was found to be promising and showed wetting time of 8.66 seconds and *in-vitro* disintegration time 11.12 seconds which facilities the faster dispersion in aqueous media. The *in-vitro* drug release from the optimized batch VII was found to be 92.56% in 25 minutes. The stability studies show that no significant changes in the drug content and release profile was observed. Thus we conclude that optimized formulation is stable, reproducible with good dispersion and disintegrating characteristics.

Keywords: ODT Orodispersible Tablets, Bitter Taste, Ion Exchange Resins, Dissolution Study, Stability Studies

A-246

Design, Characterization and Optimization of Sustained Release Matrix Tablets of Glipizide Using Thiolated Tamarind Seed Polysaccharide

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Abstract:

The present study was aimed to develop and optimize drug release from sustained release matrix tablets of Glipizide containing Thiolated Tamarind seed polysaccharide (TSP-

2) as matrix former using 3² full factorial design. Thiol-functionalization of Tamarind seed polysaccharide (TSP) was carried out by esterification with thioglycolic acid. It was confirmed by -SH stretch in FTIR spectrum. The drug and TSP-2 was found to be compatible as confirmed by IR spectral studies and Differential Scanning Calorimetry. The shape and surface of TSP and TSP-2 was examined by Scanning Electron Microscopy. Sustained release matrix tablets of Glipizide were prepared by direct compression using TSP/TSP-2 as matrix former. A 3² full factorial design with two independent variables and three dependent variables was employed to optimize drug release profile and evaluated using Response Surface Methodology. Concentration of TSP/TSP-2 (X₁) and type of diluent (X₂) were taken as independent variables. The dependent variables selected were percent of drug release at 4 hr (Y₁), 8hr (Y₂) and swelling index (Y₃). The Formulation **F14** with TSP-2 (20%) as release retardant and Starch as diluent showed a slow and complete drug release of 99.10% over a period of 16 hr. The 'n' value of formulation **F14** from Korsmeyer-Peppas equation was found to be 0.586, indicating that the release mechanism was non-Fickian or anomalous release. R² value (0.961) was maximum for Higuchi plot. Pharmacodynamic activity of optimized formulations; **F14** showed low blood glucose levels up to 18 hrs with Relative bioavailability of **61.88%**.

Keywords: Glipizide, TSP, Thiolated-TSP, Matrix Tablets, Response Surface Methodology, Sustained Release

A-247

Dual Cross-Linked Chitosan-Pectin Polyelectrolyte Complex Based Multi-Particulate System for Colonic Delivery of Mesalamine

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Abstract:

Protective and therapeutic efficacies of mesalamine on various lower gastrointestinal (GI) disorders (e.g., colorectal cancer, colitis) are well renowned. To overcome the harm due to its prompt absorption and metabolism at the upper GI tract, a delayed release formulation of mesalamine as multiparticulate chitosan-pectin dual crosslinked beads by changing various formulation parameters was developed. With the help of factorial design the effects of the formulation parameters such as shape, size, drug entrapment efficiency, swelling-erosion, and drug release pattern were studied. The optimized beads were

further exposed to morphological, enzymatic degradation, and stability studies. All the formulated beads were spherical with about 1 mm diameter and proficiently encapsulated. The delayed release profiles of single and dual crosslinked gel beads loaded mesalamine were investigated in simulated gastric fluid (SGF), simulated intestinal fluid (SIF) and simulated colonic fluid (SCF). In SGF, drug released from Ca²⁺ single crosslinked beads was faster as compared to dual crosslinked beads. The mesalamine cumulative release of chitosan-pectin mass ratio 1:5 was 0.416% (in SGF 2 h), 17.356% (in SIF 5 h) and 85.358% (in SCF 24 h). The dual crosslinked beads were also incubated in gastrointestinal tract conditions (rat caecal content) and a significant difference (P < 0.005) was observed in the amount of drug released. Mesalamine was stable within the beads at 4°C and RT for 6 months. The amount of drug remaining was about 99.77% at 4°C, 98.97% RT whereas about 92.00% at accelerated condition (40°C).

Keywords: Chitosan, Pectin, Dual Crosslinked Beads, Site Specific Drug Delivery System

A-248

Formulation and Evaluation of Thermoreversible in-situ Gel of Atomoxetine HCl for Nasal Delivery

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Abstract:

Present investigation was aimed to develop a thermoreversible nasal in-situ gel of atomoxetine hydrochloride (AH) with reduced nasal mucociliary clearance in order to improve residence time and targeting the brain through nasal mucosa for the treatment of attention-deficit hyperactivity disorder (ADHD). In situ gel formulations were prepared using different concentrations of the thermo-gelling Poloxamer 407 and mucoadhesive polymers. Temperature-triggered ionic gelation is the mechanism involved. Optimization of formulations was done by Taguchi L9 OA experimental design. In-situ gel formulation F4 having 20% Poloxamer 407 & 0.3% Carbopol 934P and formulation F6 having 20% Poloxamer 407 & 0.3% HPMC K100 were optimized based on gelation temperature, mucoadhesive strength, *in vitro* drug diffusion and permeation. Drug release studies were conducted using three membranes viz; dialysis membrane, egg membrane and goat nasal mucosa. The gelation temperature of F4 and F6 was found to be 37°C ± 0.4 & 37°C ± 0.2, drug content 98.34%

&98.33% and drug release was 83.18%, 82.4% in 4 hrs with a flux of 436.9 & 428.1 $\mu\text{g}\cdot\text{cm}^2/\text{hr}$ respectively. The release pattern of drug followed first order kinetics with Higuchi release mechanism. The value of 'n' from Korsmeyer equation indicated the anomalous diffusional drug release. This study concluded that in situ gel, increased nasal residence time and thus may improve the bioavailability of the drug through nasal route and avoids first pass metabolism.

Key words: Attention-Deficit Hyperactivity Disorder, In-situ gel, Thermoreversible, Poloxamer-407, Carbopol-934P

A-249

Placenta in Cosmetics

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Abstract:

Placental extracts in cosmetics began in 1933 with some research carried out by Vladimir Petrovich Filatov. Placenta is inside layer of the womb which helps the foetus to obtain its food. It is an excellent ingredient for cosmetic products. Placenta helps to stimulate human cell growth and renewal. Subsequently, it slows down human aging process. In the past, extracts of placenta of sheep, pig and cow were used in cosmetic products. Although placenta extracts of animals like pig are biologically similar to human skin, controversies have been raised as to the use of these sources in cosmetic products, especially among the muslim consumers as it is not halal. Placenta from the sheep namely ovine placenta however, is acceptable to the muslim consumers. Nowadays the use of placenta in cosmetic products is quite common. Utilizing placenta extract, a combination of the proteins, hormones, vitamins, enzymes, nucleic acids, fatty acids and minerals which can promote as antiaging, enhance cell regeneration and boost the immune system. It is also claimed that, placenta produced one substance namely binucleate cell which is believed as an active substance that used to treat various disease and improve health. Data on the exact purpose of the placenta extract is not well documented and difficult to find. Currently there are many placenta products in the market which are either extract from human placenta or animal. Many of the products are claimed to be safe and effective for health.

Keywords: Foetus, Ovine Placenta, Proteins, Vitamins, Enzymes, Immune System, Binucleate Cell, Human

Placenta

A-250

Solid Lipid Nanoparticles of a Tyrosine-Kinase Inhibitor with Enhanced Anticancer Activity

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Abstract:

Imatinib mesylate (IM) is a tyrosine-kinase inhibitor which specifically destroys the tumour cells by apoptosis. An attempt was made to develop and evaluate the anti cancer potential of IM loaded solid lipid nanoparticles (ISPs) against MCF 7 cell lines. Preliminary screening for the determination of solubility of IM in lipids was carried out in lipid carriers like myristic acid, palmitic acid, stearic acid, behenic acid, glyceryl palmitostearate, Precirol ATO 5°, glyceryl monostearate, Geleol mono and diglycerides°, Compritol 888 ATO°, trimyristin, tripalmitin, tristearin, Sterotex HM°, Sterotex NF°, cocoa butter, Hydrokote M°, Hydrokote 112°, Captex 70°, Gelucire 44/14° and Gelucire 33/01°. Partitioning studies of the drug between the aqueous phase and lipid phase were performed to study the partitioning behaviour between the lipid and aqueous phases. ISPs were prepared by hot melt homogenization method using stearic acid and Compritol 888 ATO as the lipid matrix, quillaja saponin as surfactant and Phospholipon 90 G as co-surfactant. Various batches of nanoparticles were prepared by varying the ratios lipid, surfactant and co- surfactant in order to obtain a size under 100 nm. The particle size of the formulations ranged from 27.12 nm to 226.45 nm and the zeta potential was found to be neutral. *In vitro* release studies showed a biphasic release pattern of the drug from the shell. *In vitro* cytotoxicity evaluation in MCF 7 cell line showed better toxicity for ISPs than IM.

Keywords: Imatinib Mesylate, Solid Lipid Nanoparticles, Stearic Acid, Compritol 888 ATO, Quillaja Saponin

A-251

Role of Spherical Crystallization to Enhance Drug Bioavailability

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Abstract:

Spherical crystallization is the novel agglomerated technique that can directly transform the fine crystals produced in the crystallization process into a spherical shape. Spherical crystallization of drugs is the process of obtaining larger particles by agglomeration during crystallization. It is the particle engineering technique by which crystallization and agglomeration can be carried out simultaneously in one step to transform crystals directly into compacted spherical form. Today, the tablet is the most popular dosage form, covering around 50% of total oral drug delivery system and accounting 75% of all pharmaceutical preparation produced. To improve the dissolution rate of poorly soluble drugs, fine crystals are referred and this micronisation can change drug powder properties such as wet ability, compressibility, packability and flow. The spherical agglomerated crystals can be directly prepared into a tablet, thus direct tableting saves time and reduces cost. General methods of spherical crystallization are spherical agglomeration, emulsion, solvent diffusion method, ammonia diffusion method, neutralization method. Flocculation zone, zero growth zone, fast growth zone, constant size zone are the principle steps involved in the process. Improvement of flow ability, compressibility of poorly compressible drug, masking bitter taste of drug, improving solubility and dissolution rate of poorly soluble drug and thus improve bioavailability of drug are some of the advantages of spherical crystallization.

Keywords: Spherical Crystallization, Drug Techniques, Agglomeration, Solvent Diffusion

A-253

Design, Formulation and Evaluation of Nicotine Chewing Gum for Nicotine Replacement Therapy (NRT)

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Abstract:

Nicotine gum was formulated dosage form for smoking cessation to avoid many deaths. The objective behind the research was Nicotine Replacement Therapy can help smokers to quit smoking. Formulation must comprise aspartame as sweetener and cherry, eucalyptus as the flavouring agents

to mask bitter taste for patient adherence. Most formulation releases 79-83% of their nicotine content within 20 min. where gum base and methods of preparation were important factors. Gums weight variation and content uniformity were determined and in- vitro rug release testing is well established for a range of dosage forms. Release of Nicotine (Dissolution test) was studied in pH 6.8 phosphate using a mastication device. After evaluating organoleptic characteristics aspartame and flavorings of cherry and eucalyptus were more effective to eliminate bitter taste of nicotine. Chewing gum not only offers clinical benefits but also attractive, discrete and efficient drug delivery system. Therefore, Nicotine Gum have been successfully formulated as it was observed there was fast onset of action, pleasant taste, can be used without water with fewer side effects such as diarrhea, flatulence, adhering to enamel dentures etc., Reformulation of an existing product is required for patent protection, additional patient benefits. Thus, it can be concluded this study can be beneficial for design, formulation and evaluation of Nicotine Chewing Gum.

Keywords: Nicotine Chewing Gum, Mastication Device, Smoking Cessation, Organoleptic Characters

A-254

Development and Characterization of Flurbiprofen Fast Dissolving Tablets by Using Suitable Techniques

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Abstract:

The main objective of this study was to Develop and Characterized Flurbiprofen fast dissolving tablets by using suitable techniques. In the study firstly masked the bitter taste of Flurbiprofen by utilizing kneading method with the help of β -Cyclodextrin. It improved the solubility and dissolution profile also. Prototype formulations of fast dissolving tablets were prepared by four methods i.e., Super disintegrate addition methods, Effervescent method, Sublimation method and Molecular dispersion technique. According to the characterization parameters it was clearly found that addition of superdisintegrant method was found to be the best methods as compare to other methods. So ultimately the addition of Superdisintegrant method (Ac-di-sol and sodium starch glycolate) was utilized for the further development of the Flurbiprofen Fast dissolving formulations

(FLB1 to FLB9). On the basis of characterization parameters and *in-vitro* drug release characteristics the formulation FLB4 and FLB9 showed better result as compared to other formulations. The *in-vitro* dissolution parameters i.e., T50% and T90% of FLB4 was found to be 4.2 min. and 11.0 min. respectively in 1.2 pH phosphate buffer, while formulation FLB9 showed 4.0 min and 12.0 min respectively in 6.8pH buffer. Finally formulation FLB4 and FLB9 were found to be best formulations when compared with the *in-vitro* drug release profile of conventional marketed Flurbiprofen tablet (T90%=55 min):
te Addition Methods

A-255

Formulation of Sustained Release Glibenclamide and Immediate Release Atorvastatin Calcium Pellets for Fixed Dose Combination Dosage Form

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Abstract:

The aim of the present investigation was to develop novel fixed dose combinations (FDC) for improvement of glucose tolerance in type- II diabetes mellitus patients associated with dyslipidemia. Multiple Unit Pellet Systems (MUPS) consisting of sustained release Glibenclamide and immediate release atorvastatin calcium pellets. The FT-IR and DSC studies were carried out and confirmed that there was no chemical interaction existed between the drug and the natural polymers employed. The sustained release Glibenclamide pellets were prepared using combination of locust bean gum and gum ghatti/guar gum. And immediate release atorvastatin calcium pellets were prepared using 1% w/w locust bean gum suspension as binder. The formulations were further analyzed by SEM, which exhibited high degree of drug entrapment with spherical shape resulting in good flow properties. The *in-vitro* dissolution study showed that the sustained release Glibenclamide formulation was found to sustain the drug release over a period of 12 h. The immediate release atorvastatin calcium formulation sodium showed fast disintegration of pellets resulting in fast dissolution of drug with excellent physic-mechanical properties of pellets. The Kinetic analysis for formulation BD-8 dissolution data showed Zero order as best fit model indicating Super case II transport as the release mechanism and formulation FR-14 dissolution data showed Korsmeyer-Peppas as best fit model indicating less Fickian diffusion as the release mechanism.

Keywords: Novel, Sustain, Polymers, Bioavailability

A-256

Formulation and Evaluation of Emulgel of Flurbiprofen

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Abstract:

Flurbiprofen, a propionic acid derivate is a non-steroidal anti-inflammatory agent (NSAID) with antipyretic and analgesic activity, it is priorly used as a topical agent. The aim and objective of the present study of flurbiprofen emulgel is enhancing the topical delivery of flurbiprofen by using high molecular weight water soluble polymers and different permeation enhancers. Flurbiprofen emulgel were formulated by using different combinations of HPMC K100M, Carbopol 940, Carbopol 941 and Xanthum, gum. Oleic acid (FOA1 to FOA4) and propylene glycol (FPG1 to FPG4) were used as permeation enhancers. The prepared emulgel was evaluated for their physico-chemical properties, pH, rheological properties and drug content. Among the various formulations FOA4, FOA1, FPG4 and FOA3 were optimized based on their better drug release within 8 hrs. Formulation FOA4 with xanthum gum have shown superior drug release and permeability in *ex-vivo* studies compared to other optimized formulations. Optimized formulation was applied on rabbit, there is no erythema and edema was observed on skin after 8 hours and the formulation is safe. Stability studies were conducted and showed that the formulations were stable.

Keywords: Topical delivery, Emulgel, High Molecular Weight Polymers, Permeation Enhancers

A-257

Solid Lipid Nanoparticle Loaded Thermosensitive Hydrogels of Alendronate as an Implantable System for Osteoporosis

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Abstract:

Solid Lipid Nanoparticles (SLN) are efficient and nontoxic drug carrier system made up from solid lipids. Temperature-

sensitive hydrogels undergo phase transition (solid to liquid / liquid to solid or swelling/shrinking of polymer network) with the change in temperature above or below critical solution temperature. In the present study a composite system of Alendronate (ALD) loaded SLN based hydrogel was prepared. SLN based smart gels were developed and optimized by Quality by Design (QbD) –Design of experiment (DoE) approach. SLN was prepared by hot homogenization technique and were characterized for particle size, zeta potential and entrapment efficiency. The prepared SLN dispersion was suitably gelled into the polymer matrix of Pluronic F-127 and Pluronic F-68. SLN based hydrogel were assessed for gelation temperature, gelation time, viscosity, syringeability and *in vitro* release. The optimized SLN showed a spherical particle (108 ± 4 nm), polydispersity index (0.247 ± 0.014), entrapment efficiency ($69.53 \pm 1.23\%$) and with the zeta potential of -16.28 ± 1.1 mV. The optimized formulation was found to be thermosensitive and exhibited drug release of 94.37% at 102 h. Drug release data suggested that the formulation followed non-fickian diffusion controlled mechanism. The obtained results suggest that ALD-SLN based hydrogel may be a promising drug delivery system for the management of Osteoporosis.

Keywords: Solid Lipid Nanoparticle, Alendronate, Design of Experiment, Hydrogel, Osteoporosis

A-258

Formulation and Characterization of Proniosomal Gel of Cefpodoxime Proxetil

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Abstract:

The purpose of this study was to develop a proniosomal gel of cefpodoxime proxetil using selected surfactants like span 60 and 80 by coacervation phase separation method and their characterization to study *in-vitro* properties. Proniosomes are dry formulations using a suitable carrier coated with non ionic surfactants and can be converted into niosome immediately before use by hydration. They were transparent, translucent in structure. Through gel formulation, the bio availability of the drug can be increased up to 60% to 80% than other formulations. Cefpodoxime is active against most of the gram positive and negative organisms for a number of diseases. It is a BCS class IV drug with solubility of 400 µg/ml and 50% oral bio availability. It is a pro drug which gets hydrolyzed to its active form cefpodoxime *in-vivo* due to its poor water solubility.

Entrapment of this drug in vesicular structures can be useful to prolong the existence of the drug in systemic circulation and thereby increasing the bio availability. Span 60 type surfactants showed better entrapment and release profile than others. They were found osmotically active and stable. Method of preparation was less tedious one, which is potentially scalable for commercial viability with less excipients usage.

Keywords: Cefpodoxime Proxetil, Proniosomal Gel, Span, Lecithin

A-259

Development, Optimization, Characterization & in vivo Evaluation of Self Emulsifying Drug Delivery Systems Containing Carvedilol for Improving Bioavailability and Anti-Hypertensive Activity

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Abstract:

In the present research Self-Emulsifying Drug Delivery Systems (SEDDS) for Carvedilol was formulated. The objective behind the research was to improving bioavailability of poorly water soluble drug and anti-hypertensive activity. SEDDS loaded with carvedilol were prepared by using different ratio of oils, surfactant and co-surfactant and ternary phase diagram were plotted to identify the best emulsification region, the optimized SEDDS formulation F8, showed emulsification time of $8 \text{ sec} \pm 1$, particle size of 296 nm, zeta potential of 12.81 mV and poly dispersivity index of 0.241. ATR-IR spectra shows no evident extra/missing which indicates that all excipient are compatible with each other and the drug. SEM analysis of SEDDS formulation showed spherical shaped granules of smooth surface. The *in vitro* dissolution profile of optimized formulation F8 showed higher rate of dissolution of drug, as compared to marketed formulation, in pH 1.2 buffer. *In vitro* diffusion study were carried out using open tube dialysis method in simulated gastric fluid. F8 shows higher release of about 60% through membrane, whereas, pure drug showed 28% release within 24 hour. The pharmacodynamics studies showed better results at half dosage amount and same as well as half dosage frequency of SEDDS formulation as compared to marketed formulation and suspension of pure drug.

Keyword: Self Emulsifying Drug Delivery Systems (SEDDS), Surfactant, Diffusion Study Ternary Phase

Diagram

A-260

Formulation and Evaluation of Cefuroxime Axetil Buccal Film

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Abstract:

The main aim of the present work was to formulate and evaluate antibiotic buccal film with Cefuroxime Axetil. The drug of choice for preparing antibiotic buccal film was Cefuroxime Axetil, an orally absorbed second generation cephalosporin. The main polymers used were Pectin, Gelatin and Chitosan with the aid of various combinations of Sodium CMC, HPMC, PVA, PVP and Propylene glycol as a plasticizer. A pre formulation study has been conducted and the results were satisfactory. Strict and detailed evaluations of the prepared buccal films were conducted in the laboratory to optimize the best formulation. The physico chemical properties such as Physical appearance and surface texture, Mean thickness, Weight variation, Swelling index, Folding endurance, Surface pH, Moisture absorption & moisture loss were studied. All the seven formulations (F1 to F7) gave good results within the limits. The release studies showed a good release pattern up to 390 minutes with a Cumulative % drug release of 98.92% for formulation F6 and zero order release was confirmed for formulation F6. Higuchi's diffusion plot with R^2 value 0.876, indicated that the film follows diffusion. An acceptable bio adhesive strength of $6.2 \pm 0.08N$ was observed for the optimized formulation of buccal film. Extractions from buccal film showed better antimicrobial activity against the tested microorganisms. The films did not show any variation in the tested parameters of stability studies and the results were within the limits. Thus an optimized buccal film was fabricated for antibiotics.

Key words: Cefuroxime Axetil, Chitosan, Buccal Film

A-261

Bioadhesive Transferrin-Conjugated Chitosan-TPGS Micelles for Targeted Drug Delivery: Formulation and Brain Cancer Application

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Abstract:

The objective of this work was to formulate targeted bioadhesive transferrin-conjugated docetaxel (DTX) loaded D- α -tocopheryl polyethylene glycol succinate 1000 (Vitamin E TPGS or TPGS) - chitosan micelles for targeted brain cancer therapy. Chitosan has bioadhesive properties, herein we have developed a synergistic transferrin receptor targeted bioadhesive micelles using TPGS conjugated chitosan, which target to the overexpressed transferrin receptor of glioma cells for brain cancer therapy. The micelles with and without transferrin conjugation were prepared by the solvent casting method. Particle size of prepared micelles was determined by dynamic light scattering technique. The surface morphology was determined by transmission electron microscopy and atomic force microscopy. The encapsulation efficiency was determined by UV spectrophotometry. The IC₅₀, value demonstrated transferrin receptor targeted TPGS-chitosan micelles could be 248 folds more effective than Docel™ after 24 h treatment with C6 glioma cells. Further, time dependent bioadhesive cellular uptake study indicated that a synergetic effect was achieved with the chitosan and transfer in in targeted TPGS-chitosan micelles through the bioadhesive property of chitosan as well as transferrin receptor mediated endocytosis. The in-vivo pharmacokinetic results demonstrated that relative bioavailability of non-targeted and targeted micelles were 2.89 and 4.08 times more effective than Docel™ after 48 hours of treatment, respectively.

Keywords: Brain Cancer, Targeted Nanomedicine, Synergistic Effect, TPGS, Bioadhesive Study

A-262

Nanoethosomes and Transethosomes: A Potential Carriers for Transdermal Drug Delivery

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Abstract:

Effective delivery of bioactive molecules through skin is however, still a challenge. Ethosomes are noninvasive delivery carriers that enable drugs to reach the deep skin layers and/or the systemic circulation. Ethosomes are soft, malleable vesicles tailored for enhanced delivery of active agents. Ethosomes are provides a number of important benefits including improving the drug's efficacy, enhancing patient compliance and comfort and reducing the total cost of treatment. Conventional lipid

based vesicular systems like liposomes show inability to cross intercellular channels of stratum corneum. To overcome this drawback of conventional lipidic systems, ethanol based vesicular carriers were developed by pharmaceutical scientists. Nanoethosomes and transethosomes come under the category of ethanol based lipidic carriers. Nanoethosomes are composed of phospholipid, ethanol and water, while transethosomes have exactly same composition but additionally they contain edge activators (like span 60). Enhanced delivery of bioactive molecules through the skin and cellular membranes by means of an ethosomal carrier opens numerous challenges and opportunities for the research and future development of novel improved therapies.

Keywords: Nanoethosomes, Transethosomes, Transdermal, Vesicular

A-263

Formulation & Evaluation of Floating Microspheres of Pantoprazole Sodium

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Abstract:

Oral route is the most preferable route of drug administration. This is most preferred due to patient compliance. The major problem associated with oral controlled drug delivery system is limited gastric residence time. Gastro retentive dosage form prolongs the gastric residence time of drug by remaining in gastric region for several hours. Six different batches (F1-F6) of floating microspheres of pantoprazole sodium were prepared by modified quasi emulsion solvent diffusion method. The polymer used was Eudragit L 100 in varying concentrations. Dichloromethane & ethanol were used as solvents. Surfactant used was PVA. Compatibility between the drug & excipients was confirmed by using FTIR spectroscopy. The prepared batches of floating microspheres were subjected to different evaluation studies such as % yield, particle size analysis, % buoyancy, drug loading capacity & in vitro release studies. The % yield was calculated & was in the range of 37.91-82.15%. The particle size of the microspheres ranges from 183-225 μm . The drug loading capacity was in the range of 66.43-91.43%. The % buoyancy was in the range of 55.46-85.92%. The scanning electron microscopic studies revealed that microspheres were spherical in shape & porous in nature. From the evaluation studies, the formulation F5 was found to be the optimized one which contain drug :

polymer ratio of 1:5 and an in vitro drug release of 90.11% at the end of 8 hrs. Kinetic studies was conducted for the optimized formulation by using various models and it showed that this formulation followed zero order diffusion kinetics.

Keywords: Floating Microspheres, Pantoprazole Sodium, Buoyancy, Modified Quasi Emulsion Solvent Diffusion Method

A-264

Novel Integrated Approach for the Strategic Delivery of Quercetin by the Use of Self Emulsifying Drug Delivery System (SEDDS)

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Abstract:

SEDDS is an isotropic mixture of oil, surfactant and/or co-surfactant can be used for formulations to improve the absorption of drugs in gastrointestinal tract and solve the solubility problems. Quercetin is a plant-derived flavonoid widely known by its anti-oxidant, anti-inflammatory and anticancer activities. Poor solubility is major limitation of this drug which we have planned to overcome by Self Emulsifying Drug Delivery technique. In this study, Oil (Castor oil) a non-ionic surfactant (Tween 80) and cosurfactant (PEG 600) were used to formulate a SES of Quercetin (QT). The SEDDS were evaluated for solubility, bioavailability, self emulsification, phase separation, droplet size, viscosity, Zeta potential, stability, and in vitro drug release. Zeta potential of the optimal system was neutral so we can conclude that system is stable. Prepared SEDDS form emulsion within in one minute, it indicates better emulsification property. Phase separation study indicates there is no separation upto 6 hrs. When emulsion formed very fine droplets are observed that means very fine emulsion will formed and that will increase absorption of drug and therefore better bioavailability results were obtained. Viscosity of formulation is very low there for it can easily administer orally and rapidly absorb and reaches to systemic circulation. SEDDS formulation showed complete release in 90 minutes as compared with the plain drug, which showed a limited dissolution rate. The cumulative percentage of drug released in 90 minutes was 100%.

Keywords: Self Emulsifying Drug Delivery, Quercetin, SEDDS

A-265

Development and Evaluation of a Thermo-responsive Injectable Hydrogel for the Treatment of Breast Cancer

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Abstract:

Since a long time, melphalan (ML) has been used extensively for the management of breast cancer, its clinical application is limited due to significant hemolytic activity. In the present work, a comparative analysis of two distinct *in situ* thermogelling polymers viz. chitosan and poloxamer-based hydrogel systems of PEGylated melphalan (MLPEG 5000) was performed. These thermogelling hydrogels were evaluated for *in vitro* hemolytic activity. The percentage hemolysis of MPX-CG and MLP 5000 even at a concentration of 32 µg/ml was found to be 39.23±1.24% and 34.23±2.24 % was observed at 1 hour, respectively. Hence, from the present study it can be well understood that both the chitosan and poloxamer-based thermogelling hydrogel proves to be an effective drug delivery systems for the delivery of the PEGylated conjugates.

Keywords: Chitosan, PEGylation, Hydrogel, Melphalan, Anticancer, MTT, MCF, Injectable, Thermoreversible, Poloxamer

A-266

Formulation and Evaluation of Cetrizine Effervescent Tablets

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Abstract:

Recently, fast-dissolving drug delivery systems have started gaining popularity and acceptance as new drug delivery systems, because they are easy to administer and lead to better patient compliance. Usually, elderly people experience difficulty in swallowing the tablet. Cetirizine, a human metabolite of hydroxyzine, is an antihistamine; its principal effects are mediated via selective inhibition of peripheral H1 receptors. The aim of this study was to formulate Effervescent tablet with sufficient mechanical integrity and to achieve faster disintegration in the water. Effervescent tablets are uncoated

tablets that generally contain acid substances and carbonates or bicarbonates and which react rapidly in the presence of water by releasing carbon dioxide. They are intended to be dissolved or dispersed in water before use. Effervescent compositions in the form of tablets comprising a therapeutic agent, a granulating agent, a micro particulate effervescent component and an effervescent system which dissolve rapidly in water to yield an effervescent solution containing a completely dissolved therapeutic agent and a process for their preparation.

Key Words: Effervescent Tablets, Cetrizine Tablets

A-267

Fabrication and Characterization of Osmotic Tablets of Metformin Hydrochloride

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Abstract:

The main objective of the present work was to develop osmotic tablets of Metformin Hydrochloride. The osmotic tablets were prepared by wet granulation method. Polyvinylpyrrolidone 4% was dissolved in isopropyl alcohol to form a clear solution and was used as the granulating agent. Sodium chloride in varying concentration was used as the osmogent. The prepared core tablets were coated with cellulose acetate in isopropyl alcohol in the ratio containing different levels of channeling agents, diethylphthalate and PEG. Six different batches B1-B6 were prepared in this manner. The prepared tablets were evaluated for thickness, hardness, weight variation, friability, drug content uniformity, *in-vitro* dissolution studies, effect of pH, agitation intensity and osmotic pressure on drug release. The results of evaluation studies reveal that thickness, hardness, weight variation, friability and drug content uniformity was all well within the acceptable rates. The *in-vitro* release studies reveal that formulation B6 containing drug: osmogent in the ratio (1:0.75) and channeling agents DEP: PEG in the ratio (15: 5) was found to be optimized formulation. The *in-vitro* studies also reveal DEP: PEG in the ratio (15: 5) incorporated into the coating membrane along with the polymer act as an excellent pore forming agent. The release of the optimized formulation in the varying pH and agitation intensity was found to be same in different conditions, is also found that osmotic pumping was the major mechanism governing drug release from the developing formulation. The kinetics of the drug release was studied and thus formed that the released of the optimized formulation B6 is

controlled by diffusion and follows zero order.

Keywords: Osmotic Tablets, Metformin Hydrochloride, Controlled Porosity Osmotic Pump

A-268

Design and Development of B-Cyclodextrin Encapsulated Clove and Neem Oil Medicated Chewing Gum Balls

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Abstract:

In present study, many research and technological advancements are made in the field of oral drug delivery as it is highly accepted amongst patients. Chewing gum is one of the very popular oral confectionery products. Chewing Gum (CG) has proven value as a delivery vehicle for pharmaceutical and nutraceutical ingredients. The Intra oral route is one of the more preferred routes of the drug administration as it is convenient and, with certain drugs, may provide a more rapid onset of action. Clove oil and Neem oil based medicated chewing gum will offer the advantage both local and systemic effect. This drug delivery system offers two absorption pathways. Drug absorbed directly via the buccal membrane avoids metabolism in the gastrointestinal tract and thus the chance of first pass effect of the liver. As a result, drug formulation as medicated chewing gum creates local salivation in the oral cavity which leads to reduced dose compared to other oral drug delivery systems. Intraoral dosage forms deliver the drug to the target sites for local or systemic drug delivery in the oral cavity include the following: buccal, sublingual, periodontal, periodontal pocket, peribuccal, per lingual, tongue, and Gum. Chitosan containing gum chewing accelerates antibacterial effect with an increase in salivary secretion.. Dental decay is the demineralization of teeth caused by the fermentation of carbohydrates by bacteria such as Streptococcus mutans present in dental plaque. Clove and Neem oil are essential oils with enhanced antimicrobial activity for prevention of dental caries.

Keywords: B-Cyclodextrin, Clove and Neem Oil, Medicated Chewing Gum Ball

A-269

Menstrual Related Migraine (MRM): Effective Treatment through Sublingual Drug Delivery System

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Abstract:

Migraine is a primary headache disorder characterized by recurrent headaches that are moderate to severe and affects one half of the head, pulsating in nature and last from 2 to 72 hours. Menstrual migraine is a specific condition where the timing of attacks is linked to the menstrual cycle whilst many women report that menstruation is a migraine trigger and is associated with falling levels of oestrogen. MRM can be treated through different routes of administration. Sublingual drug delivery is an alternative method due to its high vascularity, the drugs directly reaches the systemic circulation, bypassing the first pass metabolism in the liver, thus it is well accepted route. Though it has some pharmacokinetic limitations such as slow onset of action and low bioavailability therefore, sublingual delivery is considered to be the most promising route for enhancing the bioavailability and fastening the onset of action. Triptans are considered to be the first line therapy for treating MRM. Frovatriptan is one of the newest agents of the triptans and is more effective compared to other triptans. Due to its long elimination half-life of 26 hours, lower reoccurrence rates, sustained relief effects, and low incidence of drug-related effects makes it an ideal drug for treating both acute and perimenstrual prophylaxis of "Menstrual Related Migraine".

Keywords: MRM, Frovatriptan, Film Bioadhesive Sublingual Tablet

A-270

Comparative Study of Mode of Addition of Super Disintegrants on Cetrizine Dihydrochloride Mouth Dissolving Tablet Prepared by Wet Granulation Method

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Abstract:

Mouth dissolving tablets (MDT_s) are novel type of tablets that disintegrate, dissolve/disperse in saliva. Their characteristic advantages such as administration without water, anywhere & anytime lead to their suitability to geriatric and pediatric patients. Cetirizine, a second generation non-sedative antihistamic used in treatment of allergies, may be accompanied by running nose, angioedema and urticaria. In the present study, MDT_s of Cetirizine dihydrochloride were prepared using superdisintegrants like croscarmellose (CP), sodium starch glycolate (SSG) and croscarmellose sodium (CCS), which were co-processed in the combination CP:SSG, SSG:CCS and CCS:CP in the ratio 1:1, 1:2 and 1:3 ratio. All combinations exhibited good to excellent flow characteristics. Preliminary studies revealed that combination in the ratio 1:3 gave best result. Hence three sets of formulations using wet granulation technique containing drug and excipients in same quantities were prepared by varying mode of addition of super disintegrants, i.e. intra granular (IG) (F₁-F₃); extra granular (EG) (F₄-F₆) and IG + EG (F₇-F₉). The formulations were subjected to evaluation for their pre and post compression parameters viz. Disintegration, % water absorption ratio, wetting time etc including *in vitro* drug release. F3 was identified as best formulation which released 11.91 times greater amount of drug as compared to conventional marketed tablet within 10 minutes.

Keyword: Cetirizine Dihydrochloride, Mouth Dissolving Tablet, Co Processed Super disintegration

A-271

Development and Characterization of Colon Targeted Matrix Tablet of Diclofenac Sodium for the Treatment of Colon Cancer

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Abstract:

In the present research work, to prepare colon targeted matrix tablet investigate the release profile of diclofenac from xylan based matrix tablets and attempts were also made to explore the feasibility of xanthan gum, guar gum and pectin as colon specific carrier for diclofenac. The matrix tablet was prepared four different formulations with different percentage of xylan. After evaluation of physical properties of tablet, the *in vitro* release study was performed in 0.1N HCl pH 1.2 for 2

hrs, the dissolution medium was replaced with pH 7.4 for 3 hrs and then replaced with phosphate buffer pH 6.8 next 19 hrs. The *in-vitro* dissolution studies it was found to be that formulation F1 with 10% Xylan, F2 with 20% Xylan, F3 with 30% Xylan and F4 with 40% Xylan all retard drug release in the stomach and small intestine effectively. F1 Xylan (10%) & F3 Xylan (30%) emerged to be best because it exhibits the best overall general appearance, hardness of $6.2 \pm 0.498 \text{ Kg/cm}^2$, friability of 0.19802%, percentage drug released $53.51 \pm 0.850\%$ and hardness of $5.9 \pm 0.124 \text{ Kg/cm}^2$, friability of 0.2004%, percentage drug released $42.75 \pm 0.106\%$ without rat caecal content at the end of 24 h *in-vitro* dissolution studies respectively. The matrix formulation containing 10% Xylan and 30% xylan is most like to target Diclofenac to colon without being released significantly in stomach & small intestine.

Key words: Matrix Tablet, Diclofenac Sodium, Xylan, *In-Vitro* Dissolution

A-272

Study on Colon Targeted Oral Delivery System Containing Curcumin and 5-Fluorouracil as Combination Therapy for Treatment of Colonic Cancer

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Abstract:

The purpose of this study was to prepare 5-Fluorouracil (5-FU) and curcumin combined tablet formulation to deliver the drugs to the colon in intact form which is used for treatment of colonic cancer. Chemotherapeutic efficacy of current antineoplastic drugs may be limited in patients with colorectal cancer, primarily due to resistance created by CRC cells to antineoplastic drugs and toxicity to surrounding normal cells. Curcumin was shown to induce death of cancer cells and also made these cancer cells more susceptible to current antineoplastic drugs. In present study, colonic formulation was formulated using Time dependent and pH-dependent approaches for targeting drug to the colon. The core tablet, contain curcumin- β -cyclodextrin complex and 5-FU with other common excipients, is coated by Eudragit RS: Eudragit RL polymers which is super coated by Eudragit S 100 for retarding the release of drug in upper GI Tract. The formulation batches were prepared by 3² Factorial design using two independent variables X1 (ratio of Eudragit RS 100: RL 100), X2 (% weight gain of Eudragit S 100) and Dependent Variable Y5 (% drug release

in 5hr) and Y12 (% drug release in 12 hr). Optimized batches were decided by the *In vitro* release study of formulations by selecting Criteria that not more than 10% drugs should release within 5 hr (Y5) and not less than 80% drug should release within 12 hr. On the basis of these criteria, F8 batch was decided as optimized batch because only 7% drug release within 5 hr and 82% drug release within 12 hr. The result showed that optimized formulation was delivering the maximum amount of drug to the colon in intact form.

Keywords: 5 FU, Curcumin, Colonic Cancer, Eduragit S 100, Eudragit RS: RL 100

A-273

Formulation and Evaluation of Mouth Dissolving Tablets of Nimodipine

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Abstract:

Mouth dissolving tablets offer substantial advantages like rapid onset of action, beneficial for patients having difficulties in swallowing and in conditions where access to water is difficult. Hence, the present research work was held to formulate mouth dissolving tablets of nimodipine which is an antihypertensive drug. Mouth dissolving tablets of nimodipine was formulated by using three different superdisintegrants like cross carmellose sodium, sodium starch glycolate and croscopolvidone. The method used for formulation of nimodipine tablets is direct compression method. All the tablets were evaluated for physicochemical parameters such as hardness, friability, weight variation, drug content uniformity, water absorption ratio, wetting time, in-vitro disintegration time and in-vitro dissolution studies. The results of the batch F3 are encouraging as highest dissolution rate (96% within 3 min.) is achieved. Hence F3 batch containing 12% cross povidone was found to be an optimized batch. For the optimized batch F3 the hardness was 3.5 ± 0.132 kg/cm² and the friability was $0.30 \pm 0.05\%$ which less than 1%. All the tablets passed weight variation test as the average percentage weight variation was within 7.5% i.e. within the pharmacopoeial limits and for F3, it is 249.62 ± 1.7 . The *in-vitro* disintegration time for F3 was found to be 14 ± 1.2 seconds fulfilling the official requirements (<3

minute). The wetting time for optimized batch was found to be 17 ± 1.2 seconds. The formulation batch F3 showed water absorption ratio 116.40 ± 1.8 . and percentage drugs content was found to be 98.25 ± 1.2 . Therefore this batch is considered as best formulation.

Keywords: Nimodipine, Mouth Dissolving Tablet, Superdisintegrants

A-274

Chitosan/Hydroxyapatite Nanocomposites for Controlled Drug Delivery of Ofloxacin

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Abstract:

Nanocomposites are compositions having a dispersed material that has one or more dimensions, in the nanometer size range. The objective of this work is to develop nanocomposite material based on biodegradable polymer and inorganic material and evaluate their drug delivery capabilities. Chitosan/hydroxyapatite (CH) nanocomposite was prepared using simple mixing technique. It was optimized with regard to particle size, rotation speed and drug entrapment efficiency. The composition and surface morphology was confirmed by means of particle size analyzer, XRD, and SEM. Antimicrobial activity of CH nanocomposite was determined against both Gram-positive and Gram-negative bacteria and the prepared nanocomposite. The choice of drug for studying about chitosan nanocomposite as a potential drug carrier was ofloxacin as a model drug. Mean particle diameters of the nanocomposite were 70 nm and 92.6 nm using XRD and zeta sizer, respectively. The Polydispersity index (PDD) of the nanocomposite was found to be 0.162. The prepared CH nanocomposite exhibited stronger inhibition against the microorganisms as compared to that of pure chitosan. *In vitro* drug-release study confirmed that the CH nanocomposite exhibited extended release period of drug as compared to the pristine biopolymer chitosan. Chitosan/hydroxyapatite nanocomposites have promising antimicrobial potency against both Gram-positive and gram-negative bacteria and may be used to prepare controlled release dosage form.

Keywords: Chitosan, Hydroxyapatite, Nanocomposite, SEM, Biodegradable Polymers, XRD 1

A-275

Formulation and *In vitro* Evaluation of Aceclofenac Sustained Released Tablet

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Abstract:

Sustained release dosage forms are becoming increasingly important, either to achieve the desired level of therapeutic activity required for a new drug entity or to extend life cycle of an existing drug through improved performance or patient compliance. The drug candidate selected under the present study is aceclofenac, a synthetic NSAID used in treatment of rheumatoid arthritis, osteoarthritis and enclosing spondylitis. It is almost rapidly and completely absorbed from the gastrointestinal tract after oral administration. It is reported to have plasma half life 4 hours, time of peak plasma concentration occurs about 1.25 to 3 hours after an oral dose. It is reported to have considerable first pass metabolism. Aceclofenac is usually administered as conventional tablet, containing 100 mg, two times daily. These bio-pharmaceutical and physicochemical properties reveal that aceclofenac is an ideal candidate to develop the oral sustained drug delivery system. In the present investigation, sustained released tablet were prepared with different grade polymers HPMC K4M, HPMC K100 M by using wet granulation method and evaluated for dissolution studies. The optimized formulation F6 (Drug:HPMCK100M in 1:5 ratio) having sustained released property. All formulations are following Higuchi order release kinetics.

Keywords: Aceclofenac, Sustained release, Wet Granulation, HPMC K4M, HPMC K100M

A-276

Development of lamotrigine solid dispersion for immediate release

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Abstract:

Lamotrigine is an anticonvulsant drug used in the

treatment of epilepsy and bipolar disorders. It acts primarily by obstructing voltage dependent sodium channel hence a decreased level of excitatory neurotransmitter. Solid dispersion is a newer technique of enhancing the solubility of poorly soluble drugs using suitable polymer. Moreover, for obtaining better drug absorption, faster onset of action and safety regarding its administration fast dissolving tablets are becoming standards. These upon administration into mouth gets rapidly dissolved due to presence of saliva without any need of water. Lamotrigine solid dispersion was prepared using PEG 6000 as polymer in the ratio (1:1). Fast dissolving tablet of lamotrigine was formulated using 3 different superdisintegrants (crosscarmellose, kollidon, sodium starch glycolate (SSG)) in different ratios. The formulated tablets were then evaluated for its different parameters. The result of *in vitro* dissolution study showed that formulation F5 is most successful as it dissolved in a very short period containing combination of kollidon and SSG in the ratio (1:3). An increased disintegration time was noted with combination of crosscarmellose and SSG (1:1) ratio.

Keywords: Solid Dispersion, PEG 6000, Super disintegrants, Solubility Enhancement, Direct

A-277

Solubility Enhancement of Poorly Water Soluble Drug using Modified Gum Karaya

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Abstract:

The oral route is most desirable for drug administration due to its convenience and good patient compliance. When a drug is absorbed from the intestine, it must be dissolved in gastric and intestinal fluid. Poorly water-soluble drugs are associated with low drug absorption leading eventually to inadequate and variable bioavailability. Dipyridamole a BCS class II, Anti platelet agent with aqueous saturation solubility of 5.2 µg/ml, shows low bioavailability due to its poor aqueous solubility. An improvement in the solubility of dipyridamole would help in enhancing its bioavailability by promoting faster absorption. In the present work, attempt has been made to enhance the solubility of dipyridamole by formulating solid dispersions of dipyridamole with natural carrier modified gum karaya. The solid dispersions were formulated using solvent evaporation method the resultant solid dispersions were characterized by differential scanning calorimetry (DSC) and X-ray diffraction

study (XRD), Fourier transformation infrared spectroscopy (FTIR), *In-vitro* dissolution studies. *In vitro* dissolution study were performed for pure drug, solid dispersion containing tablet and marketed formulation. The study result shown % cumulative drug release 46% ,93.5% and 98.8 % respectively indicating improved solubility of dipyridamole when prepared as solid dispersion tablet as compare to the pure Dipyridamole.

Keywords: Dipyridamole, Modified Gum Karaya, Solid Dispersion, Solvent Evaporation Method, *In Vitro* Dissolution Profile

A-278

Chitosan Based Moxifloxacin Delivery System for Management of Burn Wounds: Formulation, Characterization and Evaluation

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Abstract:

Microbial growth is a major concern in burn injury. Burn injury leads to opening of the skin surface providing a favourable environment for microbial growth. This study is focussed on formulation of chitosan based topical gel containing antibiotic along with anti-biofilm agents. Chitosan itself is effective in wound healing and wound repairing. The addition of natural antibiofilm agents such as boswellia gum and EDTA presents an additional advantage in healing process by degrading the antibiotic resistant biofilm. The novel topical gel was prepared using Carbopol 940 gel as a base which was characterized for its visual texture, pH and spreading behaviour. The drug content was estimated by UV Spectrophotometer. The *in vitro* release study done using cellophane membrane (14000 Da) showed cumulative release of 825.10 $\mu\text{g}/\text{cm}^3$ and *ex vivo* permeation studies on Wistar Rats skin showed flux value of 0.22 $\mu\text{g}/\text{cm}^3$. These results confirmed the efficient drug release. The efficacy of the formulation was confirmed by application of the prepared topical gel to burn wound model of MRSA 43300 infection induced Balb/c mice. The mean wound contraction area was found to be 92.36 \pm 0.76% on the 12th day and the histopathological studies showed decrease in number of inflammatory cells and rapid regeneration of epithelial cells on 5th day onwards. Stability studies performed on the gel for 3 months showed that the formulation was stable at different temperatures and the drug did not degrade over time.

Keywords: Topical-gel, Moxifloxacin, Chitosan, Burn-Injury

A-279

Sustained Anti-Inflammatory Activity of Topical Aceclofenac Gel

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Abstract:

The *in-vivo* studies are of great influence to establish the therapeutic performance of a designed dosage form. In preliminary *in-vivo* studies, the clinical effectiveness of a drug may be asserted by measuring the intact drug/metabolite level in the blood/urine or by assessing the pharmacological or biological response in the laboratory animals. According to different inflammation phases, the anti-inflammatory tests have been divided into those measuring acute inflammation, subacute inflammation and chronic repair processes. In the present study, *in-vivo* performance of SLN loaded gels was assessed by their anti-inflammatory activity by paw edema model in rats. The advantage of using Carrageenan as phlogistic agent is that NSAIDs inhibit edema in a characteristic dose-responsive fashion and their inhibitory potency in this test system parallels their activity in human. In the present study 0.1ml of 1% Carrageenan was taken as phlogistic agent and anti-inflammatory activity was determined by measuring change in the volume of inflamed paw. A plethysmograph was used to measure the edema volume. The *in-vivo* anti-inflammatory activity studies of selected transdermal gels in comparison with marketed formulation were carried out by carrageenan induced rat paw edema model. Formulations were evaluated on the basis of its ability to inhibit the edema produced in hind paw of rats after challenging with carrageenan. The paw volume results from 0 to 24 hrs were determined. The difference in paw edema volume values before and after drug administration was recorded and percent inhibition of edema at each time point was also calculated.

Keywords: *In-Vivo* Studies, Anti-Inflammatory Agents, SLN Loaded Gels, Phlogistic Agent Carrageenan

A-280

Development and Evaluation of Osmotic Tablet of Diltiazem Hcl by Self Pouring for the

Treatment of Hypertension

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Abstract:

With emergence of new technology and concept, self-poring osmotic tablets were developed in order to reduce the complications and problems associated with micro drilling and laser drilling in osmotic tablet. This research describes a very simple and cheap method of developing self-poring osmotic tablet that deliver Diltiazem HCl in a controlled rate for prolonged duration. For this purpose 5 formulations of osmotic tablets were prepared through wet granulation technique in which different concentration of sodium lauryl sulphate (SLS) were used as pore forming agent in coating solution. Self-poring Osmotic tablets hold promising potential for increasing drug efficacy and reducing dosing frequency with minimal side effects also provides an economic means of developing controlled release dosage forms.

Keywords: Poring Osmotic Tablet, Diltiazem HCl, SLS, Zero Order, Wet Granulation

A-281

Formulation & Evaluation of Floating Beads of Esomeprazole Magnesium USP

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Abstract:

Oral route is the most preferable route of drug administration. The major problem associated with oral controlled drug delivery system is limited gastric residence time. Gastro retentive dosage form prolongs the gastric residence time of drug. Five different batches (F1-F5) of floating beads of Esomeprazole sodium were prepared. Compatibility between the drug & excipients was confirmed by using FTIR spectroscopy. The prepared batches of floating beads were subjected to different evaluation studies such as floating time, % yield, particle size analysis, % drug entrapment, micromeritic properties & in vitro buoyancy studies, SEM study, In vitro drug release study. The Floating time was found to be in the range 4 to 9 hours for different formulations. The % yield was calculated & was in the range of 65.4-94.8%. The particle size of

the microspheres ranges from 150-420 μ m. The drug loading capacity was in the range of 54.75-94.5%. The % buoyancy was in the range of 63.25-88.6%. The scanning electron microscopic studies revealed that microspheres were spherical in shape & porous in nature. From the evaluation studies, the formulation F5 was found to be the optimized one which contain sodium alginate 8%, and sodium bicarbonate 10% with an in vitro drug release of 96.48% at the end of 8 hrs. Stability studies were carried out for a period of three months and the results revealed that there is no significant changes in the tested parameters.

Keywords: Floating Bead, Esomeprazole Magnesium, Buoyancy

A-282

Development of Montelukast Sodium Loaded Orodispersible Tablet

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Abstract:

The objective of the current study was to develop and optimize an orodispersible tablet formulation of montelukast sodium which is an effective drug in the treatment of asthma and allergic disorders. Montelukast sodium is the drug used in treatment of asthmatic and allergic rhinitis; it is selective leukotrienes receptor antagonist. Orodispersible tablet is rapid dissolving or disintegrates without water within a few minutes in the oral cavity which may produce rapid onset of action due to the action of superdisintegrants. The orodispersible tablet were prepared by direct compression method using superdisintegrant agent such as croscarmillose sodium, crospovidone and sodium starch glycolate. Six formulations of superdisintegrants having different concentration were prepared. After examine the angle of repose, bulk density, tapped density, Compressibility index and Hausner's ratio of powder blend the results were found to be within prescribed limits and indicated good flowing property. The tablets were evaluated for hardness, drug content, friability, weight variation, wetting time and in vitro disintegration time and were found to be satisfactory. Among the formulations tablets of batch F3 and F6 containing co-processed disintegrating agents like croscarmillose: sodium starch glycolate (1:2) and crospovidone: croscarmillose sodium (1:2) respectively showed superior organoleptic properties along with excellent *in-vitro* disintegration time and drug release as compare to other

formulations. Hence croscopovidone is recommended as suitable disintegrant for the preparation of direct compression mouth dissolving tablets of Montelukast sodium.

Keywords: Montelukast Sodium, Orodispersible Tablet, Croscopovidone, Croscarmillose, Sodium Starch Glycolate

A-283

Self Emulsifying Drug Delivery System of Eprosartan Mesylate

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Abstract:

The purpose of this project work was to improve the solubility and dissolution rate of Eprosartan Mesylate (EPM), an antihypertensive drug which falls under BCS class II drug which is insoluble in water by using a newer lipid based technology called self emulsifying drug delivery system (SEDDS). For preparation of stable SEDDS, emulsion region were identified by constructing pseudo ternary phase diagram. First nine numbers of samples were prepared for both SEDDS formulations. The formulations which were stable i.e they showed no signs of phase separation were selected for further studies. The formulations were evaluated for solubility of drug in phosphate buffer pH 6.8 and 7.4, thermodynamic stability studies, self emulsification time, robustness to dilution, viscosity determination, accelerated stability studies, in vitro release studies, FTIR. In case of formulations consisting of oleic acid, tween 80, PEG400 and drug, formulation-[OF9(1:9)] showed a better drug release of 94.86% in 270 minutes and subjected to further studies by varying the S:COMix where [A2(3:1)] showed a maximum drug release of 98.56% in 240 minutes as compared to other formulations. In case of formulations consisting of peppermint oil, tween 80, PEG400 and drug, formulation-[PF5(5:5)] selected as an optimized formulation as it showed a better drug release of 96.32% in 330 minutes and subjected to further studies by varying the S:COMix where [B1 (2:1)] selected as an optimized formulation as it showed a maximum drug release of 93.03% in 330 minutes as compared to other formulations. Finally both the SEDDS formulations were compared with the in-vitro release of pure drug which showed a drug release of 54.51% in 330 minutes. Hence, it can be concluded that SEDDS formulations prepared with oleic acid, peppermint oil, tween 80, PEG 400 is an approach to improve

the solubility and dissolution rate of EPM.

A-284

Formulation, Development and Evaluation Rifaximin Proliposomes by 3² Optimization

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Abstract:

Rifaximin (RFX), a semi-synthetic antibiotic belongs to BCS class IV. An attempt was made to improve aqueous solubility and permeability of RFX by aid of Proliposomal drug delivery system which was prepared by the penetration of chloroform solution of RFX and lecithin into microporous sorbitol, with subsequent vacuum drying using 3² factorial design followed by characterization for surface morphology, flowability, conversion to liposomes upon hydration, size distribution, drug content and *in vitro* drug release. The porous structure of sorbitol was maintained in the proliposomes, affording good flowability at lecithin-to-Sorbitol ratios (w/w) of not more than 0.5. Multilamellar liposomes were reconstituted spontaneously from the proliposomes upon hydration. The mean diameter of the resultant liposomes was highly dependent on the RFX-to-lecithin ratio, but less dependent on the lecithin-to-sorbitol ratio and sorbitol particle size. Entrapment efficiency of RFX in proliposomes showed a maximum of 92% at RFX-to-lecithin ratio < 0.2 and a lecithin-to-sorbitol ratio > 0.4. The proliposomes of RFX with good flowability characteristic could be prepared by controlling the drug/lecithin/sorbitol ratio and sorbitol particle size. From the present study, it can be concluded that aqueous solubility and permeability of BCS class IV drugs can be improved by proliposomal drug delivery system and its release can be retarded for 7 hrs.

Keyword: Rifaximin, Soya Lecithin, Sorbitol, Liposomes, Rifaximin Proliposomes

A-285

Optimization, Formulation and Evaluation of Micellar Resveratrol for Treatment of Psoriasis

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Abstract:

None of the available treatment for psoriasis is safe, effective and able to completely cure the psoriasis. Treatments are generally oriented towards suppression of disease rather than modifying it which in most of the cases are incomplete. So there are numerous challenges for antipsoriatic drugs development necessitating a strong need of remedy for this dreadful dermal disorder using combination drug regimen and novel colloidal carriers. Encapsulation of plant medicines into phospholipid vesicles with increased skin penetration ability is receiving considerable attention in the recent years. In the present work, Resveratrol was entrapped in micellar formulation. Micelles were prepared by micellar solubilization method and characterized for various parameters such as shape, surface morphology, entrapment efficiency, PDI, *in vitro* release pattern and skin permeation studies. Results revealed an enhanced permeation of the formulation to the deeper layer of the skin and found enhanced transdermal flux ($6.85 \pm 1.3 \mu\text{g}/\text{cm}^2/\text{hr}$) that was 3.3 and 6.1 times higher than conventional formulation and plain drug solution. Thus, it was concluded that novel drug delivery systems may be promising vehicles for transdermal delivery of actives and treatment of psoriasis.

Keywords: Resveratrol, Psoriasis, Nanomicelles, Skin Permeation

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Subcutaneous Delivery of Antigen Loaded Mannosylated Chitosan Nanoparticles for Malaria Vaccine

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Abstract:

Malaria is a life threatening disease which is caused by the Plasmodium species. The major drawbacks of conventional antimalarial therapy are the development of resistance, short half-lives, extensive antigenic variation, lower oral bioavailability and recurrence. The present work was focused on development of antigen loaded mannosylated chitosan nanoparticles delivered through subcutaneous route. Chimeric antigen PfMSPFu and AARP was used to enhance immune response. Formulation was characterized for drug content, entrapment efficiency, size distribution, *in vitro* release, stability studies and *in vivo* studies. PfMSPFu and AARP antigen loaded mannosylated chitosan nanoparticles with different adjuvants alhydrogel and CFA were prepared using an ionotropic gelation method using

Tripolyphosphate (TPP) as a crosslinking agent. The optimized chitosan nanoparticles were found to have particle size $124.5 \pm 5.76 \text{ nm}$, PDI 0.354 ± 0.31 , zeta potential 9.46 ± 0.96 and entrapment efficiency $75.11 \pm 5.97 \%$. SEM images revealed spherical shaped nanoparticles. The developed formulation exhibited an initial burst release followed by sustained release with a release pattern best fitted to weibull model. In process stability of antigens were determined by SDS PAGE analysis and CD spectra. Female BALB/C mice were immunized with formulations, dosing at 1st (priming dose), 28th (boost one), 56th (boost final) day was carried out. Blood was withdrawn at 5th, 42nd and 70 (terminal bleed). Days. AARP loaded mannosylated chitosan nanoparticles with alhydrogel adjuvant shows better results as compare to PfMSPFu loaded formulations. Finally simplicity, ease of processing and scale up, ability to induce immune response makes this system a promising carrier for vaccine delivery.

Keywords: Malaria, Chitosan, PfMSPFu, AARP, Alhydrogel

A-287

Quality by Design Based Development and Characterization of Resveratrol Loaded Elastic Vesicles for Enhanced Skin Permeation

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Abstract:

Skin plays an essential role both in the aesthetic and health field. Like other organs of the body, the physiological functions and structures within the skin continuously decline with advancing age. Cutaneous ageing results due to exposure to chemicals, radiation and temperature in the surroundings. The process of aging cannot be stopped but it can be slowed down for longer youth. Current work is based on formulation; optimization and evaluation of Resveratrol loaded ethosomes for dermatological benefits. The elastic vesicles (Ethosomes) were prepared and evaluated. Design of Experiment i.e. 3^2 factorial design was implemented for the optimization to get desired vesicle size and maximum encapsulation efficiency. According to desirability value and composition of variables, final optimized formulation was prepared and evaluated for response using Design Expert software. Characterization studies of optimized batch of ethosomes like TEM, elasticity, *in vitro* dissolution studies, *in vitro* skin permeation study etc. were

done. Permeation studies on porcine skin carried out on Franz diffusion cells, showed that ethosomes promoted Resveratrol permeation through the skin when compared to plain drug and conventional vesicles. Thus, there is evidence that elastic vesicles can deliver enhanced amounts of therapeutic agents into and through the skin. It is likely that a number of elastic vesicle based products for dermal and transdermal applications will be developed in the future.

Keywords: Dermal, Ethosomes, Factorial Design, Permeability, Factorial Design

A-288

Development and Characterization of Carrier based Formulation of Ketoconazole for Skin Targeting

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Abstract:

Ketoconazole (KTZ) is a broad spectrum antifungal agent active against variety of fungi and yeasts. It is an imidazole derivative which is used for the treatment of topical and systemic fungal infections. The topical treatment with ketoconazole has various advantages over the oral treatment methodology, like less toxicity, site specificity and patient compliance. The present study is aimed at developing a new carrier based formulation for topical delivery of Ketoconazole with enhanced skin permeability and reduced skin irritation. The developed formulation was characterized from percent transmittance, particle size and rheological properties. The skin permeation and deposition potential of the developed formulation was evaluated by Franz diffusion cell and compared with a marketed 2 % Ketoconazole cream. The optimized formulation was evaluated for their antifungal potential by candida induced infection and irritation index on rats. The results demonstrated that the animal group was completely cured with developed formulation as compared to the marketed formulation. The irritation index showed that the developed formulation was safe for application on skin with very less skin irritation potential. The results of the present study conclusively demonstrate the role of carrier based formulation in effective topical drug delivery of ketoconazole with lesser side effects. The carrier based formulation has greater potential to overcome the present problems associated with topical

Ketoconazole formulation.

Keywords: Ketoconazole, Nanoemulsion, TEM

A-289

Utilization of Co-Crystallization Technique for Solubility Enhancement of Rutin

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Abstract:

Co crystallization is an effective crystal engineering approach for modifying the crystal structure and properties causing the formation of mainly hydrogen bond between the drug molecule and co-formers which leads to increased aqueous solubility of the drug . The aim of the present investigation, is to increase the solubility of poorly soluble flavonoid drug Rutin by preparing co-crystals using Adipic acid and Succinic acid as co-formers. The Rutin-adipic acid co-crystals (RAA) and Rutin-succinic acid co-crystals (RSA) were prepared in the ratio of 1:5 (Rutin : Co-former) using solvent grinding method with methanol. Solid state characterization of the prepared co-crystals was done by Differential Scanning Calorimetry, FT-IR Spectroscopy, melting point determination and microscopic analysis. The co-crystals were also subjected for drug content analysis, solubility study and *in vitro* drug release study. Solubility of the co-crystals was found to increase as compared to pure Rutin. Solubility of the RSA was found to be 0.045 mg/ml and 0.037 mg/ml in phosphate buffer (pH 6.8) and in distilled water, respectively; while solubility of RAA was found to be 0.221mg/ml and 0.187 mg/ml in phosphate buffer (pH 6.8) and in distilled water, respectfully. The co-crystals showed more than 95% drug content. In contrast to the very low dissolution of pure Rutin, RSA and RAA showed significantly higher drug release in phosphate buffer (pH 6.8). *In vitro* drug release of the co-crystals was found to be comparatively less in acidic medium (0.1M HCL). The study demonstrated that the co-crystallization of Rutin with Adipic acid and Succinic acid as a co-formers can be an effective approach for improving its solubility that subsequently lead to enhanced dissolution of rutin.

Keywords: Rutin, Co-Crystal, Adipic Acid, Succinic Acid, Solubili

A-290

Formulation, Optimization and In Vitro Evaluation of Diclofenac Sodium Loaded Sustained Release Matrix Tablet Containing Natural and Synthetic Polymers

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Abstract:

The main objective of the research work was to formulate; then statistically optimize and evaluate *in vitro* sustained release matrix tablet loaded with diclofenac sodium using natural and synthetic polymers. Matrix tablets of Diclofenac sodium were fabricated by varying the concentrations of both natural hydrophobic (Guar gum) and synthetic hydrophilic (HPMC K4M) polymer via direct compression method. Optimization of the tablet was done by taking amount Guar gum and HPMC in percentage as independent variable and cumulative percent of drug release at 2hr, 6hr and 12hr as dependable variable using design expert Software. Optimized tablet was evaluated for various pre and post compression parameters compared with marketed formulation. Drug release kinetics of the optimized tablet was evaluated by various models of drug release kinetics. The finding of current investigation clearly indicates that by using both synthetic and natural polymer in a same tablet was given a significant sustained release. The variation with the optimized formulation in software data and actual result is not deviate much. Optimized formulation also showing promising result when compared with marketed formulation. Optimized tablet follows zero order drug release kinetics. It was concluded that combination of these two polymer having promising effects on sustained release pattern of drug release from the matrix tablet of diclofenac sodium.

Keywords: HPMC K4M, Guar Gum, Matrix Tablet, Diclofenac Sodium, In Vitro Drug Release

A-291

Recent Approaches Techniques of Granulation: A Review on Foam Granulation

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Abstract:

Purposed review reflex foam granulation is a recent technology. Granulation is a unit operation is the production of pharmaceutical oral solid dosage form. Foam granulation is the advanced technology in the production granules. Foam binding granules process can be successfully used to get diversified product including controlled release and immediate release granules of several drugs, including water sensitive formulation. Foam binder processing is easier, faster and allows safer handling of potent drug compounds. The key to the effectiveness of foam binders performance is rapid and extremely efficient particle coverage. A simple foam generation apparatus is used to incorporate air into a conventional water soluble polymeric excipients. Binders such as METHOCEL, hypromellose (hydroxyl propyl methyl cellulose), MC, HEC, NaCMC etc. Further granules are evaluated for their drug content, dissolution rate, percent moisture content, flow property etc.

Keywords: Foam Granulation, Controlled Release, Foam Binder, Methocell, Hypromellos

A-292

Formulation and Development of Risperidone Loaded Mouth-Dissolving Film

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Abstract:

Mouth dissolving oral films are useful in patients such as paediatric, geriatric, bedridden or developmentally disabled who face difficulty in swallowing conventional tablets or capsules and liquid orals or syrups leading to ineffective therapy. Mouth dissolving films have been played an important role in the current pharmaceutical research. They have convenience and ease of use over other dosage forms such as orally disintegrating tablets and immediate release tablets. Mouth dissolving films are oral solid dosage form that disintegrate and dissolve within a minute when placed in mouth without taking water or chewing. Risperidone is effective for treating the positive and negative symptoms of schizophrenia compared to first generation antipsychotics. But oral administration of Risperidone has drawbacks such as hepatic first pass metabolism which is overcome by means of mouth dissolving film. In the present research, mouth dissolving films of risperidone were developed using low viscosity grades of HPMC K15 and sorbitol polymers and propylene glycol as plasticizer and purified

water as solvent followed by solvent casting method. All films prepared were smooth and elegant in appearance and showed no visible cracks; were uniform in thickness, weight and drug content.

Keywords: Schizophrenia, Risperidone, Mouth Dissolving Film, HPMC K15, Propylene Glycol

A-293

Formulation & Characterization of Controlled Release Matrix Tablets of Perindopril

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Abstract:

Perindopril Erbumine is a dipeptide monoacid monoester with a Perhydroindole group and no sulphhydryl radical. This used for the treatment of patients with hypertension and symptomatic heart failure. It is poorly absorbed from GIT and has a half life of 1 hr which results in less bioavailability of 30-35% of the administered dose. The objective of the present study was to formulate matrix tablets of Perindopril which sustains the duration of action, thereby enhancing the bioavailability and reducing the frequency of dosage. In the present study, 3 formulations (F_1, F_2, F_3) with variable concentrations of acrylic polymer like Eudragit RL100 were prepared and evaluated for physico-chemical, preformulation parameters, formulation parameters and *in vitro* release studies. Compatibility studies by FTIR proved that there was no interaction between Perindopril and polymers. The weight variation test showed that the percentage deviations of the prepared tablets were found to be 3.65%, 3.41% and 3.26%. The Hardness values of all batches ranged between 3.26 to 4.93 kg/cm² which ensured good handling characteristics. The swelling Index of each batch ranged between 2.04% to 2.1%. The percentage drug content for F_1, F_2 and F_3 were found to be 93.55%, 96.6% and 98.44% respectively. All the three batches were subjected to *in vitro* release studies for 16 hrs in phosphate buffer (pH 6.8) and the release data was found to be 74.39%, 71.52% and 69.87% for F_1, F_2 & F_3 respectively. All the formulations had shown sustained release of the drug, however, the optimum release was observed with formulation F_3 .

Keywords: Perindopril, Matrix Tablets, Eudragit RL100, Controlled Release

A-294

Preparation and Estimate of Oral Reconstitutable Azithromycin Suspension for the Treatment of Bacterial Infection

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Abstract:

Azithromycin is used for the treatment of bacterial infection, mainly used in middle ear infection, typhoid, sinusitis, bronchitis in urinary tract infection and venereal disease. The present study aimed to develop dry or oral reconstitutable suspension to minimize the solubility problem of the drug. It shows the adequate chemical stability of the drug during the shelf life and it avoids the problem of physical stability and solubility of drug. The study was carried out by preparing the dry powder or granules for oral reconstitutable suspension by using suspending agent sodium CMC and acacia on release profile of the drug. The prepared best formulation (F6) was selected depending on its physiochemical properties. The prepared oral reconstitutable suspension was evaluated for the rheological, viscosity, re-suspendibility and sedimentation volume. The formulation of acacia showed excellent sedimentation volume and good re-dispersibility as compared to other formulation. The study was found that the dry physical mixture method showed good stability of the drug.

Keywords: Azithromycin, Acacia, Sodium CMC, Dry Suspension

A-295

Formulation, Evaluation and Permeation Studies of Transdermal Patches of Captopril

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Abstract:

Captopril is an active angiotensin converting enzyme (ACE) inhibitor, which is used for treating hypertension and some of congestive cardiac failure. It's an ideal anti-hypertensive drug due to its low toxicity. This research is a formulation and

evaluation of Captopril in a transdermal dosage form, matrix patch, which is found to have an advantage over oral dosage form, because the drug has short half-life of 1.9-2 hours and 30% binding to plasma proteins in deeper tissues. Transdermal Captopril is formed by solvent casting method. Different formulations of matrix-type transdermal Captopril are formed and subjected for their physicochemical properties. The formulations contain both hydrophobic polymers (Eudragit RS100 & Eudragit RL100) as well as hydrophilic polymers (Chitosan). Dibutyl phthalate and glycerol are the plasticizers used while Dimethyl sulphoxide is a permeation enhancer. In vitro release studies, the drug showed that the best formulation is of mixed polymers over single polymer formulations. The results suggest that hydrophobic and hydrophilic polymers when combined together are promising formulations for transdermal delivery of Captopril in hypertension therapy. The formulation with Eudragit RL100 and chitosan 1% in ratio 1:1 was found to be best among all batches of its consistent release for 18 hours and the extent of drug release was found to be 84.86%

Keywords: Captopril, Eudragit RL100, RS100, Chitosan, In-Vitro Studies

A-296

Preparation & Characterization of Atenolol Microparticle's for Pulmonary Drug Delivery

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Abstract:

Pulmonary drug delivery allows local drug targeting and administration of low doses and decreased drug concentrations systemically which results in reduced systemic side effects. The current tendency of inhalable systems is oriented to dry powder inhalers due to their advantages in terms of stability and efficiency. The aim of present study is formulation of Atenolol (AT) Microparticle's for pulmonary drug delivery. The inhalator route allows drug delivery for local or systemic treatments in a non-invasively way. In this work, Microparticle's of atenolol HPMC, Poloxamer 407 and Pluronic F-68 (PF) were obtained by spray drying. Several formulations, varying the relative composition AT/PF were tested. By using an anionic polymer, a novel powder to deliver AT by the pulmonary route was

developed. The ionic interaction between both components was proved, so a new chemical entity was formed by spray drying process. It is expected that this material could improve the drug targeting to the respiratory membrane and increase its time residence because of the mucoadhesive properties of the polymeric chains. The proposed new materials exhibit flexibility to load different drug contents.

Keywords: Atenolol, HPMC, Poloxamer 407 And Pluronic F-68, Pulmonary Drug Delivery, Spray Dryer

A-297

In Vivo Pharmacodynamic Activity of Lipidic Nanoparticles of White Curcumin for Dermatitis

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Abstract:

White curcumin (tetrahydrocurcumin, THC), a colorless molecule with excellent anti-oxidant and anti-inflammatory properties possesses superior stability at physiological pH (7.4) and in plasma. THC loaded lipidic nanoparticles (THC-SLNs) prepared using micro-emulsification technique followed by high speed homogenization were ellipsoidal in shape (TEM) with particle size < 130nm and zeta potential values of -22mV. Total drug content and entrapment efficiency of THC-SLNs was 95.50%±2.78% and 83.10%±2.29% respectively. X-Ray, DSC and FT-IR studies confirmed the formation of THC-SLNs. Pharmacodynamic evaluation in an oxazolone induced animal model of atopic dermatitis clearly revealed the enhanced bioactivity of THC-SLNs gel (0.2%w/w) as illustrated by SCORAD of 0 and was comparable to standard drug (Tacroz[®] Forte, 0.1%w/w; 4.7±0.310) at the end of the treatment period. This was further substantiated by the histopathological evaluation which revealed a complete healing of skin on application of THC-SLNs gel. Our intent of incorporating a poorly bioavailable molecule into a nano-delivery system for treatment of inflammation was thus accomplished.

Keywords: Lipidic nanoparticles, white curcumin, microemulsification, histopathology, biochemical.

A-298

Evaluation of *Musa acuminata* Fruit as a Natural

Superdisintegrant for Tablet Formulation

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Abstract:

Bananas are indigenous to the tropical portions of India. Bananas are the fourth largest fruit crop in the entire world and easily available in Indian market. The present work was focused on to develop and evaluate a new low cost effective superdisintegrant for tablet formulation. The present study involved collection of banana from the market and dried & powdered. The powdered bananas were evaluated for physicochemical characters. Propranolol Hcl was used as a model drug for tablet formulation. Different concentration of *Musa acuminata* powder were used to prepare tablet and evaluated for hardness, thickness, friability, disintegration time, wetting time and assay. In the present study sodium starch glycolate (SSG) were used as synthetic superdisintegrant for comparative study. From the present study it was concluded that *Musa acuminata* fruit can be used as superdisintegrant in tablet formulation.

A-299

Preparation and Evaluation of Fluvastatin Loaded Nanoparticles for Treatment of Dementia

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Abstract:

Behavioral and nervous disorders are difficult to cure due to limited permeability of many potential drugs. Many water soluble and sparingly soluble drugs cannot be used to treat these disorders due to selective permeability of blood brain barrier. Nanoparticles are colloidal carrier system offering protection of drugs from degradation, longer residence times, reduced dosage frequency and enhanced bioavailability. The aim of the present study was to prepare PLGA nanoparticles of Fluvastatin to enhance its permeability across blood brain barrier for treatment of dementia. Fluvastatin loaded PLGA nanoparticles were prepared using modified nanosuspension method. Fluvastatin loaded nanoparticles were found to have average particle size of 61 nm with narrow polydispersity index and have -6.2 mV of negative surface charge. The assessment

of learning and memory performance was carried out by employing Morris water maze test. Experimental amnesia was produced in Wister albino rats by i.p. administration of MK-801. Rats were subjected to four acquisition trials daily for four consecutive days followed by retrieval trial on day 5. Rats were administered fluvastatin loaded nanoparticles before acquisition or retrieval trial for 5 days. Brain permeability of nanoparticles was evaluated by estimating amount of fluvastatin in rat brain homogenate on fifth day after retrieval trial. The administration of fluvastatin loaded nanoparticles markedly prevented MK-801 and high fat diet induced impairment of memory in rats.

A-300

Colonic Delivery of Diallyldisulfide Using PLGA Nanoparticles in Treatment of Colon Cancer

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Abstract:

Colon cancer is one of the most prevalent type of cancer and effective delivery of drugs to colon is a challenge. Herbal drugs have been extensively investigated for their cytotoxic activity in colon cancer. Diallyldisulfide (DADS) is identified as one of the potent cytotoxic agent in colon cancer. The clinical application of DADS is limited due to its poor water solubility, low bioavailability and lack of site specificity. The present study is aimed to develop an effective site specific nanoparticulate drug delivery system of DADS for treatment of colon cancer. Nanoprecipitation method has been employed to prepare PLGA nanoparticles (NPs) containing Diallyldisulfide. The particle size and entrapment efficiency of optimized NPs was found to be 106nm and 106 ±1.28%, respectively. The in vitro drug release profile has shown prolonged drug release for 72hr and cumulative percentage of drug released was obtained to be 74.79 %. The results from the study have revealed potential of the prepared NPs in site specific drug delivery in treatment of colon cancer.

Keywords: Colon Cancer, Nanoparticles, Nanoprecipitation, DADS

A-301

Feasibility Study using Sucralose as a Co-Crystal Co-Former for Risperidone and Formulation of Orally Disintegrating Tablets

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Abstract:

Risperidone is a second generation antipsychotic drug. It is practically insoluble in water, and has a bitter taste which may lead to patient non-compliance. It is formulated in different dosage forms including ODT's that disintegrate quickly with rapid drug dissolution. There are many techniques to improve the solubility of drugs amongst which co-crystallization is an emerging one. In this study improvement in the solubility of a drug Risperidone was effectively carried out by co-crystallization with two artificial sweeteners namely Sucralose or sodium saccharin being used as co-former. Co-crystals with drug and co-former in five different ratios 1:1, 1:2, 1:3, 1:4 and 1:5 were prepared. It was found that in both the cases the co-crystals with the drug: co-former ratio of 1:3 was the one with the best dissolution profile. ODT's were formulated using risperidone co-crystals in the ratio of 1:3. Formulation aspects like type of disintegrating agents and diluents were studied. Formulation F3 containing croscarmellose and crospovidone as disintegrating agents and spray dried mannitol and DCP in the as diluents showed the best dissolution profile. The dissolution of the risperidone tablets containing sucralose co-crystals was better than that obtained with sodium saccharin crystals. F3 formulation had a better drug release rate compared to marketed formulation. The studies showed that potential of using the co-crystallization technique using sweeteners like sucralose and sodium saccharin which will not only help in improved drug dissolution but will also help in masking taste of poorly soluble, bitter tasting drugs.

Keyword: Risperidone, Sucralose, Co-Crystal

A-302

Formulation Development of Captopril *In Situ* Gel by Quality by Design Approach

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Abstract:

In the present research, novel floating *in situ* gelling

system for sustained delivery of captopril was formulated and evaluated. The main objectives of present study were to increase gastric transient time of Captopril and develop oral *in situ* gel formulation for better absorption and to modulate the release behavior of the captopril. Captopril is an angiotensin-converting enzyme inhibitor used for the treatment of hypertension having half-life of 1-2 hours. Formulation containing 0.50% of sodium alginate, 0.75% of pectin gum and 1% of calcium carbonate showed the best gelling ability. For optimization of *in situ* gelling system 3² full factorial design was employed to study the effect of independent variables, concentration of pectin (X1) and concentration of sodium alginate (X2) and dependent variables like viscosity, *in vitro* buoyancy time, % drug release at 6 hr. (Y4) C8 batch was selected as optimized batch based on buoyancy time (71 sec), viscosity (356.9cps), drug content (99.06%) and CPR (99.80%) at 12 hr. The sustained release of Captopril from *in situ* gelling system was observed and good fit to the Zero order. Floating *in situ* gelling system improved bioavailability and gastric transient time of Captopril. Formulation was studied for FT-IR study and DSC study showed there is no interaction between drug and excipients. Stability revealed that there was no noticeable change in characterization. Thus, *in situ* gel formulation is promising approach for gastroretentive sustained delivery of captopril.

Keywords: *In situ* Gel, Floating Drug Delivery System, Sodium Alginate, Pectin

A-303

Formulation and Evaluation of Osmotic Tablets of Metoprolol Succinate

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Abstract:

The main objective of the present work was to develop osmotic tablets of Metoprolol succinate. By controlling the release of Metoprolol succinate, the dose can be reduced as well as a better control over hypertension can be achieved. Wet granulation was the method employed. Sodium chloride in varying concentration was used as the osmogent. The prepared core tablets were coated with Eudragit RL100 in isopropyl alcohol in the ratio containing different levels of channeling agent PEG. Four different batches of controlled porosity osmotic pumps were prepared. The prepared tablets were evaluated for hardness, thickness, weight variation, friability, in-

vitro dissolution studies, drug content uniformity and osmotic pressure on drug release. The results of evaluation studies reveal that hardness, thickness, weight variation, friability and drug content uniformity was all well within the acceptable rates. The in- vitro release studies reveal that formulation F5 containing drug: osmogent in the ratio (1:1.25) and PEG 5% was found to be the optimized formulation. PEG hence thereby act as an excellent pore forming agent. Osmotic pressure was found to be the main mechanism governing drug release from the developed formulation. The kinetics of the drug release was studied and it was found that the released of the optimize formulation F5 is controlled by diffusion and follows zero order. Stability studies were conducted for a period of 2 months and all the tested parameters were found to be satisfactory. No significant deviation in results was observed in stability study.

Keywords: Osmotic tablets, Metoprolol succinate, Pore forming agent.

A-304

Formulation and Evaluation of Metoprolol Tartrate Microsphere by using Natural Polymer

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Abstract:

The aim of the present research is to develop statistically optimized metoprolol tartrate loaded microsphere using natural and synthetic polymers. The natural polysaccharide isolated from fruits of *Hibiscus esculentus* Linn. and ethyl cellulose based microspheres were prepared by emulsification-solvent evaporation technique. The formulation was optimized by quality by design (QbD) approach. Identified independent variables for this investigation were ratio of *H. Esculentus* polysaccharide (HEP) to ethyl cellulose and stirring speed in rpm. The desired response parameters examined for optimization were particle size (nm), drug entrapment efficiency (%) and percentage drug release at 12 hours. Optimization of formulation was carried out by fitting experimental data to statistical software (Design Expert® 10). Fourier Transformed Infrared spectroscopy and Differential Scanning Calorimetry studies revealed acceptable compatibility of drug and polymers. The optimized batch of formulation showed satisfactory yield (79.3±3.51%) and drug entrapment efficiency (91.73±2.90%).

Microspheres were found to be spherical in shape with smooth topography and flowability, and its average particle size is 93.33 nm with a PDI of 2.017%. The optimized formulation ensured sustained release of metoprolol tartrate over 12 hours following anomalous diffusion. In conclusion, the sustained release microsphere of metoprolol tartrate successfully developed using a blend of natural and synthetic polymers.

Keywords: Microsphere, Metoprolol Tartrate, *Hibiscus esculentus* Polysaccharide, Statistical Optimization

A-305

Development and Characterization of Stealth Liposomes

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Abstract:

Despite being used in drug delivery the major problem faced while administering liposomes by intravenous route is their undesirable uptake by the reticuloendothelial system (RES). PEGylation is a promising strategy to escape RES uptake and to enhancement the efficacy of liposomes by prolonging blood circulation time as compared to conventional liposomes. The existing approaches used for PEGylation of liposomes involve modification of lipids by their derivatization and require purification steps which increase the cost of production. The present work is an attempt to introduce a cost effective and novel approach in which methoxy-PEG was conjugated with stearyl amine and liposomes were prepared using cast film evaporation method. The synthesized conjugate was characterized using FT-IR and DSC. The prepared liposomes were characterized for mean vesicle size of liposomes, Zeta potential, Dye entrapment efficiency and *in vitro* release of entrapped dye. The prepared liposomes were multilamellar with average size ~415nm, zeta potential -46.8 mV, dye entrapment efficiency 59.6% and showing 48.33% drug release in 24 hours. There was no considerable change in vesicle size on storing at various temperatures which depicts good storage stability for two months. They could be employed for the effective drug delivery to desired organs after *in vivo* studies.

Keywords: Stealth Liposomes, RES, PEGylation, Methoxy-PEG, Novel

A-306

Preparation and Evaluation of Topical Liposomal Gel of Biochanin-A for the effecting treatment in Melanogenesis

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Abstract:

Biochanin A is Isoflavonoids (Isoflavones) found in the plant existing in red clover ,cabbage, grapes, soya beans with its anticancer activity, role as a inhibitor of P-glycoprotein. The inhibitory effect of the Biochanin A shows in Melanogenesis and result indicates that Biochanin A is a dose dependently inhibits for both melanogenesis and cellular tyrosinase activity in B16 cells. Application of Biochanin A cream containing 2% Biochanin A twice daily to the skin of mice also increases the skin-whitening index value after 1 week of treatment. Biochanin A was confirmed as a good candidate for use as a skin-whitening agent in the treatment of skin-hyper pigmentation digit. The objective of this study is to prepare and evaluate Topical liposomal gel of Biochanin A as a model drug to improve skin permeability by hot homogenization method using different ratio of Phosphatidyl choline (PC) and cholesterol (CHOL) with the help of statistical study using the factorial design as per Response Surface Methodology (RSM). Topical liposomal gel formulations were prepared to observe the effect of chitosan and the effect of Soya lecithin on the release of the formulation. Topical liposomal gel were prepared incorporating chitosan as a vehicle to enhance therapeutic index of the clinically challenging drugs with potential application. Preformulation studies which includes Solubility and drug-excipients compatibility study were performed. The prepared Topical liposomal formulations were then characterized for particle size distribution, entrapment efficiency, in vitro drug release study with abdominal rat skin by using franz diffusion cell and maintaining the skin condition.

A-307

In-Vitro Diffusion Studies using Franz Diffusion Cells of Nanoemulsion Gel of Fluconazole by UV-Spectroscopy

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Abstract:

In the present study, formulation of Nanoemulsion gel was prepared using Fluconazole (FZ). The objective behind the study was to examine the permeability through dialysis membrane that is inlet temperature, speed rpm, dissolution media, λ_{max} , and particle size on formulating the Nanoemulsion gel of Fluconazole. The prepared Nanoemulsion gel were evaluated for permeability, λ_{max} , and particle size, and it was found that F4 (formulated using an inlet temperature of $37 \pm 0.5^\circ\text{C}$, saline phosphate buffer with 2% SLS, and stirring speed of 50 rpm) has a maximum permeability $99.78\mu\text{g}/\text{cm}/\text{hr}$ at λ_{max} 260nm and smallest particle size of 600nm out of all the four formulations (F1-F4). The Transmission Electron Microscopy (TEM) of F4 found that the particles are spherical in shape with smooth surface and size of particles is less than 600 nm. Therefore, nanoemulsion gel of Fluconazole have been successfully formulated as it was observed there was an effect of inlet temperature, dissolution media, stirring speed rpm on the permeability, λ_{max} , and particle size. Thus, it can be concluded that this study can be beneficial for checking the permeability of nanoemulsion gel of Fluconazole by Franz diffusion cells.

Keywords: Fluconazole, UV-Spectroscopy, Franz Diffusion Cells, Transmission Electron Microscopy

A-308

Solid Dispersion Water Dispersible Tablet Comprising Rizatriptan Benzoate Peg 4000 & Peg 6000

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Abstract:

The purpose of this study is to fabricate the polyethylene glycol water dispersible tablet by solid dispersion technique. Rizatriptan benzoate, PEG 4000 and PEG6000 were used as model drug and polymer respectively. The physical and drug release characteristics of developed water dispersible tablets were studied. Solid dispersion of Rizatriptan benzoate with PEG 4000 and PEG 6000 score only moderate rating

of bitterness (2-3) on effectiveness of taste masking. Determination of infra red spectra, thermal behaviour by differential scanning calorimetry and x ray diffraction studies of Rizatriptan benzoate, PEG4000 and PEG6000 exhibited numerous distinctive peaks indicating its good quality and purity. Water dispersible tablets of Rizatriptan benzoate was formulated by solid dispersion method using physical mixture of drug, PEG 4000 and PEG6000 in variable ratios. All the formulation batches passed the weight variation test, disintegration test and uniformity of dispersion test and offered good mechanical strength. The prepared optimized tablet showed rapid disintegration as well as rapid dissolution. Thus the rapid disintegrating tablet of bitter drug having better taste and pleasant mouth feel can be successfully formulated.

A-309

Formulation and Evaluation of Nanoemulsion Containing Moxifloxacin

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Abstract:

Present research work was aimed to develop and characterize Moxifloxacin nanoemulsion for ocular delivery. When a drop of drug instilled in ocular globe less than 5% of drug absorbed and this is a major problem with conventional eye drop solutions. We can increase drug penetration through nanoemulsion composed of egg lecithin, polaxamer and soya oil. Internal phase droplets diameter is less than 1 μm . The method involved to formulate submicron emulsion by using high shear mixer followed by sonication. The nanoemulsion of Moxifloxacin was designed to be efficient in achieving good penetration through cornea and targeted drug release particularly in ocular globe and reduces systemic absorption. Entrapment of Moxifloxacin hydrochloride in internal phase of oil in water emulsion improved by its interaction with a complexing agent. HET-CAM test performed to observe ocular toxicity of selected ingredients, not any coagulation or haemorrhage found. Nanoemulsion droplets size analysed by

SEM. Optimized formulation release compared with control. Preformulation investigations using FT-IR Spectroscopy indicated no any interaction between drug and excipients. The investigated study can be an effective therapeutic approach for the treatment of deep ocular infections.

Keywords: Moxifloxacin, Submicron Emulsion, Ocular Infection, Complexing Agent

A-310

Fatty Acid Vesicles for Transdermal Delivery of Antifungal drug: Development and Characterization

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Abstract:

Econazole nitrate is one of the most widely explored broad spectrum antifungal agent against cutaneous candidiasis. In some people, suffering from immunosuppressive diseases like AIDS, *Candida* pathogen penetrates into deeper skin layers and blood stream as well generating dangerous systemic candidiasis. Currently, econazole nitrate is used in the form of topical cream which show several drawbacks like high dosing frequency, burning sensation, stinging, erythema, and poor skin penetration leading to therapeutic failure. Outer layers of skin behave like barriers to bioactive molecules applied topically, therefore, skin permeation enhancement is required to obtain a therapeutic concentration of bioactive molecules in deeper skin layer where the invading fungus may localize. So, our work focuses on the development of topical oleic acid vesicular gel loaded with econazole nitrate, which can effectively treat invasive skin fungal infection with minimizing risk of unwanted effects caused by available marketed cream formulation of econazole nitrate. Various preformulation parameters like UV-spectroscopy, DSC, and XRD confirmed the identity of Econazole Nitrate to be used in the study. Furthermore, oleic acid vesicles loaded with econazole nitrate were prepared through thin film hydration method using a rotary evaporator. Oleic acid vesicles were optimized with respect to concentration of different components, size, zeta potential, and entrapment efficiency. Formulation having drug to oleic acid ratio 3 : 7 showed maximum entrapment of drug ($65.9 \pm 2.8\%$), acceptable size ($317.4 \pm 7.8 \text{ nm}$), zeta potential ($-28.57 \pm 2.9 \text{ mV}$), and polydispersity index (0.155 ± 0.31). Therefore, this optimized formulation will be used for further investigations.

Keywords: *Candida*, Econazole Nitrate, Invasive, Oleic Acid, Zeta Potential

A-311

Formulation and Evaluation of Colon Specific Matrix Tablet of Metronidazole

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Abstract:

Targeting of drug to the colon oral route the drug release is controlled by the gastrointestinal pH, transit times and intestinal flora. Metronidazole is only used antibiotics, which can be used, in colonic disease. Matrix formulations containing various proportions of Xanthan gum and HPMC E5LV were prepared by wet granulation technique using 10% starch paste. Six Matrix tablet formulation (1 to 6) of Metronidazole were prepared different mixture of Xanthan gum and HPMC-E5LV. All the formulations were evaluated for in-process quality control tests. The in-vitro drug release study first in 0.1N HCl followed by in pH 7.4 phosphate buffer, the formulation F3 show good drug release in control manner. Hence, synthetic polymer such as HPMCE5LV is most cheap and suitable for colonic drug delivery.

Keywords: Colon Targeted Delivery, Metronidazole, HPMCE5LV, Xanthan Gum, Matrix Tablet

A-312

Oxcarbazepine Nanoparticle Based Formulation with Ameliorate Water Solubility and Rate of Dissolution

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Abstract:

Oxcarbazepine (OXZ) is an antiepileptic drug with less site of absorption and because of slight solubility in the aqueous solvent. Nanoparticles of OXZ were prepared with a particle size in range of 17.27-50.5 nm successfully by the ultra homogenization technique. By using the same method OXZ nanoparticles were stabilized by glyceryl behenate(GBH).

The Solubility of OXZ nanoparticles was higher than raw OXZ. Almost 100% of the drug was relinquished from OXZ nanoparticle and OXZ-GBH was estimated by using the invitro dissolution studies. OXZ nanoparticles were characterized by zeta potential, DSC, FTIR, entrapment efficiency, SEM analysis. where this nanoparticles formulation of OXZ improves an antiepileptic effect.

Keywords: Oxcarbazepine, Nanoparticle, Glyceryl Behanate

A-313

Colon Targeted Delivery of Insulin Loaded Colloidosomes for Effective Management of Blood Glucose Level

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Abstract:

Currently new and potent Protein/Peptide drugs are generally administered parenterally by IV, IM and SC routes, but needle phobia and stress of multiple daily injections and other associated disadvantages lead to development of new and significant approaches for their delivery. In present work a novel drug delivery system i.e. colloidosomes is designed in such a way that the core (i.e. liquid/ gel) containing insulin is coated with polymeric nanoparticles loaded penetration enhancer. These colloidosomes are encapsulated in Eudragit S100 coated gelatin capsules, which are unaffected in the upper part of GIT. Eudragit S100 coating degrades with change in pH and colloidosomes disperse in the colon where insulin gets released from the core along with penetration enhancer from colloidal nanoparticles. This could be due the barrier effect of the colonic membrane for the permeation of the insulin while penetration enhancer increased the permeability of colonic membrane which reduces the blood glucose level, moreover the effect was prolonged with formulation which could be due to controlled release of insulin from colloidosomes.

Keywords: Colloidosomes, Eudragit S-100, Insulin

A-314

Formulation and Evaluation of Mouth Dissolving Tablets of Nimodipine

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Abstract:

Mouth dissolving tablets offer substantial advantages like rapid onset of action, beneficial for patients having difficulties in swallowing and in conditions where access to water is difficult. Hence, the present research work was held to formulate mouth dissolving tablets of nimodipine which is an antihypertensive drug. Mouth dissolving tablets of nimodipine was formulated by using three different superdisintegrants like cross carmellose sodium, sodium starch glycolate and crosspovidone. The method used for formulation of nimodipine tablets is direct compression method. All the tablets were evaluated for physicochemical parameters such as hardness, friability, weight variation, drug content uniformity, water absorption ratio, wetting time, in-vitro disintegration time and in-vitro dissolution studies. The results of the batch F3 are encouraging as highest dissolution rate (96% within 3 min.) is achieved. Hence F3 batch containing 12% cross povidone was found to be an optimized batch. For the optimized batch F3 the hardness was 3.5 ± 0.132 kg/cm² and the friability was $0.30 \pm 0.05\%$ which less than 1%. All the tablets passed weight variation test as the average percentage weight variation was within 7.5% i.e. within the pharmacopoeial limits and for F3, it is 249.62 ± 1.7 . The *in-vitro* disintegration time for F3 was found to be 14 ± 1.2 seconds fulfilling the official requirements (<3 minute). The wetting time for optimized batch was found to be 17 ± 1.2 seconds. The formulation batch F3 showed water absorption ratio 116.40 ± 1.8 . and percentage drugs content was found to be 98.25 ± 1.2 . Therefore this batch is considered as best formulation.

Keywords: Nimodipine, Mouth Dissolving Tablet, SuperDisintegrants

A-315

Digital Composite Image Analysis Technique as a Tool for 2D Crystal Growth Studies of L-Ascorbic Acid using Optical Microscopy

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Abstract:

Crystal growth experiments on pharmaceutical ingredients are carried out from the preformulation level to routine manufacturing level in order to maintain the quality of pharmaceutical products. Standard microscopy is the main equipment used for such studies. In microscopy, the crystal lengths are measured directly using calibrated eyepiece reticle or micrometer, which are liable to human error. Image analysis makes such studies easy, reliable, and storable for future reference. In current studies, the digital images (RGB; red, green, blue) were captured for crystallization of L-ascorbic acid from saturated methanol solution. The RGB images were processed further to get clearer and identifiable boundaries followed by superimposing on each other to make digital composite images. The lengths of crystal fronts in composite image revealed maximum crystallization rate (0.3713 um/s; $t=30-45s$) followed by subsiding rate (0.0883 um/s; $t=75-105s$) towards the end. The composite image analysis provided ability to represent all crystal fronts in a single image, and that too in quantifiable form, which makes it a useful tool to replace the standard optical microscopy technique for crystal growth studies.

A-316

Modification of Pharmacokinetic Parameters of Mucoadhesive Tablets of NSAIDs Combination with Proton Pump Inhibitor

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Abstract:

The term arthritis means "joint inflammation" but is generally used to describe inflammatory and degenerative conditions of the joints. It can affect anyone at any age. There are several kinds of arthritis the most of which is the osteoarthritis (OA), rheumatoid arthritis (RA) and gout. To treat these conditions prolonged action dosage forms are very much needed. The aim of the present study was designed to develop novel sustained-release (SR) Mucoadhesive tablet formulations of naproxen sodium a non-steroidal anti-inflammatory drug. Pantoprazole Sodium is added to the naproxen to overcome the side effect such as ulcer and bleeding. Sustained release tablets Naproxen formulated by using polymers such as sodium alginate, gelatin and carbopol 934. A combination of hydrophilic

polymers was used in the ratio of 1:1:1 to 1:1:5 along with usual tablet additives like lactose and MCC. The compressed Mucoadhesive tablets were evaluated for various parameters like hardness, friability, weight variation, drug content uniformity which shows the drug content was uniform in all the formulations of the tablets prepared. IR studies indicated that the drug is compatible with the polymers and stability studies also performed were no appreciable difference was observed. The in-vitro release of Naproxen and Pantoprazole were studied by using the buffer solution of pH 1.2. The in-vitro release of drug showed that tablets (batch F9) of combined S: G: C is 1:1:5 containing tablets (96%) at the end of 10th hour and was found to release the drug.

Keywords: Mucoadhesive Tablets, Sustained Release, Naproxen, Pantoprazole, Combination Formulation

A-317

Formulation and In-Vitro Evaluation of *Hibiscus esculentus* Polysaccharide Films Containing Doxycycline Hydrochloride for the Treatment of Periodontitis

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Abstract:

Periodontitis being serious debilitating healths issue, research is focused to develop a novel drug delivery system to courier the cargo drug molecules at a controlled rate to the particular site of application. The delivery device is a polysaccharide film of *Hibiscus esculentus* impregnated with a model antibiotic drug Doxycycline hydrochloride (DOX). The films were prepared by solvent casting method using dibutyl phthalate as a plasticizer. The films exhibit excellent activity against anaerobic microbes. The *Hibiscus esculentus* polysaccharide (HEP) films were developed with three different concentrations of DOX (10, 20 and 30% w/w to the weight of polymer) and evaluated for various properties like weight variation, tensile strength, folding endurance, stability studies, in-vitro release, mass balance and antimicrobial studies. To extend the release profile the films were further cross-linked with glutaraldehyde. The average weight and thickness among different films were uniform. Tensile strength was maximum for plain films and minimum for films containing highest percentage of drug and or the cross-linked films. The stability

study did not show any significant change. Static dissolution showed initial burst release of the drug followed by a constant release of drug upto 20 hrs. The in-vitro release kinetics support mixed order kinetic model. The mass balance studies after in-vitro dissolution did not deviate by more than 3% from the experimental drug content which confirms the drug to be available in a free state in the film.

Keywords: Periodontitis, Doxycycline Hydrochloride, *Hibiscus esculentus* Polysaccharide Film, Cross-linking

A-318

Formulation and Evaluation of Tramadol Hydrochloride Oral Thin Films

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Abstract:

One of the novel approaches for the development of Oral dosage forms is films. They are available as thin films with elegant appearance and in various sizes and shapes like rectangular, circular etc. They are flexible or brittle, opaque or transparent strips. Oral films are composed of hydrophilic polymers which initiate rapid drug release on the tongue without the need of water. The present study aims to develop fast dissolving films of Tramadol Hydrochloride which acts enhancing drug dissolution in the oral cavity. These films act by decreasing analgesic effect in lesser time and show an increase of patient compliance. The polymers used in these films are Hydroxy propyl methyl cellulose-E5, Hydroxy propyl methyl cellulose-E6, Hydroxy propyl methyl cellulose-E15 and sodium alginate. The films were evaluated for various parameters like physical appearance, surface texture of film, film thickness, folding endurance, uptake of moisture, uniformity of weight, drug content, swelling index, invitro disintegration studies and invitro dissolution studies. Stability studies were also performed for the optimized formulation. Even though all the formulations passed the evaluation parameters equally, it was concluded that oral thin films with 400 mg of sodium alginate is the best formulation because of its good dissolution rate. FTIR studies were performed to study the drug polymer compatibility.

Keywords: Oral Fast Dissolving films, Tramadol Hydrochloride, Solvent Casting Method, Opiod

Analgesic

A-319

Microencapsulated Ketoprofen Loaded Suppositories for Rectal Administration

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Abstract:

The present work aimed to develop ketoprofen loaded microparticle based sustained release suppositories for rectal administration in order to enhance bioavailability of the drug as well as overcome first pass metabolism after effects associated with the active ingredient thereof. The microparticles were developed by ionic gelation technique while the final suppository system of the optimised microparticle formulation was developed by melting method. The optimised batch of the encapsulated system F3 showed high entrapment efficiency and better mucoadhesive strength. It also showed a good sustain ability of the drug release for approximately 12 hours; which can be significantly adopted for alternative route of administration of ketoprofen in order to overcome hepatic adverse effect associated with the drugs frequent administration.

A-320

Optimization of Nanoprecipitation Parameters for Lercanidipine Hydrochloride Nanocrystals

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Abstract:

Lercanidipine hydrochloride, calcium channel blockers is used for treating angina pectoris and hypertension. Lercanidipine is a BCS Class II drug having poor aqueous solubility and good permeability through the plasma membranes. Absolute bioavailability of the drug is only 10% and the main reason attributed for such a low bioavailability is poor aqueous solubility of the drug. Design and formulation of nanocrystals of Lercanidipine by nanoprecipitation method was main focus of this study. In the present study preliminary optimization was carried out with one factor at a time (OFAT) approach. For this different parameter like solvents, types of

stabilizer, concentration of stabilizer, concentration of drug, solvent – antisolvent ratio and stirring speed were optimized on the basis of particle size, PDI and zeta potential. From the OFAT study it was found that methanol, 0.5% PVP K30, 20mg/ml drug concentration with stirring speed 5000rpm and 1: 20 solvent – antisolvent ratio was give minimum particle size, good PDI and zeta potential.

Keywords: Lercanidipine Hydrochloride, Nanocrystals, OFAT, Nanoprecipitation

A-321

Preparation and Evaluation of *Chelidonium majus* Extract Loaded Aquasomes and Study of its Hepatoprotective Activity

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Abstract:

Aquasomes are three layered self assembled structure proved to be potential for suitable delivery of poorly aqueous soluble drug, antigen, vaccine, haemoglobin, insulin. Here aquasomes were prepared and loaded with *Chelidonium majus* extract. Different parameters like stirring time, concentration of extract, amount of coated core and alcohol were varied to obtain suitable percentage loading. Percentage loading was highest at 2h (33.56%). FTIR study results showed no interaction between core, polyhydroxy oligomer and extract. *Chelidonium majus* extract loaded aquasomes were administered to rats for seven days orally and serum enzyme activities were observed after seven days. SGPT, SGOT and ALP level indicated to be potential as hepatoprotective.

Keywords: Aquasomes, Self Assembled, *Chelidonium majus*, Hepatoprotective

A-322

Shata-Dhauta-Ghrita: Potential in Diabetic Wound Healing

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Abstract:

Diabetes mellitus is one of the metabolic disorders that impede normal steps of wound healing process. Recently, statins have been shown to have anticoagulant, immunosuppressive and antiproliferative effects that could conceivably affect wound healing or the risk of wound complications after surgery or injury. Modern formulation science requires all excipients to be inert and to conform to Pharmacopoeial standards. However, Ayurveda, the ancient science of health from India believes that all substances possess therapeutic actions. In this study, we have prepared Shata-dhautaghrita (SDG) by washing cow ghee 100 times with water. It was evaluated for their pH, viscosity, spreadability, organoleptic properties, globule size, acid value, saponification value, peroxide value, ester value, iodine value and free fatty acids. It was also evaluated for wound-healing power in streptozotocin-induced diabetic male albino rats. Results showed that SDG exhibits a much less degree of unsaturation (suggesting better physico-chemical stability) and better consistency (and hence suitability for topical applications). The paper also suggests the possible mechanism for improvement of these characteristics in the process of conversion of cow ghee to SDG. Results of In-vivo wound healing studies showed a time dependant increase in percent wound contractions, which is higher than that produced by the control groups. These contractions were statistically significant ($P < 0.001$).

Keywords: Diabetes, Shata-DhautaGhrita (SDG), Wound-Healing

A-324

Thiolated Carrageenan as a Mucoadhesive Carrier for Vaginal Drug Delivery

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Abstract:

Vaginitis is a gynecological problem affecting 75% of women of reproductive age with common cause being mixed infections of more than one pathogen. Metronidazole is a broad spectrum antibiotic commonly used to treat bacterial vaginosis trichomoniasis and mixed infections. The aim of the present study was to develop mucoadhesive tablet of Metronidazole using thiolated carrageenan (TC). Carrageenan is polyanion based entry inhibitor having microbicidal activity and reported

to prevent HIV transmission. Thiolation of Carrageenan would increase mucoadhesion and retention of tablet in vaginal cavity. Thiolation of carrageenan was achieved by esterification using thioglycolic acid and thiol content was determined by Ellman's method. Thiol group addition was confirmed by FTIR and DSC study. *Ex vivo* mucoadhesion using goat vaginal mucosa revealed increased mucoadhesion of TC with less vaginal irritation when tested in wistar rats. Metronidazole tablet of TC exhibited high water uptake with sustained drug release (89.33% in 8 h) showing non-fickian mechanism of drug release. *In vitro* antibacterial activity of TC tablet, with and without Metronidazole, against E.coli resulted in 100% reduction of microbial count after 24 h indicating strong antibacterial activity. Conclusively, Mucoadhesive tablet of TC provided a promising strategy for local drug delivery in vaginal infections.

Keywords: 6Vaginitis, Mucoadhesive Tablet, Metronidazole, Thiolated Carrageenan

A-325

Formulation Optimization and Study of Process Variables of Sitagliptin Microspheres

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Abstract:

The aim of the work is to optimize and assess the effects of different variables on the characterization of Sitagliptin microspheres. The microspheres were prepared by emulsion-solvent diffusion method and ionotropic gelation method using ethyl cellulose and sodium alginate as the polymers respectively. The formulations are optimized by applying 2^2 factorial design based on the drug-polymer ratio (X1) and stirring speed (X2) separately on each method. The drug-polymer interaction was checked by the FT-IR and DSC, the results of which indicated no incompatibility. The formulated Sitagliptin microspheres were evaluated for morphology, particle size (Y1), degree of swelling (Y2), encapsulation efficiency (Y3), in-vitro drug release studies (Y4) and kinetics of drug release. The results showed that the effects of independent variables are classified based on the polymer used. The release of the drug was found to be sustained and diffusion path is following cube root law of Hixson-Crowell kinetics. The batch ES2 prepared by emulsion solvent diffusion method was found to be the desirable based on encapsulation efficiency and drug release and was further characterized by

SEM for morphology.

A-326

Formulation and Evaluation of Colon Targeted Press Coated Tablets of Venlafaxine Hydrochloride for Treatment of Depression

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Ashawat

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Abstract:

The aim of this study was to develop a colon targeted press coated tablet of venlafaxine hydrochloride (VH) for the chronotherapy of depression. The core tablets were prepared by direct compression using different ratios of VH:HPMC K100M (1:1, 1:2, 1:3). Core tablets were evaluated for various parameters such as average weight, thickness, hardness, friability, swelling index and *in vitro* drug release. A coating layer of guar gum and ethylcellulose in different ratio (1:0.25, 1:0.5, 1:1, 0.5:1, 0.25:1) was applied on the core tablet by press coating technique. Press coated tablets were evaluated for various parameters such as average weight, thickness, hardness, friability and *in vitro* drug release. The drug release from the core tablets decreased with increased amount of HPMC K100M. F3 was selected as an optimized formulation for core tablets due to sustained drug release upto 3 hours. The drug release from optimized formulation followed Higuchi matrix kinetics and mechanism of release was found to be Fickian diffusion. The coating layer was soft and friable with formulation containing higher proportion of guar gum as compared to ethylcellulose. The *in vitro* release from optimized press coated tablet PC3 followed first order kinetics. When guar gum and ethylcellulose were used in equal amount (1:1) for press coating desired average lag time of 5 hour was achieved, which was considered optimum for the chronotherapy of depression by colon targeting.

Keywords: Venlafaxine, Press coating, Colon, Guar gum, Ethylcellulose, Depression

A-327

Formulation and Estimation of Floating Tablet of Captopril

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Abstract:

Sustained release matrix tablet is a delivery system by which the drug can be delivered at a controlled rate for long period of time. The present study aims at formulation, evaluation and optimization of captopril matrix tablets. A 3² full factorial design was adopted and all 9 batches were prepared by wet granulation method. Prepared granules and tablets were evaluated for N MNBNN precompression and postcompression characteristics respectively. Check point analysis was applied to the observations and the formula of the tablet was optimized. Optimized formula, F6 showed zero order drug release kinetics for the time period of 24 hours i.e. 17.55% release at the end of 2 hours, 53.4% release at the end of 12 hours and 100.24% release at the end of 24 hours. The results revealed that concentration of matrix forming agent and solution of granulating agent significantly affected *in vitro* drug release profile.

Keywords: Sustained Release, Factorial Design, Hypertension

A-328

Formulation Development and Assessment of Ofloxacin Lquisolid Tablets

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Abstract:

The aim of our study was to improve the bioavailability of ofloxacin a practically inaccessible anti-infective drug, as a model drug by using liquisolid method. The effect of powder substrate composition on the flowability and compressibility of liquisolid denses were evaluated. Specifically, several liquisolid formulations, containing 200-mg of ofloxacin, which contain different carrier to coating ratios in their powder substrates and a fixed liquid medication, were prepared. The dissolution profiles of ofloxacin liquisolid tablets were determined according to USP method. The obtained dissolution profiles were compared to that of a commercial product. In the present study, the formulated liquisolid systems exhibited acceptable flowability and compressibility. In addition, liquisolid tablets displayed significant sortilege of the dissolution profiles confronted to this of commercial one.

Keywords: Liquisolid Tablets, Ofloxacin, Formulation and Evaluation

A-329

Formulation and Evaluation of Liposphere Mediated Topical Delivery of Naproxen for the Treatment of Musculoskeletal Disorder Osteoarthritis

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Abstract:

Non-steroidal anti-inflammatory (NSAID) drug Naproxen loaded liposphere gel system was developed for topical application in order to avoid the disadvantages associated with the oral administration of Naproxen. Naproxen inhibits cyclooxygenase-2 at inflammation focus, but unfortunately, it also inhibits gastric mucous cyclooxygenase-1, which produces gastric damage. Topical Naproxen are more effective over its oral equivalent is that the therapeutic benefit can be achieved while significantly reducing any potential systemic side effects. However, the major problem of dermal route is low water solubility of Naproxen, leading to reduced penetration of drug across skin. Thus in this research, Liposphere gel system is used to solve the solubility and permeability problems of Naproxen. In proposed work naproxen lipospheres are prepared which later incorporated in gel dosage form for efficient topical delivery. The small size of the lipid particles in lipospheres and major lipophilic nature of human skin ensures close contact to the stratum corneum and can increase the amount of the drug penetrating into the mucosa or skin. The purpose of this study was to prepare lipospheres containing Naproxen intended for topical skin delivery. Lipospheres were prepared using different lipid cores and phospholipid coats adopting melt and solvent evaporation techniques. Characterization was carried out through photomicroscopy, scanning electron microscopy, particle size analysis, DSC, *In vitro* drug release and storage study. The anti-inflammatory effect of liposphere systems was assessed by the rat paw edema technique and compared to the marketed product.

Keywords: Naproxen, Liposphere, Gel, Osteoarthritis, Phospholipid

A-330

2Formulation and Evaluation of Melatonin and Curcumin Sunscreen Cream

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Abstract:

The objective of study was to prepare and evaluate melatonin, curcumin & zinc oxide sunscreen cream with high sun protection factor (SPF) and satisfied characteristic to protect from the UV radiation that effect the skin from the harmful substances arising from endogenous and exogenous sources. The exposure of skin to UV radiation poses erythema, the production of inflammatory mediators, the alteration of vascular response & immune-suppression, sunburn melanoma, cell carcinoma and different skin cancers. As a result, the structural and functional characteristics of the cell are lost due to the oxidation of biomolecules. The regulation pathway of skin is severely affected by the imbalance in the antioxidant level leading to photo-aging & the development of skin cancer. The possible strategy for preventing the photo-aging and skin cancer is the application of natural photo-protectant with potential UV absorbing and reflecting capacity. In this sunscreen formulation melatonin, curcumin and zinc oxide (physicalphoto-protectant) was used.

Keywords: UV, Melatonin, Curcumin, Sunscreen Cream, Antioxidant Activity, Sun Protection Factor

A-331

Preparation and Evaluation of Microemulsion Based Gel of a Low Potency Steroid for Treatment of Atopic Dermatitis

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Abstract:

The aim of the study was to develop a microemulsion based system of topical glucocorticoid, desonide to overcome shortcomings and side effects related to the drug. Following the preformulation studies like solubility determination, component selection and pseudoternary phase diagram construction, a 3-factor D-optimal mixture design was used for optimizing a microemulsion having desirable formulation characteristics. The factors studied for sixteen experimental trials were percent content (w/w) of water, oil and surfactant,

whereas the responses investigated were globule size, drug skin retention and drug permeation through skin in 24 h. Optimized microemulsion was incorporated in Carbopol 940 based hydrogel to improve its topical applicability. Physical characterization of the formulation was performed using particle size analysis, transmission electron microscopy, zeta potential analysis and rheology behavior. *Ex vivo* studies depicted enhanced drug skin retention from optimized formulation in comparison to conventional gel and pure drug solution. *In vivo* evaluation was performed using a novel model for human atopic dermatitis involving repeated application of dinitro-dichloro benzene patch in BALB/c mice and the results were obtained in terms of visual evaluation, dermatitis score and histopathological studies. Marked improvement in dermatitis score on mice skin treated with microemulsion formulation was observed in comparison to commercially available gel thereby indicating the superiority of microemulsion hydrogel formulation over conventional approaches for treating atopic dermatitis. The formulation was stable for a period of three months under different temperature conditions.

Keywords: Desonide, Atopic Dermatitis, Microemulsion, Hydrogel, Topical Glucocorticoid

A-332

Design, Development and Evaluation of Warfarin Transdermal Patches for the Management of Deep Vein Thrombosis

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Abstract:

Deep vein thrombosis (DVT) is an elusive illness that can result in suffering and death if not diagnosed and treated effectively. DVT occurs in ≈ 2 million Americans each year. Death can occur when the venous thrombi break off and form pulmonary emboli, which pass to and obstruct the arteries of the lungs. Warfarin is an anticoagulant drug normally used to prevent blood clot formation as well as migration. A transdermal patch is a medicated adhesive patch that is placed on the skin to deliver a specific dose of medication through the skin and into the bloodstream. Often, this promotes healing to an injured area of the body. This study was aimed to develop and evaluate the transdermal patch of warfarin for the management of DVT. The transdermal patches were prepared using HPMC, PVP, PVA

and EC. The Patches were evaluated and the drug content was found to be maximum with batch HD-3, PP-2 and EP-2, which may be due to increases amount of cross linking in these patches. The release rate from all the films was rapid in the initial hours (up to 5 hrs) The transdermal patches can be used most suitably as controlled drug delivery system for delivery of Warfarin for DVT.

Keyword: Deep Vein Thrombosis, Warfarin, Transdermal, HPMC, PVP

A-333

Development of Lorazepam Intra Nasal Micro Emulsion Drug Delivery for Brain Targeting using Central Composite Design

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Abstract:

The objective of the present investigation was to prepare and optimize Lorazepam loaded chitosan based micro emulsions to achieve delivery in the brain through intranasal administration. It has longer duration of action, potent anticonvulsant activity, possibly less respiratory depression less requirement of repeated doses and higher effectiveness in management of status epilepticus. Microemulsion were prepared by phase titration method using Pseudo-ternary phase diagrams and optimized using three-factor, three-level Central Composite Design (CCD). The independent variables selected were Capmul MCM (X_1), (Tween 80, Transcutol P (X_2) and water (X_3), the responses selected were size (Y_1), flux (Y_2), and Zeta potential (Y_3). Mathematical equations and response surface plots were used to relate the dependent and independent variables. The regression equations were generated for responses Y_1 , Y_2 and Y_3 . The statistical validity of the polynomials was established, and optimized formulation factors were selected by feasibility and grid search. Validation of the optimization study with 5 confirmatory runs indicated high degree of prognostic ability of response surface methodology. Physico chemical properties of prepared formulations were within the range. The optimized formulation was shows the 61 nm of size, 512.45 $\mu\text{g}/\text{cm}^2/\text{h}$ of flux and zeta potential was 32.34 mV. *Ex vivo* permeation studies were performed using Franz diffusion cell. Optimized formulation showed 5 folds higher flux when compared with drug solution (102.3 $\mu\text{g}/\text{cm}^2/\text{h}$).

Keywords: Lorazepam, Chitosan, Central Composite Design, Brain Targeting

A-334

Optimization of Processing Parameter for Chlorthalidone Nanoparticles by Media Milling Technique

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Abstract:

In the present study the Nanoparticles of chlorthalidone was formulated by media milling technique. A nanoparticle increases the dissolution rate by decreasing the particle size to nanometer scale range and increasing the effective surface area of the drug. Here, chlorthalidone was selected for the formulation of Nanoparticles due to its low dissolution rate in water and thus low bioavailability. The objective behind this study was to optimize the processing parameter like drug concentration, polymer concentration, conc. of bead, size of bead, stirring speed, stirring time by media milling method and to study its effect on particle size, PDI and zeta potential value. In the present study optimization of processing parameters of Nanoparticles of chlorthalidone were carried out by OFAT (one factor at a time) by media milling method. Total 23 batches were carried out to study this processing parameters. The result shows that 1mm size of zirconium bead, 10% amount of zirconium bead, poloxamer 407 stabilizer, 0.5% conc. of stabilizer and 1% conc. of drug were showed minimum particle size, better PDI and zeta potential.

Keywords: Nanoparticles, Processing Parameters, Media Milling Technique

A-335

Formulation and Evaluation of Nanoparticles Containing Bioflavonoidal Agents

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Abstract:

At this point in time, the current research focusing on bioflavonoids and its significant activity towards the mankind, in combating free radical and ROS generation, which has been duly responsible for the inception of diseases like diabetes mellitus, hypertension etc., In due course, exploiting the benefits of such flavonoids are almost limited to be formulated and hence only, a nanoparticles containing bioflavonoids has been fabricated with biopolymeric network. Particle size analysis is performed by dynamic light scattering (DLS). Depending on the physical properties of the sample, the dynamic range is 0.3 nm – 8 μm. Zeta potential is another important parameter that is related to nanoparticle stability or aggregation in dispersion, and can have significant implications on product performance. The particle size has been observed to be 200 nm approximately, encapsulation efficiency and drug loading capacity was found to be 84.6 and 44.35 respectively. Stability study of the three different climatic conditions has been planned for the determination including both long term and accelerated stability studies. Both long term study (5°C±3 °C, 30 °C±2 °C 65 ±5%RH) & Accelerated study (40°C±2 °C, 70±5%RH) respectively for the three months. The prepared nanoparticles have better quality and stability indicating method as per ICH guidelines shows that the prepared nanoparticles are very stable.

Keywords: Bioflavonoids, Nanoparticles, Formulation, Stability Studies

A-336

Formulation and Evaluation of Norfloxacin Gastro Retentive Drug Delivery System using Natural Polymer

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Abstract:

Gastric retentive floating drug delivery system (GFDDS) is enabled the prolonged continuous input of the drug to the upper parts of the gastrointestinal (GI) tract and improves the bioavailability of medications with narrow absorption window. The design of the delivery system is based on the controlled release formulation with floating and swelling features in order to prolong the gastric retention time of the drug delivery systems. The main aim of the present study was to evaluate aloe Vera gel powder as an extended drug releasing agent. Aloe Vera gel powder was obtained by the collection and treatment

of inner parenchymatous tissue of *Aloe barbadensis miller* leaves. In the present study norfloxacin as candidate, lactose, magnesium stearate, sodium bicarbonate is studied along with polymer, aloe Vera gel powder were used in different concentrations to get the desired controlled release profile over a period of 12 hrs. All the formulations were evaluated for friability test, hardness test & determination the flow properties and *in vitro* drug release profile. Based on the *in vitro* studies carried out for the optimized formulation by dissolution the performance of the developed formulation promises to be efficient in controlling the drug release rate with the aloe Vera gel powder, a natural polymer.

Keywords: Gastrointestinal, Norfloxacin, Controlled Release, Natural Polymers

A-337

Formulation and Evaluation of Diclofenac Sodium Transdermal Film

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Abstract:

The aim of this study was to improve the transdermal permeation of Diclofenac sodium, a poorly water-soluble drug. The patches were prepared using solvent casting method, and the prepared patches were evaluated for physicochemical properties, *in vitro* drug release, *ex vivo* skin permeation studies and *in vivo* studies. The present research work was performed to optimize a plasticizer for enhanced skin permeation of Diclofenac sodium through a transdermal film. Diclofenac sodium was used as a model drug to optimize a plasticizer for enhancing skin permeation. Ten different HPMC (3%w/v) based transdermal films using three different plasticizer in different concentration (20%w/w, 30%w/w, 40%w/w of polymer composition): Propylene glycol, Dibutyle phthalate, Diethyl phthalate were prepared & evaluated for ex-vivo drug release to optimize a plasticizer to increase skin permeation. The ex-vivo permeation of Diclofenac sodium through hairless rat skin was found to show maximum increase to 77.104% in 24 hours with Dibutyle phthalate (20% w/w of dry polymer) over propylene glycol (PG), Diethyl phthalate.

Keywords: Diclofenac Sodium, Solvent Casting Method, Permeation Enhancer, In-Vitro Permeation Study

A-338

Microneedle Patch: Revolution in Treatment of Obesity and Diabetes

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Abstract:

Researchers of Colombia university medical centre (CUMS) & university of north carolina devised a medicated skin patch that can be used to burn off-pockets of unwanted fat such as 'love handles' and treat metabolic disorders like obesity and diabetes. This patch works by mechanism of converting white fat (energy-storing) into brown fat (energy-burning). This exposes whole body to drugs which can lead to side-effects. The skin patch appears to alleviate these complications by delivering drugs directly to fat tissue. Drugs first coated in nanoparticles (approx. 250nm), then nanoparticles loaded into centimeter square skin patch containing dozens of microneedles. Applied to skin painlessly by piercing needles, gradually release drugs from nanoparticles to underlying tissues. The patch is designed to effectively hold drug and release it in nearby tissue in sustained way instead of spreading in whole body. The new treatment tested in obese mice by loading nanoparticles of Rosiglitazone or CL316243-a beta adrenergic agonist. Each mouse given 2 patches, one with drug loaded nanoparticles and another with empty nanoparticles placed on either side of lower abdomen. Mice treated with either of 2 drugs had 20% reduction in fat on treated side compared to untreated. They also had significantly lower fasting blood glucose level than untreated mice. Oxygen consumption increased by 20% compared to untreated control. Genetic analysis reveals that observed metabolic changes and fat reduction is due to increase in browning fat in treated mice.

Keywords: Microneedle Patch, Nanoparticles, Rosiglitazone, Browning Fat, Obesity and Diabetes.

A-339

Formulation and Evaluation of Itraconazole Co-Crystals and its Tablets

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Abstract:

Co-crystallization is a technique to improve the solubility & bioavailability of poorly water soluble drugs. Itraconazole, an anti-fungal drug, possesses poor water solubility & low bioavailability leading to increased dose and side effects related to drug. Hence the present investigation was undertaken with the aim to enhance the solubility & dissolution profile of the drug. Preliminary trials were carried out with lactic acid, hippuric acid and nicotinamide as co-formers using solvent evaporation technique for formation of co-crystals. Positive results were obtained with Hippuric acid and nicotinamide co-formers. Co-crystals of itraconazole were obtained using co-formers hippuric acid, nicotinamide in ratio 1:1, 1:1.5, 1:2 and 1:3 and were evaluated for shape, solubility, flowability, compressibility & dissolution profile. The results indicated that co-crystals enhanced solubility, flowability, compressibility & % CDR as compared to pure itraconazole. These co-crystals were formulated into tablets ; F1 to F4 (itraconazole-hippuric acid) and F5 to F8 (itraconazole-nicotinamide). Pre-compression studies results showed that direct compression method could be employed for tablet compaction. The tablets were evaluated for their physicochemical properties and *in-vitro* drug release. F4 & F8 showed maximum % drug release of 69.00 & 76.45% respectively in comparison to marketed formulation with 39.65% release in 2 hrs in 0.1N HCl. Hence, co-crystallization is an effective technique in improving the solubility, dissolution profile & physicochemical properties of poorly soluble drugs.

Keywords: Co-crystal, Itraconazole, Solvent Evaporation Method

A-340

Application of Response Surface Methodology in Development of Ellagic acid Loaded Phytocomplex

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Abstract:

The present work was focused with objective to optimize the ellagic acid loaded phytocomplex using complexation method. For optimization process, Box- Behnken design, with a 3³ design and a total of 17 experimental runs, performed in combination with response surface methodology (RSM). were

applied and effect of process variables on ellagic acid loaded phytocomplex were observed. Influence of three independent variables in the preparation of phytocomplex were investigated to get the best formulation. Independent variables covered concentration variable of lipid concentration, drug concentration and rotation time. Dependent variables included like percentage yield (%), drug release (%DR), and entrapment efficiency (%EE) of the prepared phytocomplex. Dialysis method was used to separate the drug from uncomplexation. Phytocomplex were optimized using response surface methodology. Accordingly concentration of drug concentration (100 mg), phospholipid (75 mg) and rotation time 40minutes were optimized and finalized as the best for optimized ellagic acid-loaded phytocomplex. In vitro characterization of the ellagic acid-loaded phytocomplex was done through some parameters including the PY%, DR% and EE %; the resulting values of 82.10%, 78.35%, and 78.36% were found to be standard characterized values respectively. It is concluded that ellagic acid-loaded phytocomplex play significant role to achieve sustained release of drug in wound areas.

Keywords: Bioactives, Phospholipid, Optimization

A-341

Multidrug Co-amorphous System for the Treatment of Hypertension: An Alternative to Combination Drug

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Abstract:

The present work focus on the preparation of multidrug coamorphous system (MCS) of poorly water soluble antihypertensive drug, irbesartan (IRB) using atenolol (AT) as a coformer. Mechanochemical approach has been utilized for the generation of resultant coamorphous system. The study emphasizes that solid-state transformation of drug molecules into new forms is a result of the change in structural patterns, diminishing of dimers and creating new facile hydrogen bonding network based on structural resemblance. The MCS was completely characterized by using array of analytical tools such as DSC, FTIR, PXRD and SSNMR. Physicochemical evaluation of MCS revealed significant improvement in aqueous solubility (42 fold) and dissolution rate (35 fold) as compared to plain IRB vis-a-vis physical mixture. Besides, MCS also shows considerable improvement in stability under aging condition as compared to

pure amorphous form of IRB owing to presence of heteromeric interaction between IRB and AT. Evaluation of MCS revealed better antihypertensive activity in deoxycorticosterone acetate (DOCA) salt induced animal model. Thus, development of MCS is a promising and viable approach to addressing the issue of poor solubility and could be of considerable interest in combination drug therapy for the treatment of hypertension.

Keywords: Co-amorphous; Antihypertensives, Dissolution Rate, Heteromeric Interaction

A-343

Design and Characterization of Aripiprazole B-CD Complex Loaded Buccal Film

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Abstract:

The main aim of the present study was to formulate and characterize a β -cyclodextrin loaded aripiprazole buccal film to bypass the first pass metabolism and avoidance of presystemic elimination within GI tract. Aripiprazole is atypical antipsychotic agent and for the treatment of schizophrenia, bipolar disorder, major depressive disorder (as an adjunct) and irritability associated with autism. Aripiprazole- β cyclodextrin loaded buccal films prepared by solvent evaporation technique with various hydrophilic polymers like hydroxyl Propyl methyl cellulose 100M, HPMC K6M, starch and PEG 4000 & PEG 6000. The formulated buccal films were evaluated for their physicochemical parameters like weight variation, thickness, folding endurance, drug content, moisture content and moisture absorption. *In vitro* drug release was carried out by dialysis method. All these buccal films were >70% of drug released within 1hr and obeyed first order release kinetics. Optimized buccal film were showed dissolution profile same with innovator product.

Keywords: Aripiprazole, Buccal Film, Drug Release

A-344

Development, Characterization and Nasal Delivery of Rosmarinic Acid-loaded Solid Lipid Nanoparticles for the Effective Management of Huntington's Disease

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Abstract:

The objective of the present study was to investigate the potential use of solid lipid nanoparticles (SLNs) as a drug delivery system to enhance the brain-targeting efficiency of rosmarinic acid (RA) following intranasal (i.n.) administration. The RA-loaded SLNs were prepared by the hot homogenization technique, in which glycerol monostearate (GMS) as lipid, tween 80 and soya lecithin were used as surfactant along with hydrogenated soya phosphatidyl choline (HSPC) as a stabilizer, and were characterized for particle size, zeta potential (ZP), *in vitro* study. Nasal delivery of the developed formulation followed by the study of behavioral (locomotor, narrow beam, body weight) and biochemical parameters (glutathione, lipid peroxidation, catalase and nitrite) in wistar rat was carried out. Optimized RA-loaded SLNs using tween 80 (SLNPRT) have the mean size of $(149.2 \pm 3.2 \text{ nm})$, ZP (38.27 mV) entrapment efficiency $(61.9 \pm 2.2\%)$. 3-NP-treated rat significantly increased behavioral alterations, oxidative damage as compared with the control group. SLNPRT treatment significantly improved behavioral abnormalities and attenuated the oxidative stress in 3NP-treated rats. However, the nasal delivery of SLNPRT produced significant therapeutic action as compared to intravenous application. In the organ distribution study, brain drug concentration was found to be 5.69 mg, in pharmacokinetic study C_{max} , t_{max} , $t_{1/2}$, AUC values were found to be 0.284 mg/ml, 1.5 h, 3.17 h and 1.505 mg/ml/h, respectively.

A-345

Development and Characterization of an Anti-Fungal Emulgel Using Different Penetrants for Topical Drug Delivery

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Abstract:

The aim of the present study was to develop ketoconazole emulgel, using various gelling agents such as carbopol with different grades, sodium alginate and HPMC with different concentrations were screened for formulating gel. Various solvents such as glycerine, PG and water were used either alone or in combination, pH was adjusted by triethanolamine, also different penetration enhancers such as

oleic acid, soya lecithin, clove oil and menthol were screened. Different concentration of oil phase and water phase were screened to get an optimized emulsion. 1:1 ration of gel and emulsion was used to formulate final emulgel. The topical emulgel were evaluated for appearance and homogeneity, pH, viscosity, particle size, spreadability, extrudability, percentage yield, drug content, *in-vitro* permeation, *ex-vivo* permeation studies, FT-IR, skin irritation test on animals and stability studies. The optimized formulation FEG2 had particle size of 244.6 nm and zeta potential distribution in range -43.4mV to -59.4mV, viscosity of 4060 cps, percentage yield 97.16%, FT-IR studies showed no interaction among the drug and polymer. The permeation study showed enhanced and extended permeation of the emulgel in comparison to pure drug and the marketed formulation. No skin irritation was observed on the rat skin. The emulgel showed extended and sustained drug release upto 24 hours. Emulgel was stable post the stability test conducted for 90 days at 40°C ±2°C 75%RH ±5%.

Keywords: Ketoconazole, Carbopol, Emulgel, Skin Irritation

A-346

Solubility Enhancement of Lotratadine by Inclusion Complexation Technique

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Abstract:

Loratadine is normally used for the treatment of Allergic rhinitis and chronic urticaria. However, due to low solubility of loratadine, the bioavailability of drug is poor. In the present study it was, therefore, planned to enhance the solubility of loratadine by complexing drug particles with β -cyclodextrin. The study was begun with the drug analysis by characterized its melting point determination, IR spectral studies and DSC thermogram analysis. The partition coefficient of Loratadine was determined and found to be 5.26. Solubility studies were performed in different buffer system and loratadine was found to be practically insoluble in DM water & phosphate buffer pH 6.8, slightly soluble in 0.1 N HCl pH 1.2 and in HCl buffer pH 2.2, practically insoluble in acetate buffer pH 3.4, 4.3, 5.2. It was planned to enhance the solubility of practically insoluble loratadine by inclusion complexation technique with β -cyclodextrin by different methods, viz., physical

mixing (1:1 & 1:2 molar ratio), kneading (1:1 & 1:2 molar ratio) and Co-precipitation (1:1 & 1:2 molar ratio). It was observed from Solubility profile It was found that the loratadine pure drug shows sharp decrease in solubility beyond pH 2.2 were as about 60 % of drug remains solubilize in the case of 1:2 Kneading complex. The drug content was found to be 98.64 % and the drug was found to be uniform throughout the blend. DSC thermogram for kneading complex shows flattening of endotherm which results in conversion of drug to amorphous form and thus results in enhanced solubility.

Keywords: Loratadine, β -cyclodextrin, Inclusion Complexation Technique

A-347

Preparation of Artificial Tears Containing Anti-infective Drug for the Treatment of Dry Eye Syndrome and Ocular Infections

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Abstract:

Dry eye syndrome also known as keratoconjunctivitis sicca (KCS), dry eye syndrome is a disorder of the tear film due to tear deficiency or excessive tear evaporation which causes damage to the ocular surface and is associated with symptoms of discomfort. KCS condition was thought to be merely due to aqueous tear insufficiency. Today, it is understood that KCS is a multifactorial disorder due to inflammation of the ocular surface and lacrimal gland, neurotrophic deficiency and meibomian gland dysfunction. Liposome Enhance antimicrobial efficacy and safety. These dosage forms are compromised in their effectiveness by several limitations including rapid nasolacrimal drainage, poor corneal penetration, nonproductive conjunctival losses and unwanted systemic exposure. Recent study novel drug delivery technology is applied to this formulation, it may help in further increasing the efficacy and reducing the side effects. One of the more recent applications is the concept of employing liposomes as drug carriers in ophthalmology. These preparations provides additional benefits of preventing nasocranial drainage and drug loss due to blinking reflex, sustained drug release and increased drug penetration thus reducing systemic side effects and increasing therapeutic efficacy of drug therapy. Hence it is concluded to prepare ophthalmic formulation of artificial tears containing liposomes loaded with an anti-infective drug for increasing effectiveness

of ocular drug therapy.

Keywords: Dry Eye Syndrome, Ophthalmology, Meibomian Gland, Artificial Tears, Anti-Infective Drug

A-348

Formulation and Evaluation of Melt-in-Mouth Tablets of Flucloxacillin Sodium

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Abstract:

Flucloxacillin sodium is a beta-lactum antibiotics, which falls on the category of penicillinase-resistant penicillins. Nine different formulations of mouth melting tablets were developed by direct compression method using croscopolidone, sodium starch glycolate, and croscarmellose sodium as disintegrants in varying concentration. Aspartame were used as a sweetening agent in order to mask the bitter taste of drug. Preformulation studies were conducted including drug excipients compatibility studies using FTIR spectroscopy. Prepared powder blend were evaluated for various precompression parameters like bulk density, tapped density, angle of repose, Carr's index and Hausner's ratio. The tablets prepared were evaluated for thickness, hardness, weight variation, friability, disintegration test, drug weight, in-vitro dissolution studies, wetting time and test for dispersion. All the tablets disintegrated within the time range of 25-76 seconds. Highest drug release were found to be 98% at 12 minutes for the optimized formulation F6. Almost 95% of drug release were observed from all formulations in a time of 20 minutes. Formulation containing 6% of croscarmellose sodium (F6) was found to give best results. The disintegration time of F6 was found to be 25 seconds. All the formulation showed improved disintegration rate with increase in amount of super disintegrant. The stability studies were conducted for a period of three months for optimum formulations which shown no significant variation for tablet parameters and was found to be stable for specific time period.

Keywords: Flucloxacillin Sodium, Direct Compression, Super Disintegrant, Disintegration Time, Orodispersible Tablet

A-349

Design and Characterization of Ophthalmic Delivery System

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Abstract:

Ophthalmic drug delivery is one of the most interesting and challenging endeavour facing the pharmaceutical scientist. Voriconazole is a triazole antifungal medication used to treat serious fungal infections that are generally seen in immune compromised patients. The aim of the present research work is to formulate Voriconazole nanocochleate in *In situ gel* for sustained ophthalmic drug delivery, in order to enhance the drug corneal retention time and therapeutic efficacy. The nanocochleates were formulated by using trapping method. Formulated nanocochleates were evaluated for drug entrapment efficiency, drug content, particle size distribution and polydispersity index, shape of nanocochleate and it was found that batch C2 of nanocochleate (with calcium chloride concentration of 10 mM and cholesterol: lecithin ratio as 1:1) has maximum entrapment efficiency 99.9%, maximum drug content 99.4%, particle size 557 nm, polydispersity index as 0.5 out of all batches taken. In situ gelling system was selected based on gelling time and diffusion study, batch B2 (having 0.2% carbopol 934 and 0.5% HPMC K4M) was selected has gelling time 10 sec and gel exist up to more than 24 hours with maximum cumulative release 56.12% at the end of 7 hrs. It also maintains its integrity at room temperature and refrigerator temperature. Hence this formulation can be good alternative as it gives compliance of eye drop and sustain release of gel.

Keywords: Voriconazole, Nanocochleate, In-situ Gelling

A-350

Formulation, Optimization and Evaluation of Capecitabine Tablet for Colon Specific Drug Delivery System

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Abstract:

The entire work is focused on the formulation and evaluation of fast disintegrating Capecitabine tablet-an anti

cancer drug used in the treatment of metastatic breast cancer and colorectal cancers. Capecitabine, colon targeted core tablet was prepared by croscamellose sodium as a super disintegrating agent by direct compression method. The coating was done over the core tablet by using pectin in different ratio by compression coating method. By dip coating method the colon targeted coating was done on the compressed tablet by using eudragit S100 and CAP (Cellulose acetate phthalate) in different ratio. In vitro swelling studies were done with different pH (1.2, 6.8, 7.4). The design expert software was used to optimize the best formulation and invitro cumulative percentage of the drug release in different dissolution medium (pH 1.2, 6.8, 7.4) with respect to the time interval 2hr, 7hrs, 9hrs as dependent variable. With respect to all the pre and post formulation carried out the optimized formulation of capecetabine showed satisfactory result and was found to be stable during its stability studies conducted for 30 & 60 days. From the above work it was found that with the help of pectin and eudragit S 100, the optimized formulation of less half life period anticancer drug Capecitabine can be directly and properly targeted to colon area. Overall, the safety and patient compliance was improved as well as the efficacy of the drug; this was achieved by reducing the frequency of drug administration and better control of drug plasma levels.

Keywords: Colorectal Cancer, Eudragit S 100, Pectin

A-351

Modification of Pharmacokinetic Parameters of Antiemetic Model Drug

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Abstract:

The present investigation was undertaken with the objective of formulating mouth dissolving film(s) of the antiemetic drug Model Drug to enhance the convenience and compliance by the elderly and pediatric patients. Model Drug is a drug of choice in case of nausea and vomiting produced by chemotherapy, migraine headaches, food poisoning and viral infections. It causes dopamine (D2 and D3) receptor blockage both at the chemoreceptor trigger zone and at the gastric level. It shows high first pass metabolism which results in poor bioavailability (10-15%). In view of high first pass

metabolism and short plasma half-life it is an ideal candidate for rapid release drug delivery system. The solid dispersions of Model Drug were prepared with the use β -cyclodextrin in various ratios (1:1, 1:2, 1:3) and solubility study was performed to determine the ratio in which solubility of Model Drug was highest (1:3). The selected solid dispersions were then utilized for the preparation of film by solvent casting method utilizing HPMC L 100 as a film forming agent and PEG-400 as plasticizer. Five formulae were prepared and were evaluated for their *in vitro* dissolution characteristics, *in vitro* disintegration time, and their physico-mechanical properties. The promising film (T1) showed the greatest drug dissolution (more than 75% within 15 min), satisfactory *in vitro* disintegration time (45 sec) and physico-mechanical properties that are suitable for mouth dissolving films.

Keywords: Mouth Dissolving Film, Model Drug, β -cyclodextrin

A-352

Optimization and characterization of Chrysin Nanoparticle for Enhanced Dissolution and Antioxidant Activity

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Abstract:

Polyphenols are suitable drug candidates to be studied because of their multifaceted properties such as anti-cancer, anti-diabetic, anti-oxidant, etc. The utilization of polyphenols appears to be limited because of their poor solubility and bioavailability. The aim of this study was to investigate the application of nanoprecipitation as a conceivable means for enhancing the solubility and dissolution of chrysin employing Tween 80 as stabilizer. The effect of various process parameters such as drug concentration, stirring speed, base: acid ratio and flow rate on the particle size and solubility of chrysin nanocrystals were determined. The formulated nanoparticles were evaluated for *in vitro* dissolution studies and anti-inflammatory activity. A minimum particle size of 150 nm was obtained for chrysin nanocrystals at drug concentration 5 mg/mL, stirring speed 4500 rpm, base: acid ratio 0.1:1 and flow rate 10 mL/min. The aqueous solubility of chrysin nanoparticles was enhanced to 1.12 $\mu\text{g/mL}$ as compared to pure chrysin which was found to be 0.04 $\mu\text{g/mL}$. *In vitro* dissolution studies

showed an increase in percentage dissolution from 40% to 95% for pure chrysin and chrysin nanoparticles, respectively. Furthermore, the chrysin nanoparticles exhibited a higher free radical scavenging activity (70%). The % inhibition of rat paw edema observed with chrysin nanoparticles was 43% whereas it was only 28% with pure chrysin. These outcomes highlight the application of nanotechnology for solubility enhancement of chrysin, a polyphenol with colossal therapeutic potential.

Keywords: Acid Base precipitation, Anti-inflammatory, Dissolution Enhancement, Flavone, Nanonisation

A-353

Preparation and Evaluation of Matrix Type Transdermal Patches of Antiemetic Drugs

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Abstract:

The anti-emetic Drug is a dopamine - receptor (D2) antagonist, widely used in the treatment of motion sickness and used as an antiemetic. The bioavailability of drug when administered orally is low due to the first pass metabolism in liver, drug delivery through transdermal drug delivery has the ability to deliver the drug directly to systemic circulation by passing the liver and hence increase in bioavailability of the drug. The main aim of this investigation is to develop and evaluate matrix type transdermal drug delivery systems of drug. The matrix type transdermal patches of Drug were prepared by solvent evaporation technique. The tensile strength and elongation break, in vitro drug release, *in vitro* drug permeation and *Ex Vivo* permeation through rat abdominal skin were studied. The physicochemical interaction between drug and polymer were examined by Fourier Transform Infrared Spectroscopy (FTIR). All the formulations showed satisfactory physicochemical and mechanical characteristics. The optimized formulation F5 (drug: polymer ratio is 1:12.5 and 5% v/w eucalyptus oil) showed maximum cumulative percentage of drug release ($1832.16 \pm 60.14 \mu\text{g}/\text{cm}^2$), permeation ($650.36 \pm 29.6 \mu\text{g}/\text{cm}^2$) in 10 hrs. Flux ($20.462 \mu\text{g}/\text{hr}/\text{cm}^2$) and permeation coefficient of $0.204 \times 10^{-2} \text{cm}/\text{hr}$. Values of tensile strength ($2.66 \pm 0.0026 \text{kg}/\text{mm}^2$) and elongation at break ($16.57 \pm 0.26 \% \text{mm}^2$) revealed that formulation F5 was strong but not brittle. FTIR studies showed no evidence of interaction between the drug and polymers.

Keywords: Drug, Matrix Type Transdermal Patches, Permeation Enhancer In-vitro Release, Ex-Vivo Permeation, Flux

A-354

Formulation and *In vitro* Evaluation of Etoricoxib Solid Dispersion by Using Hydrophilic Polymers

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Abstract:

Oral bioavailability of a drug depends on its solubility or dissolution rate, and dissolution may be the rate-determining step for the onset of therapeutic activity. Therefore, poorly aqueous soluble drugs are usually characterized by low bioavailability due to less absorption, which is a major concern of pharmaceutical industries worldwide. Solid dispersion technique has adopted, as an efficient means of improving the dissolution rate as well as the bioavailability of a wide range of poorly aqueous soluble drugs. Etoricoxib is a non-steroidal anti-inflammatory drug (NSAID) and BCS- II drug used for the treatment of rheumatoid arthritis, osteoarthritis, ankylosing spondylitis because of its potency and less gastric irritation due to high selectivity for cyclooxygenase-2 (cox-2). In the present investigation, an attempt was made to improve the dissolution rate of Etoricoxib through the preparation of Solid Dispersion with hydrophilic polymers (PEG 6000, PEG 4000 and mannitol) are made by Melting method. The prepared dispersions were evaluated for Wettability studies, Solubility studies and *In vitro* Dissolution studies (Distilled water as media). Among all formulations, it was found that F3 (Drug : PEG 6000 in 1:5 ratio) is consider as the best formulation comparison to other formulations and pure etoricoxib. Physicochemical properties of F3 were characterized by FTIR, DSC, XRD Study which concludes there is no interaction between drug and polymer and amorphous form of solid dispersion. From dissolution studies, it was found that all formulations follow 1st order release kinetics.

Keywords: Etoricoxib, Solid Dispersion, Melting Method, Hydrophilic Polymers

A-355

Formulation and Evaluation of Press Coated Tablets of Esomeprazole

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Abstract:

Esomeprazole magnesium trihydrate is a proton pump inhibitor, degrades in acidic environment, hence protection of drug is done by coating the drug with retardant coating polymers. The aim and objective of the present study is to prepare press coated tablets of esomeprazole by using press coating technique. Core tablets were prepared by direct compression and evaluated for their physico-chemical properties. Press coated tablets were formulated by using different combinations and ratios of ethyl cellulose, HPMC E15 and HPMC K4M as a coating layer. Among the various formulations F5 containing ethyl cellulose: HPMC E15 (10:90) and F9 containing ethyl cellulose: HPMC K4M (20:80) were optimized based on their better drug release within 8 hrs. Scanning Electron Microscopy (SEM) photographs of tablets showed that the surface of core tablet is uniformly coated with coat by press coating. Stability studies were conducted and showed that the formulations were stable. Based on the results, esomeprazole press coated tablets developed in this study and delivered the drug in the intestine and protected the drug from degradation in acid media.

Keywords: Retardant Materials, Direct Compression, Press Coating Technique, SEM

A-356

Effect of Different Stabilizing Agents on Formulation and *In vitro* Cytotoxicity of Boswellic Acids Loaded Nanoparticles

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Abstract:

The Present investigation was aimed to optimize the surfactant concentration by preparing a series of Boswellic acids nanoparticle formulations by nanoprecipitation technique with different types and ratios of non-ionic surfactants (Poly vinyl alcohol and Pluronic F-127). Boswellic acids were extracted from gum resin of plant *Boswellia serrata*. Effect of surfactant concentration was studied on size, polydispersity index, zeta

potential, entrapment efficiency and loading capacity of nanoparticles. Transmission Electron microscopy was used to examine the effect of surfactant type on surface morphology of developed nanoparticles. *In vitro* cytotoxicity was evaluated by 3-(4,5-dimethylthiazol-2yl)-2,5-diphenyltetrazolium bromide (MTT) assay against colon cancer cells lines (HCT-116). Smallest particle size and polydispersity index (189.2 nm, 0.27), high entrapment (49.53±0.5) and loading capacity (23.64±0.43) with spherical shape was obtained in Pluronic F-127 nanoparticles in comparison to Poly vinyl alcohol nanoparticles. Pluronic F-127 nanoparticles showed higher cytotoxicity against colon cancer cell lines with IC₅₀ value 14.3 μM having significant cytotoxic effects in comparison with Poly vinyl alcohol (IC₅₀ value 23.27 μM) nanoparticles and *Boswellia serrata* extract (IC₅₀ value 25.81 μM). It is concluded that the choice of stabilizing agent is a critical parameter in formulation of nanoparticles.

Keywords: Boswellic Acids, Nanoprecipitation, Surface Morphology, MTT Assay

A-357

Enhancement of Solubility and Dissolution Rate of Azathioprine in Solid Dispersion System using PEG, PVP, PVA Dual Carrier Mixtures

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Abstract:

In the present investigation, the dissolution rate of poorly soluble drug azathioprine was increased by preparing solid dispersion using PEG 6000/PVA, PEG/PVP and PVP/PVA mixtures at different drug to carrier ratios. Dispersions with PEG 6000, PVP were prepared by using fusion cooling and solvent evaporation method, whereas dispersion containing PVA were prepared by solvent evaporation technique. Physical mixture of SDs containing 1:1 binary mixtures of PEG 6000:PVP, PEG6000:PVA and PVP:PVA were prepared and used for solid state characterization and aqueous solubility studies. Solid state characterizations were done by DSC, X-Ray powder diffraction and FT-IR spectroscopy. Solid state characterizations indicated that AZA was present as an amorphous material and entrapped in polymer matrix. In contrast to the very slow dissolution rate of pure AZA, the dispersion of the drug in the polymers considerably enhanced the dissolution rate. SDs prepared with PVP showed the most improvement in wettability and

dissolution rate of AZA as compared to PEG and PVA. Even physical mixtures of AZA prepared with both polymers also showed better dissolution profiles as compared with that of pure AZA. The improved aqueous solubility and dissolution rate was observed in PEG/PVP and PEG/PVA blend mixtures than the PVA/PVP mixtures. The rate of dissolution of AZA was increased with the proportion of 1:5 when compared to the other ratio formulations.

Keywords: Azathioprine, PEG-PVA, PVA-PVP, PEG-PVP, Solubility, Enhancement, Dissolution

A-358

Formulation and Evaluation of Rifampicin Injection by Mixed Solvency Technique

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Abstract:

Mixed solvency is a new concept of solubilization of the material .for producing new formulation, especially in the form of rifampicin injection it is essential to solubilize active pharmaceutical ingredients (API) in the solvent and that must be stable throughout the self-life. Aqueous solubility of raw materials is important for rifampicin injection formulation, but in some cases, if that drug is not soluble in water, oil can be used for drug solubility. In this present investigation, mixed solvency approach has been applied for the enhancement of aqueous solubility of poorly soluble drugs. Those drugs that poorly soluble in aqueous media are required fractioned oil to enhance its solubility. In most of the cases, to make a raw materials soluble in water, solubilizing agent in high concentration of (co-solvent and surfactant) are use for drug solubility. The present study, we formulated the rifampicin injection without using high concentrations of propylene glycol as co-solvent which is used in conventional formulation. Benzyl alcohol use as co-solvent for rifampicin in aqeous medium and due to this, injection is less painful then propylene glycol based injection. At the end, we studied the stability, toxicity, pyrogenicity and isotonicity of the formulated injection. From the various formulation studied, it was found that benzyl alcohol (6-7% v/v) is good and save solubilizing agent for preparation of injection dosage form of rifampicin. This mixed solvency shall prove definitely a boon for pharmaceutical industries for evaluation of dosage form of poorly water-soluble drugs.

Keywords: Mixed Solvency, Rifampicin Benzyl Alcohol,

Propylene Glycol, Solubility

A-359

Formulation Development of Phospholipids Complex – Loaded Matrix Film (QPLC – MF) for Improved Transdermal Delivery and *In-vivo* Anti-inflammatory Potential of Quercetin

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Abstract:

A novel dual formulation of quercetin- phospholipids complex-loaded matrix film (QPLC – MF) was prepared, optimized and characterized. In which, QPLC was prepared using a solvent evaporation method and then loaded into matrix film, which was prepared using a solvent casting method. The central composite design (CCD) was used to optimize the QPLC. The physico-chemical characterization of QPLC was carried out by SEM, DSC, FTIR, PXRD, and ¹H-NMR. Furthermore, QPLC was also characterized by a aqueous solubility, *in vitro* dissolution and diffusion studies, and *ex vivo* skin permeation studies. The comparative *in vivo* anti-inflammatory activity of QPLC-MF and quercetin-MF film was assessed in a Carrageenan (CGN) – induced paw edema in albino rats. The optimized values for the studied independent variables were ~ (X_1 , 1:1.40), (X_2 , 50°C) and (X_3 , 2h). The SEM, DSC, FTIR, PXRD and ¹H-NMR supported the formation of stable QPLC. The rate and extent of dissolution and aqueous solubility of QPLC enhanced significantly, as compared to pure quercetin. *Ex vivo* skin permeation and *in vitro* diffusion study, both demonstrated that QPLC-MF was enhanced the permeation and diffusion of quercetin, compared to plain quercetin – MF patch. The QPLC –MF patch displayed strong anti-inflammatory activity by offering the significant ($p < 0.01$) inhibition of paw edema up to ~70% against CGN – induced albino arts.

Keywords: Phospholipids, Solubility, Matrix film, *Ex vivo* Skin Permeation, Anti-inflammation

A-360

A Therapeutic Nano Structured Lipid Carrier (NLC) For the Treatment of Lymphatic Filariasis

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Abstract:

Globally, three such drugs have been introduced to combat Filariasis: Diethylcarbamazine, Ivermectin and Albendazole. A single dose of Diethylcarbamazine leads to strong and sustained killing of blood and skin MicroFilariasis. Albendazole inhibits the polymerization of β -tubulin and microtubule formation. Poor water solubility and insufficient bioavailability and specificity are some of the challenges that new drug substances are facing, so to develop a pharmaceutical product that overcomes these shortfalls nano lipid carrier was used as a vector. With the help of Nano Lipid Carriers (NLC) all the drawbacks affiliated to other novel techniques were solved. NLC showed a higher loading capability for compounds by blending a fluid lipid with the solid lipid and showed good drug holding capacity with higher surface area and sustained release even was stable at gastric pH. The selected drugs were then taken for the preformulation studies where the Albendazole and diethyl carbamazepine citrate were very much soluble and compatible, calibration curve showed better absorbance, the lipid solubility was tested with oils. Finally evaluated by checking the performance of nanostructured lipid carrier drugs by calculating the zeta potential, particle size, Polydispersity Index (PI) and differential scanning calorimetry with its *In-vitro* release and kinetics. The cytotoxicity studies on cell lines and Micro culture Tetrazolium assay was carried out. And IC 50 value of the formulation was found to be about 34.74 μ g/ml.

Keywords: Albendazole, Diethylcarbamazine, Nano Lipid carrier (NLC)

A-361

Development of Nanocarrier Based Targeted Drug Delivery System of Tamoxifen Citrate for Breast Cancer

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Abstract:

Breast cancer figures among the foremost reasons of mortality and morbidity globally in women. Tamoxifen citrate is selective estrogen receptor modulator (SERMs) that bind to estrogen receptor (ER) and modulate ER-mediated

gene transcription and widely used in breast cancer due to its anti estrogenic activity. However it features harmful side effects such as development of endometrial cancer and may receive resistance leading to further tumor progression. Drug targeting may results in selective and effective localization of the pharmacologically active moiety minimizes the toxic effects on healthy tissues and maximizing the therapeutic index. The objective of present research work is to synthesize folate attached PLGA for targeted delivery of tamoxifen. Further the synthesized PLGA-PEG-FA copolymer was used for the preparation of tamoxifen loaded nanocarrier which is expected to provide site-specific anti-estrogenic activity of cancerous cells. Cholesterol was used in the formulation as a cementing agent. The prepared nanoparticles were evaluated for particle size distribution, poly dispersity index and encapsulation efficiency 296 nm, 0.501 and 75.76% respectively. *In-vitro* drug release of targeted formulation was found to be 63.77 % in 6 hours. Scanning electron microscopic study reveals that nanoparticles are spherical, non-porous and uniform with smooth surface. Cell cytotoxicity study by MTT assay showed that nanoparticle formulation has cytotoxic activity on MCF-7 cell lines.

Keywords: Nanoparticle, Targeted Drug Delivery System, Tamoxifen, MTT Assay

A-362

Erlotinib Hydrochloride Microemulsion for Enhanced Dissolution Behavior: Optimization and Evaluation

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Abstract:

The objective of this study was to form a complex of ERL-HCl with beta-cyclodextrin (b-CD) and then evaluate the microemulsion as vehicle for oral delivery for the treatment of non-small cell lung cancer. Phase solubility study was used to investigate the interaction of the drug in binary systems (ERL-SBE- β -CD) and observed that solubilization of ERL-HCl was further enhanced by using SBE- β -CD in optimum ratio of 1:1.5. D-optimal mixture experimental design was adopted to optimize the amount of oil (X1), S_{mix} (mixture of surfactant and co-surfactant; X2) and water (X3) in the microemulsion. Then, formulations were evaluated for globule size (in nanometers; Y1), polydispersity index (Y2) and zeta potential (in mV; Y3) of

formulation. The microemulsion containing 10% Corn oil: Oleic acid (1:1), 80% S_{mix} (Tween 80 and Propylene glycol) and 10% water was selected as the optimized batch. The microemulsion was further characterized by pseudo-ternary phase diagram. Transmission electron microscopy showed that globules were spherical in shape with size ranges from 50-100 nm. The *in-vitro* release performance of ERL-HCl in microemulsion was found to be 74% as compare to ERL-HCl suspension with 30% release. Thus, it was concluded that optimized ERL-HCl- SBE- β -CD complex in microemulsion could be a promising formulation having enhanced dissolution performance that could helps in enhancement of oral bioavailability of ERL-HCl.

Keywords: Erlotinib Hydrochloride, D-optimal Mixture Design, Beta-Cyclodextrin, Pseudo-Ternary Phase Diagram

A-363

Formulation and Evaluation of Ascorbic Acid Lozenges for the Treatment of Oral Ulcer

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Abstract:

Inspite of several dosage forms available in the market for effective localized action, the lozenges finds a special importance, as they are the best dosage forms for formulating large dose medicaments. The anatomy of mouth and cheek favors easy absorption of drug, reducing the systemic absorption thus ensuring a better patient compliance especially for pediatrics and geriatrics. Ascorbic acid mechanism of action suites this type of formulation and easily absorbed in oral cavity. Preformulation studies are primarily done to investigate the physicochemical properties of drug and to establish its compatibility with other excipients. Ascorbic acid was mixed with all excipients, used in the formulation in different. The formulated lozenges were evaluated for physical parameters and the results complied with the pharmacopoeial limits .ascorbic acid hard candy lozenges were prepared by heat fusion method using sugar as a base. The usage of corn syrup in the formulation made the lozenges transparent and smooth, which helped in improving the elegancy of formulation. The controlled release of medicament from Lozenges was achieved by using polymers like methyl cellulose, locust bean gum, HPMC, K4M and xanthan gum. The prepared lozenges were subjected to physico-chemical as well as in vitro drug release

study. Among all the formulations of hard candy lozenges FL1 showed good stability.

Keywords: Hard Candy Lozenges, Ascorbic Acid, Lozenges, Methylcellulose, Mouth Ulcers, Xanthan Gum, In-process Testing, Batch Process Testing

A-364

Formulation and Evaluation of Sustained Release Microspheres of Cefixime Trihydrate with Enhanced Antimicrobial Potential

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Abstract:

Development of antibiotic resistance is of enormous concern in medical field. In the present research, microsphere formulation encapsulating cefixime was developed to provide sustained release with reduction in dosing frequency and time course of therapy. Optimized formulation was found to have entrapment efficiency and percent yield of $65.12 \pm 0.43\%$ and $67.73 \pm 1.18\%$, respectively. Partice size of optimized formulation was $173.57 \pm 0.86 \mu\text{m}$ and SEM study showed that surface was smooth. Drug release kinetics demonstrated the sustained release with near zero order release pattern. The *ex vivo* intestinal permeability study using intestinal sac method also demonstrated the enhanced intestinal absorption of cefixime from optimized formulation. Further, *in vivo* pharmacokinetic study in rat demonstrated sustained release behavior of optimized formulation and 2.5 fold increase in bioavailability in comparison to marketed formulation. Antimicrobial activity of optimized microsphere formulation against standard pathogenic strains viz. (*E.coli*, *K.pneumoniae* and *S.typhi*) in comparison to marketed cefixime formulation demonstrated 2-fold decrease in MIC₉₀ value. Also, pharmacokinetic data demonstrates that plasma conc. of cefixime was well above MIC₉₀ value calculated against three bacterial strains. Thus, this approach of formulating sustained release microspheres of cefixime can be beneficial in reduction of antimicrobial resistance and is a promising approach for its effective delivery with maximum patient compliance and therapeutic efficacy.

Keywords: Microspheres, Cefixime Trihydrate, Resistance, In-vivo Sustained Release and Bioavailability

A-365

Formulation, Design & Evaluation of Salicylic Acid Loaded Ethosomal Gels Novel Carrier for Enhanced Transdermal Delivery of Acne Treatment

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Abstract:

The main aim of the present work was to formulate & design salicylic acid (SA) loaded ethosomal gel for the treatment of acne. Topical SA is used in the treatment of mild acne condition by reducing swelling & unplugging blocked skin pores to allow pimples to shrink. But the topical application of acne may results into dry skin & irritation. The ethosomal formulation of SA improved the skin permeation & reduced the skin irritation. Ethosomes of SA was prepared by cold method. The prepared ethosomes were characterized for entrapment efficiency, vesicle size, and pH. The 1% SA ethosomes was incorporated into gel formulation using carbopol 940 as base. The formulation was optimized using design of experiment (DOE). SA ethosomal gel was then evaluated for spreadability & *in vitro* permeation studies. It was found that the increase in lipid concentration increases the entrapment efficiency but it also increases the size of the vesicle. Entrapment efficiency was found to be increase with the increase in the lipid and ethanol concentration. Based on the values and lack of fit test, quadratic model best fits to the design. The interaction and individual effect of the independent factor was also seen with the design. Formulation optimized in the range of individual factors level through graphical and numerical optimization. The optimized formulation has the minimum vesicle size and entrapment efficiency. The % release of the optimized formulation was also found to be 79% in 4 hr. From this study it can be concluded that, ethosomal formulation of salicylic acid could be a better alternative in the treatment of acne.

Keywords: Salicylic Acid, Carbopol 940, Ethosome Gel

A-366

Formulation and Evaluation of Lamivudine Sustained Release Matrix Tablet using Blends of Polyethylene Oxide and HPMC K100M

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Abstract:

Lamivudine is used for treating the human immunodeficiency virus infection and chronic hepatitis-B. It is nucleoside reverse transcriptase inhibitor. Lamivudine is an anti-retroviral drug. It has half life of 5-6 hours. Therefore the main objective of the present work is to develop sustained release matrix tablets of Lamivudine using polymer poly ethylene oxide and HPMC K100M by direct compression method. Compatibility study was carried out by FT-IR and DSC. Drug excipients compatibility studies showed that there was no interaction between drug and excipients used in the formulations. The powder were evaluated for their flow properties and tablet were evaluated for hardness, friability, thickness, % weight variation, % drug content and *in-vitro* dissolution test. The *in-vitro* studies revealed that the formulation F4 can sustained release of the drug for 6 hours release in simulated gastric fluid (pH 1.2). Thus the formulation satisfied physico-chemical parameters and *in-vitro* drug release profile requirements for sustained release drug delivery systems.

Keywords: Sustained Release, Lamivudine, *In-vitro* Drug Release, Poly Ethylene Oxide, HPMC K100M

A-367

Development and Characterization of Surface Engineered Mesosphere for Lung Cancer Targeting

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Abstract:

The present investigation was aimed at developing and exploring the use of mannosylated mesospheres (MMs) for the selective delivery of an anti-cancer drugs i.e doxorubicin hydrochloride (DOX.HCl) to the lung cancer targeting. The mannosylated mesospheres (MMs) were prepared using steric stabilization process and coupled with mannose using the amino group present on the surface of mesospheres as ligand. The mannosylation was confirmed using infrared spectroscopy.

MMs were characterized for shape, particle size, zeta potential, and percentage drug entrapment, *in-vitro* drug release profile etc. The size of mesospheres was found to be in range of $7.2 \pm 0.31 \mu\text{m}$ to $9.8 \pm 0.41 \mu\text{m}$, and maximum % drug entrapment efficiency was found to be $61.1 \pm 0.7 \%$ for DOX.HCl. The results of the *in vitro* release profile demonstrated that MMs release a comparatively higher percentage of drugs. The results of stability study indicate that $4.0 \pm 1 \text{ }^\circ\text{C}$ as the optimum temperature for storage of mesosphere formulations. The *Ex-vivo* study of MMs performed using xenograft models of NCI-H226 tumor bearing cells exhibited a linear relationship between fluorescence and drug concentration with about 60% cellular entry of drug in the concentration range of 100 ng/ml -300 ng/ml and about 50% of cellular entry of free drug in the same concentration. Hemolysis of the RBCs by MMs was found to decrease up to 11.72% as compared with drug loaded mesospheres. For pulmonary transfer of such delivery system provide new aspects in the field of cancer chemotherapy.

Keywords: Lung Cancer, Mesospheres, Spray Drying, Insufflations, Macrophages

A-368

Optimization of Hydrogel Based Microemulsion of Valacyclovir Hydrochloride

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Abstract:

The purpose of this investigation was to develop a Hydrogel Based Microemulsion of Valacyclovir hydrochloride for Topical Drug carrier system for Herpes Simplex, Chicken-Pox and Cold sores with aim to get maximum bioavailability and better patient compliance. On the basis of solubility in various oils, surfactants and co-surfactant, Iso Propyl Myristate, Span 20 and DMSO selected as the components of micro-emulsion system. Pseudo-ternary phase diagrams were constructed to identify the micro-emulsion region and a suitable mixture of surfactant and co-surfactant was identified to formulate the micro-emulsion. Water titration method is used for the construction of phase diagrams. The prepared micro-emulsions were evaluated for drug content, zeta potential, viscosity, Refractive index, globule size, pH, Drug release etc. SEM studies were also carried out of the prepared micro-emulsions. Micro-emulsions have lower viscosity and are difficult to apply on skin so for the ease of application they are tried to be gelled

with 1% w/w Carbopol 934 is used as gelling agent. Optimized micro-emulsion selected on the basis of drug release study and prepared hydrogel based micro-emulsion further evaluated for homogeneity, p^H , grittiness, Drug content and Stability studies.

Keywords: Valacyclovir Hydrochloride, Solubility, Phase Diagram, Zeta potential

A-370

Development and Evaluation of Lamivudine Lipospheres

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Abstract:

Present research work was aimed to develop and characterize lamivudine loaded prolonged release lipospheres. Lipospheres consists of water-dispersible solid microparticles of particle size between 0.2–500 μm . The method involved to formulate lipospheres is melt dispersion method by using Carnuba wax, Bees wax, stearic acid, tween 80, soyalecithin and PEG (Poly ethylene glycol) 4000. The lipospheres of lamivudine was designed using a biocompatible polymer soyalecithin which was proved to be efficient in achieving delayed and targeted drug release. From the dissolution studies F4 formulation was optimized for characterization studies. Prolonged release lipospheres of lamivudine were spherical and free flowing. Preformulation investigations using FT-IR Spectroscopy indicated no any interaction between drug and excipients. The investigated study can be an effective therapeutic approach for the treatment of Hepatitis B with safe formulation.

Keywords: Lipospheres, Lamivudine, Prolonged Release, Hepatitis B

A-371

Dissolution Rate Enhancement of a Poorly Soluble NSAID Drug by Solid Dispersion Method

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Abstract:

Dexibuprofen, an NSAID, is a non-selective inhibitor of COX-1 and COX-2. Has a prominent analgesic & antipyretic role, mainly used to treat mild to moderate pain, rheumatoid arthritis and soft tissue disorder. Dexibuprofen is a BSC Class II drug. Hence to improve dissolution rate and bioavailability, SD of Dexibuprofen by Fusion method, solvent evaporation method, physical mixture method, kneading method were prepared in 1:0.5, 1:1 and 1:1.5 ratios of Dexibuprofen:PVPK30/PEG 6000 and were filled into empty hard gelatin capsules. Accelerated Stability studies and evaluation for Drug content & *In-vitro* dissolution for the optimized SD formulation and characterized by FT-IR & DSC studies revealed no drug-carrier chemical interaction in SD. The drug content was found to be high and uniformly distributed in the formulation in the range of 97.29% to 101.64%. The dissolution rate of Dexibuprofen SD increased with increase in the ratio of carrier. The prepared SD showed marked increase in the dissolution rate of Dexibuprofen than the pure drug. The Solid dispersion with PEG 6000 (1:1.5) by Fusion method showed maximum drug release of 97.56% as compared to other SDs. It is concluded that the dissolution rate of Dexibuprofen can be improved by the SD method.

Keywords: NSAIDS, Dexibuprofen, Solid Dispersion, Fusion Method, Dissolution Rate, PEG 6000, PVP K 30, FTIR, DSC

A-372

Formulation and Evaluation of Mucoadhesive Buccal Tablets Containing an Anti-Diabetic Drug

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Abstract:

The aim of the work was to develop a tablet the buccal delivery of a poorly water soluble anti-diabetic drug (Repaglinide). An attempt was made to reduce the dose, frequency of administration, dose dependent side effects and also to enhance bioavailability. Buccal tablets containing the drug were prepared by direct compression method using different concentration of bioadhesive polymers like HPMC K4M, HPMC K15M, HPMC K100M and Carbopol 934P along with ethyl cellulose as a backing layer. The prepared mucoadhesive buccal tablets were evaluated for thickness, hardness, weight variation, content uniformity, swelling index, surface pH, *ex-vivo* bioadhesion strength, *in vitro* drug release, *ex-vivo* drug

permeation and FTIR studies. The results were found to be satisfactory in terms of physico-chemical parameters. Among all the formulations, F2 showed maximum *in-vitro* release. Release kinetics study of best formulation F2 showed that release exponent 'n' was >1 indicating super case II transport mechanism with zero order kinetics. The stability of prepared mucoadhesive buccal tablets was determined was found to be stable.

Keywords: Repaglinide, Mucoadhesive Buccal Tablets, HPMC K4M, HPMC K15M, HPMC K100M, Carbopol 934P, Direct Compression and Evaluation

A-373

Formulation and Evaluation of Floating Gastro Retentive Glipizide Tablets

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Abstract:

Glipizide, a BCS class II drug commonly prescribed for the type II diabetes, as an oral hypoglycaemic agent. But its insolubility in water leads to low oral bioavailability due to limiting dissolution rate. Therefore, the solubility of glipizide was increased by solid dispersion method followed by formulation of floating tablets using 3² full factorial designs. Solid dispersion of PEG 4000 and 6000 with glipizide at different ratio was prepared by fusion method. The floating tablets were prepared by direct compression method, using HPMC K4M, HPMC K15M and sodium bicarbonate was used to maintain buoyancy. The floating tablets were evaluated for various physiochemical properties and *in vitro* drug release studies. The saturated solubility of pure glipizide was 7.9µg/ml which was enhanced to 204.3µg/ml, after preparation of solid dispersion, in 1:6 ratios with PEG 6000. The glipizide-PEG complex was confirmed by FT-IR spectroscopy and DSC thermo gram. All the formulations showed floating lag time 73-145 seconds, floating duration more than 24 hours and drug content was found in the range of 95.41 to 99.02 %. Batch number F7 showed 61.48 % of *in vitro* drug release in 8 hours hence, batch F7 was compared with marketed Glynase XL and showed 51.58% similarity factor. *In vitro* release kinetics of batch F7 followed the zero order release and super class II transport diffusion.

Keywords: Glipizide, Solid Dispersion, Factorial Design, Floating Tablets, Buoyancy, Floating Time

A-374

Development and Evaluation of Mucoadhesive Buccal Tablets of Sumatriptan Succinate

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Abstract:

Mucoadhesive buccal tablets of sumatriptan succinate were prepared with an objective of enhanced bioavailability using chitosan in combination with HPMC K4M, sodium alginate, gum acacia and xanthan gum by direct compression method. The preformulation study using FTIR spectroscopy revealed the compatibility of drug and polymer. The tablets were evaluated for all physical parameters & results were in acceptable range of pharmacopoeial specification. The tablets were studied for surface pH, swelling index, *in vitro* drug release, *ex vivo* residence time, *ex vivo* mucoadhesion, *ex vivo* permeation. The surface pH of the tablet was in the range of salivary pH & *ex vivo* residence time indicated good adhesive capacity of tablet. The buccal tablet showed good swelling up to 7 h maintaining the integrity of polymers. The *in vitro* release of sumatriptan succinate was prolonged up to 8 h. The *in vitro* release obeyed zero order kinetic with mechanism of release was erosion followed by non fickian diffusion. All the tablets showed good mucoadhesive strength of 4.86 to 11.88 g and the *ex vivo* permeation revealed that chitosan enhanced the flux and permeability coefficient of sumatriptan succinate. Hence chitosan and other hydrophilic polymers can be used to prepare mucoadhesive buccal tablets of sumatriptan succinate having prolonged therapeutic effect with enhanced bioavailability.

Keywords: Sumatriptan Succinate, Chitosan, *In-vitro* Drug Release, *Ex-vivo* Permeation

A-375

Optimization of Ofloxacin Floating Tablets through Application of Swellable Polymer

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Abstract:

The purpose of the study was to develop floating tablet of ofloxacin in order to achieve an extended retention in upper part of GIT for desired time period. It delivers the drug either in stomach or in intestine. The drug delivery was obtained by retention of dosage form in stomach and the drug is released in controlled manner to the specific site either in stomach, duodenum or in intestine. Formulation of Non-Effervescent Floating Ofloxacin Tablets was done by using direct compression and granulation method. Frequent dosing of these drugs is required to achieve suitable therapeutic activity. The objective was to develop floating tablet in order to achieve an extended retention in upper part of GIT for desired time period. To increase and maintain the bioavailability of ofloxacin. Optimization helped to predict the best possible formulation. The optimized formulation GTH4 has 40% HPMC K100M and Crospovidone 2.5%, the GTP5 having 45% Polyox and Crospovidone 2.5% and the GTX4 having 40% Xanthan gum and Crospovidone 2.5%. Out of HPMC K100M, Xanthan gum and Polyox, the tablets containing Polyox showed the best performance. The formulation would improve oral therapy of Ofloxacin.

Keywords: Gastroretentive, Mucoadhesion, HPMC, Ofloxacin, Multiparticulate

A-376

Formulation and In-Vitro Evaluation of Sustained Release Beads of Cefixime Trihydrate

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Abstract:

In the present investigation beads of Cefixime trihydrate using Sodium alginate and Pectin have been developed and evaluated for sustained release of the drug using Ionotropic gelation method. The intent behind the research was to scrutinize the consequences of various polymers used and their ratio with respect to drug on the percentage yield, entrapment efficiency and drug release. The formulated beads were assessed for entrapment efficiency, percentage yield and particle size, and it was established that beads with drug to Sodium alginate ratio of 1:1.5 had maximum entrapment efficiency of 80.18 %, beads size range of 1150-1300 micrometers with highest

percentage yield of 90 % of all of the six batches produced. The FTIR interpretation of Cefixime trihydrate with Sodium alginate and Pectin revealed compatibility. SEM confirmed the surface morphology to be of optimum standard, regular shape of beads and size. The stability study as per ICH guidelines was performed on optimized formulation with best release in SGF and SIF exhibited to show no chemical and physical changes during storage. Hence, it can be accomplished that sustained release beads of Cefixime trihydrate can elicit better pharmacological response by sustained release of drug and avoidance of dose dumping or burst release as suspected with sustained marketed tablets.

Keywords: Beads, Ionotropic Gelation, SGF, SIF, Stability Study, Sustained Release

A-377

Enhancement of Dissolution and Antiepileptic Activity of Divalproex Sodium by Solid Dispersion in β -Cyclodextrin

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Abstract:

Divalproex sodium considered as the most important antiepileptic drug and widely used for treatment of epilepsy, bi-polar disorders and prophylaxis of migraine. The major problem with this drug is its poor solubility in biological fluids, which results into poor bioavailability after oral administration. In the present study, the solid dispersion of divalproex sodium were developed with β -cyclodextrin with a view to improve dissolution rate and antiepileptic activity. In this study solid dispersion of various compositions were prepared in various methods like physical mixture, solvent evaporation method and kneading method in the ratio of 1:1 and 1:2. The formed dispersion were characterized by %yield, drug content, solubility studies, FTIR, Differential Scanning calorimetry (DSC). Dissolution studies performed with pure drug and different ratio of by the formulation USP XXII type 2 (Paddle type) dissolution apparatus. The antiepileptic activity of the formulation was under studied by maximum electric shock seizure method. The study clearly shows that the dissolution rate of divalproex sodium may be enhanced to a great extent by solid dispersion technique using kneading method ratio of 1:2 compared to the

standard formulation. The antiepileptic activity was enhanced significantly with compare to other formulation and pure drug. This is due to the reason that the β -cyclodextrin increase the aqueous solubility of poorly soluble drug.

Keywords: Divalproex Sodium, Solid Dispersion, Kneading Method, Solvent Evaporation Method, Electric Shock Seizure Method

A-378

Carbon Nanotubes (CNTs): A Novel Target Specific Delivery System for treatment of

Brain Tumour

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Abstract:

Brain is the most delicate organ of human body and Brain tumours are one of the most formidable disease of mankind. They have only fair to poor prognosis and high relapse rate. In its treatment, one of the major causes of extreme difficulty is the presence of blood brain barrier (BBB). The nanopreparations loaded with anticancer drugs considered as potential nanomedicines, as they have ability to cross the BBB by modulating BBB transporters like P-gp/glucose transporters. Modern era of brain cancer therapy is characterized by novel target specific drugs with efficient delivery strategies. Discovery of CNTs brings revolution in the field of targeted drug delivery system which can overcome the BBB and effectively target the tumour inside the brain parenchyma. CNTs are considered potential biomedical materials because of their flexible structure and propensity for chemical functionalization. This novel carrier can effectively administer the drug to brain for achieving safe and effective therapeutic regimen with improved efficacy, reduced toxicity, and enhanced biodistribution leading to improved patient compliance. The unique properties of CNTs such as ease of cellular uptake, high drug loading, thermal ablation renders them useful for treatment of brain tumour. Through appropriate functionalization CNTs have been used as nanocarriers for many anticancer drugs and in combinations of light energy, they have also been applied as mediators for photothermal therapy to directly destroy Brain cancer cells without severely damaging normal tissue. In this review article we have elucidate CNTs as a potent drug delivery system for treatment of Brain tumour.

Keywords: Brain Tumour, Blood Brain Barrier (BBB), Carbon Nanotubes (Cnts), Drug Delivery System, Functionalization

A-379

Preparation and Characterization of Polyelectrolyte Complexes of *Hibiscus esculentus* (Okra) Gum and Chitosan

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Abstract:

Polyelectrolyte complexes (PECs) of Okra Gum (OKG) extracted from fruits of *Hibiscus esculentus* (Malvaceae) and Chitosan (CH) were prepared using ionic gelation technique. The PECs were insoluble and maximum yield was obtained at weight ratio of 7:3. The supernatant obtained after extracting PECs was clear representing complete conversion of polysaccharides into PECs. Complexation was also evaluated by measuring the viscosity of supernatant after precipitation of PECs. The dried PECs were characterized using FTIR, DSC, zeta potential, water uptake and SEM studies. Thermal analysis of PECs prepared at all ratios (10:90, 20:80, 30:70, 40:60, 50:50, 60:40, 70:30, 80:20 and 90:10; OKG: CH) depicted an endothermic peak at approximately 240 °C representing cleavage of electrostatic bond between OKG and CH. The optimized ratio (7:3) exhibited a zeta potential of -0.434 mV and displayed a porous structure in SEM analysis. These OKG-CH PECs can be further employed as promising carrier for drug delivery.

A-380

Comparison of Release Profile of Co-Amorphous Form and Physical Mixture of Amlodipine and Atorvastatin in Tablet Dosage Form

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Abstract:

Amlodipine besilate (AML) and Atorvastatin calcium (ATR) are; one of the leading drugs combinations throughout worldwide for co-existence therapy for hypertension and hyperlipidemia. However, both the drugs belong to biopharmaceutical classification system (BCS) class II (i.e. low solubility and high permeability) which leads to variable

bioavailability. Hence by utilizing co-amorphous technique, Co-amorphous system of AML-ATR is produced by using rotary flash evaporator. This prepared co-amorphous system was compared with physical mixture of AML-ATR and are used in the preparation of formulations. Total twelve formulations are formulated by keeping constant drugs concentrations (5mg of AML + 10mg of ATR) utilizing direct compression technique. Pre-formulation and pre-compression parameters are performed and all the parameters are within the limits. In-vitro drug release is performed at pH 6.8 phosphate buffer at 37 °C for 30 minutes. Among twelve formulations F5, F6, F11, and F12 showed drug release as per IP. Based on the % cumulative drug release report; F5 and F6 are considered as optimized formulations. And stability study is performed on the optimized formulations as per ICH guidelines.

Keywords: Co-Amorphous System of AML-ATR, Rotary Flash Evaporator, Direct Compression

A-381

Development and Characterization of Erlotinib Loaded Chitosan Nanoparticles

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Abstract:

In the current research, freeze-dried chitosan nanoparticles of erlotinib was formulated. The objective behind the research was to examine the consequence of formulation and process parameters on the entrapment efficiency, loading capacity, percentage yield and particle size of erlotinib loaded chitosan nanoparticles. In the experimental work, three factors were evaluated i.e. surface stabilizers (PEG 600 and Tween 80), amount of surface stabilizers (50, 75, 100 and 150 mg) and sonication time (6, 8, 10 and 15 min), It was found that batch NP-11 (formulated using PEG 600 having amount of 50 mg at sonication time ~ 15 min) has a maximum entrapment efficiency 43.60±0.17% (w/w), loading capacity 16.07±0.14 (w/w) and smallest particle size of 59.80 nm and batch NP-13 (formulated using PEG 600 having amount of 150 mg at sonication time ~ 20 min) has maximum percentage yield 40.12% (w/w) out of all the thirteen formulations (NP-1 to NP-13). The DSC analysis of NP-11 suggested that the entrapment of the nanoparticles and freeze-drying generate a noticeable crystallinity of erlotinib and confers a nearly amorphous state to this drug. Infrared analysis of NP-11 showed no interaction between drug and polymer

during the formulation process. Therefore, nanoparticles of erlotinib have been successfully formulated as it was observed that there was an effect of surface stabilizers, amount of surface stabilizer and sonication time on the entrapment efficiency, loading capacity, percentage yield and particle size. Thus, it can be concluded that this study can be beneficial for the formulation of nanoparticles of erlotinib by freeze drying and sonication.

Keywords: Erlotinib, Chitosan, Ionic Gelation, Surface Stabilizers, Probe Sonicator, Centrifuge

A-382

Formulation and Evaluation of an In-Situ Gel for the Treatment of Periodontitis

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Abstract:

Periodontitis is an inflammation around the tooth that damages the soft tissue and bones around the tooth. In the current research a tamarind seed polysaccharide (TSP) based in-situ gel loaded with curcumin was prepared for the treatment of periodontitis. The objective was to examine mucoadhesive properties of TSP gel and formulate curcumin loaded in-situ gel using TSP for extended release with reference to marketed metronidazole in-situ gel. TSP gel was prepared and evaluated for mucoadhesive strength, force of adhesion, adhesiveness, viscosity, gelling time, gel strength. To match with that of marketed preparation, additional polymer Carbopol-934 was incorporated. In-situ gel containing TSP and Carbopol-934 were studied. Batch A8 containing 5%w/v TSP, 2%w/v Carbopol-934 and 1 %w/v curcumin had maximum drug release of 81.09% at 8 hrs, drug content 98.62%, gel strength 56.0 sec, gel time 3 sec, viscosity 1242 cps, adhesiveness 3.9mJ, force of adhesion 0.11kg and mucoadhesive strength 1.20 g. The antimicrobial studies when performed on nutrient agar medium using E. coli and Bacillus indicated that TSP and curcumin both have antimicrobial properties. Thus the prepared in-situ gel showed excellent antimicrobial properties. Mucoadhesive strength when performed using goat intestinal mucosa was 1.20g. Thus TSP has potential for use in in-situ gelling systems. The prepared formulation is expected to improve patient compliance and increase efficacy of drugs for the treatment of periodontitis.

Keywords: TSP, Periodontitis, Curcumin, Mucoadhesive, In-situ Gel

A-383

Formulation and Development of Effervescent Floating Bioadhesive Tablet for the Treatment of Halitosis

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Abstract:

Halitosis is known as bad breath and its symptoms in which noticeably unpleasant odour is present on the breath. Thus in this study attempt has been done to design of tablets by using various methods such as effervescent, floating, bio-adhesive method. Tablets were prepared by using combination of various polymers like carbopl, HPMC, sodium bi- carbonate, citric acid. The evaluation of tablets carried out by hardness, friability and in-vitro dissolution study. The estimation of different processing parameters such as dissolution module, collection of flavor aroma and its gas chromatography analysis has been done. The dissolution study used to study the flavor release from tablets. Effervescent floating bioadhesive tablets were prepared by direct compression method. Finally it has been concluded that the availability of flavour (Peppermint oil) from design dosage form proved by technical evaluation of the tablets. This has been indicated that the tablet can float and adhere to mucus membrane up to 8 hrs. Due to that the continuous release of the gas along with flavour would be possible to manipulate the bad odour so occurred especially from the mouth and nasal ways. So it can be also concluded so that the present investigation will be road map for successful treatment of Halitosis. It can be mask bad odour by all reasons specially will having more cosmetics and patients acceptance for alcoholic odour, a point of modern and corporate life style.

Keywords: Halitosis, Effervescent, Floating, Bioadhesive, Flavours

A-384

Effect of Different Waxy Materials on the Release of Aspirin from Polyethylene Glycol based Suppositories

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Abstract:

Study the effects of various waxy materials of Glycerol Mono stearate(GMS), Steric Acid(SA) and cetostearyl alcohol(CA) on the release rate of Aspirin from polyethylene Glycol(PEG)-based suppositories. Aspirin suppositories of PEG base were preparing using GMS, SA and CA separately in different formulations by fusion method. Dissolution studies showed a sustained release of the drug during 180 minutes from the PEG-based suppositories of Aspirin containing GMS and 29.29% of the drug was released within this period. But the incorporation of other waxy additives CA and SA in the formulation reduces the release of the drug. The SA containing PEG-based suppositories liberated about 18.42% of the drug within 180 minutes whereas 22.90% of drug was liberated from CA containing PEG-based suppositories, respectively within the same time. The drug release reducing capabilities of the waxy additives were found to be in the following order SA>CA>GMS. Utilizing this capability of the additives, sustained release suppositories of ibuprofen could be formulated.

Keywords: Aspirin, Suppositories, Glycerol Mono Stearate, Steric Acid, Cetostearyl Alcohol

A-385

New Relief to Type 1 Diabetic Patients: Enterovirus (Coxsackievirus B1) Vaccine

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Abstract:

Type 1 diabetes mellitus (T1DM) is a metabolic disease caused by autoimmune destruction of beta cells in the pancreas leading to insufficient production of insulin. Researchers in Finland have been investigating the connection between enterovirus and type 1 diabetes and they have targeted the particular virus group that can trigger the disease and also viruses frequency increased in blood and pancreas of diabetic. Enteroviruses could play a strong role in the onset of type 1 diabetes. Enteroviruses can act as "a critical trigger to push an already dysfunctional metabolic equilibrium over the brink". It has been proven from evidence linking a type of virus called

coxsackievirus B1 (CVB1) which has an autoimmune reaction that causes the body to destroy cells in its own pancreas. However, vaccine provides immunity against a certain virus that has been found to trigger the defenses of the body into attacking itself. This can potentially reduce the number of new diabetes cases every year. Preclinical study on efficacy and safety on enterovirus vaccine is done in mouse model. Vaccinated mice produced high titers of CVB1-neutralising antibodies without signs of vaccine-related side effects. Vaccinated mice challenged with CVB1 had significantly reduced levels of replicating virus in their blood and the pancreas. Non-obese diabetic (NOD) mice demonstrated an accelerated onset of diabetes upon CVB1 infection whereas no accelerated disease manifestation or increased production of insulin auto antibodies was observed in vaccinated mice.

Keywords: Vaccines, Type 1 Diabetes, Insulin, Coxsackievirus B1

A-386

Formulation and *In-vitro* Evaluation of Floating Matrix Tablet of Repaglinide using Blend of Assam Bora Rice Starch and HPMC K100 as Release Retarding Polymer

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Abstract:

In this study, floating matrix tablet of Repaglinide was prepared by direct compression using Assam bora rice starch and HPMC K100M as release retarding polymer. The drug-excipients compatibility testing was done using FT-IR and DSC study. The prepared tablets were evaluated for floating lag time (FLT), floating time (FT), drug content, hardness test, weight variations and *in-vitro* drug release using USP type II dissolution test apparatus in simulated gastric fluid pH 1.2. The data of *in-vitro* dissolution study were also fitted into various release kinetics model equations to understand the kinetics of drug release from the matrix tablet. The results of various physicochemical parameters were found within the limit of official standard and the release of drug from the matrix tablets were found to be in the range of 64.2% to 87.7% after 12 hours of study. F5 formulations showed better controlled release of drug in comparison with other formulations which consists highest percentage of bora rice starch and followed

kinetics modelling. The FT-IR and DSC study results confirmed the absence of instability of the drug with excipients used in the formulation. Hence, the developed floating matrix tablet is expected to increase the *in-vivo* bioavailability of the drug and Assam bora rice starch may be used as a novel excipients in controlled release formulations.

Keywords: Floating Lag Time (FLT), Floating Time (FT), *In-vitro* Dissolution, *In-vivo* Bioavailability, Assam Bora Rice Starch

A-387

Comparison of Natural and Synthetic Polymers in the Preparation and Characterization of Gastro Retentive Floating Microspheres of Famotidine

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Abstract:

Oral controlled drug delivery provides drug delivery at a predictable rate. The present study aims at preparing gastric floating microspheres using various natural and synthetic polymers like xanthan gum, gum ghatti and HPMC K100M. The microspheres were prepared by ionotropic gelatin method. Sodium alginate and calcium chloride are used as cross-linking agents to form microspheres. The prepared microspheres were evaluated for particle size distribution, buoyancy, entrapment efficiency, percentage yield. *In vitro* drug release kinetics was evaluated. The microspheres were characterized by Fourier Transform Infrared spectroscopy (FTIR), Differential Scanning Calorimetry (DSC), Scanning Electron Microscopy (SEM) and X-Ray Diffraction (XRD) studies. Microspheres prepared using gum ghatti in drug polymer ratio of 1:1 showed gastric retention for a period of 12 hours and drug release was sustained.

Keywords: Famotidine, Effervesence, Gastric Retention, Floating Microspheres

A-388

Design and Optimization Pioglitazone HCl Liquisolid Compacts and Solid Dispersion Tablets

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Abstract:

The absorption rate of poorly water-soluble drug, from the orally administered solid dosage form is controlled by its dissolution rate in the fluid present at the absorption site. The dissolution rate of poorly soluble, highly permeable (BCS-II) drugs, such as Pioglitazone HCl, can be improved by the application of the solid dispersions (SD) and liquisolid (LD) technique. In this study, the different formulations of liquisolid compacts using different co-solvents (non-volatile solvents like propylene glycol (PG) and PEG400) and solid dispersion with PEG4000/ PEG6000 were prepared and the effect of several amounts of them on the dissolution behaviour of Pioglitazone HCl was investigated. Liquisolid compacts of Pioglitazone HCl were prepared by using Avicel PH 101, Aerosil 200 and SSG as carrier material, coating material and disintegrant, respectively. Liquisolid compacts and solid dispersion tablets were prepared and evaluated for characteristics like hardness, disintegration time and dissolution rates. To evaluate any interaction between Pioglitazone HCl and the other components in liquisolid formulations and solid dispersions, FTIR, XRPD and DSC analysis were used. The results showed that the liquisolid formulations exhibited significantly higher drug dissolution rates in comparison with directly compressed and solid dispersion tablets. The enhanced rate of Pioglitazone HCl dissolution derived from liquisolid tablets was probably due to an increase in wetting properties and surface area of drug particles available for dissolution.

Keywords: Pioglitazone HCl, Liquisolid Compacts, Solid Dispersions, PG, PEG400, PEG4000, PEG6000

A-389

Formulation and Evaluation of Bilayer Floating Tablets of Glibenclamide and Metformin Hydrochloride for the Treatment of Diabetes

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Abstract:

The objective of present study was to design the bilayer floating tablet containing glibenclamide as immediate release layer and Metformin hydrochloride as sustain release floating

layer. Sustain layer of Metformin hydrochloride was prepared by employing different concentration of gel forming agent of polymer (HPMC K4M), effervescent sodium bicarbonate, Citric acid, Lactose, Talc, Magnesium stearate, PV K₃₀ by wet granulation method. Immediate release layer was prepared by direct compression method using Lactose, MCC, Magnesium stearate, Aerosil, starch. The prepared different layer was characterized by different Pre-compression parameters like angle of repose, bulk density, tapped density, compressibility index. The prepared Bilayer tablets were evaluated by physical and chemical parameters such as Weight variation, Hardness, Friability are found within the limits. *In-vitro* drug release studies were performed by using 0.1N HCl used as dissolution medium showing immediate release of Glibenclamide within the time interval of 30 min and sustained release of metformin HCl tablet over a period of 12 hours, while the floating lag time was 2 min and the tablet remained floatable throughout all studies. Thus, it was concluded that this study can be beneficial for the formulation of bilayer tablets of Glibenclamide and metformin hydrochloride for the treatment of Diabetes.

Keywords: Bilayer Tablet, Metformin Hydrochloride, Glibenclamide

A-391

Formulation and Evaluation of Solid Self Emulsifying Drug Delivery System of Quercetin for Enhancing Oral Bioavailability

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Abstract:

Quercetin is a polyphenolic flavonoid, which is the safe, most abundant and commonly ingested dietary phytochemical which possess a wide spectrum of pharmacological action mainly antiviral, antidiabetic, anti-inflammatory, neuroprotection and anti-proliferative. However, clinical applications of quercetin are limited due to its hydrophobicity and poor gastrointestinal absorption. Self-emulsifying drug delivery system (SEDDS) is a class of emulsion that have received particular attention as a means of enhancing oral bioavailability of poorly absorbed drug. SEDDS is an isotropic mixture of oils and surfactants sometimes including co-surfactants that emulsify under conditions of gentle agitation, similar to those which would be encountered in the GI tract. In the present study Quercetin was formulated into self-emulsifying drug delivery system

by thorough screening using various oils surfactants and co-surfactants. The formulations were subjected to various studies like drug content analysis, droplet size and zeta potential determination and drug diffusion studies. The optimized formulation was further formulated into solid dosage form and evaluated in-vitro. Results indicated substantial enhancement in dissolution of the drug when formulated as solid self-emulsifying drug delivery system.

Keywords: Quercetin, Self Emulsifying, SEDDS, Oral Bioavailability

A-392

Formulation of Diltiazem Tablets using Chitosan and Sodium Alginate as Interpolymer Complex: An Extended Release Approach

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Abstract:

Interpolymer complexes has attracted considerable interest of pharmaceutical researchers because of their unique characteristics due to a specific interaction between constituent polymer such as hydrogen bonds, electrostatic interaction, coulomb forces, van der Waal force or hydrophobic interaction etc. In the present study interpolymer complex between chitosan (polycation) and sodium alginate (polyanion) was prepared and utilized as a matrix for the preparation of extended release tablet. The drug Diltiazem hydrochloride is an orally acting calcium channel bloker and is used in the treatment of angina pectoris, hypertension and arrhythmia. It is administered 3-4 times daily in the form of conventional tablets. Hence in order to minimize the frequency of administration the extended release tablet of diltiazem hydrochloride was prepared using chitosan-alginate complex. The tablet formed from interpolymer complex between chitosen- sodium alginate were evaluated for in vitro drug release ruling out the dose dumping and other failures of dosage form. The release profile of drug from interpolymer complex matrix tablet for 1:1 and 2:1 ratio showed >30 % release during 1h in simulated gastric fluid, but the interpolymer complex matrix tablets made up of drug : interpolymer complex ratio of 1:2 showed ~ 15 % release in simulated gastric fluid of pH 1.2 and ~ 86% release in pH 6.8 upto 8 h. Thus interpolymer complex matrix tablets of 1:2 ratio satisfied the selection criteria and finalized for making the extended release matrix tablets of diltiazem hydrochloride.

Keywords: Diltiazem, Chitosan, Sodium Alginate, Interpolymer Complexes, Extended Drug Release

A-393

Optimization of the Lipid Polymer Hybrid Nanoparticle of Gatifloxacin for Topical Drug Delivery

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Abstract:

Lipid polymer hybrid nanoparticles are the most promising drug delivery system for topical drug and targeted drug delivery system of gatifloxacin by emulsification solvent evaporation method. Polylactic acid is best suitable polymer with this delivery system having good mechanical strength, biocompatible, biodegradable and non-toxic. Softwares are used to create design of experiment comparing the result after generating surface plot. The composition of lipid hybrid nanoparticle, surface morphology, zeta potential, particle size, were characterized by FTIR, SEM, TEM and AFM. The prepared lipid polymer hybrid nanoparticle of gatifloxacin exhibited an average particle size from 178.6 ± 3.7 nm to 220 ± 2.3 nm and the polydispersity index ranges between 0.206 ± 0.36 to 0.383 ± 0.66 . Zeta potential confirm surface charge of nanoparticles, having value from $+23.4 \pm 1.5$ mV to $+41.5 \pm 3.4$ mV. Lipid polymer hybrid nanoparticle of gatifloxacin showed potential activity against staphylococcus aureus and pseudomonas aeruginosa. % cumulative drug release of 86.72% in 24 h. Suitable condition for the storage of lipid polymer hybrid nanoparticle was at 4 ± 2 °C / 60 ± 5 % RH by stability study of the optimized formulation. This lipid polymer hybrid nanoparticle of gatifloxacin having high penetrability and high potential for usage as a topical antibiotic.

Keyword Lipid Polymer Hybrid Nanoparticle, Gatifloxacin, Polylactic Acid, Stability

A-394

Development of Itraconazole Buccoadhesive Tablets for Sustained Release

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Abstract:

The aim of present research was to report the buccoadhesive tablet of itraconazole to provide localized delivery of drug for the treatment of oral thrush and maintain the drug concentration in the mouth for prolonged period of time thereby improving the oral bioavailability of drug. Buccoadhesive tablet is a sustained release of itraconazole for easy permeation across buccal mucosa & provide a local delivery concentration that may or may not be sufficient to maintain MIC to kill the microorganism. Solid dispersion of itraconazole was prepared by solvent evaporation technique using silica gel act as adsorbent & drug was soluble in chloroform to obtain a slurry or uniform mixture. The buccoadhesive tablet was prepared by direct compression method using different polymers such as Carbopol(C934P), HPMC K4M, Eudragit E100. Five formulations of different concentrations were prepared. Itraconazole strength were kept constant at 30mg & target was fixed at 120mg. After examine the moisture content, bulk density, tapped density, Angle of repose of powder blend get the result were found to be prescribed limit & indicated good flow property. Then the tablets were evaluated for hardness, thickness, weight variation, drug content, friability, swelling index, In-vitro drug release.

Keywords: Solid Dispersion (Itraconazole), EudragitE10, Buccoadhesive Tablet, Localised Delivery

A-395

Development and Evaluation of Risperidone Immediate Release Tablets

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Abstract:

The main aim of this investigation was to develop Risperidone immediate release tablet. Risperidone, which is an antipsychotic drug also act as a D2 & 5HT2A receptor antagonist. Superdisintegrants agents like kollidon & citric acid were used and direct compression method is used to compress it into tablet. Schizophrenia bipolar disorder is mainly treated by risperidone. The six formulations which has different concentration of superdisintegrants were prepared and for angle of repose bulk density tapped density and compressibility, examination of formulation blend was done. For various

parameters like hardness, thickness, friability, weight variation, disintegration time and invitro dissolution time, evaluation of tablet was done, all the parameter were found under limits.

Keywords: Risperidone, Immediate Release Tablet, Superdisintegrants, In-Vitro Dissolution, UV Spectrophotometer

A-396

Synthesis of Gum Katira-g-poly (N-vinyl-2-pyrrolidone) and its Evaluation as a Mucoadhesive Polymer

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Abstract:

The current study was embarked upon to synthesize gum katira-g-poly(N-vinyl-2- pyrrolidone) and to evaluate its mucoadhesive properties. Microwave assisted graft co-polymerization of N-vinyl-2-pyrrolidone on gum katira was carried out employing three-factor, three-level central composite experimental designs. It was observed that the concentrations of N-vinyl pyrrolidone and ammonium persulphate exerted a significant antagonistic and synergistic influence on grafting efficiency respectively. The graft co-polymer was characterized by FTIR, DSC and SEM study. Mucoadhesive properties of the graft-copolymer were evaluated by formulating buccal discs employing metronidazole as the model drug. On comparative evaluation buccal discs formulated using gum katira-g-poly(N-vinyl pyrrolidone) showed higher *ex vivo* bioadhesion time than the discs formulated using gum katira. *In vitro* release study showed an almost similar release profile of metronidazole from the buccal discs of gum katira and gum katira-g-poly(N-vinyl-2-pyrrolidone). Thus, grafting of N-vinyl-2-pyrrolidone on gum katira enhances its mucoadhesion without significantly affecting the release behaviour.

Keyword: Gum Katira, Grafting, (N-vinyl-2-pyrrolidone)

A-397

Development and Characterization of Multicompartment Nanocarrier System for Antitubercular Therapy

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Abstract:

The present investigation was aimed at developing and exploring the use of mannosylated cationic liposomes for the selective delivery of anti-tubercular drugs i.e Isoniazid and Rifampicin to the target organs. The mannosylated cationic liposomes (MN-SA-MLVs) were prepared using lipid thin film hydration technique and coupled with mannose using the amino group of stearylamine present on the surface of multilamellar vesicles (liposomes). The mannosylation was confirmed using infrared spectroscopy. MN-SA-MLVs were characterized for shape, particle size, zeta potential, and percentage drug entrapment, invitro drug release profile etc. The size of multilamellar vesicles (liposomes) was found to be in range of 1.29-1.35 μ m, and maximum % drug entrapment efficiency was found to be 31.8% and 84.9% for Isoniazid and Rifampicin respectively. Average size was found to be more in the case of MN-SA-MLVs as compared with unconjugated multilamellar vesicles (SA-MLVs). The results of the in vitro release profile demonstrated that SA-MLVs releases comparatively higher percentage of drugs than MN-SA-MLVs. The mannosylated liposomal formulation was diluted with cryoprotectant and then it was spray dried using nano spray dryer and evaluated. The spray dried vesicle size, angle of repose, Carr's index, Hausner's ratio were found to be 1.28 μ m, 23.18°, 19.40% and 1.24 respectively. The results of stability study indicate 4°C as the optimum temperature for storage of liposomal formulations.

Keywords: Tuberculosis, Liposomes, Spray Drying, Insufflations, Macrophages, Inhalational Therapy, Dry Powder Insufflations

A-398

Formulation and Estimation of Directly Compressed Floating Tablets of Candesartan Cilexetil for Gastro Retentive Drug Deliverance

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Abstract:

The candesartan cilexetil floating matrix drug delivery

system was design to prolong the gastric residence time and improve its bioavailability. The candesartan cilexetil floating matrix tablet was prepared by using direct compression technique. Various natural polymer such as xanthan gum, guar gum as well as synthetic polymer such as hydroxypropyl methyl cellulose used in combination along with other standard excipients. Here, sodium bicarbonate acts as gas-generating agent. The granules undergoes through pre and post compression studies. The tablet evaluated by using various evaluating parameter viz, hardness, friability, weight variation, content uniformity, floating capacity and in vitro drug release studies.

Keywords: Candesartan, Cilexetil, Gastro Retentive, Floating Tablet

A-399

Novel Antidiabetic Nanoparticulate Drug Delivery System

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Abstract:

The higher doses and prolonged use of Metformin HCl, the first-line treatment of Type II Diabetes Mellitus are associated with increased homocysteine levels and malabsorption of vitamin B12. As one of the prevention strategies for avoiding increased incidence of such side effects, the co-administration of nutraceutical Pomegranate peel extract (PPE) was explored in the present study that aimed at developing a novel lipid based drug delivery system to effectively co-deliver Metformin HCl and PPE from Solid Lipid Nanoparticles (SLNs). The principles of QbD approach were applied to formulate drug loaded SLNs by hot and cold homogenization techniques. The optimized SLN batch of Metformin HCl exhibited a mean particle size of 109.6nm and zeta potential -24.1 mV whereas PPE loaded SLNs showed a mean particle size of 69.6nm and zeta potential -9.9mV. SEM studies revealed 3-dimensional structure of SLNs with slightly rough surface. DSC results confirmed controlled entrapment of Metformin HCl (78.34%) and PPE (93.34%) in SLNs. The 24 hours in-vitro cumulative % drug release of Metformin HCl and PPE loaded SLNs were found to be 83.47% and 85.92% respectively that indicated prolonged release of action. In-vivo anti-diabetic studies were performed on wistar rats for 28 days as per OECD guidelines 423. In- vitro α -glucosidase and α -amylase inhibitory activity of physical mixture of Metfomin HCl and PPE loaded

SLN (42.856mg/kg b.wt: 900mg/kg b.wt) were performed. The results revealed reduction in the dose of Metformin HCl when co-administered with PPE with improved antidiabetic activity.

Keywords: Metformin HCl, Pomegranate Peel Extract, QbD, SLN, Diabetes Mellitus

A-400

Development and Evaluation of Vitamin A Loaded Solid Lipid Nanoparticle and their Studies on Drug Targeting to the Skin

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Abstract:

The aim of this study was to prepare and evaluate incorporating solid lipid nanoparticles (SLNs) of Vitamin-A for topical delivery of the SLN. Vitamin-A loaded solid lipid nanoparticles (SLNs) have been successfully developed using a microemulsion technique. Three different formulations were prepared It was found that variation in the amount of ingredients had profound effects on the Vitamin-A loading capacity, the mean particle size, and size distribution of charge, morphology, and drug-lipid compatibility. At optimized process conditions, vitamin A loaded SLNs showed spherical particles with a mean particle size of 254 nm and 90% vitamin A incorporation efficacy was achieved. The SLNs were evaluated for in vitro drug release, *ex-vivo* permeation studies. For *ex-vivo* permeation vitamin A (retinol and retinyl palmitate) and incorporated in a hydrogel SLN were tested with respect to their influence on drug penetration into skin by CLSM. Conventional formulations served for comparison. skin was mounted in Franz diffusion cells and the formulations were applied for 6 and 24 h, respectively. Vitamin A concentrations in the skin tissue suggested a certain drug localizing effect.

Keywords: Solid Lipid Nanoparticles, Vitamin-A, Topical delivery, CLSM, Topical Absorption, Drug Localization in the Skin

A-401

Formulation and Evaluation of Gastroretentive Floating Beads of Famotidine

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Abstract:

Gastric emptying is a complex process that is highly variable and makes the in vivo performance of drug delivery systems uncertain. To overcome this physiological problem, several drug delivery systems with prolonged gastric retention time have been investigated. The purpose of present this research work was to prepare gastroretentive dosage form of famotidine using calcium alginate based floating beads. A multiple-unit-type oral floating dosage form of famotidine was developed to prolong gastric residence time, target peptic ulcer and increase drug bioavailability. The floating bead formulations were prepared by dispersing famotidine together with calcium carbonate into a mixture of sodium alginate and hydroxypropyl methylcellulose solution and then dripping the dispersion into a solution of calcium chloride. Calcium alginate beads were formed, as alginate undergoes ionotropic gelation by calcium ions and carbon dioxide develops from the reaction of carbonate salts with acid. The evolving gas permeated through the alginate matrix, leaving gas bubbles or pores, which provided the beads buoyancy. The prepared beads were evaluated for percent drug loading, drug entrapment efficiency, buoyancy and in vitro release. The formulations were optimized for different weight ratios of gas-forming agent and sodium alginate.

Keywords: Famotidine, Floating Dosage Form, Calcium Alginate Beads, Gastric Residence Time, Buoyancy

A-402

Chitosan Microspheres as an Alveolar Macrophage Delivery System of Rifampicin via Pulmonary Inhalation

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Abstract:

In the present research work rifampicin loaded chitosan microspheres were prepared through modified ionic gelation method for pulmonary tuberculosis. The purpose of this investigation is to evaluate lung deposition and alveolar targeting because Mycobacterium tubercule bacilli survives

mainly in the alveolar macrophages, the efficiency of anti-tuberculosis drugs may be improved by their direct delivery to the lungs via pulmonary inhalation. Rifampicin loaded chitosan microspheres (RCMs) were characterized for particle size, FTIR, drug entrapment, cascade impaction study and *in-vitro* study. The *in-vitro* release study of RCM was performed in simulated lung fluids at pH 7.4 representing the interstitial site and at pH 4.5 representing phagocomal site after alveolar macrophage uptake. The result of particle size and surface characteristics showed the particle size 1-5 μm which can be suitable for pulmonary delivery. The cascade impaction study with MMAD ranging from 1.9-4 μ confirmed the inhaled characteristics of RCM with providing the deep lung deposition where tubercular bacilli reside. The results of *in-vitro* drug release studies done using simulated lung fluids can be concluded that the prepared microspheres of rifampicin provided the advantage of controlled release characteristics deep inside the lung where tubercular bacilli reside and as suitable for pulmonary drug delivery it may help in improving treatment of tuberculosis through direct administration to site of action.

Keywords: Rifampicin, Alveolar Macrophage Uptake, Microspheres

A-403

Assessment and Formulation of Levocetirizine Orodispersible Tablet

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Abstract:

Orodispersible tablets are those that dissolve or disintegrate quickly in the oral cavity, resulting in solution or suspension. Allergic rhinitis is a high-prevalence chronic respiratory disease with a negative impact on the subject's quality of life, work activities, productivity or school performance as well as on healthcare costs. Because of its benign nature, the importance of this condition is often underestimated. In the present study orodispersible tablet of antihistaminic agent was prepared by direct compression method using croscarmellose, Croscarmellose as super disintegrants. The tablets prepared were evaluated for various parameters like various density parameters, thickness, hardness, friability, disintegration time, wetting time and In-vitro dissolution time. All the parameters were found to be within limits. The developed formulation of levocetirizine batch F6 (croscarmellose) showed good

palatability and dispersed within 30 seconds as compare to crosscarmellose sodium

Keywords: Orodispersible Tablet, Levocetirizine Dihydrochloride, Antihistaminic Agents, Super Disintegrants

A-404

Evaluation and Formulation of Itraconazole Mucoadhesive Tablets for Sustained Release

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Abstract:

The aim of this research was to prepare and evaluate sustain release mucoadhesive tablet of itraconazole, in order to overcome its poor biopharmaceutical property and therapeutical efficacy. Itraconazole have low aqueous solubility and high permeability, hence in order to improve its solubility in both HCl and water it is formulated as solid dispersion by using solvent evaporation method. Solid dispersion was formulated using with itraconazole PEG 6000 in the ratio of 1:2. Solid dispersion was then formulated in matrix of hydrophilic mucoadhesive polymers Carbopol 934P (CP) and HPMC E5LV into mucoadhesive sustained release tablet. Further, formulation were optimized for various amounts of CP and HPMC. Various amount of HPMC and Carbapol were taken as formulation variables for optimizing response variables i.e. dissolution parameters. Solid dispersion leads to enhancement in solubility of itraconazole in water up to 5.95% and in HCl it was 6.43%. In addition to enhancement of solubility in HCl and water they also lead to the slow release of drug up to 1.44% in 1 hour. Optimum combination of mucoadhesive polymers Carbopol 934P (CP) and HPMC E5LV provided adequate fairly regulated release profile. The experimental and predicted results for optimum formulations were found to be in close agreement. The formulation showed percentage drug release upto 86% for 9hour.

Keywords: Itraconazole, Mucoadhesive Sustain Release, Optimization, Percentage Drug Release, Solid Dispersion

A-405

Formulation and Evaluation of Lisinopril

Transdermal Patches

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Abstract:

Aim of the present investigation was to increase the low oral bioavailability and short half life of Lisinopril due to its first pass hepatic metabolism in liver for the treatment of hypertension by formulation and evaluation of matrix-type of transdermal film containing Eudragit RS 100, HPMC K4 and HPMC K15M as polymers at different proportions. Propylene glycol is used as plasticizer and DMSO as permeation enhancer. The physicochemical compatibility of drug and polymers was studied by FTIR spectroscopy. The results suggested no physicochemical incompatibility between the drug and polymers. Transdermal film containing model drug Lisinopril was formulated by solvent casting method. Stability studies of two most satisfactory formulations (F7 and F8) were carried out at room temperature as per ICH Q1C guidelines. The stability studies showed that there was no significant change in physicochemical properties, *in vitro* release and *in vitro* diffusion studies.

Keywords: Lisinopril, Transdermal Film, Eudragit RS100, HPMC K4M, HPMC K15M, DMSO, Propylene Glycol

A-406

Preparation and Evaluation of Silymarin Oil-in-water Nanoemulsion

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Abstract:

Silymarin is obtained from the purified extract of seeds and fruits of Silybum marianum and used as hepato-protective agent. Studies indicate Silymarin has good anti-inflammatory, antioxidant and antibacterial effect. Formulation failure happens due to poor aqueous solubility and low bioavailability. Incorporation of drug into oil in water based nanocarrier as it increases the bioavailability. Solubility studies were aimed to identify a suitable oil phase for development of Silymarin Nanoemulsion to achieve optimum drug loading the higher

solubility of drug in oil phase is important for nanoemulsion to maintain drug in solubilized form. Based on emulsified method (aqueous titration method pseudo-ternary phase diagram had been constructed for three components oil, surfactant and co-surfactant). 12 different combination of oil and Smix where, slowly titrated with aqueous phase and visually inspected for transparency and solubility. In oil phase tested the solubility of Silymarin was found to be highest in 1 and 2 for the development of Nanoemulsion formulation.

Keywords: Silymarin, Emulsification Method, Nanoemulsion, Anti-inflammatory, Bioavailability

A-407

Development and Characterization of Curcumin Loaded Chitosan Nanoparticle for Effective Brain Delivery

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Abstract:

Pharmacologically, curcumin is well known anti-inflammatory, anti-cancer, anti-oxidant and anti-depressant. Various studies it is also found effective in the treatment of AD. Research has shown that curcumin having the ability to reduce the neurotoxicity by inhibiting the amyloid β aggregation in the brain. It also circumvents the inflammatory reaction associated with AD pathogenesis and thereby supposed to offer a potential treatment for AD. The limitation associated with curcumin is its poor water solubility, which makes it difficult to approach the brain region. To overcome that, here in this work, we have made an attempt to prepare curcumin loaded chitosan nanoparticles by spontaneous emulsification method, to enhance bioavailability of curcumin to brain. The prepared nanoparticle was further characterized for various physicochemical properties and in-vitro release behaviour. The particle size and zeta potential was determined by scanning probe microscopy and Zetasizer, respectively. The prepared particles showed good drug-loading capacity. The in vitro release studies showed that after the initial burst, all the drug-loaded batches provided a continuous and slow release of the drug. Coating of nanoparticles with Polysorbate 80 slightly reduced the drug release from the nanoparticles. Release kinetics studies showed that the release of drug from nanoparticles was diffusion-controlled, and the mechanism of drug release was Fickian. Further, the efficacy of curcumin

nanoparticle on the memory and behaviour of the animal model will be conducted by Morris Water Maze study and Novel Object Recognition test.

Keywords: Alzheimer, Curcumin, Chitosan, Nanoparticle, Bioavailability

A-408

Modification of Pharmacokinetic Parameters of Cefaclorum (Latin) Extended Release Tablet USP

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Abstract:

The main objective of the present work was to develop extended release tablet of Cefaclorum (Latin) USP. Extended release matrix tablet of Cefaclorum (Latin) were formulated different combination of polymers HPMC E15, HPMC K100M, HPMC E-50 and HPMC K₄M by direct compression. The formulated granules blend were evaluated for compatibility, angle of repose, True density, Bulk density, Compressibility index, Hausner ratio. The formulated tablet were subjected to thickness, weight variation, hardness, friability test and drug content. In vitro dissolution studies carried out in 0.1N HCl by UV-method. All the seven formulation are compared with innovator marketed product in dissolution profile. Total seven formulation evaluated out through this and result find out that F2 Formula in which HPMC E-15 (7.5%) and HPMC K100M (7.5%) were given best cumulative % release of 98.67% as compare to other formulation and innovator product. So, F2 formulation taken as optimized formulation and put for stability studies which is carried out for one month in different temperatures and different humidity condition such as, 25°C/60%RH, 30°C/65%RH and 40°C/75%RH as per ICH guidelines. At the end of the stability studies it was found that the formulation F2 satisfies the stability requirements and has no variation in the *in vitro* drug release. Formulation F2 meet all the USP criteria and as well as innovator criteria and satisfactory results were obtained with the F2 formulation.

Keywords: Cefaclorum (Latin), Extended Release Tablets, In vitro Dissolution Studies, HPMC

A-409

Formulation & Characterization of Sustained Release Tablets of Venlafaxine Hydrochloride

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Abstract:

Venlafaxine is a bicyclic antidepressant usually categorized as a serotonin- norepinephrine reuptake inhibitor (SNRI). It is used primarily for the treatment of major depression, generalized anxiety disorder, social anxiety disorder and obsessive compulsive disorder. It is poorly absorbed from GIT which results in less bioavailability of 30-35% of the administered dose. The objective of the present study was to formulate matrix tablets of Venlafaxine which sustains the duration of action, thereby enhancing the bioavailability and reducing the frequency of dosage. In the present study, 3 formulations (F_1, F_2, F_3) with variable concentrations of hydrophilic polymers (HPMC & Sodium Alginate) were prepared and evaluated for physico-chemical, preformulation parameters, formulation parameters and *in vitro* release studies. Compatibility studies by FTIR proved that there was no interaction between Venlafaxine Hcl and polymers used. The weight variation test showed that the percentage deviations of the prepared tablets were found to be 3.65%, 3.41% and 3.26%. The Hardness values of each batch ranged between 3.7 to 4.5 kg/cm² which ensured good handling characteristics of all batches. The swelling Index of each batch ranged between 2.04% to 2.1%. The percentage drug content for F_1, F_2 and F_3 were found to be 98.44%, 96.6% and 93.55% respectively. All the three batches were subjected to *in vitro* release studies with phosphate buffer (pH 6.8). All the formulations had shown sustained release of the drug, however, the optimum release was observed with formulation F_1 .

Keywords: Venlafaxine, Matrix tablets, HPMC, Sodium Alginate, Sustained Release

A-411

Formulation and Optimization of Oral Fast Dissolving Films Using Locust Bean Gum as Natural Film Former

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Abstract:

In the present research work oral fast dissolving films using locust bean gum as natural film former were formulated by solvent casting method. The objective of the work done was to explore the possibility of using the locust bean gum as a natural film former in the formulation of oral fast dissolving films that can be used as oral drug delivery system for the administration of drugs in emergency conditions such as epileptic seizures, hypertension and dysphasia. Oral fast dissolving films were formed using locust bean gum in different concentration range to optimize the concentration of locust bean gum as a film former. The film forming property of the locust bean gum and its barrier to moisture was modified using beeswax. PEG-200 and crospovidone were used as plasticizer and disintegrating agent respectively. Formulated films were characterised in terms of their film forming capacity, appearance, water vapour permeability, weight variation and thickness for the selection of optimized film formulation. Finally it was concluded that film formulation containing 0.6 gm of locust bean gum, 0.3ml of PEG- 200, 0.1 gm of beeswax and 4% of crospovidone is optimized film formulation that can further be used for drug loading. Further it was also concluded that the present study carried out can be beneficial in the formulation of locust bean gum based films that find potential applications in the field of novel drug delivery systems.

Keywords: Locust Bean Gum, Orally Dissolving Film, Optimization, Solvent Casting Method

A-412

Design, Preparation and Evaluation of PLGA-based Polymeric Nanoparticles of Cilnidipine using Design of Experiments

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Abstract:

This study involves formulating polymeric nanoparticles (NPs) of Cilnidipine, an anti-hypertensive drug. The objective behind the research was to formulate PLGA-based polymeric nanoparticles of cilnidipine using two different grades of polymer. The formulation variables studied were: amount of polymer, concentration of surfactant, homogenization time and sonication time and optimized using Central Composite Design. The prepared NPs were further evaluated for entrapment efficiency, loading efficiency and particle size.

Homogenization and ultrasonication had an effect on the particle size of the formulation. It was found that PLGA 75:25 based cilnidipine NPs showed smaller particle size (252.6 ±5nm), maximum entrapment efficiency (98.1%) and higher loading efficiency (65.74%) as compared to PLGA 50:50 based NPs. The formulation was characterized using Differential Scanning Calorimetry, Fourier Transform Infrared Spectroscopy and X-Ray Crystallography. XRD showed that the entrapment efficiency and crystallinity was found to be more prominent in the PLGA 75:25 based NPs. Thus cilnidipine loaded NPs can be successfully formulated and evaluated for further in-vitro and in-vivo studies.

Keywords: Cilnidipine, PLGA, Central Composite Design

A-413

Formulation and Characterization of Irbesartan Solid Dispersions Prepared Using Poly Ethylene Glycols

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Abstract:

Solid dispersion technique was used to enhance the solubility and dissolution rate of poorly water soluble drug like Irbesartan. The present mainly purposing on to evaluate the release characteristics of Irbesartan. Solid dispersion of Irbesartan with PEG 4000 and PEG 6000 which were prepared by melting techniques, Physical mixing and solvent evaporation in W/W ratios (drug :carrier). Differential Scanning Calorimetry and Fourier Transform IR spectroscopy (FTIR) are used to characterize the solid dispersions. Solid dispersions of Irbesartan with PEG 4000 prepared by solvent evaporation technique at 1:1 ratio showed greater dissolution rate compared to other formulations, where no significant interaction was observed between Irbesartan and hydrophilic carriers to FTIR and DSC

Keywords: Irbesartan, Solvent Evaporation, Melting Technique, Dissolution Rate

A-414

Enhancement of Aqueous Solubility and Oral Bioavailability of Olmesartan Medoxomil by Dry Emulsion

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Abstract:

The aim of the present investigation is to enhance the dissolution characteristics and oral bioavailability of Olmesartan medoxomil by dry emulsion. The Preformulation of Olmesartan medoxomil was carried out in terms of appearance, melting point, solubility, FTIR and DSC. Olmesartan medoxomil is a poorly water soluble drug useful in the treatment of hypertension, absorption window of drug is stomach and upper part of small intestine. The liquid emulsion was prepared by using castor oil in which drug is highly soluble. The stable milky white emulsion was formed by using surfactant (Tween 80). The liquid emulsion was converted into dry emulsion by Lab spray dryer (LU 222 ADVANCED). Dry emulsion was evaluated for drug content, percentage moisture content, solubility and dissolution studies. The solubility of drug was increased with the use of surfactant and polymer at 1:1 ratio. Probable mechanisms of improved solubility were characterized by particle size determination, differential scanning calorimetry (DSC), powder X-ray diffractometry (PXRD) and scanning electron microscopy (SEM) of drug. This study revealed that solid dry emulsion technique was proved to be promising and useful for improvement of solubility of Olmesartan medoxomil.

Keywords: Dry Emulsion, Dissolution, Olmesartan Medoxomil, Oral Bioavailability, Spray Dryer

A-415

Preformulation Studies of Azelaic Acid for Formulation of Topical Gel

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Abstract:

Azelaic acid is a naturally occurring saturated dicarboxylic acid which, on topical application (usually as a 20% gel, cream), has been shown to be effective in the treatment of comedonal acne and inflammatory (papulopustular, nodular and nodulocystic) acne, as well as various cutaneous hyperpigmentary disorders characterised by hyperactive/abnormal melanocyte function, including melasma and,

possibly, lentigo maligna. The aim of present work is to study the perefomulation studies of azilaic acid to formulate the topical gel formulation. The formulations were characterized by particle size ,partition coefficient ,determination of absorption maximum, drug compatibility study, study to ensure the effectiveness desired formulation. The particle size was found to be in the range of 90–190 nm. Partition coefficient is a measure of drug lipophilicity and an indication of its ability to cross the biological membrane.

Keywords: Topical Gel, Azelaic Acid, Carbopol

A-416

Colon Specific Targeting of Cardio Selective Drug by using Guar Gum Microsphere

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Abstract:

Colon targeted microsphere of metoprolol succinate were prepared by using guar gum polymer. Metoprolol-loaded guar gum microspheres were prepared by the emulsification method and evaluated for average particle size, percentage degree of swelling, drug loading and encapsulation efficiency. The drug-polymer compatibility study was conducted by FTIR spectroscopy. Prepared microspheres were evaluated for particle size, percentage yield, entrapment efficiency, drug loading efficiency, swelling efficiency and for the cumulative percentage drug release which were 18.08 to 29.64 μm , 82.25 to 88.92%, 68.23 to 75.63%, 31.48 to 37.84%, 0.64 to 1.36 and 42.67 \pm 0.599% to 54.25 \pm 0.850% respectively. The *in vitro* drug release of metoprolol from the guar gum microspheres of formulation GM5 at pH 7.4 phosphate buffer for 24 hours showed considerable increase in the presence of rat caecal contents than in its absence. In the simulated colonic condition with the caecal contents the drug was released up to 94% which showed a previous release of only 54.25% in the absence of colonic contents of the rat. Present work may conclude that prepared microspheres of metoprolol succinate are stable and shows better *in-vitro* result in presence of caecal content.

A-417

A Novel Approach to Extraction and Characterization of Okra Gum as a Pharmaceutical Binder

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Abstract:

The study deals with the extraction of a natural polysaccharide, the Okra gum (*Abelmoschus esculentus*) and its subsequent characterization. Okra gum belongs to the family Malvaceae. The extracted gum had a consistency as that of mucilage. The extraction was done with the help of organic solvents. The percentage yield was 10%. Characterization of the gum was done on various parameters like micromeritic properties, swelling index, rheological properties, ash value, moisture content etc. The angle of repose of this gum was found to be 28.09, which shows that it has quite a good flow property. Compressibility index was found to be 10.45. Percentage purity of the gum was also calculated. Various tests were carried out to determine the presence of carbohydrates, fats, proteins etc. The moisture content was 14.96 % and had a pH of 5.85, elucidating the slight acidic characteristic of the concerned polysaccharide.

The extracted gum was soluble in water, but insoluble in organic solvents which formed the basis of separation and procurement of the gum. The model drug used was Losartan potassium, out of which tablets were prepared, using Okra gum as a binder. The study of the properties of the gum and their evaluation proved its safety and efficacy to be used in various pharmaceutical formulations.

Keywords: Natural Polysaccharide, Okra Gum, Pharmaceutical Formulations, Binder

A-418

Development of Iron Oxide Nanoparticulate Drug Delivery System for Arthritis

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Abstract:

Rheumatoid arthritis is an autoimmune disease and chronic systemic inflammatory disorder which is characterized by chronic synovitis that often leads to tissue dysfunction such as localized damage to articular cartilage, bone, tendon and ligament, followed by loss of function. The objective behind the

study is that to increase the bioavailability, patient compliance, site specific action and minimize the side effects. Formulation was prepared by using ferrous chloride, ferric chloride, hydrochloric acid, sodium hydroxide and evaluated for particle size, zeta potential, XRD and percentage yield. The entrapment efficiency and drug content was respectively 90.18%, 92.84% respectively for batch no.B₉. The size of nanoparticles was 54.3 nm. The Iron oxide nanoparticles are successfully prepared by using Co-precipitation method, the entrapment efficiency and percentage drug content shows that the drug could be efficiently loaded into mesoporous silica coated magnetic nanoparticles. The loaded nanoparticles were incorporated into a transdermal patch by using HPMC, Di-butyl phthalate. The patch containing loaded nanoparticles was evaluated for number of parameters and was found to show satisfactory results. Finally, the prepared patch was studied by in vitro diffusion study, our patch was found to be able to release drug up to 11 hrs as compare to marketed formulation (9-10hrs). Thus a patch containing loaded nanoparticles showed extension of drug release.

Keywords: Celecoxib, Co-precipitation, Mesoporous Silica, Transdermal Patch

A-419

Crystal Modification of Risperidone by Spherical Agglomeration to Improve Micromeritics & Dissolution Rate

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Abstract:

The aim of the present study was to prepare spherical agglomerates of risperidone and to study their micromeritic properties, solubility and dissolution behaviour. Risperidone exhibits very poor flow. It is practically insoluble in water having lowest solubility of about 9.9 µg/ml. Risperidone spherical agglomerates were prepared by solvent change method. It involves good solvent, poor solvent and a bridging liquid (Methanol, water, toluene respectively). The prepared spherical agglomerates were evaluated for micromeritic properties (bulk density, tapped density, angle of repose, hausner's ratio, and carr's index). The agglomerates were characterized by FTIR, SEM, PXRD, optical microscopy (morphology of spheres), solubility and particle size analysis. *In vitro* dissolution behaviour of pure

drug and agglomerates were compared in 0.1 N HCl and 6.8 phosphate buffer. The results of micromeritic studies suggested that the agglomerates showed improved flow properties when compared to pure drug. The improved flow ability and compressibility of agglomerates may be due to spherical shape and bigger size of agglomerates. The FTIR studies indicated that there is no strong interaction at molecular level and PXRD results suggested that no alteration in the crystal structure of risperidone, but the crystallinity being modified. Spherical agglomerates of risperidone showed increased solubility and higher dissolution rate compared to risperidone pure drug.

Keywords: Spherical Agglomerates, Risperidone, Micromeritic Properties, FTIR, PXRD, SEM and Dissolution

A-421

Formulation and Evaluation of Solid Lipid Microparticle of Model Drug using Monocol-PC and Softemul-165

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Abstract:

In this study, we aspire to examine the feasibility of polymers for fabrication of microparticles. Different parameters are evaluated to conclude its likelihood of formation into a successful formulation. In the present study, solid lipid microparticles (SLMs) of a model drug were prepared using emulsification-solvent evaporation method. Drug: Polymer (X_1) and concentration of emulsifier (X_2) were selected independent variables. 2² factorial design layouts with four formulation batches was utilised to formulate different batches (SLM-1 to SLM-4). Optical microscopy using compound microscope was utilised to determine mean diameter of SLMs. Optimized SLMs with least mean diameter was incorporated into gel. Gelation was induced with Carbopol 940 and triethanolamine followed by evaluation of physiological properties of gel. The result indicated that drug: polymer ratio and % emulsifier significantly affected mean diameter of SLMs. It was observed that batch best was SLM-2 with mean diameter of 273.26 µm as shown in Table 2. The pH of gel was found to be 6.7±0.5 which indicates its compatibility with skin. Viscosity of gel was found 10606 ± 10 cps at 2.5 rpm using LV spindle # 63 which illustrated rheological property of gel (Table 3). Stability study at 25±2°C temperature and 60%±5% RH illustrated stability of SLMs loaded Carbopol gel as there was neither any noticeable change in viscosity nor

liquefaction. Results indicate that Softemul-165 and Monocol-PC seemed to be promising in developing a SLMs novel system of drug delivery. Hence, it has been concluded that the said polymers can be successfully fabricated into drug microparticles using emulsification-solvent evaporation method.

A-422

Development and Characterization of Inhaled Chitosan Nanoparticles Loaded with Isoniazid

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Abstract:

The objective of our study is to load first line anti-tubercular drug, Isoniazid in chitosan Nanoparticles in order to enhance bioavailability and to reduce dose frequency. The chitosan nanoparticles containing the drug Isoniazid were prepared by the method of spontaneous emulsification. Chitosan gel containing drug is cross linked with Glutaraldehyde and nanoparticle suspension obtained was centrifuged at 5000 rpm. It was then evaluated for Drug loading, swelling index, Mucoadhesive force, Zeta potential, DLS studies, DSC studies, SEM studies, In vitro Drug release, Pharmacokinetic Studies and Stability studies. Formulation 1(F1) shows maximum Drug Loading, Swelling index and mucoadhesive force. The positive zeta value was obtained for all formulations due to positive charge of polymer used in preparation of dispersion. The DLS plot of Formulations shows that Average particle diameter are in the range of 661.8-823.8nm. The SEM study revealed that the micrographs of cross linked chitosan nanoparticles have smooth surface. The thermogram of the formulations showed the shifting of endotherm. This indicates the possible change in the release kinetics and bioavailability of the drug. In vitro drug releases was found to be maximum for formulation F6. Pharmacokinetic evaluation shows all the formulation shows first order rate release profile and release mechanism from nanoparticles is diffusion controlled. Stability studies indicated that the developed chitosan nanoparticles are physically and chemically stable and retain their pharmaceutical properties at various environmental conditions over a period of 3 months.

Keywords: Isoniazid, Chitosan, Tuberculosis, Inhalation

A-423

Transferrin Receptor Targeted PLA-TPGS Polymeric Nanomicelles for Lung Cancer Therapy

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Abstract:

In this study, we have synthesized polymeric nanomicelles (PNMs), which were constructed from poly-lactic acid-*D*- α -tocopheryl polyethylene glycol 1000 succinate (PLA-TPGS) and decorated with *D*- α -tocopheryl polyethylene glycol 1000 succinate-transferrin conjugate (TPGS-Tf) to improve the therapeutic efficacy and safety of docetaxel (DTX) in lung cancer therapy in compared docetaxel injection (DocelTM). DTX was loaded into polymeric nanomicelles by using solvent displacement method and conjugated with TPGS-Tf conjugates. The *in-vitro* cellular uptake and cytotoxicity were performed on adenocarcinomic human alveolar basal epithelial cells (A549 cells). The particle size analysis showed that the PNMs were well structured in nano size between 84.9 and 184.8 nm with higher drug encapsulation efficiency up to 86%. The *in-vitro* drug release from transferrin receptor targeted PNMs was sustained for more than 72 h with 59% of drug release. The transferrin receptor targeted PNMs showed higher uptake of coumarin 6 in compared to other counterparts in A549 cells. The DTX-PLA-TPGS-Tf achieved up 70.34-fold decrease in IC₅₀ value compared with that of DocelTM, after 24 h incubation with A549 cells. The transferrin receptor targeted PNMs showed significantly higher cytotoxicity, low toxic profiles and thereby improved efficacy as well as safety for lung cancer therapy.

Keywords: Docetaxel, Lung Cancer Targeting, Nanomedicine, Polymeric Nano Micelles, Transferrin.

A-425

Formulation and Evaluation of Novel Herbal Gel for the Treatment of Acne

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Abstract:

The aim of the experimental study was to develop and evaluate herbal anti-acne gel containing a hydro-alcoholic extract of Neem leaves (*Azadirachta indica*) and the leaves of Tea Tree (*Melaleuca alternifolia*). The plants have been reported

in the literature having good antimicrobial, anti-oxidant and anti-inflammatory activity. Various formulation batches i.e.,

F1 to F10 were prepared and evaluated for various parameters like colour, appearance, consistency, washability, pH, spreadability and antimicrobial activity. Of all the formulations studied, batch F5 was found optimum for all the parameters. It is a very good attempt to establish the herbal gel containing hydro-alcoholic extract of neem leaves (*Azadirachta indica*) and leaves of tea tree (*Melaleuca alternifolia*).

Keywords: Carbopol, HPMC, Tea Leaves, Neem Leaves

A-426

Comparison of Natural and Synthetic Polymers in the Preparation and Characterization of Gastro Retentive Floating Microspheres of Famotidine

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Abstract:

Oral controlled drug delivery provides drug delivery at a predictable rate. The present study aims at preparing gastric floating microspheres using various natural and synthetic polymers like xanthan gum, gum ghatti and HPMC K100M. The microspheres were prepared by ionotropic gelatin method. Sodium alginate and calcium chloride are used as cross-linking agents to form microspheres. The prepared microspheres were evaluated for particle size distribution, buoyancy, entrapment efficiency, percentage yield. *In vitro* drug release kinetics was evaluated. The microspheres were characterized by Fourier Transform Infrared spectroscopy (FTIR), Differential Scanning Calorimetry (DSC), Scanning Electron Microscopy (SEM) and X-Ray Diffraction (XRD) studies. Microspheres prepared using gum ghatti in drug polymer ratio of 1:1 showed gastric retention for a period of 12 hours and drug release was sustained.

Keywords: Famotidine, Effervesence, Gastric Retention, Floating Microspheres

A-427

Buccal Mucoadhesive Patches of Diltiazem Hydrochloride: Formulation, Optimization, In-Vitro and Ex-Vivo Evaluation

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Abstract:

The objective of the research was to systematically design a model of factors that would yield an optimized sustained release dosage form of Diltiazem Hydrochloride, using screening by full factorial design and Optimization through Response Surface Methodology (RSM) by employing Box-Behnken design. The amount of release retardant polymers – HPMC K4M and HPMC K100M, temperature, stirring speed, stirring time was taken as an independent variable. The dependent variables were the tensile strength, mucoadhesive strength, residence time and swelling index of patches. Full factorial design was employed for the screening of critical process parameters. On taking concentration of HPMC K100M 2.75%, temp. 55°C and stirring speed at 1000 rpm we get desirable responses i.e. 0.261 g/cm² tensile strength, 3.93 dyne/cm² mucoadhesive strength, 247 minutes residence time and 26.7% swelling index respectively. All the models were linear and significant as concluded from P values (<0.05). Patches showed an initial burst release preceding a more gradual sustained release phase following a non-fickian diffusion process. *In vitro* release of drug was seen burst release up to 35% within half an hour and then sustains release up to 12 hours (96%). The selected batch was further evaluated for physicochemical characterization, X-RD data of optimized batch showed that crystalline nature of the drug was reduced within the formulation which accounts for better bioavailability and comparative ex-vivo skin permeation was 67.68% in 12 hours.

Keywords: Diltiazem Hydrochloride, Buccal Mucoadhesive Patches, Box-Behnken Design

A-428

Development and Evaluation of Gastroretentive Tablets of Antidepressant Drug Pregabalin

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Abstract:

Gastroretentive Drug Delivery System are those system which have a bulk density less than gastric fluid and because of this, these systems remain buoyant for a prolonged period of time in the stomach without affecting the gastric emptying rate. The drug is released slowly at the desired rate from the system and after release of the drug the residual system is emptied from the stomach; as a result gastro retentive time [GRT] is increased and fluctuations in plasma drug concentration can be better controlled. Dosage forms that can be retained in the stomach are called gastroretentive drug delivery system [GRDDS]. These are the systems which can remain in gastric region for several hours and significantly prolongs the gastric residence time of drug. After oral administration, such a delivery system would be retained in stomach. It will release the drug there in a controlled & prolonged manner, so that the drug could be supplied continuously to absorption site in GIT. Gastroretentive drug delivery is prepared with the intention to retain drug in the gastric region for prolonged time and release incorporated drug candidates and thereby enable sustained and prolonged input of the drug to the upper part of the GIT thus leading its optimal bioavailability. Gastroretentive drug delivery get popularity from last two decades leading to its potential application to improve oral delivery of some important drugs for which prolonged gastro retention can greatly improve their oral bioavailability. GRDDS not only prolong the dosing intervals, but also increase the patient compliance beyond the level of existing controlled release dosage form. Bioadhesion is the mechanism by which two biological materials are held together by interfacial forces.

Keywords: Gastroretentive, Mucoadhesive, Controlled Release, Bioavailability

A-429

Cationic-Charged Nano-Lipid-Drug Carrier System of Timolol Maleate for Enhancement of Trans-Corneal Drug Permeation and Ocular Bioavailability

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Abstract:

The objective of present studies was to develop cationic-charged nano-lipid-drug carrier system (liposome) of Timolol Maleate, for prolonged pre-corneal drug retention and effective trans-corneal drug permeation leading to

better ocular bioavailability and need of less frequent drug administration. The Timolol maleate liposomes were prepared by thin film hydration technique using Egg-Phosphatidylcholine, Cholesterol and Stearylamine, and subsequently sonicated under probe-sonicator (Sonics) for size reduction into nano-size range. The resultant nano-liposomal dispersion was filtered using ultra-filtration stirred cell (Millipore) to separate un-entrapped drug. The mean particle size and zeta potential of prepared liposomes was found to be 142.83 nm and +30.2 mV, respectively. The drug entrapment efficiency and drug loading of the prepared liposomes were found to be 51.98% and 16.2 mg/ml, respectively. The osmolarity of buffered liposomal dispersion was observed to be 298.8 mOsmol/kg. The in-vitro drug release study of developed liposomes was 82.94 % in 24 hour period with sustained drug release profile. The ex-vivo trans-corneal drug permeation of developed liposomes was studied across freshly-excised goat cornea using modified franz-diffusion cell apparatus (PermeGear Inc.). The drug permeation parameters were found to be 67.78% cumulative drug permeation in 24 hour, 6.2×10^{-5} cm/sec apparent permeability coefficient (P_{app}) and 0.398 $\mu\text{g}\cdot\text{cm}/\text{sec}$ steady state flux (J_{ss}), which were approximately 2 times higher than the marketed product.

Keywords: Timolol Maleate, Niosomes, Trans-Corneal Permeation, Isotonic, HET-CAM Test

A-430

Second Generation Lipid Nanoparticles [NLCs] of Felodipine for Improved Oral Bioavailability and Anti-Hypertensive Activity: Development, Optimization Characterization, in-vitro and in-vivo Evaluation

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Abstract:

Felodipine is an anti-hypertensive drug with poor oral bioavailability (around 15%) due to its extensive first pass hepatic metabolism. The study was aimed to design felodipine loaded nanostructured lipid carrier for improving its oral bioavailability and therapeutic efficacy. The felodipine loaded NLCs were prepared by solvent emulsification/evaporation method followed by ultrasonication and solidification by freeze-drying. A total 16 batches were prepared and characterized for

partical size, zeta potential, polydispersibility index and percent entrapment efficiency. Optimized formulation was selected on the basis of desirability factor and was further characterized for morphological and surface characterization by AFM (Atomic Force Microscopy) and HR-SEM (High Resolution Scanning Electron Microscopy), solid state characterization by ATR-IR (Attenuated Total Reflectance Infrared Spectroscopy) and X-RD (X-Ray Diffraction). Optimized formulation was further evaluated for in-vitro drug release study and pharmacodynamic activity. The optimized nanoformulation had mean particle size of 137.9+3.86 nm, entrapment efficiency of 68.32+1.08% and zeta potential of -21.74 mV. The HR-SEM studies indicated the formation of spherical NLCs in nano size range and non adherent in nature. The in-vitro drug released studies data indicated that NLCs released drug in a controlled manner for prolong period as compared to pure drug. The in-vivo pharmacodynamic study indicated better efficacy with NLCs than pure drug suspension.

Keywords: Hypertension, Bioavaibility, NLCs, Controlled Delivery System

A-431

Fabrication and Comparison In-Vitro Characterization of Sustained Release Matrix Tablets of Lamivudine using Natural Gums

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Abstract:

The main objective of the present work was to develop a formulation with increased therapeutic efficacy, reduced frequency of administration, and improved patient compliance by developing sustained release matrix tablets of water soluble Lamivudine using the mucilage of tamarind seed polysaccharide, fenugreek seeds and gum of black gram seeds to study its functionality as a matrix forming agent for sustained release. Different concentrations of binders like 10%, 20%, and 30% and 40% were selected for the study. Matrix tablets were prepared by wet granulation technique using isopropyl alcohol as a granulating agent. Compressed tablets were evaluated for hardness, weight variation, friability, thickness and drug content uniformity. All the formulations showed compliance with pharmacopeia standards. After evaluation of physical properties of tablet, the *in vitro* release study was performed in phosphate buffer pH 6.8 to 14 hours. The dissolution study proved that the seed mucilage of fenugreek can be used as a

matrix forming material for making sustained release matrix tablets of lamivudine. After 12 hours tablets with 20% fenugreek binder showed maximum release (100.82%). Among all the formulations, formulation F2 which contain 20% fenugreek binder release the drug which follows zero order kinetics via, diffusion, erosion. The optimized formulation of 20% fenugreek mucilage (F2) was subjected to stability with respect to release pattern. The FTIR study revealed that there was no chemical interaction between drug and excipients.

Keywords: Lamivudine, Tamarind Seed Polysaccharide, Fenugreek Seed and Black Gram Seeds

A-432

Formulation and Evaluation of Ethosomal Gel of Mometasone Furoate

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Abstract:

The present study deals in the development of ethosomal gel containing mometasone furoate (corticosteroid) for treatment of vitiligo through enhanced transdermal penetration. Mometasone Furoate (MF) is a lipophilic drug used for skin darkening purpose. Its skin penetration is slow. Ethosomes (vesicular drug carrier system) were prepared by film hydration method under nitrogen atmosphere using lecithin-cholesterol in varied molar ratio. The prepared ethosomes were hydrated and loaded with 1% w/v mometasone furoate and stored in nitrogen purged vials. The ethosomes were characterized for vesicle shape and entrapment efficiency. The prepared ethosomal gel was evaluated for spreadability, extrudability, viscosity, in-vitro drug release and stability. Scanning electron microscopy (SEM) showed that prepared ethosomes were almost spherical in shape and of uniform size. The entrapment efficiency of ethosomes carrier was found to be $61.1 \pm 0.533\%$. The spreadability and extrudability of the prepared gel was found to be satisfactory. The in-vitro release profile showed prolonged release of MF releasing $83.08 \pm 1.086\%$ drug in 24 hr. The prepared gel was found to be stable.

Keywords: Ethosomes, Corticosteroid, Mometasone Furoate, Vitiligo

A-433

Development and Evaluation of Mouth Dissolving Tablet of Eprosartan

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Abstract:

The research work was to prepare and evaluate the mouth dissolving or disintegrating tablets better known as MDTs of Eprosartan, which avoid the first-pass metabolism, improved the dissolution rate and enhance the bioavailability. Eprosartan, is an angiotension receptor antagonist, used in the management of hypertension. Mouth dissolving tablets were prepared by direct compression method. Various concentrations of superdisintegrant (2%, 3%, 4% & 5%) of Ac-Di-Sol, and Polyplasdone-XL were evaluated for physicochemical evaluation parameter such as hardness, weight variation, friability, water absorption ratio, drug content uniformity, wetting time, in-vitro and in-vivo disintegration time, in-vitro dissolution studies. The control tablet (without superdisintegrant) was formulated and evaluated. The twelve formulations, A1- A8 were formulated. Among these A4 formulation was optimized. The hardness, friability, weight variation and drug content were found to be within pharmacopeias limits. The water absorption ratio, wetting time, in-vitro and in-vivo disintegration time of optimized formulation, A4 was found to be 85.6%, 8s, 20s and 28s respectively. The formulation, A4 was considered to be best formulation, which released up to 99.889% in 2 minutes. By comparison of dissolution rate profile of marketed formulation of Eprosartan, (Losacar) tablet with A4 being the best formulation. The result showed that A4 formulation, showed complete drug release. The stability study was also conducted. The best formulation, A4 and it indicates that there was no important change in any of the parameters. Hence the formulation A4 was considered to be highly stable.

Keywords: MDC, Water Absorption Ratio, Wetting Time, in-vitro

A-434

Formulation and Evaluation of Fast Dissolving Sublingual Films of Rizatriptan Benzoate in Combination with Ginger Extract

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Abstract:

The present study is on Fast dissolving sublingual films (FDSFs) of Rizatriptan Benzoate, prepared using ginger (sunthi) extract and polymers. The objective was to design fast dissolving sublingual films containing Rizatriptan Benzoate (10mg) using different polymers, different grades of HPMC and plasticizers coupled with ginger extract as an antiemetic, adopting suitable methods so as to allow fast, reproducible drug dissolving films in the oral cavity. The influence of formulation variables on film characteristics, and drug release were studied. The FDSFs were prepared by solvent-casting method and evaluated. The evaluation study shows that the weight of the films varied as per the HPMC grade. Surface pH was more or less the same in all cases. The films were evaluated for viscosity, drug content and the values were found to be between 9.871 ± 0.013 to 10.095 ± 0.009 mg. Drug release studies were conducted i.e. *In vitro* dissolution and *In vitro* permeation studies were conducted.

Keywords: Fast Dissolving Sublingual Films, HPMC, Rizatriptan Benzoate, Solvent-Casting Method

A-435

Evaluation of Mesoporous Carriers in Formulating Oral Drug Delivery of Drug

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Abstract:

The main purpose of this study was development and evaluation of a novel mesoporous carrier based system for oral administration of drug. This mesoporous carrier was found to be biocompatible and stable in GI fluids after oral administration. The pellets formulated by using Montmorillonite and Syloid 244 FP were found to give drug release up to 12 hours. Pellets of mesoporous carriers were prepared by using spheronisation and extrusion method. Pellets of mesoporous carriers were characterized for process efficiency, drug content, micrometric properties, scanning electron microscopy, in-vitro drug release, FT-IR, DSC, In-vivo inflammatory activity. The drug candidate used in the study was diclofenac sodium and the results showed controlled release of drug in oral dosage form. The mesoporous carriers used in the study showed best compatibility with the excipients used and stability in the acidic environment. The

work supports the possible use of the mesoporous carriers in oral formulation of the drug.

Keywords: Mesoporous Material, Diclofenac Sodium, Oral Formulation

A-436

Formulation of Medicated Lipstick

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Abstract:

Coloring skin particularly skin of face and lips is an ancient practice going back to prehistoric period. Attempt was also made to evaluate the formulated medicated lipsticks using the drug salicylic acid and a natural coloring agent extracted from annatto plant. Evaluation includes melting, breaking force of application, surface anomalies, aging stability etc. The results concluded that the medicated lipstick was safe to usage.

A-437

Solubility Enhancement of an Anti-Hypertensive Drug by Solid Dispersion Method

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Abstract:

Candesartan cilexetil, is an widely used for the treatment of hypertension is a BCS II drug which is practically insoluble in water with low dissolution rate. Candesartan cilexetil is a prodrug rapidly converted to its active metabolite candesartan during absorption in the gastrointestinal tract. Hence to improve dissolution rate & bioavailability, solid dispersion of Candesartan cilexetil were prepared by kneading method, solvent evaporation method & Microwave method in various ratios of drug and carriers like hydroxy propyl beta cyclodextrin /PVP K 30. The formulated solid dispersions were and characterized by FT-IR. FTIR studies and evaluated for drug content and *In-vitro* dissolution studies. Studies revealed that there was no drug-carrier chemical interaction on solid dispersion. Solubility of candesartan cilexetil SD increased in distilled water. The Drug content of the prepared Candesartan cilexetil SD formulations was found to be range 94.35±0.95%

to 98.44±0.56%. XRD studies showed that the drug exist in amorphous state as there was absence of drug peak in the formulation. The prepared solid dispersion showed marked increase in the dissolution rate of than pure drug. The solid dispersion of Candesartan cilexetil prepared by kneading method with HPβCD (1:1.5) showed maximum drug release of 94.05±0.11% when compared to other solid dispersion formulations. It is concluded that the dissolution rate of Candesartan cilexetil can be improved by the solid dispersion method.

Keywords: Candesartan Cilexetil, Antihypertensive, Solid Dispersion, Microwave Method, Dissolution Rate, Hydroxy Propyl Beta Cyyclodextrin

A-438

Co-Crystallisation of Irbesartan using Different CoFormers

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Abstract:

Irbesartan is a nonpeptide [angiotensin II](#) antagonist with antihypertensive activity. Irbesartan selectively and competitively blocks the binding of [angiotensin II](#) to the [angiotensin I](#) receptor. Irbesartan is practically insoluble in water and its absolute bioavailability is 60-80%. Co crystallization is a technique which can increase the characteristic features of the drug thereby providing improved properties. Drug moiety which has poor ability to form salts as well as those which are in non ionisable form have poor tendency to create crystalline solid forms with desired physical properties. Pharmaceutical cocrystallization represents a promising approach to generate novel crystal forms to improve aqueous solubility and dissolution. Pharmaceutical co-crystals of Irbesartan were prepared with nine different types of co formers using solvent evaporation method. Methanol is used as solvent to prepare co crystals. Out of nine different preparations;co crystals are successfully formed from three co formers such as saccharin sodium, Nicotinic acid, Nicotinamide. Three co crystals were subjected to characterization by Fourier transformation infrared spectroscopy (FTIR), differential scanning calorimetry (DSC), X-ray diffractometry (XRD). The physicochemical properties of pure irbesartan and corresponding three co-crystals were accessed in terms of drug content, dissolution studies, and

saturation solubility. Dissolution studies are done at seven intervals starting from 10 minutes to 2 hours using 0.1N HCl as dissolution medium. Saturation solubility is performed at three different solutions water, 0.1N HCl, phosphate buffer pH 6.8. The extent of saturation solubility and dissolution of Irbesartan was enhanced on account of co crystallization.

Keywords: Irbesartan, Co Crystallization, Dissolution, Solubility, Co Formers, DSC

A-439

Quality by Design Approach for Formulation, Evaluation and Statistical Optimization of Solid Lipid Nanoparticles of Orlistat

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Abstract:

The purpose of the present research work was to formulate, evaluate, and optimize study solid lipid nanoparticle (SLN) of Orlistat. Orlistat has half-life of 1-2 hrs and which is practically insoluble in water. The objective of the study to enhance the aqueous solubility of Orlistat. SLN of Orlistat was developed to increase the dissolution rate of Orlistat. Different formulation of Orlistat was prepared using different concentration of Tween 80 and GMS. A 3² factorial design was applied to examine the combined effect of two formulation variables, each at 3 levels and possible 9 combinations of Orlistat. The concentration of glycerol monostearate (X1) and concentration of Tween 80 (X2) were taken as independent variable. The particle size (Y1), polydispersity index (Y2), entrapment efficiency (Y3) and % cumulative drug release (Y4) were taken as a dependent variables. The absorption method was employed to obtain dry SLN the F5 batch was selected as optimized batch based on design space and particle size Y1 (113.85), polydispersity index Y2 (0.54), entrapment efficiency Y3 (99) and % cumulative drug release Y4 (97.88) at hrs the advantage of selected technological procedure is nanosized Orlistat with enhanced *in vitro* dissolution rate in comparison to raw drug and marketed product. The formulation was studied for FT-IR study and DSC study to interpret the interaction between drug and excipients used and it was found that there is no specific interaction between drug and excipients. Hence it is concluded that SLN is promising approach for increasing

aqueous solubility of poorly water soluble drug.

Keywords: Orlistat, SLN, Solubility, Optimization, Particle Size

A-440

Formulation and Assessment of Oral Reconstitutable Azithromycin Suspension for the Treatment of Bacterial Infection

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Abstract:

Azithromycin is used for the treatment of bacterial infection, mainly used in middle ear infection, typhoid, sinusitis, bronchitis in urinary tract infection and venereal disease. The present study aimed to develop dry or oral reconstitutable suspension to minimize the solubility problem of the drug. It shows the adequate chemical stability of the drug during the shelf life and it avoids the problem of physical stability and solubility of drug. The study was carried out by preparing the dry powder or granules for oral reconstitutable suspension by using suspending agent sodium CMC and acacia on release profile of the drug. The prepared best formulation (F6) was selected depending on its physiochemical properties. The prepared oral reconstitutable suspension was evaluated for the rheological, viscosity, re-suspendibility and sedimentation volume. The formulation of acacia showed excellent sedimentation volume and good re-dispersibility as compared to other formulation. The study was found that the dry physical mixture method showed good stability of the drug.

Keywords: Azithromycin, Acacia, Sodium CMC, Dry Suspension

A-441

Formulation and Evaluation of Chitosan-Chondroitin Sulphate Based Nasal Inserts for Zolmitriptan

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Abstract:

Bioadhesive nasal dosage forms are an attractive method for delivering the drug directly to brain by overcoming rapid mucociliary clearance transport in the nose. Interpolymer complexes (IPC) between chondroitin sulphate (CS) and chitosan (CH) were employed for the formulation of nasal inserts employing zolmitriptan, an antimigraine drug. The interpolymer complexes (IPC) formed between $-\text{COO}^-$ and $-\text{OSO}_3^-$ groups of CS and $-\text{NH}_3^+$ group of CH were characterized by infrared spectroscopy (IR), differential scanning analysis (DSC), and zeta potential studies. These IPCs were formulated into nasal inserts using mannitol in the ratio of complex : mannitol of 9:1 w/w. The unloaded nasal inserts were evaluated for swelling behaviour, bioadhesive strength, and morphology using scanning electron microscopic (SEM). The *in vitro* drug release and *in situ* permeation studies were carried out on loaded nasal inserts. The DSC and IR studies confirmed the formation of a complex between the two polymers. The formulation F3 (CH:CS; 50:50) possessed the highest yield, near unity viscosity and lowest swelling index. The highest bioadhesive strength and zeta potential was obtained for formulation F1 (CH:CS; 30:70). The presence of porous structure in nasal inserts was confirmed by the SEM analysis. Further, *in vitro* and *in situ* release studies demonstrated that formulations F9 (40:60) and F11 (50:50) (with drug:polymer; 1:10) showed a drug release of 90 and 98% over a period of 8h. It can be concluded that nasal inserts formulated from chitosan-chondroitin sulphate (CH-CS) interpolymer complex (IPC) can be used for the delivery of antimigraine drug to brain.

Keywords: Interpolymeric Complex, Bioadhesive, Nasal Inserts, Zeta Potential, Viscosity

A-443

Carboxymethyl Cassia Gum Beads for Drug Delivery Applications: Preparation and Preliminary *In-Vitro* Investigations

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Abstract:

In this study, carboxymethyl modification of *Cassia obtusifolia* gum was carried out employing Williamsons ether synthesis, and modified gum was evaluated for drug delivery applications by formulating diclofenac sodium loaded beads. Carboxymethylation was carried out by reacting with monochloroacetic acid under alkaline conditions.

The modification was confirmed by FT-IR spectroscopy. Carboxymethylation was found to improve the ionic gelling behaviour of gum with cationic species, which prompted us to explore it to prepare ionically gelled beads. Various batches of ionically gelled diclofenac-loaded beads were prepared by adding aqueous solutions of carboxymethyl gum cassia (2.5-4.5%, w/v) and containing diclofenac sodium (0.1%, w/v) to the calcium chloride solution (5-15%, w/v), dropwise using a hypodermic syringe. To impart gastroresistant properties, the dried beads prepared above were coated by dip coating in Eudragit L-100 (8%, w/v of acetone). The result revealed that the effect of calcium ion concentration on yield(%) was more pronounced than the effect of conc. of carboxymethyl gum *Cassia*. Further it was observed that increasing the concentration of calcium ion and carboxymethyl gum *Cassia* provided beads with higher drug entrapment and sustained drug release. Diclofenac-loaded carboxymethylated *Cassia* gum beads were investigated through Fourier transform infrared spectroscopy, X-ray diffraction, Scanning electron microscopy and differential scanning calorimetry analysis. The prepared beads were found to be spherical in shape with rough texture. Further, no interaction was observed between the drug and the polymer.

Keywords: Beads, Cassia Gum, Ionic Gelation

A-444

Solubility Enhancement of Eprosartan Mesylate by using Different Solid Dispersion Techniques

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Abstract:

The aim of this experimental study was to improve the solubility and dissolution rate of a poorly water-soluble drug Eprosartan Mesylate (EPM), an antihypertensive drug which falls under BCS class II using different solid dispersion technique(s). Poor aqueous solubility of the drug substance(s) in water and their low dissolution rate in aqueous G.I.T fluid often leads to insufficient bioavailability, So in the present investigation, an attempt was made to improve the solubility and dissolution rate of Eprosartan by solid dispersion method using PEG 4000 and MCC as carrier. Four different methods (*direct compression, kneading, solvent evaporation* and *fusion method*) were used to prepare 28 formulations by varying drug: carrier ratio(s). The formulation(s) were characterized for solubility parameters, drug release studies and drug-polymer interactions by using

dissolution studies, FTIR spectrum and DSC study. All the formulations showed marked improvement in the solubility behavior and improved drug release as compare to pure drug but in *direct compression method Formulation (F17)*, showed the *best release* with a cumulative release of 86.27 % as compared to 29.41 % for the pure drug. The interaction studies showed no interaction between the drug and the carrier. It was concluded that the formulations that we did, improved the solubility of Eprosartan mesylate.

Keywords: Solid Dispersion, PEG 4000, MCC, Solubility, Eprosartan Mesylate

A-445

Aceclofenac Polymorphs: Characterization and Evaluation studies

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Abstract:

Aceclofenac (ACE) is one of the most popular anti-inflammatory drugs, frequently used for the pain relief in conditions like osteoarthritis, muscular pain, rheumatoid arthritis, peri-arthritis, spondylitis, sprain and alkylating arthritis. However, being important non-steroidal anti-inflammatory agent (NSAID), still the polymorphic attributes of ACE are relatively less explored. Therefore, we aimed to study the polymorphic transitions of ACE employing various solvents and also to correlate with the biological transport of ACE. Methods: The various polymorphic forms were prepared using rotatory evaporation and solvent drying techniques. The developed polymorphic forms were characterized by melting point, Fourier-transform infrared (FTIR) spectroscopy, Raman spectroscopy, differential scanning calorimetry (DSC), X-ray powder diffraction and were biologically evaluated in rats vis-a-vis the plain ACE. Results: The developed forms were found to enhance the drug permeability across GIT in comparison to that of the granular plain drug, indicating the significant dependence of bioavailability of ACE on the packing arrangement of the drug molecules. Conclusion: These findings are encouraging and provide an insight of the relationship between the polymorphic forms of drugs and the respective biological outcomes.

Keywords: Aceclofenac, NSAID, Polymorphic Transitions

A-446

Development and Characterization of Nanostructured Lipid Carrier for Resistant Tumor

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Abstract:

The PTX-DOX NLCs were prepared by using emulsion-evaporation method which is most widely used technique for the preparation of NLCs. The NLCs were characterized for particle size and surface analysis by Zeta Sizer, and electron microscopy. Further the formulations were evaluated for percentage drug entrapment, *in-vitro* drug release study and *ex-vivo* cytotoxicity study. *Ex-vivo* cytotoxicity was evaluated on MCF-7 cell lines. With emulsion-evaporation method, the size of NLCs was found to be from 182 to 200 nm, and encapsulating the satisfactory amount of both drugs. *In vitro* drug release study of both the formulations was carried out using dialysis tube. Formulation of PTX with DOX loaded NLCs showed % cumulated drug release 77.2% and 80.5% of PTX and DOX respectively up to 72 hrs. in PBS (pH 7.4): methanol (7:3), while folic acid conjugated PTX with DOX loaded NLCs showed % cumulated drug release 75.6% and 78.4% of PTX and DOX respectively up to 72 hrs. in PBS (pH 7.4): methanol (7:3), formulation of PTX with DOX loaded NLCs showed % cumulated drug release 84.2% and 89.5% of PTX and DOX respectively up to 72 hrs. in PBS (pH 4.0): methanol (7:3), while folic acid conjugated PTX with DOX loaded NLCs showed % cumulated drug release 82.5% and 85.6% of PTX and DOX respectively in up to 72 hrs. PBS (pH 4.0): methanol (7:3). We believe that folic acid conjugated PTX with DOX loaded NLCs have a great potential for the treatment of resistant tumor.

Key words: Nanostructured Lipid Carrier, Paclitaxel, Doxorubicin, Folic Acid, Resistant Tumor

A-447

Preparation and Evaluation of Prednisolone Sodium Phosphate Ocusert for Controlled Drug Delivery

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Abstract:

The intent of research was to formulate and evaluate controlled release drug delivery system of ocusert of prednisolone sodium phosphate, corticosteroid for the treatment of viral conjunctivitis. Ocusert are sterile preparation having drug as dispersion or as solution in the polymeric base. Ocusert were formulated using different polymers such as hydroxypropyl methyl cellulose E-15, ethyl cellulose, and Eudragit RL-100 at various concentrations and combinations. Films were prepared by mercury casting method using different ratios of polymers. Selected physicochemical properties such as thickness, weight, percentage moisture absorption, and *in-vitro* release pattern of Prednisolone sodium phosphate ocusert were studied and reported. Finally, it could be concluded from all the studies conducted that the prepared formulation was a viable alternative to the conventional eye drops by virtue of its ability to enhance bioavailability through controlled drug delivery, longer pre-corneal residence time, ease and reduced frequency of administration resulting in better patient compliance.

A-448

UV-Radiation Induced Carcinoma in Chhattisgarh

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Abstract:

Ultraviolet radiation (UVR) (mainly UV-B: 280–315 nm) is one of the powerful agents that can alter the normal state of life by inducing a variety of mutagenic and cytotoxic DNA lesions. The severity of UV rays is measured by UV- Index. It is an international measurement of the strength of sunburn producing UV- radiation at a particular place and time, expressed in terms of the risk that are associated with exposure to that amount of radiation. All types of Cutaneous damages such as sunburn, pigmentation, and photoaging are known to be induced by acute as well as repetitive sun exposure. Not only for basic research, but also for the design of the most efficient photoprotection, it is crucial to understand and identify the early biological events occurring after ultraviolet (UV) exposure. The strength of the sun ultraviolet radiation is expressed as a solar uv -index or sun index. In india uv- index is 9 and 10 are common but in our Chhattisgarh region it is about 13 and the major risk factor of skin carcinoma.

Keywords: UV- Index, Skin Carcinoma, Chhattisgarh

A-449

Formulation and Evaluation of Floating Matrix Tablets of Ondansetron Hydrochloride

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Abstract:

Ondansetron Hydrochloride is formulated as a gastro retentive dosage form which would solve the problem of poor bioavailability without increasing the dose frequency of the administration of the drug. Preformulation and compatibility studies (Melting point, UV spectrophotometry, FT-IR, DSC, XRD etc.) were performed which suggested that the drug and excipients were compatible to go ahead with the formulation. Gastro retentive sustained release floating matrix tablets of Ondansetron hydrochloride nine formulations were formulated by considering different ratios of hydrophilic release retarding polymers viz. Kondagugu gum (Huppu gum) and sodium alginate. Other excipients used were calcium carbonate, sodium bicarbonate, citric acid and tablets were prepared by direct compression method. These were then evaluated for different precompression (bulk density, carr's index, angle of repose, Hausner's raito) and characterized its hardness, thickness, friability, drug content. All the formulations demonstrated desirable buoyancy and physical characteristics. Based upon *in-vitro* drug release (97.04) up to 10 hrs and floating lag time (34 sec), F-2 formulation showed best results. Ondansetron hydrochloride was successfully formulated as sustained release floating matrix tablets and mathematical modeling of release kinetics showed drug release pattern of zero order with Higuchi diffusion mechanism. Stability studies indicated a stable product.

Keywords: Ondansetron Hydrochloride, Kondagugu Gum (Huppu Gum), Sodium Alginate, Sustained Release, Floating Matrix Tablet.

A-450

Development and Evaluation of Levocetizine Orodispersible Tablet

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Abstract:

Orodispersible tablets are those that dissolve or disintegrate quickly in the oral cavity, resulting in solution or suspension. Allergic rhinitis is a high-prevalence chronic respiratory disease with a negative impact on the subject's quality of life, work activities, productivity or school performance as well as on healthcare costs. Because of its benign nature, the importance of this condition is often underestimated. In the present study orodispersible tablet of antihistaminic agent was prepared by direct compression method using crosspovidone, Cross carmellose as super disintegrants.

Keywords: Orodispersible Tablet Levocetirizine Dihydrochloride, Antihistaminic Agents, Super Disintegrant

A-451

Formulation and Evaluation of Fixed Dose Combination Tablets of Antifungal Drugs

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Abstract:

The aim of this study was formulation and evaluation of fixed dose combination (FDC) tablets of terbinafine HCl (TH) and fluconazole (FLZ) to avoid the resistance developed in individuals due to long term use of single antifungal agent. The compatibility study of drugs and drugs with excipients was studied by FTIR spectroscopy. It shows that there were no chemical interactions between TH, FLZ and excipients. A simple, accurate and sensitive UV-Visible spectrophotometric method was developed and validated for the quantitative determination of TH and FLZ according to ICH guidelines. Validation parameters viz: linearity range was found 0.5-3.0 µg/ml and 80-400 µg/ml for TH and FLZ, respectively. Accuracy and precision showed RSD < 2 % which indicated that method have good accuracy and less precision. LOD and LOQ were calculated from linearity curve. FDC tablets were formulated by wet granulation using HPC (1%, 2%, 3%, 4%, 5% w/w) as a binder. The hardness and disintegration time of tablets increased and friability decreased with increased binder concentration. The *in-vitro* drug release study indicated that formulation F4 and F5 shows drug release 78.841% and 70.825% within 30 minutes which does not complies with IP standard. Optimized formulations (F2 and F3) showed desired results in the form of evaluation parameters and percentage drug release in 0.1N HCl.

Formulation F2 and F3 gives more than 80% drug release within 30 minutes. Stability study of optimized batches were carried out at 45 ± 2°C and 75 ± 5% RH for 6 months and using one-way ANOVA it was found that there was no statistical significant difference in hardness, friability and *in-vitro* drug release during 3 month of stability study.

Keywords: Terbinafine Hydrochloride, Fluconazole, Fixed Dose Combination, Wet Granulation

A-452

Formulation and Evaluation of Fast Dissolving Buccal Films Containing Zolmitriptan

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Abstract:

Fast dissolving oral films are useful in patients such as paediatric, geriatric, bedridden or developmentally disabled who face difficulty in swallowing conventional tablets or capsules and liquid orals or syrups leading to ineffective therapy. The delivery system consists of a very thin oral strip, which is simply placed on the patient's tongue or any oral mucosal tissue, instantly wet by saliva the film rapidly hydrates and adheres onto the site of application. The present study was aimed to formulate fast dissolving oral films to enhance bioavailability and avoid pre systemic metabolism. The key is to develop successful oral film by solvent casting method and selected the right compatible excipients using FTIR studies. Oral film was fabricated using HPMC-E5, HPM E15, and HPMC-E50 and Propylene glycol. The prepared films were evaluated for Organoleptic evaluations, film weight, thickness, folding endurance, tensile strength, drug content uniformity of films, surface pH, disintegration time and *in-vitro* dissolution studies. The formulation F5 has disintegration time of 56 seconds and is more promising and showed drug release of 99.89%; hence formulation F5 was selected as best formulation.

Keywords: Oral Films Zolmitriptan, Solvent Casting Method.

A-453

Formulation and Evaluation of Fast Dissolving Buccal Films of Labetalol Hydrochloride

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Abstract:

The aim of present work deals with formulation and characterization of labetalol hydrochloride fast dissolving films. Labetalol hydrochloride is a antihypertensive drug. Films were formulated using film forming polymer like hydroxypropylmethylcellulose (hpmc e15)(f1 – f4) and by solvent casting technique with the help of polyethylene glycol (peg 400) as a plasticizer and glycerine as a sweetening agent. Ft-ir analysis was performed to study the interaction between the drug and polymer .the films were evaluated for weight variation, surface ph,folding endurance , drug content , dissolving time , disintegration time, in-vitro dissolution studies. Based on the evaluation parameters f4 formulation showed optimum performance and marked increase in releasing of drug 96.65%. It can be concluded in the study that fast dissolving buccal film can be potential novel drug dosage form for poorly water soluble drugs.

Keywords: Labetalol Hydrochloride, HPMC E15, PEG 400, FT-IR, Solvent Casting Method

A-454

Solubility and Dissolution Rate Enhancement Studies of Poorly Water Soluble Drug through Different Polymeric Solid Dispersion Systems

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Abstract:

Many strategies have been developed to overcome the solubility and bioavailability problems when taken medicinal drugs inside the body. One of these strategies is solid dispersion systems that utilize various water-soluble inert carriers to increase the apparent solubility and dissolution rates inside the body which results in good oral absorption and enhanced bioavailability. In this study, we utilized above technique by taking various hydrophilic polymers: Soluplus® (Co – graft polymer), PVP, HPMC, PEG and Polymeric blends of PVP: HPMC: PEG uses ATC as a model drug to compare the

ability to solubilize ATC. Solid dispersions of ATC of different drug/polymer ratio were prepared by two conventional techniques (Melting Method and Solvent Evaporation Method). Phase solubility studies, *in-vitro* dissolution characteristics were carried out to find out the best promising drug/polymer ratio and further evaluated by *in-vivo* pharmacokinetic studies in a rat model. From phase solubility studies the batch selected are F_{2'}, F_{6'}, F_{7'}, F_{12'}, F₁₄ and F₁₈ respectively and were further evaluated by dissolution testing. Based on the % CPR after 3 hours, F₂ (97.819±0.2%) and F₁₄(93.118±2.12%) was selected as the optimized batch for *in-vivo* pharmacokinetic studies. F2(Soluplus®- based solid dispersion) proves to be the most promising batch having C_{max} = 26.81µg/ml and AUC_{0-24 hr} = 181.71µg*hr/ml. The enhanced in apparent solubility and improved dissolution rates of all prepared formulations were comparatively observed for various carriers and many folds increased as compared with the pure Atorvastatin calcium (ATC).

Keywords: Atorvastatin Calcium, Soluplus®, Apparent Solubility, Bioavailability.

A-455

Formulation and Characterization of an Improved Skin Permeability and Anti-Inflammatory Activity of Clobetasol Propionate Ethosomal Gel

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Abstract:

The objective of the present investigation was to formulate a new vesicular carrier system ethosomes, for non-invasive delivery of clobetasol propionate (CP) into or across the skin so as to overcome problems of poor skin permeability, minimize systemic toxicity and achieve better therapeutic effects. CP is associated with a number of side effects which are mainly caused because of repeated application of the drug on the skin. Hence clobetasol propionate ethosomes (CPE) were prepared by cold method using soya lecithin, ethanol, cholesterol, propylene glycol and water. CPE were tested for entrapment efficiency,size, shape and stability. CPE were incorporated into a suitable gel base. Thebest batch showed an entrapment efficiency of 70%, with average particle size of 0.694µm and release rate of 65%. The ethosomal gel was

also tested for skin irritation and % inhibition of inflammation in Albino Wistar rats. This was compared with Tenovate® (marketed cream) and it was observed that the % inhibition by the prepared ethosomal gel showed sustained inhibition of inflammation as compared to the marketed cream. Hence, (CP) ethosomal gel was developed successfully, which released CP in a sustained form to reduce the side effects related to frequent application as well as served penetration and permeation of CP in the skin membrane easily.

Keywords: Clobetasol Propionate, Permeability, Ethosomal Gel, Anti-Inflammatory Activity.

A-456

A Novel Approach to Optimize by Design and Formulate Fast Dissolving Films for Nausea and Vomiting

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Abstract:

Objective: The aim of the present study was to prepare fast dissolving dosage form of antiemetic drug for management of nausea and vomiting following chemotherapy, radiation therapy and surgery. **Method:** In the present investigation, an attempt was made to develop fast dissolving films of antiemetic drug to achieve fast disintegration and dissolution characteristics with improved bioavailability by oral route. Drug-excipient compatibility study was done using DSC and FTIR techniques. To optimize the composition, formulation variables was determined using response surface methodology. Various batches of formulation were prepared by taking independent variables (X1 = polymer ratio, X2 = plasticizer ratio) and dependent variables (Y1 = disintegration time in oral cavity, Y2 = tensile strength) at three levels. The optimized formulation prepared using pullulan showed minimum disintegration time (20 sec), highest dissolution rate (88.87%) and satisfactory physicochemical properties. **Result:** Oral film was evaluated for folding endurance, thickness, weight variation test, surface pH, content uniformity, disintegration test, & *in vitro* dissolution. The stability studies of the films were performed for optimized batch as per ICH guideline. Best formulation was selected by the Design-Expert software which exhibited low DT and maximum *in vitro* drug release. **Conclusion:** The present work revealed that natural polymers are a good potential as film forming agent in the formulation of mouth dissolving films as

these showed fast disintegration dissolution of drugs in salivary pH. Thus the prepared mouth dissolving films could be a better alternative for achieving rapid oral bioavailability.

A-457

Electronic Tattoo- A New Way of Tracking Patients Health Information

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Abstract:

Electronic tattoo is an ultrathin device that attaches to skin like a stick on tattoo called artificial skin which can measure electrical activity of heart, brain waves, respiration & other vital signals. It is also used for people who have suffered skin trauma like severe burns or skin diseases or skin diseases or robotic application. This tattoo adheres to the skin and the adhesive layer of tattoo which is water soluble is flexible enough that it moves with the skin in every direction providing maximum comfort for the patient and provide accurate data for the physician. These artificial skin is to sense heat, pressure, touch and whatever which human skin sense. It is replacement for prosthetic limbs and robotic arms. Nowadays from newborn babies to post-operative patients, this electronic tattoo acts as a diagnostic and monitoring tool for primary healthcare providers leading to better-informed clinical decisions. Artificial skin identified by different name in a same way it is developed in different laboratories. These tattoo circuits composed of different layer with 5 microns thickness. There are different forces acting on tattoo include compression force, tension force etc. The sensor have new applications that can wirelessly monitor the vitals and body movements of a patient sending information directly to a computer that can log and store data to better assist in future decisions. Though it's a new approach to but Electronic Tattoo can enable sensitive and frequent assessment of an individual health condition and advances new treatments for physiological and neurological conditions.

Keywords: Ultrathin Electronics Device, Artificial Skin, Wirelessly Monitor Body Movements

A-458

Development and Evaluation of Microspheres Incorporating In-Situ Gel for Ocular Drug

Delivery

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Abstract:

Conjunctivitis is one of the common ocular disorder, characterized by inflammation of eye, which results redness and irritation of eye. The existing therapy with conventional eye drops is fairly primitive and inefficient due to nasolachrymal drainage, which results in reduced corneal residence of the drug. To overcome this undesirable aspect of eye drops, controlled release *in situ* gel incorporating microspheres were developed using polymer, for the treatment of conjunctivitis. The microspheres were prepared by emulsion cross-linking method. Selections of different batches were done by using Factorial Design. The prepared microspheres were evaluated for drug content of microspheres, shape, yield value and *in vitro* release profile. The average encapsulation efficiency was in the range of 61- 82 % for different formulations. Drug content variation was found to be within $\pm 5\%$. The drug release from the microspheres was found to be controlled over a period of 24 h and found F6 batch was best batch. The microspheres were incorporated in gel. The formation of *in situ* gel was done by using different concentration carbopol940 and HPMC and Z4 batch was best batch on the basis of gelling capacity. The *in vitro* release data of *in situ* gel incorporating microspheres also shows maximum *in vitro* release in batch F6. Thus F6 was selected as ideal batch. The ideal batch (F6) of *in situ gel* incorporating microspheres was used for ocular safety studies in eye of rabbit and which is found to be non-irritating. So we can conclude that the developed formulation were able to reduce irritation continuously over a period of 24 h, which was better than that of conventional eye drops.

A-459

Development and In-Vitro Evaluation of an Oral Floating Tablet of Metronidazole

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Abstract:

The present study was undertaken with an aim to develop and evaluate gastroretentive floating tablets of Metronidazole that are designed to retain in the stomach for a long time and have developed as a drug delivery system for better eradication of *Helicobacter Pylori* in peptic ulcer diseases. The floating tablets of Metronidazole were made by direct compression method using polymer Carbopol 934 and sodium bicarbonate and citric acid as gas generating agent. The *in-vitro* dissolution studies were carried out in a USP type- II apparatus in 0.1N HCl. The formulations were able to within 2-7 minutes and showed buoyancy >12 hrs. Kinetically, among the 4 assessed models the release pattern of Metronidazole from the tablets fitted best to Higuchi's and zero order indicated that diffusion is the predominant mechanism controlling the drug release.

Keywords: Metronidazole, Floating Tablets, Carbopol 934, Gastroretentive, Dissolution

A-460

Solubility Enhancement of Lercanidipine by Solid Dispersion Technique and Formulation of Fast Disintegrating Tablet

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Abstract:

Lercanidipine is a vasoselective dihydropyridine calcium antagonist, mainly used for the treatment of hypertension and angina pectoris. However, it suffers from food dependent absorption, poor solubility, low permeability and considerable first pass metabolism, resulting in highly variable and low bioavailability of 10%. Nowadays, there has been significant interest and development in transdermal and transmucosal routes of drug administration because these routes have potential to decipher such problems associated with oral administration of certain drugs. Several mucosal surfaces have been investigated as delivery routes for systemic drug delivery due to their low level of keratinisation compared to skin. The oral mucosa, depending on the site, is found 4–4000 times more permeable than the skin may become a challenging task. to dissolve inside hydrated environment of the oral cavity quickly as they possess larger surface area. Rapid disintegration of the tablet in the oral mucosa releases lercanidipine which may facilitate orotransmucosal absorption of the drug to reach the systemic circulation, thus bypassing gastrointestinal tract and first-pass metabolism. Solid dispersions of lercanidipine

were incorporated in fast disintegrating tablets and they were finally evaluated for various tests.

A-461

Formulation and Evaluation of Gastroretentive Microspheres of Cimetidine an Anti-Ulcer Agent

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Abstract:

Cimetidine is a H₂ – antihistaminic agent widely used in treatment of gastric and duodenal ulcers and also in Zollinger-Ellison syndrome. In the present work, we made an attempt to prepare and evaluate gastroretentive microspheres of cimetidine using hydroxy propyl methyl cellulose and Eudragit RL-100 by solvent evaporation method. The prepared cimetidine microspheres were spherical in shape and free flowing. The diameter of microspheres increased with increasing the polymer concentration. The cimetidine microspheres have shown good buoyancy and entrapment efficiency. Percent buoyancy was found to be in the range of 63.86 to 81.39%. The drug entrapment efficiency of the prepared microspheres was found to be in the range of 66.19 to 94.20%. The Differential Scanning Colorimetry and X-Ray Diffraction analysis indicated that the drug was uniformly distributed in an amorphous state in microspheres. The Fourier Transfer Infra-Red spectra of the formulations confirmed the stability of cimetidine in the polymer matrix. The results of *in-vitro* drug release study was suggested that the microspheres were capable of releasing drug up to 12 h depending upon the formulation variables. The drug release was slow from the microspheres which contain higher concentration of Eudragit RL-100 as compared to those prepared with hydroxyl propyl methyl cellulose. As the polymer concentration was increased in microspheres, the drug release rate was decreased.

Keywords: Cimetidine, Gastroretentive Microspheres, Solvent Evaporation, Buoyancy, Polymer Matrix

A-462

Lyophilized Milk Based Solid Dispersion Formulation Enhances Aqueous Solubility of Efavirenz

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Abstract:

Antiretroviral drugs such as efavirenz face poor aqueous solubility as major challenge that limits the bioavailability at a given dose and may require administration of higher doses, resulting in increased adverse effects. Aim of present study was to investigate the enhancement of aqueous solubility of efavirenz via lyophilized milk based solid dispersion (EM). Formulations were prepared using various ratios of drug and carrier which were evaluated via saturation solubility studies to identify the optimal formulation. The optimized formulation was further characterized for physico-chemical properties by photomicroscopy, scanning electron microscopy, differential scanning calorimetry, thermogravimetric analysis and powder x-ray diffractometry. In addition, the functional behavior of the optimized formulation was evaluated via *in vitro* dissolution, and *ex vivo* permeability studies. The results revealed that the efavirenz: lyophilized milk ratio of 1:3 (EM-3) was optimal in enhancing the aqueous solubility of efavirenz. The results of physico-chemical characterization supported the formation of an amorphous solid dispersion. *In vitro* dissolution studies showed that, at the end of 120 minutes, the release of efavirenz from EM-3 was significantly higher (~77% w/w) compared to efavirenz alone (~7% w/w). In addition, EM-3 also demonstrated significantly higher permeability (~64% w/w) of efavirenz across rat intestinal membrane compared to efavirenz alone (~24% w/w in 3 h). The lyophilized milk based solid dispersion of efavirenz resulted in a significant increase in the aqueous solubility (~14-fold), drug release, and permeability.

Keywords: Efavirenz, Solid Dispersion, Solubility, Permeability, Bioavailability

A-463

Selection of Optimum Concentration of Oil, Surfactant and Co-Surfactant for Formulation of Stable Nanoemulsions using Chemix Software

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Abstract:

Nano-emulsions are kinetically stable liquid-in-liquid dispersions with droplet sizes on the order of 100 nm. Their small size leads to useful properties such as high surface area per unit volume, robust stability, optically transparent

appearance, and tunable rheology. Nano-emulsions are finding application in diverse areas such as drug delivery, food, cosmetics, pharmaceuticals, and material synthesis. The objective of this study was to select appropriate blend of Surfactant, co-surfactant (Smix) and oil through ternary phase diagram using water titration method. CHEMIX Software was used to construct ternary phase diagram. The surfactant and co-surfactant (Smix) were mixed in different weight ratios (1:1, 1:2, 1:3, 2:1 and 3:1). These Smix ratios were chosen in increasing concentration of surfactant with respect to co-surfactant and increasing concentration of cosurfactant with respect to surfactant for detailed study of the phase diagrams for formulation of nanoemulsion. For each phase diagram, oil and specific Smix ratio was mixed thoroughly in different weight ratios from 1:9 to 9:1. The physical state of the nanoemulsion was marked on a pseudo-three-component phase diagram with one axis representing aqueous phase, the other representing oil and the third representing a mixture of surfactant and cosurfactant at fixed weight ratios (Smix).

Keywords: Pseudo-Ternary Phase Diagram, Co-Surfactant, Water Titration Method

A-464

Formulation & Evaluation of Sustained Release Matrix Tablet of Repaglinide

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Abstract:

The aim of present study is to develop evaluate sustained release matrix tablet of Repaglinide. Repaglinide is an effective antihyperglycemic. But owing to its shorter half life it needs frequent administration. In present study an attempt has been made to develop sustained release matrix tablet of repaglinide in order to reduce its frequency of administration & dose related side effects. Various grades of HPMC (K4M, 100M & 15M) were used as hydrophilic matrix polymer, croscarmellose was used as swelling agent. Total 9 formulations were prepared in trial batch. The formulations were evaluated for various pre compression & post compression parameters. All the formulations showed compliance with pharmacopoeial standards. On the basis of various evaluated parameters formulation F9 was considered to be the best one. Formulation F9 containing polymer HPMC showed 99.15 % in-vitro drug release profile. The release data for formulation F9 was fitted to various mathematical models like Zero order, First order, Higuchi, Krosmeier peppas. It was observed that drug follows zero order release kinetics & Higuchi model.

Keywords: Matrix Tablet, Sustain Release, Antihyperglycemic, Hydrophilic Matrix Polymer

A-465

Smart Natural Polymeric Film Former for Designing Drug Loaded Mucoadhesive Flexy Films

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Abstract:

The aim of our research work was to isolate a biomaterial from the seeds of *Trachyspermum ammi* and evaluate its inbuilt mucoadhesivity and filmability by Rotating Basket method and Solvent Casting method respectively for pharmaceutical application. The biomaterial was isolated from the natural edible source by addition of optimized quantity of non-solvent. It was subjected to various physico-chemical tests as well as spectral analyses like IR, ¹H NMR, DSC, SEM and elemental analysis. Six optimized flexy films (FA1-FA3 and FM1- FM3) were formulated in varying concentration of isolated biopolymer and standard polymer (Sodium CMC) by solvent casting method using Bromocriptine as a model drug. The formulated flexy films were subjected for various evaluation parameters like weight variation, thickness, mucoadhesivity and content uniformity, surface pH, folding endurance and *In-vitro* drug release studies. The formulation FA2 was found to be the best formulation on the basis of folding endurance (228times), drug release studies, $t_{50\%}$ (5.2hrs), $t_{80\%}$ (28hrs), with R^2 value 0.9989 and mucoadhesion time for 46 hrs. The isolated biopolymer was found to be safe and non-toxic in nature and can be safely used for formulating various drug loaded dosage forms like flexy films, strips, and in-situ films etc. Based on our research work a conclusion was drawn that the isolated biopolymer is safe exhibited inbuilt mucoadhesivity and filmability. The bio-flexy films can be used for delivering API molecule through oro-labial and other Trans-mucosal routes.

Keywords: Oro-labial, Trans-mucosal, Flexy Films, Mucoadhesive, *Trachyspermum ammi*

A-466

Formulation and Evaluation of Microparticles Prepared from Grape Seed Extract for Anti

Cancer Activity

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Abstract:

Grape seed extract is obtained from the seeds of grape it contain some chemical constituents like flavanoids, polyphenols, etc. having anticancer activity. Grape seed extract has been previously evaluated by various researchers for anti cancer study in cell lines as well as in vivo study. the aim of this research was to prepare a novel drug delivery dosage form from grape seed extract for patient convenience as well as to fix dosage size. For this purpose initially microparticles as solid dosage form is selected. In this study 5 different batches of microparticles are prepared on basis of the minimum effective dose and lethal dose of grape seed extract. the polymer used for preparation of microparticles is chitosan. The microparticles are prepared and stabilized by emulsification-chemical stabilization method. These microparticles are then evaluated for particle size, encapsulation efficacy, presence of active constituents, dissolution study and anti cancer activity by cell line study. The formulations have a particle size of $253 \pm 3.7 \mu\text{m}$ to $367 \pm 6.7 \mu\text{m}$. the zeta potential of optimized microparticles was $+12.2 \text{mV}$. the cell line study helped in confirming release of drug from the microparticles and cytotoxic activity.

Keywords: Grape Seed Extract, Anti-Cancer Activity, Microparticles, Formulation Cell Line Study

A-467

Preparation of Novel Topical Human Insulin Gel for the Treatment of Wound Healing in Type-2 Diabetes Mellitus

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Abstract:

Existing injectable formulations generally have a trouble and non-compliance of the geriatric and pediatric patients due to painful therapy. On the basis of above, our aim of the study was concerned with prepare novel topical human insulin gel for the treatment of wound healing in type II diabetes mellitus. Diabetes is a disease and it caused by

abnormally high levels of blood glucose and inadequate levels of insulin in the body. 3^2 factorial design formulations were prepared by using recombinant human insulin, Gellan gum, Carbopol-940, glycerin, oleic acid, polyethylene glycol-400 and other excipients. All 9 batches formulation were evaluated and among them selected formulations were performed such as DSC, particle size analysis, ex vivo permeation study and in vivo study. On the basis of ex vivo permeation study of prepared Human Insulin gel through Wistar albino rat skin it was concluded that our formulation have a good topical (skin) flux properties; and also in 21 days treatment of alloxan monohydrate induced diabetic rats with RF5 formulation, the blood glucose level reduced from $268.7 \pm 2.5 \text{mg/dl}$ to $74.2 \pm 2.4 \text{mg/dl}$. So, on the basis of all evaluation parameters it was concluded that, RF5 prepared novel topical human insulin gel can be used for the treatment of wound healing in type II diabetes mellitus. Also the gel is first dry on topical skin and non irritant, so it can be used for the patients during their daily routine work.

Keywords: Human Insulin, Diabetes, Gel, Diabetes Mellitus, Novel Topical Gel

A-468

Effects of Formulation variables of Gastroretentive Floating Tablets of Atorvastatin Calcium on Percentage Cumulative Drug Release

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Abstract:

The aim of the study was to investigate the effects of formulation variables of gastroretentive floating tablets of atorvastatin calcium on percentage cumulative drug release. The objective behind the study was to investigate the effect of concentration of HPMC K4M (X), concentration of guar gum (X), concentration of sodium bicarbonate (X) on the release of 1 2 3 atorvastatin calcium using central composite design. The floating tablets were formulated using atorvastatin calcium (20% w/w), HPMC K4M (5-15% w/w), guar gum (5-15% w/w), sodium bicarbonate (4-12% w/w), lactose (q.s.), talc (2% w/w) and magnesium stearate (1% w/w). Atorvastatin calcium floating tablets were evaluated for physical characterization viz. hardness, swelling index, floating capacity, weight variation, friability, in vitro drug release and kinetic studies. All tablets were floated for more than 12 hrs in 0.1 N HCl at $37 \pm 0.5^\circ\text{C}$ and

the *in vitro* drug release was found to be vary from 79% to 93%. The percentage cumulative drug released was maximum at low value of HPMC, low value of guar gum and high value of sodium bicarbonate. A mathematical model was developed to formulate floating tablets of atorvastatin calcium. The data fitting to Korsemeyer-Peppas equation revealed that the release mechanism from the dosage form followed the non-fickian transport.

Keywords: Atorvastatin Calcium, Central Composite Design, *in vitro* Drug Release, Floating Tablets

A-470

Preparation and Characterization of Dispersible Tablets of Nimesulide & Tizanidine by Employing β -cyclodextrin as a Taste Masking Agent

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Abstract:

Dispersible tablets are uncoated or film-coated tablets intended to be dispersed in water before administration giving a homogeneous dispersion. Paediatric and geriatric patients face complications in swallowing the conventional tablets. So according to the need dispersible tablets have been developed which combine the benefits of liquid dosage forms and solid dosage forms. The dispersible tablets allow dispersion in water prior to administration. In present study Nimesulide, a non-steroidal anti-inflammatory drug & Tizanidine, a drug that is used as a muscle relaxant, is used. The objective of the present study is to develop dispersible tablets of nimesulide & tizanidine in combination to exert their synergistic effect, by wet granulation method, employing β -Cyclodextrin, a taste masking agent to mask the bitter taste of nimesulide. The various powder blends were evaluated for bulk density, tapped density, compressibility index, hausner's ratio & angle of repose. Six batches were prepared named F₁, F₂, F₃, F₄, F₅, F₆. Prepared tablets were evaluated for appearance of tablets, thickness, diameter, hardness, friability, weight variation test, in-vitro disintegration time, wetting time, water absorption ratio, uniformity of dispersion, taste evaluation, in-vitro dissolution profile. Based on the taste evaluation F₁ batch (without β -Cyclodextrin) was failed to mask the bitter taste of nimesulide. Based on the all other parameters batch F₆ (with β -Cyclodextrin) was found to be the best among other batches.

Keywords: Dispersible Tablets, Nimesulide, Tizanidine, β -Cyclodextrin

A-471

Formulation Development of Mouth Dissolving Tablets of Poloxamer-188 Based Solid Dispersions of Aprepitant

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Abstract:

The aim of present study is to prepare solid dispersion of Aprepitant and to make their mouth dissolving tablet for enhancing their solubility. Aprepitant is a selective high affinity antagonist of human substance P /neurokinin 1(NK1) receptors. Spray drying is one of the efficient method for preparation of solid dispersion by dissolving the drug and polymers in a common solvent or solvent mixture and then drying it with stream of heated air flow to remove the solvent. These dosage form dissolve or disintegrate rapidly in pH 6.8 buffer, the super-disintegrants based mouth dissolving tablets of Aprepitant would be quite effective in providing quick onset of action without need of water for administration. The percent drug release of Aprepitant with polymer in different ratios was carried out and 1:3 showed maximum drug release 91.32%. In release kinetics study, the korsemeyer-Peppas model describes drug release kinetics in the most benefitting manner. Mouth dissolving tablets of Aprepitant were prepared by direct compression method using pharmaburst, magnesium stearate and sucralose as excipients. The stability study of tablet was carried out as per ICH guidelines for zone IV for one month and there was no much variation in the in- vitro release behaviour and drug content of tablets at 0 day and after 30 days. The results showed the improved dissolution rate of solid dispersion ascribed to the conversion of Aprepitant into its amorphous form, presence of polymer and reduction in particle size.

Keywords: Aprepitant, Poloxamer 188, Solid Dispersion

A-472

Design Formulation of Bromohexine Loaded Mucoadhesive Bioflexi Layers

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Abstract:

The main aim of this study is Nanosized Bromohexine loaded bio-flexi film for mucoadhesive Drug Delivery was investigated. It possesses Bioavailability: 75-80%, half-life: 12 hrs., Protein binding: 90% and adverse effects of diarrhoea, nausea, vomiting, and other mild stomach side effects. Biopolymer isolated from flowers of Green beans (*Phaseolus vulgaris*) was used to prepare flexi films because of its biodegradability, biocompatibility, non-toxic, non-irritant in nature and no reaction on soft palatal surface. Physicochemical Characterization of biopolymer was carried out. The biopolymer displayed inbuilt properties and can be used as a bio-film forming functional excipient. Flexi films were prepared by economic and reproducible solvent casting technique. Drug to Polymer ratio was chosen at five levels for *Phaseolus vulgaris*; FB1 (1:1), FB2 (1:2), FB3 (1:3), FB4 (1:4), FB5 (1:5) Sodium CMC FS1 (1:1), FS2 (1:2), FS3 (1:3), FS4 (1:4), FS5 (1:5). Evaluation Parameters showed % yield of Biopolymer of 2.6%, Weight uniformity of bio-flexi films 15.0 to 30.0mg, thickness from 0.15mm to 0.025mm, folding endurance from 147 to 498 indicating high flexibility of flexi-patches. pH was found in the range of 7.2, which is in the range of physiological pH, so prepared formulations suitable for soft palatal formulation. On the basis of *In-vitro* release best formulation was selected and preferred for *In-Vivo* study. On the basis of evaluations parameters FB3 (1:3) (*Phaseolus vulgaris*) was selected as Best Formulation having R^2 (0.9813) Peppas Korsmeyer, follows anomalous transport release mechanism, T 50%: 12.60 hrs., T80%: 20.17 hrs.

Keywords: Bioflexi Layers, Nanosized Bromohexine, Soft Palatal Delivery, *Phaseolus Vulgaris* Biopolymer

A-473

Quality by Design Optimization: Study the Effect of Preparation Parameters on Development of Losartan Potassium Microparticles

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Abstract:

In the present protocol, the effect of two critical process parameters that affect the formulation of microspheres of losartan potassium with desired values of response variables was investigated by central composite optimization design

through application of Design Expert® software Two effective independent variables drug:polymer ratio and stirring speed were selected to assess their impact on mean particle size, entrapment efficiency, and drug release of microparticles. The microparticles were developed by emulsion solvent evaporation process employing Eudragits. Validation of optimization model and Statistical interpretation of results was done using Analysis of Variance (ANOVA) which indicated that the independent variables had significant effect on response variables. Optimized formulation demonstrated close agreement amongst experimental and predicted responses with high desirability factor. Microparticles were obtained as discrete spherical particles of smooth surface. The optimized formulation showed satisfactory yield, mean particle, entrapment efficiency and prolonged drug release over 12 hours. In conclusion, optimized formulation of microparticles containing Losartan potassium was successfully optimized and evaluated using quality by design approach.

A-474

Development of Bexarotene-Carbapol Topical Gel for Effective Treatment Of Cutaneous T-Cell Lymphoma

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Abstract:

Bexarotene, a novel retinoid with a high affinity to the retinoid X receptor, was approved by the US Food and Drug Administration (FDA) in 1999 for treatment of patients with refractory MF. Monotherapy at a dose of 300 mg/m² per day was shown to produce response rates of 20% to 67% in a randomized open-label multi-center trial. Gels have gained great interest for controlled topical and systemic delivery of drugs. Formulations of acyclovir gels were prepared with different Carbapol grades at various concentrations. The prepared gels were evaluated for its texture, drug content, pH, spreadibility, viscosity. The gel prepared with Carbapol 940 was found promising for delivery of Bexarotene. The gel has smooth and no greasy feeling and no irritation to skin. In 2%w/v concentration has optimum viscosity and spreadibility. The gel formulation shown slow drug release advantageous over marketed ointment or creams. The results of the characterization and evaluation established the safety for use, suitability and compatibility of carbapol 940 as a gelling agent with Bexarotene.

A-475

Formulation and Enhancement of Solubility and Bioactivity of Anticancer Drug Flutamide

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Abstract:

The aim of the present work was to enhance solubility of poorly water-soluble Flutamide drug by preparing stable nanoparticles. Nanotechnology is considered as a promising area to develop targeted drug delivery system using particulate systems as carriers for small and large molecules. Anticancer nanoparticles are good drug carriers because of their good biocompatibility and biodegradability, and can be readily modified. As a new drug delivery system, they have attracted increasing attention for their wide applications in loading protein drugs, gene drugs, and anticancer chemical drugs, and also provide versatile routes of administration including oral, nasal, intravenous, and ocular. The solubility of Flutamide was determined by mixing an excess quantity of drug with approximately 2 mL of the solvent which was taken in a screw-capped bottle.

Keywords: Flutamide, Nanoparticle, Solubility

A-476

A Review on the Importance of Photodynamic Therapy for the Treatment of Cancer

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Abstract:

Photodynamic therapy is a specialized treatment by a tumor localizing photosensitizing agent, which may require metabolic synthesis (i.e., a prodrug), followed by activation of the agent by light of a specific wavelength. It requires the use of harmless visible light, combined with a light sensitive dye. The excited electron in the photosensitizer triplet state may first obtained correct spin orientation and then fall to ground

levels, giving rise to phosphorescence. This therapy results in a sequence of photochemical and photobiologic processes that cause irreversible photodamage to tumor tissues. Results from preclinical and clinical studies conducted worldwide over a 25-year period have established photodynamic therapy as a useful treatment approach for some cancers. We have attempted to conduct and present a comprehensive review of this rapidly expanding field. Various clinical application for antibacterial PDT have been proposed and tested in vivo. It also eradicates fungal pathogens like *Candida albicans* and viruses like Herpes viruses. This therapy also deals with the treatment of skin wound and burn infections. Mechanisms of subcellular and tumor localization of photosensitizing agents, as well as of molecular, cellular, and tumor responses associated with photodynamic therapy. PDT also combats with parasitic and protozoans' pathogens (*Trypanosoma cruzi* and *Plasmodium falciparum*) which could be removed from blood through PDT blood sterilization.

Keywords: Tumour Localizing, Photosensitizing, Triplet, Pathogens, Spin Orientation

A-477

Development and Evaluation of Nanosized Atorvastatin Loaded Bio-Flexy Films for Trans-Nabhi Delivery

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Abstract:

The objective of this research was to formulate and evaluate bio-flexy films using Atorvastatin as a model drug. Atorvastatin is an antilipemic agent acting as HMG-CoA reductase inhibitor, which works by reducing the production of certain fatty substances in the body, including cholesterol. It undergoes a first-pass metabolism following oral administration. Oral formulations of Atorvastatin with various dosage are available but these require treatment for prolonged duration. A novel bio-polymer was isolated from natural source, *Phaseolus vulgaris* and bio-flexy films were prepared. Five formulations (AP1, AP2, AP3, AP4, AP5) were formulated of different ratios (i.e. 1:1, 1:2, 1:3, 1:4, 1:5) using nanosized Atorvastatin and other co-processing agents. Experimental results revealed AP4 as the best formulation by *in-vitro* release study which was conducted over a period of 48 hrs having release mechanism of Anomalous transport with R² value 0.9987 and Zero Order as best fit model

The result was concluded that prepared bio-flexy films possess bioadhesive property and maximum concentration of drug was released through them.

A-478

Review on the Liposomes

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Abstract:

Liposomes are spherical shaped vesicles usually between 15nm and 1000nm in diameter consisting of one or more phospholipid bilayers and were first described in the mid-60s. Liposomes are small artificial vesicles that can be created from cholesterol and natural non-toxic phospholipids. Due to their size and hydrophobic and hydrophilic character, liposomes are promising systems for drug delivery. Liposomes are studied for their potential in both laboratory techniques as well as medical applications. Among several talented new drug delivery systems, liposomes characterize an advanced technology to deliver active molecules to the site of action, and at present, several formulations are in clinical use. Liposomes are extensively used as carriers for numerous molecules in cosmetic and pharmaceutical industries. Moreover, liposomes may have one or bilayer membranes. The vesicle size is an acute parameter in determining the circulation half-life of liposomes, and both size and number of bilayers affect the amount of drug encapsulation in the liposomes. Advances in liposome design are leading to new applications for the delivery of new biotechnology products, for example cloned genes, and recombinant proteins. Liposomes are showing particular promise as intracellular delivery systems for anti-sense molecules, ribosomes, proteins/peptides, and DNA. Liposomes with enhanced drug delivery to disease locations, by ability of long circulation residence times, are now achieving clinical acceptance. Liposomes have flexibility to couple with site-specific ligands to achieve active targeting.

Keywords: Liposomes, Phospholipid Bilayer, Encapsulation

A-479

Formulation and Evaluation of Microemulsion based Nasal Spray of Antipsychotic Agent

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Abstract:

Iloperidone (ILO) is an atypical antipsychotic agent approved by the US FDA in May 2009 for the treatment of adults with schizophrenia. Iloperidone is a dopamine D2 and 5-HT2A receptor antagonist and acts as a neuroleptic agent. ILO has poor aqueous solubility (0.00304mg/ml), low and it undergoes extensive first pass metabolism which leads to a lower oral bioavailability (36%). These challenges limits its use in a conventional oral delivery. Microemulsion (ME) are being explored since decades for its ability to improve aqueous solubility and permeability of small molecules. Microemulsions are thermodynamically stable formulations comprising an oil phase, water phase, surfactant and co-surfactant. Moreover, microemulsion have ease of manufacturing and industrial scalability. Firstly the solubility of Iloperidone in different oils, surfactants (S) and co-surfactants (CoS) have been estimated. ME systems were tried with component with highest solubility of Iloperidone. ME System with biggest microemulsion region was optimised for drug loading and studied in depth for particle size, zeta potential, drug content, pH, in-vitro drug release and nasal permeation. To increase the retention of formulation in nose in situ gelling agent or mucoadhesive polymer will be incorporated into the formulation. Final formulation will be characterised for viscosity, spray pattern, plume geometry and stability as per ICH guidelines.

Keywords: Iloperidone, Microemulsion, Nasal Spray, Bioavailability

A-480

Pharmacosomes: An Emerging Novel Vesicular Drug Delivery System for Poorly Soluble Synthetic drug

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Abstract:

Pharmacosome is a neoteric advancement in the terrain

of solubility improvement of drugs. This amazing accession in the vesicular drug delivery system has unique precedence over conventional drug delivery system. It may be defined as a neutral molecule possessing positive and negative charge with water-loving and fat-loving properties, and an optimum ratio of polyphenol with phospholipids in a complex form. It enhances drug permeation through bio-membranes resulting in improving bioavailability, pharmacokinetic and pharmacodynamic properties of drug. One of the approach for producing pharmacosomes is to incorporate a hydrophobic drug into a polymer fabricated from a glycol and aspartic acid derivative resulting in formation of a eco-friendly micelle drug conjunct. Recently, "vesicular constructs" was formulated with the help of stoichiometric concentrations of phosphatidyl ethanolamine along with phosphatidyl choline and small amount of cholesterol to encapsulate antibiotic amoxicillin in aqueous domain which significantly enhanced cytoprotection. Conjugate of Puerarin, an isoflavone used in conditions like, aches, diabetes, heart disease, respiratory infections has been prepared by SCF technology. The complex demonstrated more rapid dissolution, better particle size and morphology.

Keywords: Vesicular Constructs, Puerarin, SCF Technology

A-481

Formulation of Monodisperse (Micro) Spheres Contain Lamivudine for Extended Release Dosage Form

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Abstract:

Oral delivery of lamivudine as a therapeutic drug would significantly improve the quality of life of HIV patients who would otherwise receive multiple daily doses. The oral delivery of lamivudine, however, is still limited in its delivery efficiency which could be due severe adverse effects and high dosing frequency, in an attempt to improve the delivery efficiency, the lamivudine loaded micro spheres for control release medication were designed and constructed through ionic gelation technique employing sodium alginate alone and in combination with Sodium CMC and HPMC to retard drug release by forming polymer matrix. The resulted micro spheres of formulation F3 containing Drug and HPMC in the ratio (1:5) with particle size ~200 μm

could easily be reverted to discrete from aqueous solution. Surface morphology of microspheres was found to be Smooth and porous. Entrapment efficiency (84%) was found to be excellent with controlled drug release (~12 h). *In vitro* kinetics reveals that drug release from formulation followed zero order kinetics with non-fickian diffusion. These results suggested that the lamivudine microspheres are promising and should be investigated further in the near future as an effective oral delivery system.

Keywords: Microspheres Contain Lamivudine, Ion Gelation Technique, Extended Release

A-482

Modification of Pharmacokinetic Parameters of Effervescent Floating Tablets of Antiretroviral

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Abstract:

Model Drug is an antiretroviral is commonly used in the treatment of HIV infected patients, which are showing better absorption in the stomach. Gastric floating drug delivery systems (GFDDS) offer numerous advantages over other gastric fluids and thus remain buoyant in the stomach without affecting the gastric emptying rate for a prolonged period of time. In the present work, effervescent floating tablets of different formulation were developed with an objective of achieving 24 hours floating time. Using hydrophilic polymers like HPMC (K4M), HPMC (K100M) & hydrophobic polymers like Chitosan. Polymer with lower viscosity (HPMC K₁₀₀M) was shown to be beneficial than higher viscosity polymer (HPMC K₄M) in increasing the floating properties of GFDDS. The GFDDS were developed in the form of tablets comprising of an effervescent agent, swellable polymer and binding agent. The formulations were evaluated for various physical parameters, buoyancy studies, dissolution parameters and drug release Profile. Formulation F10 showed maximum floating time of 24 hours and gave slow and sustained drug release of lamivudine.

Keywords: Antiretroviral, FDDS, Buoyancy, Gastric Residence Time

A-483

Pamam Dendrimeric Delivery of Doxorubicin

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Abstract:

The present investigation has been carried out to develop formulation of Doxorubicin as a model drug with Dendrimer. The 3.5 G (diamino-butane core) PAMAM dendrimer was used as a polymer. PAMAM dendrimer are composed of a central core (diamino-butane) with an amine terminal units that extend outward in a symmetric fashion and consist of a generations of these units. As such, the dendrimer contain both primary amines located in the outermost shell as well as amides throughout the interior. The interaction between dendrimer and drug confirmed by FTIR Spectra in this the broad peak at 3400cm^{-1} is strong evidence of NH_3^+ showing the electrostatic association of Drug with Dendrimer. The particle size analysis and Zeta potential shows the particle size 47.10 nm and 24.3mV respectively. The *in-vitro* release of the drug was found to be 87.7%. The stability study was performed to confirm the stability of the formulation which shows no significant change in colour and drug content. The pharmacokinetics study has shown that the formulation (18 hrs) is having increased half life than the pure drug formulation (15 hrs).

Keywords: PAMAM, Dendrimer, Doxorubicin

A-484

Formulation and Evaluation of Enteric Coated Pellets of Pantoprazole Sodium by Extrusion and Spheronization Method

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Abstract:

Pantoprazole sodium is proton pump inhibitor and used as antiulcer agent. The study was undertaken with an aim to formulate pantoprazole sodium enteric coated pellets. Before going to develop the formulation a detail product literature review was carried out to know about the MUPS and type of dosage form available in market. The present study was focused to formulate delayed release capsule by MUPS Technique.

Average pellets size was determined by sieve analysis and found to be 1680-1200 microns (ASTM sieve no. 12-16). Sieve analysis was the essential step before coating. Because uniform sized pellets undergo effective coating. The result indicates a effective enteric coating and delay the drug release, with 32% acryl eze solution, is possible. The formulation developed can further be worked on. For identifying a best formulation for delayed release pellets of pantoprazole sodium.

A-485

Lipid Based Microscopic Liposphere Delivery System for Cefixime – An Antibiotic Evaluation

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Abstract:

Lipospheres which represent novel drug delivery vehicles are water-insoluble lipid spheres forming a solid hydrophobic core, with a layer of phospholipids embedded on the surface of the core. In this present study lipospheres of Cefixime is formulated by using lipid as a colloidal carrier. The prepared lipospheres were evaluated for their physicochemical characteristics encapsulation efficiency, loading capacity, SEM, DSC, XRD and *in - vitro* drug release. Antimicrobial activities were evaluated against *Pseudomonas aeruginosa*, *Klebsiella pneumonia*, *Escherichia coli*, *Salmonella paratyphii* and *Staphylococcus aureus* using the agar diffusion method. The shape of microspheres was found to be spherical, drug entrapment efficiency of various batches of microspheres was found to be ranging from 65 to 90 %. The *in vitro* drug release studies of optimized batches were carried out for up to 24 h using phosphate buffer pH 7.4 showed 71-85% drug release. The antimicrobial activity was very high especially against *Pseudomonas aeruginosa* when compared to other test organism. These strongly suggest that the formulation retains its bioactive characteristic. This study strongly suggest that the issue of Cefixime stability and poor adsorption in oral formulation could be adequately addressed by tactical engineering of lipid drug delivery system such as liposphere.

Keywords: Cefixime, Liposphere, Melt Dispersion, Scanning Electron Microscopy, Antimicrobial Activity

A-486

Formulation and Evaluation of Sustained Release Granules of Nitazoxanide

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Abstract:

The advantages of controlled release products are well known in the pharmaceutical field and include the ability to maintain a desired blood level of a medicament over a comparatively longer period of time. While many oral controlled and sustained release formulations are already known, certain drugs that are relatively insoluble in water and which further have relatively high dose requirements (based on weight) present formulation difficulties which render them unsuitable for inclusion in sustained release formulations. Nitazoxanide, a high dose, water insoluble antiprotozoal drug, is commercially available as immediate release dosage form. There is still a need in the art of formulation of sustained release dosage forms to formulate insoluble antiprotozoal that have enhanced bioavailability and provide suitable release profiles of the drug. The study was undertaken with the aim to formulate and evaluate Nitazoxanide sustained release granules using HPMC grades of polymer as retarding agent. These granules were coated with bees wax/cetyl alcohol. The in vitro dissolution studies were carried out with granules equal to 500 mg drug, using USP apparatus type I (Basket) at 100 rpm. Using 750 ml of 0.1N hydrochloric acid for the first 2 hours followed by 1000 ml of phosphate buffer pH 6.8 from 3 to 24 hours, medium maintained at 37°C ± 0.5°C. A sustained release profile was shown up to 24 hrs. The slope value of more than 0.7, however, appears to indicate a coupling of diffusion and erosion mechanisms – so called anomalous diffusion.

Keywords: Controlled release, Sustained release, Oral, Nitazoxanide, Antiprotozoal

A-487

Modification of Pharmacokinetic Parameters of Anti-Nauseant Extended Release Matrix Tablet

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Abstract:

The present work was aimed to Formulation,

Development and Evaluation of Anti-Nauseant Extended Release Matrix Tablet. The absorption maximum of Model Drug was measured in 0.1 N HCl and was found to be 249 nm. The drug and polymers were subjected to physical compatibility studies and were found to be compatible with each other. Seven batches (F₁-F₇) were prepared by Wet granulation method in the present study. The Tablets of all batches passed the test of Percentage Weight variation, Thickness, Friability, Hardness, % Drug Release, Assay and Content Uniformity and were found to comply with the standard values. The result obtained in the present work indicated that the batch F₄ was giving the best release results and in the most optimum trial than other trial. From the result obtained in present work it was observed that all the prepared batches fulfilled the requirement .but the batch F₄ is the best in terms of the release rate studies, hence the batch F₄ was considered of the best formulation. The stability studies of the tablets indicated that the tablets are stable. From the above result, it may be concluded that extended release tablets of model drug may be prepared beneficially. From the present studies, it can be concluded that extended release tablets of model drugs can be prepared successfully.

Keywords: Anti-Nauseant, Wet Granulation Techniques & 0.1 N HCl

A-488

Preparation and Characterization of Vesicular Systems for Transdermal Delivery of an Antihypertensive Drug

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Abstract:

In the current research, vesicular systems for transdermal delivery of an antihypertensive drug were formulated. The objective behind the work was to formulate and evaluate the potential of the vesicular systems to deliver the antihypertensive drug transdermally as an alternative route of drug administration that requires lesser dose and better release over the extended period of therapy. The prepared vesicular systems were evaluated for morphology, vesicle size distribution, entrapment efficiency, vesicles elasticity and zeta potential. IR analysis of vesicles showed that there was no interaction between the drug and polymers during the formulation process. The vesicles were spherical in shape with

a mean diameter ranging between 79 and 98 nm and a fairly narrow distribution (P.I.=0.13-0.18), negative zeta potential values (from -31 to -42) and drug loading capacity between 63 and 74%. DSC studies of formulations suggested that vesicles were in good fluidic state.

Keywords: Transdermal, Vesicular System, IR, Zeta Potential

A-489

Permeability Enhancement of Rosuvastatin by Complexation with Cow Ghee

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Abstract:

The objective of the study is to enhance the bioavailability of rosuvastatin calcium by preparing complex of drug with ghee. The complex of the rosuvastatin in different ratios as 1:1;1:2;1:3;1:4;1:5 with native ghee and as 1:1;1:2 with oxidized ghee by solvent evaporation method. The permeation study was evaluated by everted intestinal method. The permeation of pure drug was found to be 10.74% at 2 hours. In complex ratio (drug :ghee) 1:1 it showed 16.53% drug permeation at 15 minutes, 20.15% at 120 minutes and 36.75% permeation at 135 minutes. In 1:2 (drug :ghee complex) it shows 46.23% drug permeation at 15 minutes, 59.04% at 120 minutes and 63.09% at 135 minutes. In drug: ghee (1:3) % drug permeation at 15 minutes it was 61.50% & increases to 71.23% at 120 minutes, and 85.66% at 135 minutes. In complex 1:4 (drug :ghee) at 15 minutes, the % drug release was 75.38%, 78.43% at 120 minutes and at 135 minutes increasingly it goes to 79.72% at 135 minutes. In drug: ghee (1:5) % drug release at 15 minutes it was 7.58%, 31.33% at 120 minutes and 33.30% at 135 minutes. In drug: oxidized ghee (1:1) the % drug permeation was 31.97% at 15 minutes, 75.86% at 120 minutes which increases as 78.56% at 135 minutes. In drug :ghee (1:2) 18.96% drug permeates at 15 minutes 49.23% at 120 minutes and 50.94% at 135 minutes. Here drug: oxidized ghee (1:1) was higher than the control drug. Thus the prepared complexes of rosuvastatin with cow ghee effectively increased the drug permeation.

Keywords: Permeation, Ghee, Bioavailability, Rosuvastatin.

A-490

Modification of Pharmacokinetic Parameters of Fast Dissolving Tablets of Ketoprofen

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Abstract:

The demand of fast dissolving tablets has been growing, during the last decade especially for geriatric and pediatric patients because of swallowing difficulties. Ketoprofen is a non-steroidal anti-inflammatory drug (NSAID) with analgesic and antipyretic effects. Ketoprofen is generally used for arthritis-related inflammatory pain or severe toothaches that result in the inflammation of the gums. Fast dissolving tablets of ketoprofen were prepared by direct compression method. The tablets were prepared by using sodium starch glycolate, kyon t-314, croscarmellose and crospovidone, as super disintegrants in different concentrations (2-6%). Total twelve formulations were prepared and evaluated for Hardness, friability, weight variation, content uniformity, wetting time, water absorption ratio, disintegration time and invitro drug release. Invitro dissolution studies is performed by using 6.8 pH buffer at 50 rpm by paddle method. F-12 is selected as the optimized formulation after evaluation. The stability studies were performed for two months (accelerated studies) as per ICH guidelines. The Optimized formulation (F12) showed no significant variations for the tablets parameters and it was stable for the specified time period. It was concluded that FDT of ketoprofen can be formulated for analgesic and antipyretic effect.

Keywords: Ketoprofen, Superdisintegrants, Fast Dissolving Tablets, Disintegration Time

A-491

Fabrication and Stability Evaluation of Novel Phyto-Formulation for Bioavailability Enhancement of Plant Active

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Abstract:

Novel formulations have been successfully used in the treatment of a number of dermatological diseases. Incorporation of plant actives into liposome reduces side effects which are associated with the synthetic ones. Steroidal glycoalkaloids (SGAs) are naturally occurring nitrogen containing secondary metabolites found in Solanaceae or "Nightshade" family. In probably the majority of plants which elaborate glycoalkaloids, one of the important aglycone is solanocarpidine. Solanocarpidine possesses good anti bacterial activity. The objective of the present research work is to convert this water insoluble steroidal alkaloid, solanocarpidine into nanotechnology based formulations i.e. liposomes. An attempt has been made to prepare carbopol based liposomal gel of solanocarpidine for topical use for anti-microbial activity. Solanocarpidine was incorporated into liposomes by thin film hydration method. The batch having lipid ratio i.e. Soya lecithin: Cholesterol (3:1); solanocarpidine concentration 65 mg with entrapment efficiency $70.24 \pm 1.2\%$ was finalized. The solanocarpidine was incorporated into liposomal drug delivery system to increase the rate of permeation into the skin. The vesicle size was found to be $4.4\mu\text{m} \pm 0.42$. *In vitro* drug diffusion and skin retention from liposomal gel was found to be $65.158\% \pm 0.60$ and $24.08\% \pm 0.44$ respectively. Stability studies indicated that formulation was stable over a period of 3 months when stored at $2-8^\circ\text{C}$.

Keywords: Thin Film Hydration, Soya Lecithin, Cholesterol, *In vitro* Drug Diffusion

A-492

Influence of Degree of Crystallinity of Cyclodextrin Nanosponges on Drug Loading and Release Characteristics

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Abstract:

In this work, we have prepared nanosponges with high degree of crystallinity through microwave-assisted synthesis. The effects of microwave versus conventional heating on the particle-size distribution, morphology and crystallinity of nanoparticles have been investigated. The nanosponges obtained by different methods were extensively characterized

by use of Fourier transform infra-red attenuated total reflectance spectroscopy (FTIR-ATR), X-ray powder diffraction (XRPD), Differential scanning calorimetry (DSC), Differential Thermal analysis (DTA), High resolution transmission electron microscopy (HR-TEM) and Scanning electron microscope (SEM). FTIR-ATR, XRPD, DSC and DTA studies outlined the structural differences between the nanosponges prepared by different methods. The microwave-synthesized nanosponges exhibited higher degree of crystallinity and narrow size distribution. High-resolution transmission electron microscopy indicates that the nanosponges obtained from microwave-assisted synthesis are highly crystalline and faceted versus their conventionally prepared counterparts. Moreover, the resulting nanosponges were measured with a high loading of quercetin up to 45%. *In vitro* release studies indicated the faster release of the drug from microwave-prepared nanosponges. An extensive structural and performance characterization of cyclodextrin nanosponges revealed the distinct and preferential effects of the microwave heating method.

A-493

Fast-dissolving Sublingual/Buccal Film of Quetiapine: Formulation Development, Optimization and *in vitro* Characterization

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Abstract:

The objectives of the present work were formulation development, optimization and *in vitro* characterization of a fast-dissolving sublingual/buccal film of quetiapine to address its poor bioavailability. Quetiapine is a new short-acting atypical antipsychotic that requires twice daily dosing. However, its poor solubility, high first-pass metabolism and poor oral bioavailability i.e. 9% leads to enhanced dosage frequency, more side effects and poor patient compliance. The sublingual/buccal film of quetiapine was prepared by solvent casting technique and optimized by central composite design (CCD). The concentration of HPMC [A], glycerol [B] and citric acid [C] were selected as the independent variables, while folding endurance of the films [Y_1] and the release profile [Y_2] were identified as the response variables. The film was evaluated for the parameters like weight uniformity, thickness, folding endurance, tensile strength, moisture content, drug content, and *in vitro* release study. The chosen independent variables showed their interaction and dependency on the

selected response variables as reflected by the polynomial equations generated. The developed models were valid within their design parameters with % similarity of 93.08% and 98.53% for Y_1 and Y_2 , respectively. The optimized film formulation had acceptable *in vitro* parameters. It showed the maximum drug release of 95.50% in just 7 minutes. So, the rapid onset of action of drug can be exploited to improve the patient compliance. The present study indicates that the fast dissolving sublingual/buccal film of quetiapine has a huge potential and it can be further explored for *in vivo* efficacy.

Keywords: Quetiapine, Sublingual/Buccal Film, Central Composite Design(CCD), Optimization

A-494

To Prepare and Evaluate Topical Niosomal Gel of Metronidazole

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Abstract:

Niosomes are non-ionic stable vesicular system, which can accommodate both hydrophilic and hydrophobic drugs. Nonionic surfactant vesicles containing metronidazole as an a drug were prepared using by direct sonication technique using Span 20, Span 40 and Span 60 as surfactants. These were taken either alone or in combination in constant proportion of surfactant: cholesterol i.e. 1:1. Prepared vesicles were characterized for encapsulation efficiency, particle size and drug release. The entrapment was followed in the in the order Span 20 < Span 40 < Span 60 that shows Span 60 was the good surfactant among all in incorporating drug into vesicles. The FT-IR spectral analysis suggested that there was no interaction between the drug and formulation additives. All the niosomal formulations were in the size range of nanometers. The prepared niosomal gel using Carbopol 940P batches were studied for rheological behavior, macroscopic examination, vesicle size, shape and for zeta potential.

Keywords: Niosome, Drug Delivery, Surfactant, Metronidazole

A-495

Mitigation of Risk Associated with Pazopanib Hydrochloride Drug Nanocrystals Preparation by using of Lean Six Sigma (LSS) Methodologies

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Abstract:

Six Sigma is a systematic and structured statistical approach to solve problems or reduce variations which refers to 3.4 defects per million opportunities (DPMO). The main goal of the Six Sigma methodology is to increase process performance and decrease variations which lead to defect reductions, high quality products, profit improvement and ultimately performance excellence. Pazopanib hydrochloride is BCS class II compound which is having low aqueous solubility in the gastrointestinal environment. In the present study, Pazopanib hydrochloride nanocrystals were manufactured using wet ball milling (WBM) technique and these nanocrystals were sprayed onto solid mass using top spray granulator and converted into solid mass. We have applied six sigma methodologies using DMAIC approach & various statistical tools to study the process capability and performance during the preparation of drug nanocrystals which were manufactured using wet milling technology. Initially, the Quality target product profile (QTPP) was prepared based on the desired performance characteristics and drug product critical quality attributes (CQAs) were identified. The different three trials were executed and the capability analysis was done using JMP software. The results shows that , the Ppk, lower bound Ppk with 95% confidence level and worst point percentile was found to be 4.38 & 30.41, 0.76 & 5.40 and 54.5% & 21.5% for assay and related substances, respectively.

Keywords: Lean, DPMO, Six Sigma, CQAs, DMAIC, Ishikawa Diagram, WBM

A-496

Preservatives: Used in Pharmaceutical Formulations

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Abstract:

For several decades pharmacist have been aware of the need to protect their products against microbial contamination but it is only during the last one or perhaps two decades the serious thought of has been applied to the science of preservation. Preservatives are commonly used as additives

in pharmaceutical products, food and cosmetics. Some of the liquid preparation are susceptible to microbial contamination because of the nature of ingredients present in it. Such preparation are protected by preservatives which avoids degradation and alteration of the product. A preservative is a natural or synthetic chemical added to various products which helps to prevent microbial decomposition. Present article deals with the study of ideal properties, classification, mechanism of action, Pharmaceutical applications and its impact on health of various preservatives used in pharmaceuticals.

Keywords: Preservatives, Preparations, Additives

A-497

Formulation and Characterization of Fucose Anchored Solid-Lipid Nanoparticle Mediate Efficient Delivery of Docetaxel

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Abstract:

Solid Lipid Nanoparticles (SLNs) are relatively novel class of nanocarriers with the advantages including high biocompatibility, better target-ability, low toxicity, better stability, over existing drug carrier(s). SLNs the particulate drug carrier system may improve therapeutic efficacy and safety of chemotherapeutic agents. They have been used as potential carriers for anti-cancer drugs. The present study is designed to evaluate fucose anchored Docetaxel loaded solid lipid nanoparticles (SLNs) to target breast cancer. SLNs were prepared by hot micro emulsion method. Fucose coating over SLNs-DXL was carried out using technique associates ring opening of carbohydrates moiety followed by reaction of its aldehyde group with free amino groups present at the facade of prepared SLNs-DXL. The developed nanoparticles were characterized with respect to particle size, zeta potential, drug entrapment, etc. Therefore, present study has been attempt to formulate fucose anchored DXL loaded SLNs. The ligand anchoring of SLNs aimed for achieving target specific delivery systems to improve anti-tumor efficacy of DXL in breast cancer.

Keywords: Solid Lipid Nanoparticles, Fucose, Docetaxel, Breast Cancer

A-498

Development and Characterization of Stomach

Specific Mucoadhesive Drug Delivery System of Baclofen

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Abstract:

Baclofen, a centrally acting skeletal muscle relaxant indicated in the long-term treatment of spasticity. It is difficult to formulate Baclofen sustained release dosage forms because its low or nonexistent absorption on arrival to colon (or even before) is. In the present investigation efforts were made to improve the bioavailability of Baclofen by increasing the residence time of the drug through sustained-release matrix tablet formulation via gastroretentive mechanism. Tablets were prepared by direct compression technique. These tablets were subjected for evaluation of weight variation, thickness and hardness, content uniformity, swelling index, mucoadhesive force, mucoadhesive retention period and in vitro drug release. Formulation of B8 which were formulated by using polymers, Sodium Alginate, HPMC K100M, Carbopol 974P and Ethyl cellulose provided controlled release of Baclofen over the period of 12 hrs. The release mechanisms were explored and explained by applying zero order, first order, Matrix, Higuchi and Korsmeyer equations. The cumulative % of drug release of formulation B8 was found to be 70.79 per cent. The mucoadhesive studies showed that formulation batch B8 and B1 show good mucoadhesive strength (g) and mucoadhesive retention period (min). For all formulations, kinetics of drug release from tablet followed by Matrix and Korsmeyer Peppas model, which states that the release of might follow Non-Fickian diffusion as predominant mechanism of drug release.

Keywords: Baclofen, Skeletal Muscle Relaxant, Mucoadhesive Polymer and Mucoadhesive Retention Periods

A-499

Formulation and In-Vitro Evaluation of Pravastatin Solid Lipid Nanoparticles

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Abstract:

Solid lipid nanoparticles are typically spherical with an average diameter between 1 and 1000 nm. It is an alternative carrier system to tradition colloidal carriers, such as, emulsions, liposomes, and polymeric micro and nanoparticles. Recently, solid lipid nanoparticles have received much attention by the researchers owing to its biodegradability, biocompatibility and the ability to deliver a wide range of drugs. The reason for better treatment with SLNs might be the significant uptake of SLNs by due to smaller size and its lipidic nature. Pravastatin sodium is an cholesterol lowering agent used in the treatment of hyperlipidemia. It is administered through oral route and the dose is 10mg. The oral bioavailability is 17% and half life is 1-3hrs. It is rapidly excreted through the renal route. The aim is to increase the bioavailability of Pravastatin sodium, solid lipid nanoparticles of Pravastatin sodium were prepared by hot homogenization technique using lipids (Trimyristin, Compritol and glyceryl monostearate) with soylecithin surfactant and poloxamer 188 as stabilizer. The prepared formulations have been evaluated for entrapment efficiency, drug content, *in-vitro* drug release, particle size analysis, Fourier transform-infrared studies, and stability. The optimization is based upon the range of particle size, zeta potential, and drug release studies. The nanoparticles possess negative surface charge and were enough magnitude for stable preparations. *In vitro* drug release studies in Phosphate buffer of pH 7.4 exhibited initial burst effect followed by a sustained release of Pravastatin. A solid lipid nanoparticle formulation containing drug pravastatin sodium and lipid Compritol, stabilized with poloxamer 188 as surfactant showed prolonged drug release, smaller particle size, as compared to other formulations with different lipids.

Keywords: Pravastatin Sodium, Bioavailability, Entrapment Efficiency, *In-vitro*

A-500

Formulation and Evaluation of Dispersible Tablet of Monteleukast Sodium

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Abstract:

Monteleukast sodium is most commonly used in treatment of asthma. It mainly prevents leukotriene mediated

effect associated with asthma. Dispersible tablet are film coated or uncoated tablet that can be dispersed in liquid medium before administration, giving a homogenous dispersion. Dispersible tablet were prepared by using a direct compression method employing super disintegrating agent such as cross povidone , cross carmellose sodium and sodium starch glycolate , the tablet were prepared using various diluents like MCC and lactose. Magnesium stearate and talc is used as a lubricant and glidant.

Keyword: Dispersible Tablet, Monteleukast Sodium, Super Disintegrating Agent, Diluents, Pre-Compression Parameter

A-501

Microballoons: An Innovative Approach in Gastro Retentive Drug Delivery

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Abstract:

Gastric emptying is a complex process and makes *in vivo* performance of the drug delivery systems uncertain. In order to avoid this variability, efforts have been made to increase the retention time of the drug-delivery systems for more than 12 hours. The Microballoons delivery systems are useful in such application. Microballoon sare gastro-retentive drug delivery systems based on non-effervescent approach. Microballoons are in strict sense, spherical empty particles without core and ideally having a size less than 200 micrometer. Microballoons are low-density systems that have sufficient buoyancy to float over gastric contents and remain in stomach for prolonged period. The drug is released slowly at desired rate resulting in increased gastric retention with reduced fluctuations in plasma drug concentration. Microballoons improve patient compliance by decreasing dosing frequency; better therapeutic effect of short half-life drugs can be achieved. These are primarily controlled release drug delivery systems, which gets retained in the stomach for longer periods of time, thus helping in absorption of drug for the intended duration of time. Gastric retentive drug delivery devices can be useful for the spatial and temporal delivery of many drugs.

Keywords: Microballoons, Delivery System, Gastric Retentive

A-502

Optimization and Evaluation of Self Emulsifying Drug Delivery System of Nimodipine

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Abstract:

Oral route has been the major route of drug delivery for the treatment of various chronic diseases. Nimodipine, an antihypertensive, calcium channel blocker, has poor water solubility and the oral delivery is frequently associated with low bioavailability, high intra- and inter-subject variability, and a lack of dose proportionality and therapeutic failure. The bioavailability of nimodipine, having dissolution dependent bioavailability pattern, can be increased by increasing the solubility. Hence an attempt was made to design the Self Micro-Emulsifying Drug Delivery Systems (SMEDDS) in order to achieve an enhancement in solubility. As the absorption window of nimodipine is low in the stomach, an attempt was further made to release the drug specifically into the intestine, which was achieved by incorporating SMEDDS formulation into hard gelatin capsule and coating it with pH sensitive polymeric solution (SMEDDS CAP). Equilibrium solubility studies indicated the choice of Oleic acid as lipid, and of Cremophor RH40 and PEG 400 as emulgents for formulating the SMEDDS CAP. Ternary phase diagram were constructed to select the area of microemulsion and the amounts of lipid and emulgents as the critical factor variables. The SMEDDS were systematically optimized by 3^2 full factorial design.

Keywords: Nimodipine, SMEDDS, SMEDDS CAP, 3^2 full factorial Design

A-503

Liquisolid Technique and it's Applications in Pharmaceutics – A Novel Powder Solution Technology

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Abstract:

The vast majority of the newly discovered drug molecules are lipophilic and ineffectively water-soluble. Improving the disintegration and bioavailability of these medications is a noteworthy test for the pharmaceutical industry. The target of this paper is to demonstrate liquisolid procedure and highlights the advancements of its applications in the pharmacy field. This technique comprise of conversion of powdered drug into apparently dry liquid state which results in free flowing non adherent powder that is easily compressible. Easy processing, low cost and unexplored possibilities in production are main benefits of this approach. This technique has been found useful to slow down drug release in water soluble drugs to maintain zero order kinetics and give sustained release, along with the increased dissolution of poorly water soluble drugs. Some scientists have also reported numerical model articulation to enhance flow properties and hardness of the final product by changing the extent of Avicel® PH 200 and Aerosil® PH 200 in different proportions. This method has also been utilized for water soluble drugs to develop sustained drug delivery systems using hydrophobic non-volatilesolvents as vehicle.

Keywords: Liquisolid Technique, Dissolution Enhancement, Sustained Drug Release

A-504

Design, Development and Evaluation of Fast Dissolving Tablets of Montelukast Sodium

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Abstract:

Asthma is an inflammatory disorder that results in the obstruction of air pathways and causes difficulty in breathing. Among the currently available means of treatment, oral dosage forms are associated with lag time and delayed onset of action. However, aerosols and parenterals have a rapid onset of action but strongly affect patient compliance. Thus, an attempt was made to improve the onset of action of montelukast sodium which is commonly used drug in the treatment of asthma and to determine the right superdisintegrant (Individual or in Co-processed form) and also their optimum concentration. In addition, the synergistic effect of superdisintegrants in the form of co-processed mixtures was also studied. Pre-formulation studies were performed in order to determine the various parameters. Thirty formulations were prepared using variable concentration of superdisintegrant by direct

compression method. Post-compression studies were also performed to evaluate the efficiency of all the 30 formulations, the compressibility index and angle of repose were in the range of 5.635 to 10.661% and 25.95 to 30.54° respectively. Hardness, thickness, friability, wetting time and content uniformity of formulations were in the range as per the official limits and the disintegration time for the formulations ranged from 6.67 to 49.33 sec. The *in-vitro* % drug release, the formulations having a co-processed mixture of natural superdisintegrants depict the highest drug release, more than 99% after 25 min. of dissolution studies.

Keywords: Co-processed Mixtures, Fast Dissolving Tablets, Comparative Study of Superdisintegrants, Natural Superdisintegrants, Synthetic Superdisintegrants

A-505

Extraction and Characterization of Tamarind Gum as a Natural Polymer

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Abstract:

The recent study includes the extraction and characterization of tamarind gum, *Tamarindus Indica* from Tamarind seeds which is used in the pharmaceutical, textile and food industries as a mucoadhesive polymer. It belongs to a Leguminosae family. Aim of the present work is to establish that the Tamarind gum use as a natural polymer. Water based extraction procedure was used to extract polysaccharide from tamarind seed. For characterization of extracted gum, different Pharmacopoeial methods like micromeritic properties, solubility, DSC, FTIR, organoleptic properties and pH is studied. The extracted gum is insoluble in organic solvents like ethanol, acetone, methanol, ether etc as well as cold water which formed the basis of separation and procurement of the gum. It is only soluble in hot water. It yields a highly viscous colloidal solution at temperature above 85°C. It is also found that extracted tamarind gum has good flow properties and pH is 6.6. The tamarind seed polysaccharide is a pH sensitive polymer which being activated only in basic pH. It can be concluded from the whole study and their evaluation that tamarind seed polysaccharide can be an important pharmaceutical excipient which can be used in

solid dosage form without any irritation. Obtained results also showed that extracted seed polysaccharide may be used as natural gelling agents in different pharmaceutical formulations. Future works will focus on the quantitative analysis, biological activity and possible use of Tamarind Seed Polysaccharide as a drug delivery system.

Keywords: Tamarindus Indica, Natural Polysaccharide, Pharmacopoeial Method, Natural Polymer

A-506

Licorice Loaded- Microemulsion: A Novel Approach for Chemoprotective against Forestomach Tumor in Experimental Mice Model

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Abstract:

Dibenzoylmethane (DBM), a minor phytoconstituent found in roots of licorice (*Glycyrrhiza glabra*) has been reported to exhibit antioxidant and chemopreventive effects. It suffers from a problem of poor aqueous solubility and permeability leading to low oral bioavailability. Microemulsion, a novel colloidal carrier was proposed to improve its solubility in order to achieve enhanced oral bio distribution and efficacy. The microemulsion was prepared using peppermint oil and Tween 20 as oil phase and surfactant respectively. The spherical globules of microemulsion depicted a mean globule size of 157 nm and polydispersity index (PDI) of 0.715. The results of *ex vivo* intestinal permeation using *non everted* intestinal sac technique demonstrated 5.7 time enhancement in intestinal permeability from micro emulsion. During the *in vivo* chemopreventive evaluations using benzo(a)pyrene [B(a)P] induced forestomach tumors in mice model, the treatment with DBM micro emulsion, resulted in almost 100% reduction in tumor incidence after the last dose of Benzo(a)Pyrene. The histopathological studies suggested the regression of stomach tumors after treatment with DBM microemulsion. Also, the biochemical estimations for oxidative stress markers depicted its improved efficacy in chemoprevention. The above results suggested that oral microemulsion formulation augmented the permeability and effectiveness of DBM as potential chemopreventive agent.

Keywords: Microemulsion Dibenzoylmethane Licorice Benzo(a)pyrene Chemopreventive

A-507

Preparation and *In-Vitro* & *In-Vivo* Evaluation of Fexofenadine Hydrochloride Orodispersible Films

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Abstract:

The aim of present study of this research was to select a suitable drug with negligible side effects and to formulate and evaluate it as Orodispersible films and to improve cumulative drug release. Fexofenadine Hydrochloride is a non sedative, no impairing antihistamine used to treat seasonal allergic rhinitis (sneezing, runny nose, itchy nose, palate and throat or watery eyes), and urticaria (hives). Unlike most other antihistamines Fexofenadine Hydrochloride does not cross the blood brain barrier and therefore will not cause any drowsiness which can gradually effect child's learning ability, drowsiness can also interfere with driving vehicles or operating machinery. Orodispersible films were formulated using different polymers like HPMC E3 and HPMC E6 in different concentrations by Solvent Casting method; these films were evaluated and all the physical characteristics were within the acceptable limits.

Keywords: Fexofenadine Hydrochloride, Oro Dispersible Films, HPMC Polymers

A-508

Glipizide Loaded Stearic Acid-Coupled F127 Nanoparticles as an Effective Antidiabetic Agent for Controlled Drug Release

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Abstract:

The glipizide nanoparticles have been prepared by solvent evaporation technique using stearic acid-coupled F127 copolymer and polyvinyl alcohol. The prepared glipizide nanoparticles were subjected to various studies

for characterization including particle size analysis, FTIR, XRD, DSC and SEM. *In vivo* studies with best-optimized batch were performed in Wistar albino rats. These studies favorably revealed that the mean particle diameter of optimized glipizide nanoparticles was 249.30 ± 3.20 nm, poly dispersity index 0.187 ± 0.0157 , zeta potential -19.86 ± 0.586 mV and had spherical morphology with amorphous nature. The results of FTIR and DSC analysis showed that there was no significant interaction between drug and excipients. The optimized glipizide nanoparticles demonstrated favorable *in vitro* controlled drug release characteristics. The *in vivo* toxicity study in Wister albino rats showed no mortality. The formulated nanoparticles of glipizide could be able to manage sugar level in streptozotocin-induced diabetes in Wister albino rats compared to conventional glipizide and support the *in vitro* controlled drug release pattern. The copolymer selected for this study was found to be a good carrier for nanotechnology-based controlled release drug delivery system.

Keywords: Glipizide, Nanoparticles, Solvent Evaporation, Streptozotocin

A-509

Development and Evaluation of Salbutamol-Loaded Sodium Alginate-Pectin Floating Beads

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Abstract:

In the present study, an attempt has been made to development and evaluation of salbutamol-loaded sodium alginate-pectin based floating beads for the gastro-retentive system. The floating property contributed mainly by the reduction in the density of beads due to entrapped air during beads preparation. The effect of polymer concentration studies showed an increase in bead size and decrease in beads density with increase of pectin amounts in the formulation. The effect of sodium alginate and pectin weight masses on drug loading efficiency (% DLE) and % drug release ($\%R_{6h}$) was analyzed by 32 factorial designs and optimization indicated mainly the effect of pectin concentration on these properties. The optimized formulation showed % DLE of $95.17 \pm 0.87\%$, $\%R_{6h} > 85\%$, size 3.65 mm, and density equals to 0.40 g/cm³. *In vitro* flotation studies of beads showed good floating time > 6 h for all batches with a lag time of ~10 minutes. The *in vivo* studies of formulation

showed stomach-retention over a prolonged period of >6 h.

Keywords: Floating Beads, Air-Injection Method, Salbutamol Sulfate, Sodium Alginate-Pectin

A-510

Formulation and Evaluation of Liposomes containing Artesunate

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Abstract:

The purpose of the present study was to formulate effective liposomal formulation of artesunate, which is a lipophilic anti-malarial drug having a short life of 2-3 hours after oral administration. Thin film hydration method was used for the preparation of artesunate encapsulated conventional and PEGylated liposomal suspension. During the study various ratios of drug and lipid were utilised to formulate liposomal suspensions. Particulate size, zeta potential, encapsulation efficiency and *in-vitro* drug release studies were carried out for all the formulations. Drug encapsulation of PEGylated liposome were found to be higher than conventional liposomes, while zeta potential of normal liposomes was more negative than PEGylated liposomes. *in-vitro* drug release studies were carried out for 16 hours where PEGylated formulations showed more sustained release in comparison of conventional formulation. While the conventional formulation followed zero order release, the PEGylated formulation showed a Higuchi model.

A-511

Preparation and Evaluation of Porous Starch Foam of Quercetin

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Abstract:

The present study was aimed to enhance the solubility and permeability of lipophilic drug Quercetin, belonging to BCS class-IV. The biodegradable porous starch foams (BPSF) was prepared by gelling of starch suspension followed by addition

of ethanol to maintain the porous structure of the gel. Tween 80 was also incorporated. Quercetin was then loaded to these biodegradable porous starch foam. Drug loaded biodegradable porous starch foam was characterized by solubility and differential scanning calorimetry. A many fold increase in solubility of the drug was found. The *in-vivo* pharmacokinetics studies was carried out using male Wistar rats. The pharmacokinetics study revealed higher C_{max} (2.5 times) in biodegradable porous starch foam loaded with Quercetin. Hence it may be concluded that biodegradable porous starch foam may be used to enhance the solubility and bioavailability of lipophilic drugs.

Keywords: Quercetin, Solubility Enhancement Technique, Biodegradable Porous Starch Foam

A-512

Formulation and Evaluation of Fast Dissolving Tablets containing Aceclofenac using Natural Superdisintegrant Fenugreek Gum

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Abstract:

In the current research, fast dissolving tablets containing Aceclofenac using natural superdisintegrant fenugreek gum was formulated. Fast disintegrating drug delivery system offers a solution for those patients having difficulty in swallowing tablets/ capsules etc. Aceclofenac (anti-inflammatory and analgesic) was selected as the model drug. The poor aqueous solubility of the drug results in variable dissolution rate and hence poor bioavailability. The objective of the study was to extract the fenugreek gum and compare its disintegration efficiency with widely used synthetic superdisintegrants in the formulation of FDTs. Aceclofenac drug having low bioavailability and caused gastric irritation. FDT increases bioavailability, and as the absorption site is mouth, it reduces the gastric irritation. The prepared formulations of FDT were evaluated for various physical tests like weight variation, friability, hardness and results complied with the limits. Among all the formulations F3 containing fenugreek gum with the concentration of 5% shows least disintegration time (21 Sec.), maximum drug content (99.64%) and drug release rate (98.05%) in 15 minutes. Hence it was considered as optimized formulation. It was concluded that the FDT of the poor soluble drug using natural superdisintegrants showing enhanced dissolution rate as compared

to synthetic superdisintegrant and hence better patient compliance and effective therapy.

Keywords: Aceclofenac, Fenugreek Gum. Superdisintegrants

A-513

Formulation and Evaluation of Mucoadhesive *In-situ* Nasal Gel of Cyclobenzaprine Hydrochloride

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Abstract:

The aim of the study was to formulate and develop thermoreversible nasal in situ gel of Cyclobenzaprine hydrochloride by cold method which may improving the bioavailability and avoidance of the first pass metabolism. Thermoreversible nasal in situ gel of Cyclobenzaprine hydrochloride containing Poloxamer 407 was used as the gelling agent gives excellent thermo sensitive gelling effect and Hydroxyl Propyl Methyl Cellulose K4M was used as a mucoadhesive Polymer gives good mucoadhesivity to the formulation and increase nasal residence time of the formulation. Quick release of drug was achieved by PEG 400 used as a permeation enhancer. 3² Factorial designs were apply for optimization of the concentration of HPMCK4M and PEG400. In situ gel based formulation of Cyclobenzaprine Hydrochloride was evaluated for clarity, pH, Drug Content, Gelling Temperature, mucoadhesive force,% drug release ,histopathological study and stability study. An optimized formulation containing 18% poloxamer 407, 0.4 % HPMCK4M and 1% PEG was found to be good in terms of clarity, pH (5.8), gelation temperature (32°C), mucoadhesive force (736 Dyne/cm²), Drug content (96.87),% Cumulative drug released (94.05% in 5 hr with a flux of 0.122 mg/cm²/min) and had no cellular damage as indicated by histopathological study. The optimized formulation was stable for 21 days in accelerated conditions.

Keywords: Cyclobenzaprine Hydrochloride, Thermosensitive, Mucoadhesive, Nasal Insitu Gel, Sustain Release

A-514

Design, Development and Evaluation of Drug

Loaded Quantum Dots

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Abstract:

Quantum dots (QDs) are inorganic semiconductor nanoparticles from periodic table possess tunable optical and electrical properties. They are emerging as a new class of fluorescent probes for biomolecular and cellular imaging. The aim of present study was to develop QDs for curcumin delivery and to investigate its pharmacokinetics in wistar rats. QDs of zinc sulphate were prepared by colloidal synthesis method. The XRD, SEM results stated that developed QDs were crystalline in nature and oval in shape respectively. The polydispersity index of uncoated and coated QDs was 0.327 & 0.316 respectively, hence particles were monodisperse. The curcumin loading and chitosan coating efficiency of QDs were found 96.87% and 86.00% respectively. By using fluorescence spectrophotometer the obtained wavelength for uncoated and coated QDs was 495 nm, hence the developed QDs showed same fluorescence at the same wavelength. The optimized ratio of QDs to drug was found to be 1:2. The particle size of curcumin loaded and chitosan coated QDs was found 280.2nm and 443.8nm respectively whereas zeta potential was found -6.58mv and -4.94mv respectively. Pharmacokinetic parameters of formulated QDs were studied with respect to curcumin suspension and it is best fitted in one-compartment open model. AUC of developed QDs (788.59 µg/ml/min) was considerably greater than curcumin suspension (608.73 µg/ml/min). Half-life of curcumin suspension and developed QDs was found to be 74.19min and 92.56min. Thus, QDs can be used successfully to delivery curcumin and the bioavailability of curcumin can also be improved.

Keywords: Curcumin, Chitosan, Zinc Oxide, Quantum Dots, Targeted Drug Delivery

A-515

Preparation and Characterization of Pectin Microspheres of 5-Fluorouracil for Colon Targeting

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Abstract:

Cancer is a pathological disorder in which a group of [cells](#) display uncontrolled growth, invasion and sometimes [metastasis](#). Cancerous sites in the body pose various obstacles towards drug efficacy. Complete removal of the cancer without damage to the rest of the body is the goal of a successful treatment. The major problem with existing anticancer bioactives is that they indiscriminately attack healthy cells as well as cancerous cells. These harmful side effects can be surmounted by developing a target specific drug delivery vehicle. Thus, it becomes necessary to develop effective delivery module in anticancer drug delivery Nanostructured Lipid Carriers offer an opportunity in this direction in the form of a large number of engineered forms where a variety of functionalities such as folic acid, peptides, PEG, carbohydrates etc can be attached to provide active targeting. Passive targeting can also be attained with lipid carrier which relies on enhanced permeation and retention (EPR) effect as well as on pH sensitive delivery of chemotherapeutic agents to tumor tissues. Along with targeting, substantial progress has been made towards the use of carrier system for therapeutic and diagnostic purposes for the treatment of cancer, including advances in the delivery of contrast agents, neutron capture therapy, photodynamic therapy and photothermal therapy. This supports the belief that continuing research in this area may bring into reality the dream of complete recovery from cancer.

Keywords: Nanostructured Lipid Construct, Oncology, Cancer, Drug Delivery, Applications

A-516

Evaluation and Formulation of Polyherbal Anti diabetic Tablets

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Abstract:

Herbal medicines plays a major role in the treatment of human ailments than allopathic medicines because of less toxicity, less side effects, low cost and easy availability. The main objective of the work is to formulate and evaluate poly herbal anti diabetic tablet for treatment of Diabetes mellitus.

The plants were selected and studies based on their traditional uses. The ethanolic extract of *Cassia alata* leaves, *Nelumbo nucifera* tubers and *Pandanus odoratus* roots were used for preparation of tablet. The tablets were prepared by wet granulation method. After preformulation studies, tablets were evaluated by using various methods such as weight variation, hardness, friability, thickness and disintegration time. Based on the results like preformulation study and physical parameter, it revealed that all the values were within acceptable limit. So it is clearly concluded that the prepared formulation of poly herbal antidiabetic tablets and evaluations are good.

Keywords: Polyherbal, Antidiabetic, Cassiaalata, Nelumbonucifera, Podorus, Friability

A-517

Formulation and Evaluation of Floating Sustained Release Tablet of Papaverine Hydrochloride

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Abstract:

Papaverine Hydrochloride is used as vasodilator and in the treatment of pulmonary embolism. Papaverine is showing less solubility in the intestinal pH. For prolonged duration of action sustained formulation is required because of low biological half-life. To overcome these drawbacks, the present study was undertaken to formulate the gastro retentive dosage form of papaverine hydrochloride particularly floating sustained release drug delivery system. Twelve formulations were prepared containing different ratios of polymers HPMC K4M, eudragit L100 and other excipients. It was found that sodium bicarbonate reacts with HCl and produce carbon dioxide which creates pores in tablet and elevates swelling by wetting the polymer. So it helps in maintaining the buoyancy. The release rate could be modified by varying the polymer ratio. The prepared tablets were evaluated for general appearance, content uniformity, hardness, friability, buoyancy and *in vitro* dissolution studies. In the present study it was found that formulation P₁₂ of papaverine hydrochloride was found to show the highest drug release and good buoyancy property.

Keywords: Sustained drug release, Floating Sustained

Release Tablets, Papaverine Hydrochloride

A-518

Microbubbles – A Novel Delivery System

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Abstract:

Microbubbles have been recently introduced as a promising drug delivery platform for ultrasound guided drug delivery & gradually decrease in size due to the dissolution of interior gases by the surrounding liquid and eventually disappear, leaving some Nano-Bubbles. Ultrasound, traditionally used in diagnostic medicine, is finding a place in drug delivery in connection with these microbubbles. In addition to their non-invasive nature and the fact that they can be focused on targeted tissues, acoustic waves have been credited with releasing pharmacological agents from microbubbles, as well as rendering cell membranes more permeable. Microbubbles dispersion method was investigated to improve oxygen transfer at low agitation rates and thus reduce power consumption and shear stress on the microorganisms. The microbubbles have an average size less than that of red blood cells, so they are capable of penetrating even into the small blood capillaries and releasing drug and genes under the action of ultrasound field after reaching the specific area of interest. Recently, targeting ligands are attached to the surface of the microbubbles, which have been widely used in cardiovascular system and tumor diagnosis and therapy. Myocardial contrast echocardiography is rapidly becoming a technique that can be utilized with intravenous with intravenous Microbubbles to detect myocardial perfusion abnormalities during stress echocardiography. This review focuses on the characteristics of the microbubbles that give diagnostic. Thus it can be concluded that this study is beneficial for knowing the significance of microbubbles in NDDS.

Keywords: Ultrasound, NDDS, Microbubbles, Nanobubbles

A-519

Biomedical Engineering: A Better Healthcare

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Abstract:

Bio medical engineering started when a mummy was found in THEBES and wooden feet was attached to it. Bio medical engineering is a branch of engineering that applies principles and design concept of engineering to healthcare, so it basically includes the utilization of concepts of bio engineering in proposing medical devices which can be used in healthcare facilities. Various concepts were applied and inventions were proposed namely stethoscope was invented 200 years ago, X-rays in 19th century. Some of the inventions are in use these days but some are still under studies like "The Melafind Technology", is used for the detection of melanoma cells which prevents biopsy scars in case of skin cancer, earlier tele-medicines was used now "Robotic" checkup is introduced which provide door to door medical services to patients, "Sapien valve" is utilized as a substitute of open heart surgery, "electronic aspirin", has been invented for blocking SPG signals at 1st sign of headache but it is still under clinical investigation, blood glucose check will be less painful or painless as "transdermal biosensor" had been invented that reads blood analyte through skin without drawing blood but it is still under testing, it is also under testing that "sputum" will be used to estimate blood glucose level and a "micro needle jet" is used to deliver a broad range of different low molecular weight drugs, vaccines etc. In future health care facilities will be more efficient when biomedical engineering will be combined with the aspects of medical field.

A-520

Dissolution Enhancement of Olmesartan Medoxomil by Solid Dispersion Technique

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Abstract:

The present work investigates the dissolution and bioavailability characteristics of an antihypertensive drug, Olmesartan medoxomil. The major problem with this drug is its very low solubility in biological fluids which results in poor bioavailability after oral administration. Hence present study was carried out to enhance dissolution properties of olmesartan medoxomil. Solid dispersions of olmesartan medoxomil were prepared to enhance its water solubility. Physical mixtures and solid dispersions of olmesartan medoxomil were prepared

by using PEG 4000 and PEG 9000 as water-soluble carrier(s) at various proportions (1:1, 1:3 and 1:5) by employing fusion method. The drug release profile was studied according to USP XXIII monograph in phosphate buffer pH 6.8. Infrared (IR) spectroscopy, Differential Scanning Calorimetry (DSC) and X-ray diffraction analysis (XRD) were performed to identify the physicochemical interaction between drug and carrier and its effect on dissolution. IR spectroscopy showed no change in crystal structure of olmesartan medoxomil. The DSC and XRD show the complete conversion of drug from crystalline to amorphous form. Improvement in dissolution of drug was observed in all physical mixtures and solid dispersions as compared to pure drug. Solid dispersions of olmesartan medoxomil: PEG 9000 (1:5) showed faster release than that of PEG 4000 solid dispersions. Thus, the solid dispersion technique can be successfully used for improvement of dissolution of olmesartan medoxomil.

Keywords: Olmesartan Medoxomil, PEG 4000, PEG 9000, Solid Dispersion, Fusion Method

A-521

Formulation and Evaluation of Oro Dispersible Tablets of Terbutaline Sulphate

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Abstract:

Terbutaline Sulphate is a selective α_2 -adrenergic agonist widely used a bronchodilator. It forms part of initial therapy of acute as well as chronic asthma. In the present work an attempt has been made to formulate and evaluate ORO DISPERSIBLE Tablets (ODT) of Terbutaline Sulphate, by using two different technologies, 1) By Effervescent Formulation Approach with addition of citric acid and sodium bicarbonate as effervescing agents, 2) By Superdisintegrant Addition Method with addition of sodium starch glycol late as Superdisintegrant along with other disintegrants sodium carboxy methyl cellulose and poly vinyl pyrrollidone, and Conventional tableting techniques and machinery were used for the preparation of the tablets. A total of 12 batches (i.e. 4 batches using each method) were prepared and evaluated for general appearance and physical parameters, drug content and release studies. Formulations prepared by Sublimation Method using camphor and ammonium bicarbonate in various ratios emerged as the best formulations, showed rapid *in vitro*

dispersion time (<27 seconds), drug release by dissolution (100% at the end of 10 minutes), and stability characteristics, than the Superdisintegrant Addition Method, (best formulation with respect to physical parameters) and Effervescent Formulation Approach which are the second and third best formulations in that order. Finally it was concluded that ODT of Terbutaline Sulphate can be successfully formulated and will be used as a novel drug dosage form for pediatric and geriatric with improved patient compliance and enhanced bioavailability.

Keywords: Oral Dispersible Tablets, Terbutaline Sulphate, Superdisintegrant Addition Method

A-522

Formulation and Characterization of Aqueous Injection of Zaltoprofen

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Abstract:

The objective of the present work was to explore the application of mixed solvency technique in the formulation of Zaltoprofen (poorly water-soluble drug) injection. A mixture containing 1M sodium benzoate, 15% propylene glycol, 15% glycerin and 10% PEG 400 was used as solvent system to enhance the solubility. All these substances do not have any interaction with Zaltoprofen. The optimization of co-solvent blends were made by factorial design method. The prepared aqueous injection of Zaltoprofen was subjected to various characterization. In-vitro studies were performed for evaluation of analgesic activity by hot plate method and anti-inflammatory activity β by carrageenan induced paw edema method. The stability studies were also performed. It was found that the formulated aqueous injection of Zaltoprofen was stable physically and chemically.

Keywords: Zaltoprofen, Aqueous Injection, Mixed Solvency

A-523

Natural Excipients: New Era in Excipients Technology

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Abstract:

With the increasing interest in polymers of natural origin, the pharmaceutical world has compliance to use most of them in their formulations. Pharmaceutical formulation development involves various components in addition to the active pharmaceutical ingredients. The plant derived gums and mucilage comply with many requirements of pharmaceutical excipients as they are non-toxic, stable, easily available, associated with less regulatory issues as compared to their synthetic counterpart and inexpensive; also these can be easily modified to meet the specific need. Most of these plant derived gums and mucilage are hydrophilic and gel-forming in nature. The traditional concept of the excipients as any component other than the active substance has undergone a substantial evolution from an inert and cheap vehicle to an essential constituent of the formulation. The natural excipients are used as binder, diluents and disintegrant in oral disintegrating tablets and immediate release dosage forms. Mainly the natural excipient used is biocompatible, cost effective and provides as nutrition supplements.

Keywords: Natural Excipients, Diluents, Disintegrant, Binder

A-524

Formulation and Evaluation of Transdermal Drug Delivery of Budesonide and Salbutamol Sulphate

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Abstract:

Transdermal drug delivery system (TDDS) overcomes the number of drawbacks associated with conventional dosage forms. In the present work an attempt was made to formulate and evaluate transdermal drug delivery system of budesonide and salbutamol sulphate for sustained release solvent casting method. Hydroxypropylmethylcellulose (HPMC), ethyl cellulose (EC) and polyvinyl pyrrolidone (PVP) were tried as polymer alone and in combination Polyethylene glycol was used as plasticizer. There were no drug polymer interaction

observed. The prepared transdermal patches were evaluated for thickness, drug content, water vapour permeability elongation folding endurance and in vitro drug release. The thickness of TDDS patches was found to be 0.155 ± 0.004 nm. The transdermal patches were found to possess satisfactory physicochemical characteristics. In vitro drug release profile revealed the release of $89.75 \pm 0.152\%$ budesonide and $92.31 \pm 0.172\%$ salbutamol sulphate in 24 hrs thereby showing sustained release. The developed TDDS was found to be stable.

Keywords: TDDS, Budesonide, Salbutamol

A-525

Preparation, Optimization and Evaluation of Biodegradable Porous Foam of Atorvastatin

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Abstract:

The aim of the present study was to increase the solubility and bioavailability of poorly water soluble drug atorvastatin belonging to BCS class-II by preparing biodegradable porous foam (BPF) for oral administration. The formulation was prepared by solvent evaporation method. Different batches of BPF were formulated using starch suspension (4-8%), ethanol 40-80% and varying amount of the drug and stored in a desiccator. The formulations were optimized. They were further characterized by differential scanning calorimetry (DSC) and scanning electron microscope (SEM) for physical state, interactions, sizes and morphology of particles. The formulated powder was subjected to in vitro dissolution study using Type-II (paddle) USP dissolution apparatus. Atorvastatin loaded BPF exhibited a rapid release of $87.3 \pm 1.33\%$ within 5 hrs in comparison to $37.91 \pm 0.91\%$ released of pure drug. Hence this method may be used as an alternative to other methods currently used to enhance the solubility of poorly soluble drugs.

Keyword: Biodegradable Porous Foam, Atorvastatin, Solubility Enhancement Technique

A-526

Design and Evaluation of Medicated Cream Biscuit of Albendazole for Paediatrics

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Abstract:

In the search of new formulations, it was thought to developed cream biscuits of Albendazole for improve compliance for paediatrics. The advantages of such technique include palatability, stability, precise dosing, portability and ease of delivery. They provide safe and well tolerated alternatives to the traditional paediatric formulation. This medicated biscuits include the cream containing albendazole sandwiched between two layers for its antihelmentic action. The cream was composed of drug, soft butter, icing sugar and vanilla flavour. Layers of biscuits were prepared by the traditional method. The cream so prepared were evaluated for drug content, viscosity and In-vitro drug release studies. Stability studies revealed that these cream biscuits are stable and the medicated cream did not degrade. It may be concluded that new ideas are to be generated for making drug therapy more attractive with the same or better effectiveness.

Keywords: Albendazole, Antihelmentics, Medicated Cream Biscuits

A-527

Dermal Disorder; Insights on Atopic Dermatitis and it's Treatment via Phytoactives Contained Micro-Container Delivery System

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Abstract:

Atopic dermatitis (AD) is defined as a chronic relapsing, intensely pruritic and characteristically distributed, inflammatory skin condition, often in the presence of a personal history or a family history of atopy. In this review author provide the concrete information on the different aspects of dermal disorder specific concerned to Atopic Dermatitis. AD reveals a disturbance of the Th1/ Th2-cell balance in the skin, with a shift towards overall Th2 dominance. Histopathology in AD shows epidermal intercellular edema, and infiltration of lymphocytes,

macrophages, and dendritic cells around blood vessels. The topical application of glucocorticosteroids is the mainstay in the therapy of chronic skin inflammation conditions but, its long term use is not advised due to various adverse effects. The role of adjunct therapy of AD using anti-histaminics, anti-staphylococcal antibiotics and antivirals is limited due to the development of resistance to therapy. So, the development of topical drug delivery systems of phytoactives contained micro-containers such as liposomes, phytosomes, ethosomes, desmosomes, cubosomes etc. will be more therapeutically efficacious in long term use, for the patients of AD.

Keywords: Atopic, Dermatitis, Phytoactives, Glucocorticosteroids

A-528

Comparative Evaluation of Developed Analytical Methods for Estimation of Arteether by UV Spectrophotometry and Fluorescence Spectrophotometry

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Abstract:

The present research work discusses the development and validation of two different spectrophotometric methods for estimation of α - β arteether using UV spectrophotometer and spectrofluorimeter for the first time. Two simple, accurate, precise, sensitive and economical methods has been developed and validated for the estimation of α - β arteether in bulk and pharmaceutical dosage form as per ICH guidelines Q2 (R1). The solvent used for UV spectroscopy was methanol and HCl (8:2) and methanol was used for fluorimeter. For qualitative and quantitative analysis, 254 nm was used in UV spectroscopy and excitation and emission wavelengths were set at 354 nm and 697 nm respectively for fluorimetry. Coefficients of correlation were found to be 0.993 and 0.992 for UV spectroscopy and fluorimetry. Both methods show good accuracy and precision and were compared statistically by using two way ANOVA which shows no significant difference between these methods. So the proposed methods were found to have equal applicability for estimation and routine analysis of arteether in pharmaceutical formulations.

Keywords: Arteether, Analytical Method, Fluorimeter, UV spectrophotometer

A-529

Pre-formulation Challenges in the Designing of Fully Dilutable SNEDDS for BCS class III Drug Metformin Hydrochloride: Drug Solubility & Mutual Miscibility of Surfactant/Co-surfactant/Oil Admixture

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Abstract:

Self nano emulsifying drug delivery system (SNEDDS) has emerged as promising approach to oral drug delivery and gained much attention over conventional dosage forms in terms of solubility or dissolution rate enhancement of poorly soluble drug, or modulating membrane permeation. Metformin hydrochloride (MH) is the highly soluble drug belongs to BCS class III with impaired intestinal permeation. Objective of present study was to design a fully dilutable SNEDDS system of MH using the contemporary approach. Different combinations of SNEDDS excipients consisted of surfactants (Tween 20, Tween80, Cremophor EL), cosurfactants (PEG 400, propylene glycol (PG) and oil phase (caprylic acid, oleic acid, olive oil) components incorporate sufficient volume of aqueous phase and remain turbid; while Tween 20/PG in Capmul exhibited mutually miscible and therefore chosen for SNEDDS formulation. However, MH solubility studies revealed that the chosen excipients combination led to impaired mutual miscibility in Tween 20/PG/Capmul and eventually turned SNEDDS to turbid and cloudy system. It can be concluded this study raises concern over conventional approach to design SNEDDS for BCS Class III drugs.

Keywords: SNEDDS, Nanoemulsion, Metformin, BCS class III, Intestinal Permeation

A-530

Modification of Pharmacokinetic Parameters of Deferasirox Dispersible Tablets

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Abstract:

Deferasirox is a iron chelators which is used to minimize the iron poisoning which is mostly observed in pregnant women due to the excess consumption of iron supplement during their gestational period. The present study developed and evaluated the stability of solid oral dosage form of Deferasirox dispersible tablets using direct compression and wet granulation methods where the stability studies results indicates there was no significant changes in the dispersion, disintegration and dissolution profile even after three months of stability studies.

A-531

Dry Powder Inhalation Containing Anti-Tuberculosis Drugs and Verapamil as Efflux Pump Inhibitor for the Treatment of Multi Drug Resistant Tuberculosis

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Abstract:

One of the mechanisms by which *Mycobacterium tuberculosis* (Mtb) can develop resistance to first line drugs such as Isoniazid (INH) and Rifabutin (RFB) is through overexpression of efflux pump proteins. Various efflux pump inhibitors (EPI) such as verapamil and thioridazine are proposed to be used as adjuvants with anti-tuberculosis (TB) drugs to inhibit efflux pump activity. The aim of present work is to prepare particles incorporating INH and RFB along with VER as dry powder inhalation by spray drying (SD) and spray freeze drying method (SFD). The inhalable particles were characterized for physico-chemical parameters such as particle size distribution, morphology, mass median aerodynamic diameter (MMAD) and powder flow properties. The prepared particles had mean diameter of 5.49 μm and 20.54 μm with MMAD of 1.807 μm and 3.99 μm by SD and SFD methods respectively.

Keywords: Efflux pump inhibitors, Spray drying, Tuberculosis

A-532

Development of Microemulsion of Minoxidil for Enhance delivery in Alopecia

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Abstract:

Alopecia is a disorder which accounts for the loss of hairs completely or in the form of patches from the body. The objective of the present work was to develop non-alcoholic formulation of minoxidil for the treatment of alopecia by incorporating permeation enhancers. It has been found that after application of conventional dosage form of minoxidil dryness in hair and weakening of hair shaft is observed due to presence of alcohol which makes hair prone to fall. Developed formulation consisted of oily phase (provides protective coating i.e. keep the hairs wet and act as source of nourishment), surfactant (main solubilizer for minoxidil) and co-surfactant (permeation enhancer for enhancement in delivery of minoxidil to hair growing cells). The optimized microemulsion was characterized for pH, drug content, size which were found to be 4.6, >99% and 71.32-217.1 nm, respectively. Zeta potential was found to be near to zero. *In vitro* release studies & *ex-vivo* permeation study were conducted and found that optimized microemulsion (1.55 μ g/min cm²) showed higher permeation flux as compared with the marketed formulation (0.78 μ g/min cm²). The efficacy of the formulation was determined by application of the optimized and marketed formulation on the shaved off dorsal region of the mice mechanically. On 14th day a significant amount of hair growth was observed in animals treated with optimized formulation in comparison to marketed one. Histopathological examination revealed increased thickness of the subcutaneous layer and the presence of follicles in it show transition from telogen to anagen hair.

Keywords: Alopecia, Minoxidil, Microemulsion

A-533

Transdermal Therapeutic System of Hypolipidemic Agent: Formulation and Evaluation of Atorvastatin Calcium

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Abstract:

The management of hyperlipidemic with other than oral is needed in current scenario for better patient compliance. Atorvastatin is water insoluble crystalline powder. It undergoes extensive first pass metabolism in the liver which results in very low and variable oral bioavailability. This route of administration is expected to overcome the problem of poor oral bioavailability by at least avoiding the presystemic metabolism of the drug. The current study was aimed to formulate and evaluate transdermal drug delivery of atorvastatin calcium using polymer of HPMC, plasticizer of DBP, surfactant of SLS and solvent of carbinol. Patches were prepared by solvent casting method on glass mold. The thickness of patch evaluated as 0.1 mm for TA-1, 0.12 mm for TA-2, 0.14 mm for TA-3, weight variation 61.37 ± 0.31 mg \pm SD for TA-1, 110.80 ± 0.07 mg \pm SD for TA-2, 151.21 ± 0.05 mg \pm SD for TA-3, folding endurance 218.5 ± 8.52 No. \pm SD for TA-1, 202 ± 2.71 No. \pm SD for TA-2, 196.83 ± 6.44 No. \pm SD for TA-3, PML & PMA was 3.93 ± 0.04 % \pm SD & 3.15 ± 0.04 % \pm SD for TA-1, 4.21 ± 0.12 % \pm SD & 4.09 ± 0.03 % \pm SD for TA-2, 4.89 ± 0.07 % \pm SD & 4.50 ± 0.05 % \pm SD for TA-3 respectively. Tensile strength & percentage elongation 240.73 & 0.290 Kg/cm² for TA-1, 237.53 & 0.284 Kg/cm² for TA-2, 222.41 & 0.279 Kg/cm² for TA-3, drug content uniformity 9.54 ± 0.17 mg \pm SD for TA-1, 9.58 ± 0.19 mg \pm SD for TA-2, 9.62 ± 0.24 mg \pm SD for TA-3. The above values were within acceptable limit which confirms the suitability of method.

Keywords: Atorvastatin, Transdermal Patch, Glass Mold

A-534

Preparation and Evaluation of Directly Compressible Vehicles

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Abstract:

The objective of the present study is development and evaluation of directly compressible vehicles (DCVs) using diluents (lactose and di-calcium phosphate) and a binder (ethylcellulose) by agglomeration/granulation technique. Co-processing technique by agglomeration/granulation was used for the preparation of directly compressible vehicles using different diluents, disintegrants and binders. Four varieties

of DCVs were formulated and prepared by agglomeration/granulation technique and were evaluated. DCVs prepared were found to be discrete, free flowing granular excipients. The average size was found to be 550 μm (250 μm to 850 μm). The DCVs prepared are having excellent flow and compressibility characteristics. The angle of repose values were in range 14-22.5° and compressibility index values were in range 8-12%. Rifampicin tablets prepared by direct compression method employing DCVs developed were of good quality with regard to drug content, hardness, friability and disintegration time. All tablets prepared disintegrated within 2 min. Lactose based DCVs (DCV-2 and DCV-4) gave rapid and higher dissolution of rifampicin than DCP based DCVs (DCV-1 and DCV-3). Formulations F2 and F4 gave rapid dissolution of rifampicin fulfilling the official dissolution rate test specification (IP 2014) of NLT 75% in 45 min. All the four DCVs prepared were found suitable to formulate rifampicin tablets by direct compression method giving tablets of good quality with regard to drug content, hardness, friability, disintegration time and dissolution rate.

A-535

Medicated Nail Lacquer- Potent Solution for Nail Infection

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Abstract:

Fungal nail infections is a common problem in human beings around the world. Fungal nail infection is about four times more in toe nails than finger nails and can involve all or part of the nails, including the nail plate, nail bed and root of nail. Dermatophytes, yeasts and moulds are the three major fungi responsible for nail infections. Earlier oral anti fungal agents were used for the treatment of fungal infection in nails. Topical therapy is desirable in treatment of nail diseases like Onychomycosis. The purpose of this study is to develop a medicated nail lacquer for treatment of nail disease. These nail lacquers has less toxicity and shorter treatment period unlike, oral antifungal agents which cause toxicity and has longer treatment period. Ciclopiroxolamine is an antifungal agents effective against Onychomycosis, which is incorporated in nail lacquers for its therapeutic effect.

Keywords: Onychomycosis, Dermatophytes, Ciclopiroxolamine, Antifungal, Moulds

A-536

Formulation and In-vitro Evaluation of Matrix Tablets Containing Tizanidine

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Abstract:

The present study, describes the development of matrix tablets of Tizanidine. Tablets of Tizanidine were prepared by the wet granulation method using polymers like Gellan gum & Ethyl cellulose in different ratios. Matrix tablet were evaluated by different methods for parameters such as hardness, weight uniformity, thickness, drug content uniformity, in vitro drug release studies and stability studies. The tablets were evaluated for in vitro release in pH 1.2 and 6.8 phosphate buffer for 12 hours in standard dissolution apparatus. In order to determine the mode of release, the data was subjected to First order, Zero order, Higuchi and Peppas diffusion model.

Short term stability studies on the promising formulation indicated that, there are no significant changes in drug content. IR spectroscopic indicated that there are no drug- excipients interaction. All the granules of the formulation showed in compliance with Pharmacopoeial Standards. The developed sustained released matrix tablet of Tizanidine drug showed 12 hours of drug release and overcome the disadvantage of conventional tablets.

Keywords: Matrix tablet, Tizanidine, Gellan Gum, Ethyl Cellulose, pH 1.2 and 6.8

A-537

Solubility and Bioavailability Enhancement of Antimalarial Drugs: Artemether and Lumefantrine through Solid Lipid Nano Particles

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Abstract:

Present study describes the formulation of Solid lipid nanoparticles (SLNs) System of Antimalarial Drugs Artemether and Lumefantrine with lipids and surfactants which enhance the solubility and bioavailability. They consist of spherical lipid

particles in nanometer size range. Artemether Lumefantrine loaded lipid nano particles composed of lipid mass produced by high pressure homogenisation method using Lipid phase: Glyceryl trimyristate, Soyabean Oil, Surfactant phase: Tween 80. SLNs were further characterized for particle size, Zeta Potential, Percent encapsulation efficiency reported optimised values to be 157.6 nm, -0.2 mV, 98.45 ± 0.11 of Artemether and 93.36 ± 0.10 of Lumefantrine. *In vitro* Diffusion Studies reported to be 95.9 % for Artemether and 93.86% for Lumefantrine over a time period of 6h. The *in vitro* percent drug release of Artemether and Lumefantrine from SLN'S found to be higher as compared to marketed formulation (Lumerax®) and pure drugs. The Drug Excipient compatibility studies carried by FT-IR and XRD depicted that there was no interaction between drugs and excipients.

Keywords: Anti-malarials, Nanotechnology SLNs, Enhanced Solubility, Dissolution

A-538

Solventless Coating - A Malleable Technique for Future Coating Process

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Abstract:

Coatings are a very essential part in the formulation of pharmaceutical dosage form to achieve excellent formulation quality (e.g., color, texture, mouth feel, and taste masking), physical and chemical protection for the drugs in the dosage forms, and modification of drug release characteristics. Most film coatings are applied as aqueous or organic-based polymer solutions. Such film coating brings their own drawbacks. Solventless coatings are alternative technique of coating. In this technology, powdered coating materials are directly coated onto solid dosage forms without using any solvent and then heated and cured to form a coat. As a result, this technology can flabbergasted such drawbacks caused by solvents in conventional liquid coating as serious air pollution, energy consumption and expensive operation cost encountered by liquid coating. In addition, it can significantly reduce the processing time due to reduction of step of drying/evaporation. These environment-friendly processes are performed without any heat in most cases (except hot-melt coating) and thus can provide an alternative technology to coat temperature-sensitive drugs. This solventless coating includes various

methods like magnetic assisted impaction coating, hot melt coating, supercritical fluid spray coating, electrostatic coating, dry powder coating, and photocurable coating that can be used to coat the pharmaceutical dosage forms. Thus, it can be concluded this review can be beneficial for knowing the significance of solventless coating.

Keywords: Solventless Coating, Magnetic Assisted Impaction Coating, Supercritical Fluid Spray Coating, Photocurable Coating

A-539

Nanoparticles: A New Approach

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Abstract:

Nanoparticles are also sized between 1 and 100 nanometers similar to the ultrafine particles. Nanoparticles may or may not demonstrate size-related properties that vary knowingly from those observed in bulk materials and fine particles [1]. Thus nanoparticles are sized less than a few 100 nm. This reduction in size brings about significant changes in their physical properties with respect to those observed in bulk materials. They can be mineral, metallic, polymer-based or a combination of materials. Nanoparticles are generally characterized by their size, morphology and surface charge, using such advanced microscopic techniques as scanning electron microscopy (SEM), transmission electron microscopy (TEM) and atomic force microscopy (AFM), Photon Correlation Spectroscopy (PCS) and X-Ray Diffraction (XRD). The average particle diameter, their size distribution and charge affect the physical stability and the *in vivo* distribution of the nanoparticles. Electron microscopy techniques are very useful in ascertaining the overall shape of polymeric nanoparticles, which may determine their toxicity. The surface charge of the nanoparticles affects the physical stability and redispersibility of the polymer dispersion as well as their *in vivo* performance. Nanoparticle technologies have great potentials, being able to convert poorly soluble, poorly absorbed and labile biologically active substance into promising deliverable substances.

Keywords: Particle size, Nanoparticles, TEM, AFM, PCS

A-540

Formulation and Evaluation of Curcumin Loaded Poly Methacrylate Nanoparticles for Wound Healing

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Abstract:

Curcumin is a naturally derived substance with innate wound healing antimicrobial properties. In the present research, curcumin loaded poly-methyl methacrylate (PMMA) nanoparticles are formulated. The objective of present research work is to formulate the nanoparticles of Curcumin and incorporation of Curcumin nanoparticles into gel for topical delivery. solvent evaporation method is used for preparation of nanoparticles. F1, F2, F3....F9 batches were optimized varying drug content, entrapment efficiency, percent yield. These nanoparticles were evaluated for Particles size analysis, scanning electron microscopy (SEM) and X-ray diffraction (XRD) Fourier transform infrared spectroscopy (FTIR) and differential scanning calorimetry (DSC). It was found that F6 batch has a maximum entrapment efficiency (73.08%), drug content (67.5%), percent yield (60.25%), and minimum particle size. The DSC of F6 formulation confirms entrapment of curcumin in the nanoparticles. SEM results indicate that formulation of spherical, without porous nature. The drug release studies showed a slow release upto 8 hrs. Thus Curc-np may holds a promise as a novel topical antimicrobial and wound healing agent for infected burn wounds and other cutaneous injuries.

Keywords: Nanoparticles, Curcumin, Polymethyl Methacrylate, Solvent Evaporation Method

A-541

Solubility Enhancement of Antibiotics by Electrocrystallization

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Abstract:

Electrocrystallization can be used to enhance the solubility and bioavailability of ciprofloxacin and levofloxacin. Crystals of drugs in ethanol, methanol and acetone

solvent were prepared. Recrystallization of Ciprofloxacin and Levofloxacin were done by subjecting the solvent to varied electric field for time intervals which resulted in the formulation of Electrocrystal(EC). Solubility of pure drug, crystals and electrocrystal were evaluated. The solubility of Ciprofloxacin pure drug was found to be 0.0012mg/ml where as the crystallized Ciprofloxacin exhibit solubility 0.0370mg/ml. The solubility of different electrocrystals was found to be higher than pure drug. The solubility of Levofloxacin pure drug was found to be 0.0053mg/ml where as the crystallized Levofloxacin exhibited solubility value 0.0846mg/ml. The EC of ciprofloxacin at 100v/10min exhibited highest solubility of 0.1327mg/ml whereas EC of levofloxacin 100v/5min exhibited lowest solubility of 0.0920 mg/ml. Thus, electrocrystallization is significant technique which enhances the aqueous solubility of antibiotic drugs to a certain extent than pure drug.

Keywords: Electrocrystal, Solubility, Bioavailability, Antibiotics

A-542

Preparation and Evaluation of Controlled Release Tablets of Rifampicin Employing Various Polymers: A Comparative Study

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Abstract:

Controlled and sustained release is a topic of current interest in pharmaceutical industry and research. Controlled release dosage forms provide the drug release slowly over prolonged period at a predetermined rate to produce therapeutic effect over longer period of time. Controlled release dosage forms are made available for various routes of administration. For oral route sustained release (SR) tablets are designed as matrix tablets to provide the drug slowly over prolonged period of time. The objective of the present study is to make a comparative evaluation of the release retarding efficiency of four (04) different polymers namely (i) sodium CMC (ii) HPMC K 100M (iii) methyl cellulose and (iv) sodium alginate for the design of sustained release tablets of rifampicin. Rifampicin is widely used anti tubercular drug having a short biological half life of 2-5 hours. As such rifampicin requires sustained release formulations to reduce the frequency of administered and for better therapeutic efficacy and increased patient compliance.

Rifampicin release was very fast and rapid from matrix tablets prepared with sodium CMC as matrix polymer. Tablets prepared with sodium CMC disintegrated with in 0.5 h in the dissolution fluids and the drug release were complete in 1.0 h. this is due to the hydrolysis of sodium CMC in the acidic dissolution fluid(0.1 N HCL). The order of increasing drug release observed with various polymers was sodium CMC> methyl cellulose>sodium alginate >HPMC K 100M.

A-543

Synthesis, Characterization and In-vitro Kinetic Studies of Novel Prodrug of Melphalan with 5-Amino salicylic acid for the Treatment of Ulcerative Colitis

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Abstract:

Inflammatory bowel disease is a chronic state which associated with severe inflammatory condition in mucosal membrane of small and/or large intestine. Ulcerative colitis and Crohn's disease are communally accredited under this category. Sulfasalazine is a drug of choice available for this disease. But due to its side effects there is great need for synthesis of safe and more effective drug for the treatment of ulcerative colitis. A colon is known to be a reductive medium for azo compounds which are reduced to corresponding amines through enzymatic reduction by *azo reductase* secreted by colonic microflora. Indeed, the splitting of azo bond can only possible in the large intestine, making this approach highly site specific. In the present study, a novel derivative of a mutual azo prodrug of 5-aminosalicylic acid and Melphalan was synthesized. The synthesized prodrug was characterized by UV, FTIR, ¹H-NMR and MASS spectral analysis. The spectral analysis confirmed the structure of the prodrug. *In vitro*, kinetic release pattern was observed in HCl buffer (pH 1.2), phosphate buffer (pH 7.4) and rat fecal matter. Its kinetic parameters proved that the drug is released mainly in colon. Thus the objective of preparing site specific, safe and more effective drug was successful.

Keywords: Ulcerative colitis, Melphalan and 5-amino Salicylic Acid

A-544

Comparison of Different Superdisintegrants in Designing of Mouth Dissolving tablets of

Domperidone

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Abstract:

MDT is not only indicated for people who have swallowing difficulties, but also are ideal for active people. The basic approach in development of MDT is the use of superdisintegrants like cross linked carboxymethyl cellulose (croscarmellose), sodium starch glycolate (primogel, explotab), polyvinylpyrrolidone (polyplasdone) etc, which provide instantaneous disintegration of tablet after putting on tongue, their by release the drug in saliva. The present paper deals with formulation of mouth dissolving tablets of Antiemetic drug Domperidone Maleate using CCS, SSG & CP as superdisintegrants in combination of different concentration & then best batch was compared with marketed preparation. Nine batches of Mouth dissolving tablets of Domperidone Maleate were successfully prepared using sodium starch glycolate, croscarmellose and crospovidone by direct compression method. (D1 – D9). Based on the results, formulation containing 6% superdisintegrants in combination (CCS, CP & SSG) (D-2) was identified as ideal and better formulation among all formulations developed for Domperidone Maleate tablets. *In vitro* release of optimized formulation of Domperidone Maleate Mouth dissolving tablets of D-2 was found to be 99.43% drug release within 10minutes and *in-vitro* disintegration time being ranges between 40-42sec. The final optimized formulation (D-2) was compared with marketed product of Domperidone Maleate tablets (DOMSTAL-MT) which shows 94.22% drug release in 10 minutes. It means the prepared formulation show quite satisfactory release with compared to Marketed Product.

Keywords: Domperidone Maleate, Mouth Dissolving Tablets, Sodium Starch Glycolate, Croscarmellose, Crospovidone, DOMSTAL-MT

A-545

Folic Acid Tethered Surface Functionalized MWCNTs Loaded Paclitaxel for Treatment of Breast Cancer

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Abstract:

Breast cancer is the second most common cause of cancer-related deaths in women worldwide. Current chemotherapy of cancer suffers from limited anti-cancer efficacy, multi-drug resistance after a period of treatment, and severe side effects. Surface functionalized CNTs may open a new era in the forthcoming years in diverse fields including pharmaceutical and may be considered as safe and effective biomaterials with generally regarded as safe prominence. The aim of present investigation was to prepared and characterized the paclitaxel loaded folic acid (FA) tethered surface functionalized MWCNTs for targeting to breast cancer cells. Carboxylated MWCNTs was functionalized by FA followed by acylation and amidation and characterized by FT-IR spectroscopy, Zeta size and potential and X-ray diffraction, percent entrapment efficiency and In-vitro drug release studies. The entrapment efficiency was found to be $96.3 \pm 1.85\%$ (PTX/FA-PEG-MWCNTs) and $82.9 \pm 2.50\%$ (PTX/MWCNTs). The *In vitro* drug release was found to be $72.57 \pm 2.40\%$ (PTX/FA-PEG-MWCNTs) and $54.89 \pm 2.75\%$ (PTX/MWCNTs) in sustained pattern at the lysosomal pH 5.0. It may be interpreted that the PTX/FA-PEG-MWCNTs formulation is capable to carry drug and deliver it selectively at the tumor site while minimizing side effects.

Keywords: Multi Walled Carbon Nanotubes, Folic Acid, Paclitaxel, Breast Cancer

A-546

Formulation, Evaluation and Comparison Study of Aceclofenac Containing Release Retardant Tablet of Some Marketed Brands

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Abstract:

The aim of this study was to assess the pharmaceutical quality of new formulation and Four commercial brands (B1 to B4) of SR aceclofenac tablets (200 mg) have been comparatively studied for physical characteristics, weight variation, hardness, and assay and in- vitro dissolution test. Cumulative release greater than 89% was obtained from all formulations tested with in 12 h. Model fitting was done on release data using zero order, first order and Higuchi model. Now here is a need for development of a sustained release matrix tablet of aceclofenac for 12 h by direct compression technique using different

polymers like hydroxyl propyl methyl cellulose, guar gum, talc, magnesium stearate and microcrystalline cellulose. The tablets formulations showed acceptable pharmacotechnical properties and complied with Pharmacopoeial specifications for tested parameters. Some model independent parameter such as $t_{50\%}$, $t_{90\%}$, D.E% and MDT were also calculated. F4 and F3 emerged as successful tablet formulation formulated with different approaches and were subjected to comparison with one popular marketed brand. Marketed brand and formulation F4 extended the drug release 83.62% and 90.10% in 8-12 h. whereas F3 formulation extend the drug release up to 12 h followed by zero kinetic order ($r^2 = 0.9630$). The similarity in dissolution profile (f_2) was assessed using the FDA recommended approach. The (f_2) factor increase in pH 6.8 is optimum for co-relation for marketed brands (B1, B2, B3 and B4). The F3 formulation had a dissolution profile similar to the marketed brands and had the highest f_2 similarity factor at pH 6.8.

Keywords: Aceclofenac, Dissolution, Zero Order, Tablets, Dissolution Efficiency

A-547

Self Assembled Nanoparticles for Oral Delivery of Peptide

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Abstract:

In the current research, Mannose conjugated chitosan nanoparticle (MCNP) of Insulin was formulated. The objective behind the research was to examine the consequence of Formulation and process variable and their effect on the entrapment efficiency, loading capacity, and particle size on formulating (MCNP) of Insulin. The prepared (MCNP) of Insulin were evaluated for entrapment efficiency, loading capacity, Drug release and particle size, and it was found that (MCNP) of Insulin (formulated insulin:chitosan ratio 1:3 with stirring speed 500 rpm) has a maximum entrapment efficiency 77.94% (w/w), and smallest particle size of 275 nm out of all the four formulations (IC2T2S-1 to IC2T2S-5). *In vitro* drug release study of CNPs and MCNPs show non-linearity of the graph for CNPs and MCNPs formulations suggests that the diffusion pattern does not follow zero order kinetics of release. Highest regression coefficient value for the Higuchi model for both

the CNPs (0.9807) and MCNPs (0.9864), indicating diffusion to be the predominant mechanism of drug release in both the cases of NPs. The morphology of prepared NPs was analyzed under TEM and SEM. The formulated CNPs and MCNPs were morphologically examined by TEM at magnifications at 8000. TEM images reveal solid, consistent, and compact structure having a spherical shape and in nanometric range. Thus, it can be concluded this study can be beneficial for the formulation of Mannose conjugated chitosan nanoparticle (MCNP) of Insulin.

Keywords: Chitosan Nanoparticle, Insulin

A-548

Formulation and Evaluation of Rapidly Disintegrating Tablet of Candesartan

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Abstract:

Candesartan is water insoluble oral antihypertensive agent, with problems of variable bioavailability and bioequivalence related to its water insolubility. Candesartan is a highly potent, long-acting and selective angiotensin II type 1 (AT₁) receptor blocker. It is administered orally as the inactive prodrug candesartan cilexetil which is rapidly and completely converted to candesartan during gastrointestinal absorption. Candesartan cilexetil should be administered orally once or twice daily for a total daily dosage of 4 to 32 mg. In this investigation rapid disintegrating tablet were prepared by using super disintegrating agent: croscopovidon, crosscarmellose sodium, sodium starch glycolate in concentration 3%, 4%, 5%. Sweeteners and flavors were used to enhance the organoleptic properties of tablet. Tablets were prepared by direct compression technique. Prepared tablets were evaluated for thickness, uniformity of weight, hardness, friability, wetting time, in-vitro disintegration time, drug content and in vitro drug release. Disintegration time and drug release were taken as the basis to optimize the rapidly disintegrating tablet. All the formulations were evaluated for the influence of disintegrates and their concentrations on the characteristics of rapid disintegrating tablets mainly in terms of disintegration time and dissolution studies. The disintegration time of all formulation showed less than 37 seconds. Among the three superdisintegrants used, Croscopovidon showed less disintegrating time followed by crosscarmellose sodium and sodium starch glycolate. The relative efficiency of different superdisintegrants to improve the drug rate of tablets was in

order, croscopovidon > Crosscarmellose sodium > sodium starch glycolate.

Keyword: Rapid Disintegrating Tablet, Super Disintegrants, Candesartan Celixitle

A-549

Design and Evaluation of Polyherbal Hydrogel for Effective Treatment of Acne Vulgaris

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Abstract:

Acne vulgaris is a disease of the pilosebaceous follicle characterized by non-inflammatory (open and closed comedones) and inflammatory lesions (papules, pustules, and nodules). Acne vulgaris is an extremely common skin disorder that affects areas containing the largest oil glands, including the face, back, and trunk. *Propionibacterium acnes* (*P. acnes*), an anaerobic pathogen, plays an important role in the pathogenesis of acne. It is implicated in the development of inflammatory acne by its capability to activate complements and by its ability to metabolize sebaceous triglycerides into fatty acids, which chemotactically attract neutrophils. For many years, antibiotics have been used to treat acne vulgaris. However, antibiotic resistance has been increasing in prevalence within the dermatologic setting. The development of antibiotic resistance including the specific nature of the relationship of bacteria to antibiotics, how the antibacterial is used, host characteristics, and environmental factors. To overcome the problem of antibiotic resistance, medicinal plants have been extensively studied as alternative treatments for diseases. So our aim and objective to develop safe and effective polyherbal formulation for effective management of acne.

Keywords: Acne Vulgaris, Polyherbal, *Propionibacterium Acnes*

A-551

Formulation and Evaluation of Smedds Containing Febuxostat Drug by Employing Coconut Oil and Labrasol as Oil and Surfactant System

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Abstract:

Solubility is the important process for most of the drug to solubilize in a given solvent to give homogenous solution. The greater the solubility of drug, the greater will be the systemic dissolution showing desired pharmacological response. New techniques have been developed to improve the solubility rate of poorly soluble drugs. Solid dispersion, Complexation, Particle size reduction, co-solvency, etc. Among which, a recent approach lipid base formulations (SMEDDS) are attracting the formulation scientists. These lipid based formulations include SMEDDS, SNEDDS. SMEDDS are nothing but the emulsion containing oil, surfactant, co-surfactant and drug which form oil in water emulsion upon mild agitation with aqueous phase. In the present study SMEDDS containing febuxostat, a BCS class II drug is formulated. As febuxostat is insoluble in water, lipid based formulations SMEDDS are developed by employing coconut oil as lipid phase, Tween 80, PEG400, Labrasol were selected as surfactant mixture. The better formulations were selected based on the evaluation parameters like drug content, %transmittance, drug release studies.

Keywords: SMEDDS (Self-Micro Emulsifying Drug Delivery System), Febuxostat, Micro Emulsion Region Ternary Diagram

A-552

Formulation and Evaluation of Bilayered Tablets of Quetiapine Fumerate for Biphasic Drug Release

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Abstract:

Quetiapine fumerate is a second generation antipsychotic agent which has been completely employed as a pharmaceutical agent for the treatment of schizophrenia and bipolar mania. It is a psychotropic agent belonging to chemical class of benzodiazepine derivative. It is present in tablets as fumerate salt. The objective of this bilayered study was to design bilayered tablets of quetiapine fumerate for biphasic release

and *in vivo* release of the same. Bilayered tablets comprise of two layers i.e. immediate release layer and sustained release layer in which immediate release as initial dose and second layer is maintenance dose. The immediate release layer is comprised of corspovidone as a super disintegrant and the sustained release layer comprised HPMC K100M as the release retarding polymer. Direct compression and wet granulation method were used for the formulation of bilayered tablets. All the batches were evaluated for thickness, weight variation, hardness and drug content uniformity *in vitro* dissolution studies were carried out in a USP apparatus II paddle type. HPMC K100M extended the release of drug from the extended release layer for 12 hrs. FTIR studies revealed that there was no interaction between drug and polymers used in study. There were no changes observed in physicochemical properties and release pattern of tablets. The formulated uncoated tablet of Quetiapine fumerate is evaluated successfully within the evaluation parameters which suggest that the tablet have better therapeutic level in systematic circulation. Biphasic drug release pattern was successfully achieved through the formulation of bilayer tablets in this study.

Keywords: Quetiapine Fumerate, Bilayer Tablet, Biphasic Release System

A-553

Acyclovir Loaded Flexible Membrane Vesicles (Fmvs): A Novel Approach with Preclinical Evidence of Antiviral Activities in Murine Model of Cutaneous HSV1 Infection

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Abstract:

The present investigation focused on the development and evaluation of acyclovir-loaded flexible membrane vesicles (ACY-FMVs) and evaluated their targeting potential to localize the drug into skin layers. The drug-loaded FMVs were prepared by thin-film hydration method and characterized for various attributes including micromeritics, entrapment efficiency, vesicle shape, size, and degree of deformability. The values of particle size and zeta potential of the developed carrier system were found to be 453.7 nm and – 11.62 mV, respectively. The system was further incorporated into a hydrogel and evaluated for skin permeability and retention

characteristics in comparison to marketed formulation. The developed formulation demonstrated enhanced retention of drug deep inside the skin layers which can probably decrease the frequency of application of the drug, thereby reducing its adverse effects. Skin irritancy studies performed on Laca mice skin proved the safety and non-irritant nature of ACY-FMV. The pharmacodynamic studies on murine model for HSV-1 infection demonstrated immense potential and safety of topically applied ACYFMVs. However, more intensive studies need to be pursued to explore and exploit the potential of lipid-based systems in anti-viral therapeutics. These preclinical findings provide a hope for corroborating the efficacy in clinical situations.

Keywords: Acyclovir, FMV, Anti-viral

A-554

Modified Polysaccharide Based Liquisolid Formulation of Paclitaxel: *In Vitro* Cytotoxicity, Cell Cycle Analysis and Mitochondrial Membrane Potential

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Abstract:

The present research was aimed to develop a liquisolid formulation of paclitaxel using modified polysaccharides. Modified polysaccharide i.e. co grinded treated tamarind kernel powder (C-TTKP) was prepared by subjecting pure tamarind kernel powder to sequential processes of wetting, drying and co grinding with mannitol (1:1). Non-volatile solvents – PEG, polysorbate 80 and Solutol HS 15[®] were characterized for solubility enhancement. A total of 12 liquisolid tablets (LTP-1 to LTP-12) using C-TTKP as a carrier and Aerosil[®] 200 as a coating material were formulated. Optimized formulation was evaluated for *ex vivo* gastric permeation, *in vitro* cytotoxicity, cell cycle analysis and mitochondrial membrane potential (MMP). *In vitro* drug release study exhibited the highest release of 98.70±2.68% from LTP-10, among all Liquisolid tablets. The CDP of 61.59%, with the $r^2_{(0-30\text{min})}$ value of 0.7898 and $r^2_{(30-60\text{min})} = 0.8326$, which was found significantly different in case of LTP-10 ($P > 0.01$). The values of $IC_{50} < 20$ mmol/L indicates excellent anticancer activity. Additionally, LTP – 10 showed 37.92 and 54.17% cell death in early and late apoptosis which was significantly higher ($P < 0.01$) than paclitaxel (18.65 and 33.94%, respectively). MMP regain was highest for LTP-10 (33%) in comparison to paclitaxel (25%),

validating the significant potential of LTP-10, over paclitaxel ($P < 0.05$) and 5-Fluorouracil ($P < 0.01$). The study proved liquisolid technology is a promising approach to formulate paclitaxel as an efficient oral solid dosage form.

Keywords: Paclitaxel, Modified Polysaccharide, *In vitro* Cytotoxicity, Cell Cycle Analysis, Mitochondrial Membrane Potential

A-555

Formulation and Evaluation of Mucoadhesive Buccal Tablets of Atenolol

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Abstract:

The main aim of the present work was to formulate and evaluate mucoadhesive buccal tablets of Atenolol an anti-hypertensive drug using natural polymers. Natural polymers have recently gained importance in pharmaceutical field. Gums are widely used natural materials for conventional and novel dosage forms. Buccal mucosa is the preferred site for both systemic and local drug action. The mucosa has a rich blood supply and it relatively permeable. Buccal trans mucosal delivery helps to bypass first- pass metabolism by allowing direct access to the systemic circulation through the internal jugular vein. Mucoadhesive polymers are used to improve drug delivery by enhancing the dosage forms contact time and residence time with the mucous membranes. The substrate possessing bio adhesive polymer can help in drug delivery for a prolonged period of time. Various natural polymers were be used in mucoadhesive buccal tablets are xanthum gum and guar gum. Buccal tablets were evaluated for different parameters such as weight uniformity, content uniformity, thickness, hardness, surface pH, swelling index, *ex-vivo* mucoadhesive strength, *ex-vivo* mucoadhesive time, *in-vitro* drug release, and *in-vitro* drug permeation. The formulations containing guar gum and xanthum gum as polymers and aspartame (as sweetening agent) was found to be promising, which shows an *in-vitro* drug release of 92.45% and 87.05% in 6 h along with satisfactory bioadhesion strength (25g and 33 g). So it can be concluded that buccal mucoadhesive tablet is potential way of delivering Atenolol in order to prevent its extensive first pass metabolism and to improve its bioavailability.

Keywords: *Ex-vivo* Mucoadhesive strength, Mucoadhesive Buccal tablets, Swelling Index and Surface pH

A-556

Enhancement of Solubility of Ramipril by Preparation and Characterization of Ramipril

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Abstract:

Ramipril is ACE inhibitor which acts on Renin-Angiotensin system. As the ramipril is BCS class II drug having low solubility and low bioavailability. The Investigation was made to enhance the solubility by formulating Ramipril loaded cubosomes. Glycerol monooleate and Poloxamer 407 were the main precursor for formulation of cubosomes. The prepared cubosomes were characterized for particle size, entrapment efficiency, differential scanning calorimetry, FTIR and % drug release. The method was optimized by applying 3² level factorial design. The mean particles size, PDI, and entrapment efficiency of optimized formulation was found to be 156.3nm, 0.165, 92.83% respectively. The drug release study from the cubosomes was studied in 0.1N HCl and SGF for the optimized formulation (R-3). The results demonstrated that Cubosome formulation (R-3) showed a sustained release maximum up to 75-80% till 24 hours. The release curve was found to follow Korsmeyer Peppas model with n value >0.5 indicating the Non Fickian drug release mechanism. Stability studies revealed that there was no physical instability of the developed formulation for period of 3 months at 25°C ± 2°C/60 ± 5%RH. From this study it was concluded that the solubility of class II drug ramipril in 0.1N HCl and distil water by 2.56 fold and 51fold enhanced.

Keywords: Cubosomes, PDI, FTIR, Factorial Design

A-557

Formulation and Evaluation of Buccal Mucoadhesive Tablets of Rivastigmine Hydrogen Tartarate

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Abstract:

In the present study, the main aim is to formulate and evaluate mucoadhesive tablets of Rivastigmine hydrogen tartarate using different bioadhesive polymers. The tablets were prepared using Sodium Carbopol-934P, Chitosan, HPMC K4M and HPMC K15M as bioadhesive polymers to impart buccoadhesion. Development of mucoadhesive tablets of Rivastigmine hydrogen tartarate which were designed to prolong the gastric residence time after oral administration. Rivastigmine hydrogen tartarate is in a class of cholinesterase inhibitors. The present study aims to reduce the dosing frequency. Tablets were evaluated by different parameters such as weight variation, content uniformity, thickness, hardness, swelling index, ex vivo mucoadhesive strength, *in-vitro* drug release. The present study concludes that mucoadhesive tablets of Rivastigmine hydrogen tartarate containing bioadhesive polymers Carbopol 934P and HPMC K4M shows best bioavailability of Rivastigmine hydrogen tartarate.

Keywords: Rivastigmine Hydrogen Tartarate, Mucoadhesive, Chitosan

A-558

Anti-acne Property of Phycocyanin Extracted from Spirulina

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Abstract:

Acne vulgaris ,also known as acne ,is a long term skin disease that occurs when hair follicles are clogged with dead skin cells and oil from the skin .Genetics is thought to be the primary cause of acne in 80%cases .During puberty in both sexes , acne is often brought on by increase hormones such as Testosterone .Excessive growth of bacterium Propionibacterium acnes ,which is normally present on the skin ,is often involved .Phycocyanin is a holoprotein made up of smaller proteins on of which is bio active phycocyaninbilin of spirulina which have many natural benefits which are based on natural protein phycocyanin. Based on antimicrobial activity of Phycocyanin protein of Spirulina platensis the ointment was prepared to treat Acne vulgaris

A-559

Formulation, Development and Evaluation

of Floating Tablets of Roxithromycin and Esomeprazole in the Treatment of Peptic Ulcer Disease

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Abstract:

The main objective of present work is to developing a tablet containing two drugs, Esomeprazole and Roxithromycin for treating Peptic Ulcer Disease, current investigation was planned using a natural gum as a Matrix carrier. Statistically designed core in coat formulation were developed and evaluated for various characteristic attributes including stability of dosage form. The tablets so developed with density < 1 , were found to release approximately 40% of Roxithromycin within 2 h and 60% within 5 h and further Esomeprazole was completely ($\approx 99\%$) released within 5-8 h. The stability studies indicated that, the dosage can be stored for a period of 2 years.

Keywords: Core in Coat tablets, Esomeprazole, Roxithromycin

A-560

Colorants: Today's Need in Pharma Industry

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Abstract:

Colorants system for various dosage form, colorant, blending, handling precaution, safety, stability, and storage data of various colorants. Colorants are mainly used to impart a distinctive appearance to the pharmaceutical dosage forms. There are many types of pharmaceutical formulations which need to be colored such as tablets, tablets coatings, capsules (hard gelatin, soft gelatin), liquid orals, tooth pastes, ointments and salves etc. The purpose of coloring varies with different formulations. Coloring may be required to increase the aesthetic appearance or to prolong the stability or to produce standard preparations or for identification of a particular formulation. Color psychology says that, the color of the product may also influence the efficacy of therapy. Thus, the prime priority of colorants is to increase the aesthetic appearance of the product, so we can say that the colorants are the cosmetics for

the pharmaceutical formulations. The classification of various colorants including FD&C categories, the lists of colorants and their uses, the description about major colorants widely used in the formulations was discussed here in detail. In many regions around the world there is a distinction between colors that may be used in drugs and those for food use. This review also discusses the Status of color additives based on Code of Federal Regulations, The international regulatory status, Coloring systems for various dosage forms, Colorant blending, Handling precautions, Safety, Stability and Storage data of various colorants. Legislations, which govern the usage of colorants, include European Union Legislation and United States Legislation.

Keywords: Colorants, Pharmaceutical Colorants, Regulations

A-561

Development and *In-Vitro* Evaluation Floating cum Mucoadhesive Microparticles for Swineflu

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Abstract:

The goal of any drug delivery system is to provide a therapeutic amount of drug to the proper site in the body to achieve promptly & then maintain the desired drug concentration. The present research work was an attempt to develop and evaluate stomach specific microparticles for anti-influenza drug as Oseltamivir phosphate. Floating cum mucoadhesive microspheres were prepared by heat stabilisation technique. This method is a simple and optimised method to prepare microspheres. Formulations F1-F5 were developed with different ratios of chitosan and albumin combinations. The FTIR spectroscopy was used to confirm compatibility. Physicochemical characterisation in terms of particle size, percentage yield, drug entrapment efficiency, swelling study, buoyancy, mucoadhesion, *in vitro* drug release were found to be within acceptable range. Among all the prepared formulations, F3 was found to be an optimised formulation with the highest percentage of drug release.

Keywords: Oseltamivir Phosphate, Heat Stabilisation Technique

A-562

Therapeutically Active Natural Oil Formulated for Better Bioavailability by Nanostructure Lipid Carriers (NLCs)

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Abstract:

Nanostructured lipid carriers (NLCs), is the second generation innovative lipid nanoparticles that acts as a bioactive carrier system, has been developed to overcome some potential limitations of the solid lipid nanoparticles (SLN). Nanostructured lipid carriers (NLCs) are drug-delivery systems composed of both solid and liquid lipids as a core matrix. NLCs reveal some advantages for drug therapy over conventional carriers, including increased solubility, the ability to enhance storage stability, improved permeability and bioavailability, reduced adverse effect, prolonged half-life, and tissue-targeted delivery. NLCs have gained much interest in recent years because of the satisfied drug carrier potency and safety. NLCs have been developed to deliver the drugs by various application routes including topical skin delivery, oral administration, ocular delivery and pulmonary inhalation and many more. NLCs as topical drug delivery systems for increase of skin occlusion, Enhancement of skin permeation and drug targeting, increase of skin hydration and elasticity enhancement of UV blocking activity, enhancement chemical stability of chemically labile compounds. It has become increasingly important and popular over the years as more of its various health benefits have become understood, including its ability to stimulate hair growth, boost mental activity, relieve respiratory problems and reduce pain. It is formulated so many method but more suitable for Hot Homogenization.

Keywords: NLCs, Tissue-Targeted Delivery, Hot Homogenization, Permeability, Bioavailability

A-563

Formulation and Evaluation of Orodispersible Piroxicam Films

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Abstract:

The investigation was carried out with the aim of formulating orodispersible films containing piroxicam, which is a long acting potent NSAID, with a view to enhance the compliance and convenience in paediatric and geriatric patients. Inclusion complexes of piroxicam in various ratios were prepared and subjected to *in-vitro* dissolution studies to determine the best working ratio. The selected inclusion complex was then utilised for the formulation of orodispersible films by solvent casting method. Sodium CMC and Chitosan were used as film formers, Sodium starch glycolate and Croscrovidone as super-disintegrants and PEG 400 as plasticizer. Twelve formulations (F1 – F12) were prepared and evaluated for physico- mechanical properties, *in-vitro* disintegration time, *in-vitro* dissolution characteristics and *in-vitro* permeation studies. Good physico-mechanical properties and *in-vitro* disintegration time were observed all the film formulations. , formulations F4 and F6 showed better drug release of 95.9% and 98.4% respectively, formulation F6 also showed a better drug permeation of 91.44% in 30 min.

Keywords: Piroxicam, Orodispersible, Films, Solvent Casting

A-564

Target Drug Delivery System

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Abstract:

The target drug delivery system is first introduced in 1981 by Greogoriadis, with time the target drug delivery system become more advanced and now used for treating intracellular infectious diseases such as cancer, malaria, tuberculosis, diabetes etc. There are two pathway's followed for the target drug delivery passive transport and active transport. Passive transport is based on the accumulation of drug around the specific site. In the active transport there is targeting of ligand receptor interaction. The drug delivery system provide enhanced efficacy and reduced toxicity for anticancer agents. Liposomal anthracyclines have achieved highly efficient drug encapsulation, resulting in significant anticancer activity that reduced cardiotoxicity. Pulmonary drug delivery offers several

advantages in the treatment of respiratory diseases over the other routes of administration. The inhalation therapy enables the direct application of drug. Pulmonary arterial hypertension (PAH) without the need of respiratory diseases exposure by other route of administrations the mucosal vaccine, other sites nasal is effective for immunization and this route is patient compliance and economical. The treatment of CNS disease is difficult due to the blood brain barrier, blood cerebrospinal fluid barrier, blood tumour barrier. The present reasonable hope that the formidable barrier shielding the brain ultimately to come it is done by nanoparticles (polymer based drug designing) ranging from 10-1000 nm. Nanotechnology includes coated nanoparticle, pegylated nanoparticle, solid lipid nanoparticle, nano gels.

A-565

Formulation and Evaluation of Almond Gum Nanofiber Containing Cross-Linking Silver Ions for Antimicrobial Wound Dressing

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Abstract:

The rationale behind this study was to prepare the almond gum nanofibers cross-linked with metal ion via electrospinning methods so as to aid in wound healing by acting as a wound dressing material. Almond gum a natural polymer comprising of oligosaccharides was used as a major ingredient. Polyvinyl alcohol (PVA) is used as the fibre forming agent to increase the structural properties of nanofibers. The fibers were prepared by using Electrospinning technique. The obtained fibers were cross linked with Ag⁺⁺ to impart antimicrobial property. The characterization of prepared cross-linked almond gum Nanofibers was done by FT-IR, DSC and SEM. Further the nanofibers were evaluated for fibers diameter, tensile strength, fluid uptake, moisture vapour transmission rate, antimicrobial activity, and *in vitro* cytotoxicity. The results indicated that the almond gum was compatible with PVA and the average fibre diameter, tensile strength, fluid

uptake and moisture transmission rate was found to be 326±48nm, 5.43±0.49, 147±0.79%, 2390±0.76g/m²/h respectively. The release profile of Ag⁺⁺ ions in acidic condition 33.51% released. The antimicrobial studies indicated that almond gum nanofiber showed enhanced antimicrobial activity. Cytotoxicity study showed that the nanofiber was non-toxic. Hence, the produced almond gum fibers had excellent wound healing property, hence making it as an exceptional wound dressing material.

Keywords: Almond Gum Nanofiber, Electrospinning, Cross Linking, Cytotoxicity

A-566

Pitavastatin Nanocrystal Tablets (PNCT) - Studies on Solubility and Dissolution Rate Enhancement

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Abstract:

Pitavastatin is an anti-hyperlipidemic drug characterized by a poor water solubility and low oral bio-availability. In this work, pitavastatin nanocrystal tablets (PNCT) were prepared to enhance the dissolution rate and saturation solubility. Pitavastatin nanocrystals (PNC) were prepared by means of high pressure homogenization technique using poloxamer188 as stabilizer, using emulsion solvent diffusion method (ESDM). Pitavastatin nanocrystal size and zeta potential were determined by dynamic light scattering technique and zeta potential analyzer. Additionally characterization of nanocrystal tablets was carried out by FT-IR, XRPD, SEM and DSC. Results revealed that formulation (F4-P) possessed highest saturation solubility and dissolution rate. An in-vivo study was carried out on the best formulation in comparison to pitavastatin tablet using rat as experimental animals. It was concluded that PNCT showed greater decrease in lipid profile in comparison to conventional (marketed) pitavastatin tablets.

Keywords: Pitavastatin, Poloxamer 188, ESDM, Solubility, Nanocrystal Tablets

A-567

Microwave Generated Bio Nanocomposites for Solubility and Dissolution Rate Enhancement of Poorly Water Soluble Drug Rosuvastatin

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Abstract:

To enhance the solubility and permeability of Rosuvastatin by formulating its bionanocomposite by using the biodegradable polymer such as acacia gum, ghatti gum and guar gum with the help of microwave oven for enhancement of solubility and dissolution rate. To formulate bionanocomposite into conventional dosage form such as tablet. The bionanocomposites were prepared by homogenous mixing of accurately weighed amount of individual drug with individual polymer. Bionanocomposites were grounded in mortar and pestle to obtain the size of 80 to 250 μm . The bionanocomposites of drug with polymer were denoted by symbol BNCGGROS, BNCACROS, and BNCGRGROS. BNCs samples equivalent to 30 mg of BNCACROS, BNCGRGROS, BNCGGROS were placed in 10 ml water in Teflon facing screw capped vial and kept at equilibrium for 24 hr on orbital shaking incubator at $37 \pm 0.5^\circ\text{C}$ and 50 rpm. The content of vials were filtered through 0.2 micron filter and analyzed by UV-Visible spectrophotometer at 238 nm. As the concentration of polymer increases also increase in the drug solubility. It can be concluded that the poor bioavailability of Rosuvastatin due to poor solubility was enhanced by formulating its bionanocomposite. Acacia, Gum ghatti and Guar gum can be successfully used to formulate nanocomposite. This natural polymers having advantage over other synthetic polymer as this polymers are biocompatible, biodegradable and having low cost. The method used to formulate the nanocomposite is simple and can be easily applied commercially. After combination with drug the solubility and permeability of Drug increase as compare to that of pure Drug.

A-568

Fabrication and Characterization of Nanoparticles for Management of Breast Cancer

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Abstract:

Tamoxifen (Tmx) is currently used for the treatment of both early and advanced estrogen receptor positive breast cancer in pre and post menopausal women. However, using Tamoxifen routinely to inhibit endogenous or exogenous estrogen effects is occasionally difficult because of its potential side effects. Oncemetabolized, the active form of Tamoxifen, (4 hydroxytamoxifen) competes with the binding 17β estradiol to estrogen receptor, thus initiating the programmed cell death. This selectively makes it ideal candidate for development of targeted system. The present investigation was aimed to investigate the antiproliferative action of Tamoxifen on Michigan Cancer Foundation-7 (MCF-7) breast cancer cells targeting via nanoparticles. Nanoparticles were developed by ionotropic gelation method and characterized for size distribution and zeta potential by Zetasizer (Malvern), surface morphology by Scanning Electron Microscopy (SEM). Drug – excipient interaction using Fourier Transform Infrared Spectroscopy (FTIR) and Differential Scanning Calorimetry (DSC). The *in vitro* drug release was investigated using USP dissolution test (Paddle Type) apparatus in different simulated fluids. The biocompatibility of nanoparticles was assessed for *in vitro* cytotoxicity by MTT assay using Trypan blue dye and their cell viability was studied. Targeting was achieved by using folic acid to folate receptors over the prepared nanoparticles by confocal microscopy. Tamoxifen loaded nanoparticles had smooth surface, with a nanosize range of 57.08-169 nm with a low polydispersity index and zeta potential of -34 mV. Further, nanoparticles were internalized well by the MCF-7 breast cancer cells on a concentration dependent manner.

Keywords: Breast cancer, Nanoparticles, Targeted Drug Delivery, Tamoxifen, Chitosan

A-570

Development of pH Sensitive Microparticles of Karaya gum: By Response Surface Methodology

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Abstract:

This study was aimed to develop a process of spray drying without the use of organic solvents for the preparation of pH sensitive Karaya gum microparticles for Frusemide by

enteric coated with Kollicoat® DP. Karaya gum was used as a wall material for the preparation as it produced the required controlled release of the drug, glutaraldehyde was used as a cross linking agent to control the release properties of the microparticles, permeation enhancer was also incorporated into the microparticles to improve the absorption of the drug as Frusemide shows limited dissolution and absorption. The prepared spray dried microparticles were further coated with Kollicoat® DP. The prepared microparticles were characterized by FT-IR, DSC, XRD, SEM, particle size and Micromeritic properties. The particle size for optimized microparticles was found to be between 3.89-5.93 nm. SEM photographs showed that microparticles are roughly spherical in shape and free from cracks. The formulations showed an encapsulation in range of 81-94%. The prepared microparticles showed good flow properties. At the end of 12 hours the *in vitro* drug release was found to be 99% in formulation F6 in pH 5.6 phosphate buffer saline, less than 3% of drug was released in the acidic phase and up to 97% in the basic medium. *In vivo* studies for the optimized formulation in albino rats showed a sustained release over a period of 12 hours

Keywords: Frusemide, Kollicoat, Crosslinking, Permeation Enhancer, Karaya Gum

A-571

Development and Evaluation of Nanosized Topiramate Loaded Bio-Flexy Films using Phoenix Dactylifera Biopolymer for Oro-Trans Soft Palatal Delivery

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Abstract:

The research work aimed to formulate bio-flexy films loaded with nanosized Topiramate using a novel biopolymer isolated from Phoenix dactylifera. Topiramate, anticonvulsant drug possesses $t_{1/2}$: 19-30 hours; protein binding: 13-17%; water solubility: 9.8 mg/L reduces glutamatergic neurotransmission. Side effects include abdominal pain, pharyngitis, suicidal thoughts and sudden unexpected death. Biopolymer isolated from Phoenix dactylifera was used to prepare bio-flexy films because of its biodegradability, biocompatibility, non-toxic, non-irritant nature and non-reactive on soft palatal surface. Physicochemical characterization of biopolymer displayed inbuilt properties of filmability, mucoadhesivity. Bio-flexy films

were prepared by solvent casting technique. The percentage yield of Phoenix dactylifera biopolymer was found to be $20.682 \pm 0.01\%$. Thickness of formulated bio-flexy films was ranging from 0.032 mm to 0.041 mm, folding endurance: 91-128, surface pH: 7.01 ± 0.02 to 7.01 ± 0.01 , weight uniformity: 0.001 ± 0.02 to 0.032 ± 0.01 . Based on all above mentioned evaluation parameters, FPD2 (containing Topiramate: Phoenix dactylifera biopolymer (1:3)) having $R^2=0.9325$, Higuchi matrix as best fit model, follows Fickian diffusion (Higuchi matrix) release mechanism, $T_{50\%} = 11.68$ hrs., $T_{80\%} = 42.91$ hrs., using BITS Software 1.12 was selected as Best Formulation. Stability study revealed stable bio-flexy films with no significant change in physical appearance and stable pH. Prepared formulations of Topiramate loaded bio-flexy films are suitable for soft palatal delivery.

Keywords: Bio-Flexy films, Nanosized Topiramate, Phoenix Dactylifera Biopolymer, Soft Palatal Delivery

A-573

Formulation and Evaluation of Gastro Retentive Tablets of Irbesartan

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Abstract:

Gastro retentive drug delivery system is an approach to prolong gastric residence time, thereby targeting site-specific drug release in upper gastro intestinal tract. The systems help in occasionally releasing the drug before it reaches the absorption window, thus ensuring optimal bioavailability. The objective of the present study was to formulate and evaluate Gastro retentive floating tablets of Irbesartan. Present study was carried out to develop the floating matrix tablets of Irbesartan using cellulose acetate phthalate and Cotab EN-C as the release rate controlling polymers. Sodium Bicarbonate and citric acid were used as gas generating agents. Various evaluation tests were carried out including hardness, weight variations, diameter, thickness, drug content, swelling index and dissolution for the tablet preparations. All the tablets were found to be circular in shape with no cracks. The gas generating agents provided desired floating ability and this combination decreased the density resulting in expansion and upward force of CO_2 gas, ensuring the floating capability of dosage form. The *in-vitro*

release profiles of the tablets were found to follow Higuchi kinetic model because of the R^2 values proximity to unity. Release profile from all the formulations was of Non-Fickian anomalous diffusion type. Finally it is concluded that Irbesartan tablets can prolong gastric residence time with good invitro buoyancy and improve the absorption window.

Keyword: Irbesartan, Methyl Cellulose, Floating Matrix

A-574

Synthesis, Characterisation and Biological Activity of Novel Thymoquinone Analog and its Cyclodextrin Complexes

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Abstract:

The major problem with compounds obtained from plant source is poor aqueous solubility and in turn low potency and high doses leading to toxicity and side effects. Aminothymoquinone is the analogue of thymoquinone which has proven to show five times more activity than thymoquinone. However, it has poor aqueous solubility. In the present work Aminothymoquinone is complexed with three different cyclodextrines. The complex ratio was determined by phase solubility studies (Higuchi Connors method) and also using molecular docking models and was found to be 1:1. The complexes were formed by kneading method and characterized by FTIR, PXRD, SEM, etc. The formed complexes interaction with human serum albumin the most abundant transport protein in the blood was studied using fluorescence spectroscopy by quenching method. The binding constant of ATQ-Captisol complex was the highest followed by ATQ-HPBCD and ATQ-BCD. The complexes were also studied for their activity against triple negative breast cancer using MDA-MB-231 cell lines. ATQHPBCD showed the lowest IC_{50} value of 3.87 micro moles indicating the best anticancer activity. ATQHPBCD was then studied for its in-vitro release characteristics.

Keywords: Aminothymoquinone, Thymoquinone, Cyclodextrines, Breast Cancer

A-575

Formulation Development and Evaluation of Polymeric Nanoparticles

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Abstract:

The purpose of this part of the study was to prepare and characterize polymeric nanoparticle formulations of fenofibrate. From preformulation studies drug was successfully characterized and identified. A solubility study result shows the optimization of 1:1 molar ratio of poly vinyl pyrrolidone with fenofibrate. In experimental part polymeric nanoparticles formulations of fenofibrate with different polymer (Poly vinyl pyrrolidone and Soluplus) were successfully prepared by rotary evaporation and high pressure homogenizer technique. FTIR study of optimized nanoparticles formulation batches conclude the better hydrogen bonding interaction between drug and formulation there is no interaction between drug and polymer. From DSC, SEM and XRD characterization it has concluded that drug might have converted from its crystalline formation amorphous state (not fully but partially). In vitro dissolution profile of nanoparticles formulation powder showed 77% drug release in 100 minutes while fenofibrate showed 38% minutes, which suggest that batch A1 has superior dissolution rate than drug. In case of in vivo pharmacokinetic study of batch A1 showed increase in plasma AUC compared to pure drug. Thus polymeric nanoparticles formulation exhibited considerable enhancement in the dissolution rate and bioavailability using high pressure homogenized technique with hydrophilic polymers.

Keywords: Fenofibrate, Polymer, High Pressure Homogenizer, Solubility, Oral Bioavailability

A-576

Orally Disintegrating Tablets of Metoclopramide HCl or Domperidone: Formulation, Mechanism of Disintegration and Effect of Solubility

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Abstract:

Aim of the present work was to develop a disintegrating system that could be used for preparing

oral disintegrating tablets (ODTs) of drugs with variable solubilities like metoclopramide HCl or domperidone, without compromising on the mechanical strength, irrespective of the TCS used for compressing the granules. For this purpose disintegrating system consisting of chitosan-starch (CSN-ST) conjugate (1:1): glycine and chitin was developed. The results revealed that when CSN-ST and glycine were mixed in the ratio of 40:60, the granules exhibited a minimum water sorption time. The addition of chitin (5-10%w/w) into this mixture further enhanced the effective pore radius (Reff.p). Further, chitin was found to neutralize the effect of TCS on DT of ODTs. This property of chitin was also observed in ODTs prepared by using croscarmellose sodium (5%w/w) or crospovidone (5%w/w). Further, the role of solubility of drugs by estimating powder characteristics indicated that when metoclopramide HCl forms saturated solution in contact with water, the wicking action is hindered and decreased DT. Overall, the results suggested incorporation of chitin (5-10%w/w) while preparing ODTs of metoclopramide HCl or domperidone to enhanced the disintegration without compromising the mechanical strength of the tablets.

Keywords: Metoclopramide HCl, Orally Disintegrating Tablet, Chitosan-Starch, Superdisintegrant, Solubility

A-577

Formulation and Evaluation of Extended Release Tablets of Omeprazole Hydrochloride

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Abstract:

The main aim of proposed work was to develop matrix tablets extended release dosage forms for the treatment of a highly effective inhibitor of gastric acid secretion. The extended release tablets were prepared by direct compression method using hydroxypropyl methyl cellulose (HPMCK4MK15M) Dicalcium phosphate, metalose (60SH-50) and xanthum gum, carbopol 971p in varying ratios. The *in-vitro* dissolution study was carried out for 12 hours using paddle method in phosphate buffer (pH 6.8) as dissolution media. Formulation F1 to F24 direct compression method, extended release and among all the formulation F14 formulation was compared with the marketed product for drug release pattern and was matched using similarity factor 70.11 (f2) which showed that formulation F14 performed similar to the marketed product therapeutically.

Keywords: HPMC K4MK15M, Metalose (60SH-50) Carbopol 971

A-578

Formulation and Evaluation of Extended Release Mucoadhesive Microspheres of Atorvastatin

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Abstract:

The objective of the present study was to prepare and evaluate the mucoadhesive microspheres of Atorvastatin. Atorvastatin microspheres were prepared by orifice- ionotropic gelation method using polymers such as HPMC (K 100 M), Carbopol 940P, Sodium CMC, Guar gum, Sodium Alginate, Ethyl Cellulose, Methyl Cellulose and Xanthan gum. Totally 15 different formulations of Atorvastatin were prepared by using the above polymers. The microspheres were characterized for drug content, entrapment efficiency, mucoadhesive property by *in vitro* wash-off test and *in-vitro* drug release. The formulation F10 was selected as an ideal formulation based on the *in vitro* release profile which shows an extended drug release of 96.11% upto 8 hours in phosphate buffer of pH 7.0. Surface morphology (SEM analysis) and drug-polymer interaction studies (FT-IR analysis) were performed only for the ideal formulation (F10). The microspheres were smooth and elegant in appearance showed no visible cracks as confirmed by SEM and FT-IR studies indicated the lack of drug-polymer interactions in the ideal formulation (F10). The *in vitro* release data of all microsphere formulations were plotted in various kinetic equations to understand the mechanisms and kinetics of drug release. The ideal formulation (F10) followed Higuchi kinetics and value of "n," is calculated to be 0.86 indicated that the drug release shows non-fickian diffusion."

Keywords: Carbopol 940P, HPMC (K 100 M), Orifice-Ionotropic Gelation Method, Atorvastatin, Sodium Alginate, Sodium CMC

A-579

Targeted Nanoparticles for Cancer Therapy- An Overview

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Abstract:

Nanoparticles as drug delivery systems enable unique approaches for cancer treatment. Over the last two decades, a large number of nanoparticle delivery systems have been developed for cancer therapy, including organic and inorganic materials. Many liposomal, polymer–drug conjugates, and micellar formulations are part of the state of the art in the clinics, and an even greater number of nanoparticle platforms are currently in the preclinical stages of development. More recently developed nanoparticles are demonstrating the potential sophistication of these delivery systems by incorporating multifunctional capabilities and targeting strategies in an effort to increase the efficacy of these systems against the most difficult cancer challenges, including drug resistance and metastatic disease. In this chapter, we will review the available preclinical and clinical nanoparticle technology platforms and their impact for cancer therapy.

Keywords: Nanoparticle, Drug delivery, Metastatic Cancer, Cancer therapy

A-580

Atenolol Oral Disintegrating Film for the Treatment of Hypertension

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Abstract:

Anti-hypertensives are a class of drugs that are used to treat hypertension (high blood pressure). There are many classes of anti-hypertensives, which lower blood pressure by different means. Medical guidelines define hypertension as a blood pressure higher than 140/90 mmHg. Atenolol is a cardio selective β -adrenergic blocking agent, used in treatment of cardiac disease like hypertension, angina pectoris, myocardial infraction. The films were formulated by solvent casting technique using film forming polymer like Hydroxy propyl Methyl Cellulose (HPMC E-5) and super disintegrant (Pectin) in various proportions. Tween 80 was used as a surfactant, Ethanol was used as solvent, Glycerine as plasticizer, Mannitol as cryo-protective agent, Citric acid as Saliva stimulant and Methyl

Paraben as Preservative. To mask the bitter taste, Aspartame was used as sweetener. Various physico-chemical parameters like weight variation, folding endurance, surface pH, in vitro disintegration and in vivo dissolution studies were carried out. FTIR studies of drug, polymer and their mixture revealed that no chemical interaction occurred between drug and polymer. Based on evaluation parameters, Formulation F6 showed optimum performance and marked increase in drug release of 94.38 % in 2 min. Hence it is concluded that oral disintegrating films can be potential novel drug delivery dosage form for delivery of Atenolol.

Keywords: Atenolol, Oral disintegrating film, HPMC, Pectin

A-581

Recent Advancement in Microneedle Technology: A Hope in Efficacious Transdermal Delivery

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Abstract:

Demand for a painless method of delivering macromolecular compounds is on the rise. However, large-molecule drugs typically cannot be administered in the oral tablet to patients. Microneedling is a very simple, safe, effective, and minimally invasive therapeutic technique. These microneedles only penetrate the outermost skin layers, superficial enough not to reach the nerve receptors of the lower skin. Thus, microneedles deliver drug into the epidermis without disruption of nerve endings. FDA considers needle penetration beyond the stratum corneum and FDA evaluates needle length and arrangement, Needle sharpness and Degree of control. Microneedling is a relatively new minimally invasive procedure involving superficial and controlled puncturing of the skin by rolling with miniature fine needles. Over a short period of time, it has gained mass popularity and acceptance as it is a simple, cheap, safe, and effective technique requiring minimal training and it can be used a common method for administering large proteins and peptides, antibiotics, vaccines. The microneedle device is used to deliver fluid material into or across a biological barrier from one or more chambers in fluid connection with at least one of the microneedles. The device preferably further includes a means for controlling the flow of material through the microneedles.

Keywords: Microneedle, Recent Approaches, Microneedle Devices, Transdermal Drug Delivery

A-582

Lamotrigine Orodispersible Tablets; Study of Effect of Diluents on its Evaluation Parameters

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Abstract:

The oral route of administration is considered as the most widely accepted route because of its convenience of self administration, compactness and easy manufacturing. In the present study the effect of different diluents on ODT was studied and different parameters were evaluated. Tablets were prepared by direct compression method. Cross- povidone and crosscarmellose sodium were used as super disintegrants. Precompression tests such as angle of repose bulk density tapped density carrs index Hausner's were performed and found to be within limits. Taguchi orthogonal L⁹ design was used for optimisation of formulations using various diluents with lactose, avicel 101 & avicel 102 with 1%, 2% and 3% of CCS to study its effects. Impact on DT upon change in total weight of the tablet was also studied. The disintegration time was taken as dependent variable (response). The formulations F2, F3, F5, F6, F8, F9 containing diluents avicel 101, avicel 102 and lactose were optimised. The disintegration time of the optimised formulations were found to be in the range between 3 and 10 seconds. The optimisation study using Taguchi revealed that diluents and total weight of the tablet showed maximum impact on the dependent variable.

Keywords: Taguchi Design, Diluents, Super Disintegrant, Optimisation, Orodispersible Tablets

A-583

Formulation and Evaluation of Herbal Gel Containing Viscum Articulatum Stem Extract

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Abstract:

Herbal medicine has become an item of global

importance both medicinal and economical. The herbal medicines has increased, their quality, safety and efficiency are serious concerns in industrialized and developing countries. The herbal medicines decreases the side effects of allopathic drugs which are used on large scale now a days. The present research has been undertaken with the aim to formulate and evaluate the herbal gel containing *Viscum articulatum* the gel was formulate using Carbapol 934, *Viscum articulatum*, propylene glycol, methyl paraben, and required amount of distilled water. The skin pH (6.8-7), was maintained by drop wise addition of Triethanolamine. The physicochemical Parameters of formulations (pH, Spreadibility, Stability etc.) were determined. Stability studies have carried out as per ICH guidelines for 3 months at different temperatures and humidity. The results showed that formulation containing *Viscum articulatum* Stem Extract Show better stability (10%). Further formulations have studied for wound healing on animal model (Rat) and result showed that the wound healing contractility ability in different concentration was significantly greater than that of the control group.

Keywords: *Viscum articulatum* Stem Extract, Carbapol 934 Gel

A-584

Development and Characterization of Colon Targeted Tablets and Spheroids of Morin Hydrate β-Cyclodextrin Solid Inclusion Complex for the Management of Ulcerative Colitis

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Abstract:

The aim of present study was to develop a of colon targeted tablets and spheroids of Morin hydrate β-Cyclodextrin solid inclusion complex for the management of ulcerative colitis. Colon targeted drug delivery system are ideal for the traetment of varoius colon related diseases like ulcerative colitis. Preparation of Morin hydrate β-cyclodextrin solid inclusion complex by co-precipitation method. The enteric coated tablets of the prepared complex were prepared by wet granulation method. Preparation of spheroids by extrusion-spheronization technique. Co-precipitation method was applied to prepare Morin hydrate-β-Cyclodextrin solid inclusion complex. The complexes by co-precipitation method were prepared in molar ratios. Preformulation studies are studied before development

of formulation. After development of formulation different evaluation parameter are studied

Keywords: Colon Targeted, Preformulation, Co-precipitation, Evaluation, Morin Hydrate

A-585

Formulation and Evaluation of Piroxicam Colon Specific Compression Coated Tablets

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Abstract:

The aim of present study is to formulate compression coated tablets of piroxicam using hydroxypropyl methylcellulose K4M to treat the colonic inflammation. Piroxicam, a non steroidal anti-inflammatory drug was efficient to treat inflammation and pain related to colon. The frequent administration of piroxicam due to its short half-life leads to gastric ulceration and other gastric complications. Hence the development of colonic delivery of piroxicam is to reduce its side effects and achieve high local drug concentration in the colon. In the present investigation, piroxicam compression coated tablets were prepared and characterized for physical parameters. From the *in vitro* drug release studies, the optimized formulation F2 showed the 6.92% drug release in the initial lag period (5 h) followed by 98.23% drug release for 24 h in a controlled manner. Thus the formulation F2 was considered better among other formulations to produce colon specific drug delivery of piroxicam. The drug release from above formulation followed zero order profile and the mechanism of drug release from matrix tablets followed supercase II transport. FTIR spectral studies showed that there is no interaction between the drug and excipients. In conclusion, development of HPMC K4M compression coated tablets is a good approach to localize the piroxicam in colon to treat inflammation as it protecting the drug release in the upper region of gastrointestinal system.

A-586

Optimizing Mucoadhesive Microspheres for Eradication of H.Pylori using 3³ Factorial Design

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Abstract:

The mucoadhesive microspheres of Amoxicillin and Famotidine were prepared and systemically characterized for *in vitro* and *in vivo* activity for use in the treatment of gastric and duodenal ulcers, associated with *Helicobacter pylori*. Emulsion-solvent evaporation method was used for preparation using carbopol-934P as mucoadhesive polymer and ethyl cellulose as carrier polymer. During preliminary studies 27 batches of each drug using 3³ factorial design were prepared and effect of independent variables, drug-to-polymer ratio (amoxicillin/famotidine-ethyl cellulose-carbopol-934P) (X1), concentration of emulsifying agent (X2), and stirring speed (X3) on dependent variables, drug entrapment efficiency (Y1), and particle size (Y2) was observed. Further, the *in vitro* mucoadhesion test was carried out for the mucoadhesion percentage and finally the *in vivo* studies (Bacterial clearance study, *in vivo* mucoadhesion and *in vivo* ulcer index studies) were carried out. Among the formulated batches, the batch A27 exhibited the best percent of mucoadhesion 66% after 10 h and F24 showed 74% of mucoadhesion after 10 h. Both batches were evaluated for *in vivo* performance. In the bacterial clearance studies, the mean bacterial count (log colony forming units) after oral administration of drug-loaded microspheres was found to be 3.72 ± 0.58 . The drug-loaded microspheres formulation exhibited better clearance from infection than plain drugs solution at the same doses.

Keywords: Factorial Design, Gastroretentive, *Helicobacter pylori*, Optimization

A-587

Formulation and Development of Controlled Release System using Optimization Approach for Alzheimer's Disease

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Abstract:

The present investigation aims to formulate and optimize the controlled release system of Rivastigmine Tartrate to provide sustain release at the desired site of absorption which localizes the drug in stomach and reduce the fluctuation in plasma drug level. Rivastigmine is a drug prescribed for the treatment of Alzheimer's disease. But various adverse effects are shown due to rapid rise and fall in drug plasma level and the high frequency of dosing limit its usage. The optimization

was carried out by using 3² factorial design to study the effect of independent variable on dependant variables. The tablet were prepared using direct compression and evaluated for In Vitro Drug Release, Flotation and Ex-vivo Bioadhesive strength Thickness, Friability, Weight Variation, Hardness, Dissolution Buoyancy and Bioadhesive strength. Among all the formulation (F1-F9), it was observed that F5 shows better dissolution profile with 97% and buoyancy 12 hrs.

Keywords: Rivastigamine Tartrate, Optimization, Alzheimer's Disease, Floating Bioadhesive, Factorial Design

A-588

An Innovative Approach for Delivery of Nanosized Escitalopram via EAM Platform

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Abstract:

The current research work was to explore novelistic route for targeting to brain through ear by formulating nanosuspension using escitalopram as a model drug permitting better control over depression. Depression (major depressive disorder or clinical depression) is a common but serious mood disorder. External auditory canal is a tube like structure that extends from concha of Pinna laterally to the tympanic membrane medially. The economic cost of depression and its treatment are estimated at \$6 billion in Canada, US\$ 83 billion and £118 billion. The delivery has overcome the dose dumping problem in case of oral system. In this research work significant effort was made to explore novelistic platform for ear to brain. The concept was proved by preparing nano-sized formulation of API i.e escitalopram and observed its pharmacological actions. The result was so significant and all the formulations displayed the pharmacological action significantly. Bio-nano suspension were prepared by using a biopolymer which was isolated from berries of *Tagetes patula*. Five formulations were prepared viz. F1(1:0.5) , F2((1:2), F3(1:5) F4(1:7) F5(1:20). Different formulations of escitalopram out of which F5 (1:20) was found to be the best formulation having r² value of 0.9398 T80: 23 hrs and best fit model was found to be higuchi matrix, and mechanism of transport was anomalous transport which was calculated by bits software.

Keywords: Acoustic Meatus, Nano-Suspension,

Higuchi Matrix, Anomalous Transport

A-589

Formulation and Evaluation of Oral Disintegrating Tablets of Rosuvastatin

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Abstract:

Rosuvastatin is member of the drug class statins used to treat high cholesterol and to prevent cardiovascular disease. It comes under class II of biopharmaceutical classification system. The objective of present study is to develop Rosuvastatin immediate release tablet 10mg using different types of superdisintegrants to enhance the disintegration time and dissolution of Rosuvastatin calcium to improve bioavailability of the drug. In the immediate release formulation of Rosuvastatin was prepared by direct compression method. Different formulations were made by using various concentrations of superdisintegrants such as crospovidone, sodium starch glycolate (SSG) and kyron T-314 (polacrillin potassium). The prepared formulation were evaluated for the thickness, uniformity, weight per cent drug content, hardness and friability disintegration, in-vitro dissolution study , accelerated stability studies. From the study we can conclude that, formulated tablets of Rosuvastatin containing crospovidone are better and effective than conventional tablets to meet patient compliance.

Keywords: Rosuvastatin Calcium, Superdisintegrants, Crospovidone, SSG, Kyron T-314

A-590

Solubility Enhancement of a Drug by Co-Crystallization Technique

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Abstract:

Andrographolide is a labdane diterpenoid widely being explored for its anti-inflammatory, anti-platelet aggregation activities and also anticancer activity. The objective behind this

study was to enhance the solubility of drug by co-crystallization technique. Co-crystals alter the physical properties of APIs without any chemical modification. Andrographolide is a BCS class II drug; the main challenge in formulation of andrographolide is poor bioavailability, which is mainly due to its poor aqueous solubility. Co-crystals (F1-F6) with varying concentration of saccharin and ethanol were prepared and studied for drug content, saturation solubility study, DSC, X-ray diffraction study, SEM, in-vitro release study and in-vivo anti-inflammatory activity study. F5 containing 209.34mg saccharin and 5ml ethanol had maximum drug content of $83.30 \pm 0.12\%$ and $69.32 \mu\text{g/ml}$ saturation solubility. The DSC, XRD and SEM confirm the crystalline state of drug and prepared co-crystals. The in-vitro dissolution test for co-crystals showed higher in-vitro release rate of 70.89% compared to pure drug powder which was 57.36% at 8 hours. The in-vivo anti-inflammatory study was conducted on rats which showed significant difference in % inhibition of edema by pure drug ($46.62 \pm 0.34\%$) and co-crystals ($58.75 \pm 1.06\%$). Therefore, andrographolide saccharin co-crystals could be successfully formulated; also the study revealed that co-crystallization could be a promising technique to improve solubility and dissolution rate of the drug and ultimately improve the bioavailability.

Keywords: Andrographolide, Co-crystals, Solubility, Saccharin, Anti-inflammatory

A-591

Formulation and Evaluation of Gastro Retentive Mucoadhesive tablet of Clopidogrel Bisulfate

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Abstract:

The present study was aimed to develop the mucoadhesive gastro retentive tablet of clopidogrel bisulfate for controlled release by using various polymers. Clopidogrel bisulfate is an anti-platelet agent used for treatment of heart attack and stroke. Different tablet formulations were formulated by wet granulation method by using natural and synthetic polymers like HPMC K100M, HPMC K15M, Xanthun Gum in various combination ratios. All the formulations were evaluated for hardness, thickness, friability, weight variation, and drug content. The formulation was characterized by FTIR, DSC and Stability studies. The in-vitro and ex-vivo studies confirmed that

the formulation (F-6) showed prolonged gastric residence time, improved bioavailability and increased mucoadhesion.

Keywords: Clopidogrel Bisulfate, HPMC K15M, Wet Granulation, Mucoadhesion, Gastric Residence Time

A-592

Novel Solid Self Double Emulsifying Drug Delivery System (SDEDDS) of Pyridostigmine Bromide: Formulation and In-vitro Characterization

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Abstract:

This research work deals with formulation and characterization of a self-double emulsifying drug delivery system of pyridostigmine bromide (PB-SDEDDS) to overcome its poor oral bioavailability issue. The PB-SDEDDS was prepared by mixing primary water in oil emulsion with an optimized concentration of Tween 80. The SDEDDS was characterized by confocal laser scanning microscopy, viscosity, particle size, PDI, cytotoxicity and cell uptake study on Caco2 cell lines. The prepared system was then converted into spheroids by the extrusion spheronization method. The formed spheroids were then characterized by size distribution, disintegration, friability, angle of repose, scanning electron microscopy, drug content, and *in vitro* drug release study. The developed PB-SDEDDS had all the *in vitro* characteristics of a double emulsion system. *In vitro* uptake studies of PB-SDEDDS on Caco2 cells demonstrated the increase in P_{app} value from $(4.38 \pm 0.27) \times 10^{-4} \text{ cm/s}$ to $(9.488 \pm 0.182) \times 10^{-4} \text{ cm/s}$ (2.166 folds) that was attributed to the SDEDDS formulation. *In vitro* cytotoxicity studies on Caco2 cells revealed that the blank SDEDDS showed almost no toxicity after incubation for 2 hours at various dilutions tested. The converted solid spheroids of the PB-SDEDDS resulted favorable physical properties and did not affect its drug content and *in vitro* drug release profile. The self-double emulsifying drug delivery system of pyridostigmine bromide can be explored as a suitable alternative to its solid oral dosage form.

Keywords: Double Emulsion, Pyridostigmine, In-vitro Characterization

A-593

Design and Development of Biodegradable Nanoparticles for Cancer Treatment

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Abstract:

Etoposide was taken as a drug for developing PLGA nanoparticles. This drug belongs to class of antineoplastic agents and is a topoisomerase –II inhibitor. Because of poor bioavailability of drug it was formulated as nanoparticle in order to achieve targeting on cancerous cell. Nanoparticles help in delivering drug at target site and also causes sustained drug release. Etoposide -PLGA nanoparticles (EPN) were prepared by single emulsion solvent evaporation method. Optimization of (EPN) was done by varying different parameters including phase volume ratio, sonication time, PLGA concentration and PVA concentration. Particle size and zeta potential was analyzed by using zeta seizer. Size of particle was found to be $220 \pm \text{nm}$ and zeta potential was found to be $-17 \pm \text{mv}$. Scanning electron microscopy and transmission electron microscopy was carried out for size analysis of nanoparticles. In vitro release study was carried out for free etoposide and etoposide-PLGA nanoparticles in buffer of pH. 7.4. It was observed that 100% of free drug was released in about 12 hours; whereas Etoposide loaded nanoparticles (EPN) showed sustained release ($49.5 \pm 1.2\%$).in 12hours.

A-594

Cyclodextrin Based Nanoparticles of Mechlorethamine: Preparation, Optimization and *in-vitro* Characterization

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Abstract:

The objective of this research work was to prepare solid lipid nanoparticles of inclusion complex of mechlorethamine with Epi- β -cyclodextrin. Enhancement of solubility, bioavailability and dissolution rate will be achieved through this approach. The clinical application of mechlorethamine is limited due to its poor aqueous solubility and bioavailability.

It exhibit hepatic first pass metabolism, when administered orally, this hinders its frequent use in formulations. Inclusion complex of mechlorethamine with Epi- β - CD was prepared by kneading method and characterization by FTIR, DSC, drug content and *in-vitro* drug release study. This complex was then encapsulated into solid lipid nanoparticles. Optimization of solid lipid nanoparticles was done by factorial design using 3 levels. Results of phase solubility study showed that solubility was increased linearly with the increasing concentration of cyclodextrin. The increase in solubility with Epi- β -CD was 60 times more as compared to pure drug. The prepared nanoparticles were smooth and spherical in shape with size range from 107-123 nm and zeta potential of -28 to -31 mV. The drug release of nanoparticles followed biphasic release pattern of initial burst and slow sustained release later. Thus; cyclodextrin and nanotechnology concept is suited for alleviating poor physicochemical properties of drugs.

Keywords: Cyclodextrin, Complexation, Mechlorethamine, Solubility, Optimization

A-595

Pharmaceutical Properties of Fatty Acid Derivatives of Starch

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Abstract:

Excepients are the integral part of any formulation of a dosage form. Starch is one of the important excipient and has been used as suspending agent, lubricant, binder and disintegrant. The aim of this study was to compare tableting properties of fatty acid ester derivatives (stearate, palmitate myristate and laurate) of starch soluble and starch potato. Physical properties including viscosity, swelling factor, angle of repose, bulk density and pH of these derivatives were also studied. Viscosity studies were performed using Brookfield viscometer. All of these properties for both type of starch derivatives were found almost equal. Dispersions of starch soluble derivative were more viscous than Starch (Potato) derivatives. In comparison to native starch, both type of starch derivatives were more bulky and showed great decrease in angle of repose. For studying tableting properties like hardness, friability, disintegration time and drug dissolution profile, hydrophobic (nimodipine) as well as hydrophilic (cinnarizine)

drugs were used. Disintegration properties of all the tablets were lost due to high fatty ester derivatives and dissolution time was found approximately 24 hours indicating sustained release properties of these excipients. For both type of sarchs laurate derivatives showed more sustained drug release properties than stearate derivatives.

Keywords: Starch Soluble, Starch Potato, Ester Derivatives, Tableting Properties

A-596

Effect of Addition of Curcumine in Primaquine-Transdermal Patches

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Abstract:

A transdermal patch is a medicated adhesive patch designed to deliver a specific dose of formulation through the skin into the blood stream. Primaquine, an antimalarial agent having short duration of action owing to its high first pass metabolism, it also leads to gastric irritations. Curcumine is an antiprotozoal herbal drug which has poor gastro intestinal absorption and low oral bioavailability. The study was designed to formulate and evaluate primaquine-curcumine transdermal patches by molding method using various polymers such as polyvinyl pyrrolidone, polyethylene glycol, propylene glycol and dimethyl sulphoxide to produce smooth, flexible and transparent film and to increase the drug release. The combination of these two sparsely bioavailable drugs in a transdermal patch matrix may enhance the penetration, avoid first pass metabolism and improve the bioavailability. Eight formulations were prepared and were investigated for various evaluation parameters. The weight variation was 189.7 ± 3.8 to 212.9 ± 4.7 mg, thickness variation was 0.18 ± 0.1 to 0.22 ± 0.1 mm. The formulation pf-2 (primaquine) gave $42.3 \pm 2.41\%$ drug release; cf-4 (curcumine) gave $33.7 \pm 2.43\%$ drug release where as pcf-6 (primaquine and curcumine) gave $70.2 \pm 1.42\%$ drug release. To conclude primaquine-curcumine patches enhanced bioavailability and increase in permeability was observed with the combination of two drugs were given in the same patch.

A-597

Application of Bora Rice in Various Dosage Form

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Abstract:

Most of the people use dosage form which are inexpensiveness and easy accessibility. But still these dosage form suffer from the drawbacks of frequent dosing, patient in compliance, poor solubility and bioavailability. Bora rice offers the advantage of controlled and sustained drug delivery. Novel dosage form with bora rice as polymer are advantageous over conventional dosage forms such as good bioavailability, reduction of dosing interval, patient compliance, ease of administration. Such delivery systems could easily control the rate of drug release, sustaining the duration of therapeutic activity and/or targeting the delivery of the drug to a tissue. Through bora rice microspheres, oral disintegration tablets, micro beads, mucoadhesion, compression coated tablet, microcrystallines and many oral dosage forms are formulated using biodegradable natural polymer. Bora rice is biodegradable, biocompatible, inert, inexpensive, easily accessible etc. Thus, we attempt to compile the work done on Bora rice as the polymer for preparing delivery system with various drugs. Some of them formulated used bora rice are paracetamol, Aceclofenac, Glipizide, 5-fluorouracil core tablet, matronidazole etc.

Keywords: Bora Rice, Polymer, Solid Dosage Form, Drugs

A-598

Design and Fabrication of Medicated Chocolate Formulation

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Abstract:

The objective of this study is to design and fabricate chlorpheniramine maleate chocolate formulation. Chlorpheniramine binds to histamine H1 receptor. This blocks the action of endogenous histamine, which subsequently leads to temporary relief of negative symptoms brought by histamine. Chocolate is a range of products from cocoa mixed with fat and finally powdered sugar to produce a solid confectionary. Medicated chocolate is widely used for pediatric administration and patient compliance. Chocolate were

formulated with total fat 25 to 35%(w/w) from cocoa liquor and cocoa butter with more than 34% total cocoa, composition is specified for darkchocolate. Lecithin , sweetening agent used in formulation the prepared chocolate was evaluated for general appearance , drug content , *invitro* drug release and DSC. The results indicates that the formulation was stable and their was no degradation in drug during chocolate formulation preparation.

Keywords: Lecithin, Sweetening Agent, Invitro Drug Release, Confictionary, Chlopheneramine

A-599

Formulation and Evaluation of Sustained Release Suppositories of Venlafexine

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Abstract:

Venlafexine is an antidepressant and is used in the management of depression, anxiety and panic attack. It belongs to a group of drugs called selective serotonin and nor epinephrine reuptake inhibitor (SSNRI's).But it suffers from the disadvantage that 50% of the drug undergoes first pass effect and more over it causes side effects such as nausea, headache and insomnia. Hence rectal suppositories of venlafexine were formulated to overcome the above mentioned disadvantages. To prepare the sustained release suppositories bees wax were used in different proportion with a oil soluble base i.e cocoa butter. The suppositories were formulated using the fusion method technique. All the prepared suppositories were evaluated for various physical parameters like weight variation, drug content, disintegration, and macro melting range. The suppositories prepared were within the permissible range of all physical parameters. In vitro release was carried out using USP type 1 apparatus (basket type) using phosphate buffer 7.4 as dissolution media. The results suggested that as we increase the concentration of beeswax the more sustained is the release.

Keywords: Venlafexin, Fusion Method, Sustained Release Suppository, Cocoa Butter, Bees Wax

A-600

Development and Characterization of

Topical Nano Gel Containing Antifungal Drug Amphotericin B

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Abstract:

Although several formulation have been developed for treatment of fungus, there is an unmet need for optimization of its therapy. The objective was to develop a nanogel composed of Amb with double emulsification method. The size of nanogel is evaluated between 200-400nm. A formulation of nanogel consisting an emulsifier phase of PEG, a co-emulsifier tween 20 and span 20 was found to be ideal, with desired particle size and with a high capacity of solubilisation for Amb. The drug entrapment in the formulations was found satisfactory. The optimization of nanogel was carried out and the formulation are characterized for particle size, shape (with motic) and entrapment efficacy. The formulation showed optimal particle size and possessed a sustained drug release during the study period. The nanogel formulation showed the promising alternative to oral administration for amphotericin B.

A-601

Formulation and Assessment of Gastro Retentive Sustained Release Tablets of Ziprasidone Hydrochloride

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Abstract:

Ziprasidone hydrochloride is a psychotropic agent. To reduce the frequency of administration and to improve patient compliance, a sustained-release formulation of Ziprasidone hydrochloride is desirable. The aim of the present work is to develop a hydrodynamically balanced drug delivery system based on the platform of direct compression. The system shall be designed to release at least 65% of the drug over a period of 8 hours and not less than 80% release in 12 hours. Sodium bicarbonate was incorporated as a gas-generating agent along with independent variables of natural resinhydroxy propyl methyl cellulose (HPMC) grade K4M and hydroxyl propyl methyl cellulose (HPMC) grade K100M at successfully prepared with hydrophilic polymers like HPMC K4M, HPMC K15M and HPMC K100M.to achieve sustained release effect. The drug-

excipient compatibility was studied with the help of Infrared spectroscopy. Dissolution studies using the USP basket method were performed at 37 ± 0.5 °C in 0.1N HCl and 2% SLS. Fourier transformer infrared spectroscopy (FTIR) was performed for the physicochemical interaction between drug and carrier, hence its effect on dissolution. It was observed that formulation containing 60% hydroxy propyl methyl cellulose (HPMC) grade K15M (F6) shows optimum sustained drug release pattern with adequate floating. Thus this technique can be successfully used for improvement of dissolution of ziprasidonehydrochloride.

Keywords: Ziprasidone Hydrochloride, Mg Sterate, HPMC K4M, HPMC K100M, Direct Compression Technique

A-602

Exploration of Nanolipid Carrier for Delivery of Arteether: Development and Characterization

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Abstract:

The purpose of the present work is to improve the delivery of arteether through incremental solubility and subsequent loading to Nanostructured Lipid Carrier (NLC). NLCs are the preferred vehicle due to various properties such as improved solubility of lipophilic drugs and better loading capacity drug loading etc. In the present investigation, oleic acid derived NLCs loaded with arteether were prepared by emulsification and ultrasonication technique. The prepared NLCs were spherical particles of nanosize with a negative zeta potential of -41.05 and 84% drug entrapment. In vitro drug release profile of the NLC showed >90% drug release in 32 hours. Efficient anti-malarial activity was observed in case of arteether loaded NLCs. These results suggest that nano lipid carrier of arteether could be a promising carrier system to deliver the poorly soluble antimalarial drug.

Keywords: Nanolipid Carrier, Solubility, Antimalarial, *In vivo* Studies

A-603

Nanotechnology for Epicutaneous Delivery of Vaccine

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Abstract:

The aim of this work is to review the challenges which are currently prevalent in vaccine delivery. Types of nanoparticles and their interaction with immune cells and biological systems are discussed in this review. In conventional delivery systems, key challenges include target identification, instability and surrogate markers for protection. Continuous efforts are being made to improve the efficacy of antigens present in vaccines. Nanoparticles offer great potential in vaccine delivery because of slow release, targeted delivery and enhanced immunogenicity. However, unpredictable *in vivo* behaviour is a major challenge for nanotechnology-based vaccine delivery systems. By incorporating the vaccines along with nanotechnology and delivering them via the transdermal route we can avoid the inhumane injectable methods for vaccine delivery. Transdermal routes provides advantages like safety, delayed release, patient compliance, reduction in multiple dosing, and self-application. Hence, vaccines have been incorporated with nanotechnology to exploit the transdermal route for targeted therapy and efficacious biopharmaceutics. They might have the potential for unlocking the prophylaxis of infectious & life threatening diseases.

Keywords: Vaccine, Nanoparticle, Vaccine Delivery, Transdermal

A-604

Easiest Way to Combat Anti-Biotics Resistance

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Abstract:

Year 1928 was blessed for medical field by the discovery of antibiotics by Alexander Flemming. Thereafter shower of new antibiotics introduced to antibiotic era. Number of scientists were rewarded for their appreciable contribution to discovery of antibiotics. Since then antibiotics have transformed the modern scenario of pharmaceuticals and health care medicals by saving millions of lives. The curse of antibiotics arise by 1962, when 1st case of Methicillin Resistant *Staphylococcus Aureus* (MRSA) was reported, followed by introduction to Superbugs - a challenge

– Extensively drug resistant bacteria, due to inappropriate and indiscriminate use of antibiotics. To combat threat of AMR, WHO has promoted World Antibiotic Awareness Week. Indian Government has also established State Antibiotic Resistance Programs. Following above agendas, numerous strategies implemented and measures were taken, but all inflated and go vain. To entrap the alarming spread of AMR, most vital, easiest and fundamental tool is – PUBLIC AWARENESS. According to my opinion, this could be achieved by giving Red label on all formulations containing antibiotics - “SELF MEDICATION and INAPPROPRIATE USE OF ANTIBIOTICS IS EPIDEMIC FOR HUMAN RACE”.

Keywords: Antibiotics, In-appropriate Use, Resistance, Labelling Information, Public Awareness

A-605

Effect of Formulation Variables on Ezetimibe Loaded Alginate Beads

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Abstract:

The present work investigated the preparation of biodegradable beads with alginate polymer by Ionotropic gelation method to improve the control release properties of the anticholesterol drug Ezetimibe. Ionotropic gelation method was applied to prepare beads using aluminum chloride as a cationic component and alginate as an anionic component. In this method, adding 0.3% w/v polyvinyl alcohol to sodium alginate (3.0% w/v) and 1.5 % w/v of polyvinyl pyrrolidone to the 5% AlCl₃ solution were maintained to study the drug-loading and its in-vitro characteristics. The results showed that the addition of PVA and PVP significantly improved both drug-loading, drug encapsulation efficiency and in-vitro characteristics. Drug releases occurs by both combination of swelling and erosion mechanism with diffusion principle. The delivery of drug at zero order release controlled release following oral route. This demonstrates that the ionic gelation of alginate molecules offers a flexible and sustained controllable rate.

Keywords: Ezetimibe, Sodium Alginate; PVA, PVP

A-606

Preparation of Metformin HCl Tablet and its Aqueous Coating (By Suspension Method)

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Abstract:

In spite of several techniques used for tablet coating, aqueous base coating finds a special importance as it can reduce cost of production and minimizes the environmental pollution. Normally, organic solvents like ethanol, acetone, methylene chloride are used during preparation of coating solution along with various polymers, surfactants and plasticizers. And during coating these solvents are emitted in the environments which are health hazardous for the workers and the peoples where they are emitting. To avoid this environmental problem and health problem a new technique is used where 80 – 85 % D. M. water is used as a major solvent and rest 20-15% volatile oil (mainly peppermint oil as a minor solvent is used for preparation of coating solution. For this water soluble polymers like HPMC (K4M), PVP(K30) are used as a base material of the coating solution. Tween 80 and titanium dioxides are used as surfactant and coloring agents. Turbo stirrer (Homogenizer) is used for the preparation of O/W type of emulsion which are used as a coating solution. The tablet bed temperature is kept around 60 ±5°C which helps to evaporate water as well as oil in a quick possible time. The coating solution is spread with handmade sprayer. Prepared coated tablets are subject to check their physical properties like (1) weight change (2) disintegration time (3) orange peel effect (4) Thickness of the coating layer etc.

Keywords: Hydroxy Propyl Methyl Cellulose (HPMC), Polyvinyl Pyrrolidone (PVP), De-mineralised Water (DM water)

A-608

Development and Characterization of Co-Solvent Based Anti-Glaucomic Ophthalmic Vesicles of Acetazolamide

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Abstract:

The purpose of the study was to formulate topically effective ophthalmic acetazolamide vesicular formulations. Ethanolic and ethereal injection methods were used for the preparation of bi-lamellar and multi-lamellar acetazolamide

vesicles consisting of span-60, PEG-400 and PG (co-solvents and penetration enhancers) with or without tween-80 (an edge activator) and non-ionic surfactant. Reverse phase evaporation (REV) method was used to prepare niosomes (control) and 1% w/v acetazolamide suspension for comparison purpose to evaluate ex-vivo corneal permeability performance. The prepared vesicles were evaluated for their abundance, size, shape, lamellarity and number of vesicles/mm³ by optical microscopy, entrapment efficiency, drug content, zeta potential, pH, DSC studies, ex-vivo corneal permeability studies, sterilization studies, in-vivo studies, stability studies and safety studies. Bi-lamellar and multi-lamellar vesicles entrapped greater amounts of drug than uni-lamellar REVs niosomes. Physical stability study indicated that approximately 93% and 94% of acetazolamide was retained in selected vesicular formulations up to a period of 4 months at 4°C. The intraocular pressure (IOP) lowering activity of selected acetazolamide vesicular formulations was determined and compared with Dorzox[®], a marketed formulation. Acetazolamide vesicles revealed more prolonged effect than marketed formulation.

Keywords: Ophthalmic Vesicles, Acetazolamide, Niosomes

A-609

Colon Targeted Drug Delivery System: A Neoteric Approach

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Abstract:

Colon is a site where both local systemic deliveries of drugs can take place. To achieve successful colon targeted drug delivery, a drug need to be protected from degeneration, release and adsorption in the upper portion of G.I tract and then to be ensured abrupt or controlled release in the proximal colon. Colonic drug delivery has gained increased importance not just for the delivery of the drugs for the treatment of local disease associated with the colon but also for its potential for the delivery of proteins and therapeutic peptides. Colon targeting is naturally of value for the topical treatment of disease of colon such as Chron's disease, ulcerative colitis, colorectal cancer and amebiasis. Various strategies, currently available to target the release of drugs to colon includes formation of prodrug, coating of pH sensitive polymers use of colon specific polymers, timed release systems, osmotic system and pressure controlled

release system. Formulations for colonic delivery are also suitable for delivery of drugs which are polar and/or susceptible to chemical and enzymatic degradation in the upper GI tract, highly affected by hepatic metabolism, in particular, therapeutic proteins and peptides. Targeted drug delivery to the colon would ensure direct treatment at the disease site, lower dosing and fewer systemic side effects.

Keywords: Controlled Release, Proximal Colon, Degeneration, pH- Sensitive Polymers

A-610

Formulation and Characterization of Aceclofenac Floating Tablet

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Abstract:

The purpose of the present study was to develop an optimized gastric floating drug delivery system (GFDDS) containing Aceclofenac as a model drug by using various proportions of polymers such as HPMC and Carbopol. This was employed to enhance the bioavailability and therapeutic efficacy of the drug. The sustained release formulations of aceclofenac using hydrophobic and hydrophilic polymers were prepared by direct compression method. Optimization of formulation was done by studying effect of drug to polymer ratio on drug release. FT- IR studies indicated absence of any interaction between aceclofenac, polymer (Carbopol, HPMC) and excipients. Five formulations were prepared and formulation A5 possessed good floating property with total floating time between 8-10 hours. The tablets were also evaluated for its hardness, friability and other in- vitro evaluation tests. All parameters complied with IP limits. Results of this study indicated that the combinations of hydrophilic polymers with hydrophobic polymers are suitable to optimize sustained release formulation of aceclofenac.

Keywords: Aceclofenac, HPMC, Bioavailability, Polymers, Sustained Release, Carbopol

A-611

Formulation and Evaluation of Prolonged Release Tablets of Zidovudine

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Abstract:

The prolonged release tablets of zidovudine were prepared using wet granulation method. The formulations were designed by using different types of polymers with proportions and blends of Xanthan Gum, HPMC K100, Carboxyl Methyl Cellulose, Eudragit L100 and Ethyl Cellulose. The compatibility studies of the polymers with pure drug were observed. Fifteen formulations of prolonged release tablets of Zidovudine using different polymers with varied conc. were formulated. Micromeritics studies such as angle of repose, bulk density/tapped density, Hausner's ratio, were performed on all the fifteen formulations in order to characterize the flow properties of prolonged release tablets. Tablets were prepared using single punch hand operated tablet machine. The effect of various formulation factors like polymer proportion, polymer type and pH of the dissolution medium on the *in-vitro* release of the drug was studied in 900 ml of dissolution medium, at 100 rpm. Release kinetics were analyzed using Zero-order, Higuchi's square-root and Ritger-Peppas' empirical equations. The formulation F15 containing HPMC K100 along with Ethyl Cellulose shows better drug release as compare to other formulations. Prolonged release tablet of Zidovudine will not only improve the bioavailability of the drug but also improve the patient compliance and thereby help in achieving effective treatment.

Keywords: Prolonged Drug Release, Zidovudine, HPMC K100 and Ethyl Cellulose

A-612

Nanoemulsion as Targeted Drug Delivery System for Cancer Therapeutics

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Abstract:

Nanoemulsion serve as an attractive vehicle for the delivery of drugs, nucleic acids as well as imaging agents. Recently nanoemulsions have been extensively used for cancer diagnostics, imaging and therapy, especially due to their

favourable properties to efficiently solubilize poorly aqueous soluble drugs, biocompatibility, high stability in vitro and in vivo, and their ability to accumulate in pathological areas with defective vasculatures. Since nanoemulsions are submicron emulsions with the droplet size falling in colloidal dispersion range, they impart the benefit of overcoming the anatomical and physiological barriers associated in drug delivery to the complex diseases such as cancer. Moreover, nanoemulsions can be engineered to carryout multiple functions by surface modification and encapsulation of pharmaceutical ingredients. Surface modification can be done by imparting the surface charge, attaching a targeting ligand, cell penetrating moieties, stimuli-sensitive groups and fluorescent dye, whereas the core can be loaded with drug, contrast agent and imaging agents. Such multifunctionality of nanoemulsion can be tailored to fit the requirement, hence smart nanoemulsions can be prepared. In this review, nanoemulsions of both lipid-based and polymeric micelle have been discussed. Focus has been made on various modifications of nanoemulsions, including those for passive targeting, active targeting, overcoming multi-drug resistance, and multifunctional effect; and are discussed with recent examples from the literature.

Keywords: Nanoemulsion, Micellar Nanoparticle, Polymeric Micelle, Tumor Microenvironment, Passive-Targeting, Active-Targeting, Multi-Drug Resistance, Multifunctional Nanoemulsion

A-613

Influence of Polymeric Precipitation Inhibitors on Dissolution Behaviour of Meloxicam

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Abstract:

NSAID Meloxicam use to treat pain or inflammation caused by ortho arthritis or rheumatoid arthritis It is a aoxicam derivative and BCS Class II drugs precipitation inhibitor (HPMC) on in vitro dissolution of Meloxicam which is a weak acid, water insoluble, and a crystalline compound from solid dispersions. Initial studies were carried out using physical mixtures of the drug and carrier. Solid dispersions were prepared with and without polymeric precipitation inhibitor by solvent evaporation method. Solubility study, in vitro precipitation studies, in vitro

dissolution of pure drug, and solid dispersions with and without polymeric precipitation inhibitor were carried out. IR spectra indicated no interaction between the drug and polymer. DSC thermograms of solid dispersions indicated complete miscibility of the drug in molten carrier. Amorphousization of the drug in solid dispersion was confirmed by a decrease in enthalpy of drug melting in solid dispersion compared to the pure drug. XRD analysis indicated a reduction in drug crystallinity in solid dispersion. SEM analysis showed that meloxicam exhibited irregular crystals but surface morphology of SD was smooth in nature. All prepared solid dispersions showed increased solubility than the pure drug. Meloxicam solid dispersions with HPMC exhibited less precipitation rate compared to the Meloxicam SDs without HPMC. There was 30 % increase in dissolution which was attributed to decreased crystallinity of the drug and inhibition of drug precipitation. It can be concluded that, dissolution of meloxicam can be enhanced by the use of polymeric precipitation inhibitors.

Keywords: Polymeric Precipitation Inhibitor, HPMC, Meloxicam, Solid Dispersions, Sorbitol, Crystallinity

A-614

RECENT DEVELOPMENTS ON HERBAL COSMETICS

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Abstract:

Cosmetics can be defined as the materials from various sources, technically compounded substances which can be used to cleanse, nourish and moisturizes the skin of face and other parts of the body. They can be used in various forms in order to alleviate skin problems, modify imperfections and beautify the skin. However, numerous chemical toxins, toxic materials, chemical dyes and their derived products in conventional cosmetics can cause human health troubles and side effects directing to countless diseases. Therefore, cosmetics alone are not enough to take care of skin and other body parts, the use of herbal ingredients along with is the need of time. Herbal cosmetics are the compositions incorporating phytochemicals from various botanical sources, improving the skin functions and distribute nutrients which are beneficial for the healthy skin or hair. The bioactive phytochemicals have the potential to treat different skin diseases, to adorn and improve the skin appearance as well as influence biological functions of skin and provide nutrients necessary for the reviving skin or hair. The

use of plants and its extracts pose various challenges during product development. But modern technological advances along with necessary efforts with bioactive ingredients may lead to development of herbal cosmetics which protect the skin against exogenous and endogenous harmful agents. Current review article gives an insight into the advantages, various herbal bioactive ingredients as well as herbal excipients, research literature on traditional remedies etc.

A-615

Preparation and Evaluation of Mefenamic acid Ethosomal gel Formulation

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Abstract:

Ethosomes are ethanolic phospholipid vesicles, which have higher penetration rate through the skin than liposomes. Size of ethosomes may vary from 30nm to a few microns. The physicochemical characteristics of ethosomes allow this vesicular carrier to transport active substances more efficaciously through the stratum corneum into the deeper layers of the skin than conventional liposomes. Skin is an easily accessible organ, its potential is an alternative route for administering drugs for both systemic and local effect has attracted considerable interest. However, molecules do not easily penetrate the skin because of its excellent barrier function. As a result, various nano-carriers have been developed in an attempt to reversibly modulate the skin barrier to provide novel delivery systems for active interest. These particulate carriers include nanoemulsions, liposome, transfersomes, solid lipid nanoparticles, polymeric nanoparticle, ethosomes and niosomes. The present study has been attempted to formulate ethosomes of mefenamic acid and then incorporated into jellified base consisted of gelling agent for topical delivery with a view of enhancing bioavailability of the drug. The oral administration of this drug is associated with severe gastro intestinal side effects like ulceration, gastro intestinal bleeding. The solution of this problem lies in the fact that, topical application of NSAIDs is safer than oral administration

Keywords: Mefenamic Acid, Carbopol 980, Propylene Glycol

A-616

Colorant in Pharmaceuticals

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Abstract:

Pharmaceutical industry need colorants for various purposes because the aesthetic appearance of the dosage forms can be enhanced by using suitable colorants. Pharmaceutical dosage forms are colored for increase acceptability, identification, stability purpose. Colorants are natural and synthetic based on the source of material. The worldwide demand for natural dyes is now days of great interest due to increased awareness on the therapeutic properties of natural dyes. These dyes are derived from naturally occurring sources such as plant, insects, animals and minerals. Dyes derived from organic or inorganic compounds are known as synthetic dyes. Examples of these type of dyes are direct, acidic, basic, mordant, reactive, metal complex etc. Acid dyes are water soluble anionic dyes that are applied to by direct printing on protein fibers and by the vigorous process. Other important used include dyeing of leather, paper ,jute, drugs , cosmetics ,insecticides, inks etc. Acid dyes were originally so named because of the presence of one or more sulphonic acid or other acidic groups in the molecules. These dyes give very bright hues and have a wide range of fastness properties from very poor to very good. Chemically acid dyes consist of azo, anthraquinone, azine, pyrazolone, nitro and nitroso compounds. Azo dyes are a versatile class of colored organic dyes.

A-617

Using Microbubbles as Target Drug Delivery to Improve AIDS

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Abstract:

No preventive vaccines are available for the treatment of AIDS. To improve therapy combinational antiretroviral drugs are given however some patient develop resistance to particular combinational drug. Microbubble mediated drug delivery technology solve that problem with reducing systemic dose and toxicity. Microbubbles are bubbles smaller than one millimetre in diameter but larger than one micrometer. The general composition of microbubble is gas core . The mechanism of microbubbles through which its delivery get increases are sonoporation, the formation of openings in the

vasculature, induced by ultrasound-triggered oscillations and destruction of microbubbles. Rapid isolation strategy of CD4+ cells is mixing blood and glass microbubbles which then bind with the specific target cells to the microbubble carrying specific antibodies on their surface .The target cells will spontaneously float to the top of the blood vial and can be quickly separated. This strategy for cell isolation based on buoyancy and glass microbubbles is quick and inexpensive, minimizes blood handling, does not require magnetic fields, or centrifugation equipment, and could lead to new, efficient strategies for AIDS diagnosis in resource-limited areas. This review, demonstrate the problems with the current treatment of the disease and shed light on the remarkable potential of microbubbles to provide more effective treatment and prevention for HIV/AIDS by advancing antiretroviral therapy, gene therapy, immunotherapy, vaccinology and microbicides.

A-618

New and Developing In-vitro Diagnostic Technologies Based on Enzyme-Linked Immunosorbent Assay

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Abstract:

The objective of the present study offers review of new and developing in-vitro diagnostic technologies for various type of disease. Medical devices are defined according to schedule M-III creates a specific definition Devices intended for internal or external use in the diagnosis, treatment, mitigation or prevention of disease or disorder in human beings or animals, Diagnostic devices are devices used to identify the nature or cause of a certain phenomenon, usually related to a medical condition. That type of diagnostic kit are based on Enzyme-Linked ImmunoSorbent Assay (ELISA) are designed for detecting and quantitating substance such as peptides, proteins, antibodies and hormones. In vitro diagnostics are divided in two types Notified diagnostic and non notified diagnostic devices. More types of IVD's product fall under notified requirement for conjugational and autoimmune disorders genetic testing and sexually transmitted infections. medical devices are classified as Class-I (Low Risk):Elastic bandages , Examination Glove, Adult Incontinence Pad Class -II (Medium Risk): Catheter Cannula, Dialyzer , Piston syringe , Needle, Infusion Pumps, Bone fixation screw, Blood pressure Kit Class-III (High risk): Pacemakers, Dental Lasers, Heart Valves HIV

Blood donor screening, HIV Blood diagnostic. In-vitro diagnostic devices are under the class –III.

Keywords: In-vitro Diagnostic, ELISA

A-619

Formulation and Evaluation of Floating Matrix Tablets of Stavudine

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Abstract:

The purpose of these investigations was to prepare the floating matrix tablet formulations of Stavudine by direct compression technique. The polymers used for the formulation were HPMCK15M and HPMCK100M and sodium bicarbonate and citric acid were incorporated as effervescent agents, poly vinyl pyrrolidone was used as a binder. Talc and magnesium stearate performed the roles of lubricant and glidant respectively. The powder blends were evaluated for pre compression parameters and the floating tablets were evaluated for uniformity of weight, hardness, friability, drug content, *in vitro* buoyancy studies, swelling index and dissolution studies. The effect of polymers on the drug release was studied. The prepared tablets exhibited satisfactory physico-chemical parameters but HPMC K15M and HPMC K100M alone were not able to show drug release after 10hrs but at an optimum concentration of HPMC K15M:HPMC K100M (F11), the maximum drug release was observed upto 16hrs. The optimum formulation (F11) was compared with the marketed formulation Retrovir and controlled dissolution profile of the prepared formulation was found to be better than the marketed product. From these results, it was concluded that the Stavudine floating matrix tablets prepared is an efficient technique for gastroretention.

Keywords: Gastroretention, Anti-Retroviral, Floating Matrix Tablets

A-620

Cyclodextrine: A Versatile Molecule in Pharma Industry.

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Abstract:

Cyclodextrins (sometimes called cycloamyloses) are a family of compounds made up of sugar molecules bound together in a ring (cyclic oligosaccharides). Cyclodextrins are produced from starch by means of enzymatic conversion. They are used in food, pharmaceutical, drug delivery, and chemical industries, as well as agriculture and environmental engineering. A drug delivery system is expected to deliver the required amount of drug to the targeted site for the necessary period of time, both efficiently and precisely. Different carrier materials are being constantly developed to overcome the undesirable properties of drug molecules. CDs are cyclic oligosaccharides of a glucopyranose, containing a relatively hydrophobic central cavity and hydrophilic outer surface. Owing to the lack of free rotation around the bonds connecting the glucopyranose units, the CDs are not perfectly cylindrical molecules but are toroidal or cone shaped.

Keywords: Cycloamyloses, Cyclodextrin

A-621

Formulation and Evaluation of Fast Disintegrating Tablets of Meloxicam

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Abstract:

Oral disintegrating tablet of Meloxicam disintegrates quickly so the absorption and on set of clinical effect of the drug is much quicker. The present research work aimed at preparation of mouth dissolving tablets of Meloxicam by direct compression method using different concentration of superdisintegrants like cross-carmellose sodium, sodium starch glycolate and cross povidone. Mouth dissolving tablets of Meloxicam were also prepared by sublimation method using camphor as subliming agent. Preformulation studies were carried out to evaluate the parameters like powder flow properties, loss on drying, drug-excipient compatibility and stress stability. All tablets formulated were evaluated for weight variation, thickness, hardness, wetting time, water absorption ratio and in-vitro dissolution study. The oral disintegrating tablets of Meloxicam with sufficient mechanical strength, acceptable taste and similar disintegration time were achieved employing suitable disintegrants and other excipients at

optimum concentration.

Keywords: Fast disintegrating tablets, Meloxicam, Superdisintegrants

A-622

Preparation and Evaluation of Dendrimeric Liposome of Amphotericin B

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Abstract:

Liposomes are microscopic vesicles composed of phospholipids, cholesterol, DPH, and PAMAM dendrimer. The study was aimed to development and characterization of novel liposomal gel formulation of amphotericin B that could reduce the possibility of renal dysfunction. For this study the liposomes were prepared by thin film hydration method. An active encapsulation method was utilized to obtain high entrapment of drug in liposomes. The high drug entrapment in liposomes is due to the enhanced entrapment of dendrimer, which creates sink in the liposomal aqueous compartment where the amphotericin B in the use of PAMAM dendrimer to increase the drug loading in liposomes and also modulate the release rate. Different formulation were prepared and optimized for better performance in terms of entrapment efficiency, vesicle size and drug release. From this study it was found that the formulation which was prepared were having good antifungal activity and it was observed by pharmacological testing that renal damage was reduced on administration of the prepared topical dosage form.

Keywords: Phospholipids, PAMAM, DPH, Cholesterol, Amphotericin B

A-623

Development and Evaluation of Herbal Medicine in the Treatment of Eczema

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Abstract:

The present work is based on the recent studies which suggest that herbal medicine could be more efficient in treatment of eczema. An attempt is made to develop a polyherbal ointment and its evaluation for physical characteristics, antimicrobial activity. The developed formulation was compared with one of the leading marketed formulation. The extracts of specific part of Kalmegh, Neem, Tulsi, Karanj, Guduchi, Garlic and Buguchi plants were incorporated. Citronella oil was also used. Phytochemical screening confirmed the presence of constituents like sulphur and salicylic acid in these extracts. The prepared ointment was characterized for pH, homogeneity, spreadability, extrudability, viscosity etc. The antimicrobial activity of the prepared cream was compared with one of the marketed formulation by calculating their zone of inhibition against selected test microorganisms. The developed formulations showed comparable antimicrobial activities. The prepared ointment was found to be stable.

Keywords: Polyherbal Cream, Eczema, Herbal Medicine

A-624

Formulation and Evaluation of Pulsatile Drug Delivery System of Salbutamol Sulphate for the Chronotherapy of Asthma

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Abstract:

The aim of the present study was to formulate and evaluate a time dependent oral pulsatile tablets of Salbutamol Sulphate for the prevention of nocturnal asthma attacks. The compatibility of the pure drug and polymers used was studied by using FTIR. Drug containing core tablet formulations (C1 - C10) were prepared by direct compression technique. Formulation C10 with 7.5% Crospovidone showed least disintegrating time, i.e. 19 seconds and was selected as the best immediate release core tablet. It accommodates a helping hand in obtaining burst release of the drug. The lag time was maintained by press-coating tablets from formulation C10 with different compositions of hydrophobic polymer (Ethyl Cellulose-20) and hydrophilic polymers (HPMC K4M and L-HPC). The in-vitro dissolution study showed that, lag time

prior to drug release was highly affected by the coating level and nature of coating polymer used. The coating polymers were selected and quantified based on in-vitro lag time and drug release profile in simulated gastric and intestinal fluids. The optimized press-coated tablet formulation P11 having 360 mg barrier layer of Ethyl Cellulose-20 and L-HPC in ratio 14:1 over the core tablet showed rapid and complete drug release nearly after 6 hours lag time. Accelerated stability studies of the optimized formulation P11 indicated no significant difference in release profile after a period of six months.

Keywords: Salbutamol Sulphate, Nocturnal Asthma, Time-Dependent Pulsatile Tablet, Press- Coated Tablet, 6 Hours Lag Time, Burst Release

A-625

Solid Lipid Nanoparticle: An Approach for Transdermal Delivery of Antihypertensive Drug

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Abstract:

Mortality rate from cardiovascular diseases increases dramatically with age. Heart diseases that occur before the age of 65 are generally considered premature and can be controlled by medicines. Hypertension is one of the chronic heart diseases which required lifelong therapy. According to the survey it was estimated that uncontrolled hypertension is responsible for 7.5 million deaths per year worldwide and in USA alone accounts for over 47 billion dollars spent in health care services. Despite various advances in the field it is projected that 1.56 billion people will suffer from HTN by 2025. The major area of thrust with the researcher is the stability of antihypertensive drugs which shows extensive first-pass metabolism and low oral bioavailability therefore continuous effort is being made to improve the drug therapy. Transdermal Drug Delivery Systems (TDDS) can be one of the potential routes for systemic deliveries of drugs bypassing hepatic first pass metabolism and improving its bioavailability and reduction in dosing frequency. Use of chemical enhancer is another limiting factor with the Transdermal Drug Delivery Systems thought it enhances the drug permeation through the skin but its prolonged application causes irritation at the site of application. It is therefore desirable to develop a topical vehicle instead of chemical enhancers for formulating Transdermal drug delivery

system. Solid Lipid Nanoparticles (SLN) has particle size in the nano range (50 to 1000 nm) and is in solid state at physiological and room temperature. Due to its small size SLN is emerging as promising frontier for the researcher for enhancement of transdermal permeation of antihypertensive drugs.

A-627

Mannan- A Review in Recent Innovations to Drug Nanocarrier Systems

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Abstract:

Mannan is a bioactive, hemicellulosic polysaccharide obtained by algae, fungi, yeast and other eukaryotes. Mannan is considered to be biodegradable, biocompatible, soluble, less toxic and potential molecule for modifications. These properties make mannan a good candidate for the development of nanocarriers and prove to be a better substitute for chitosan. Mannan has been found to be used as a support material for mannan based DNA vaccination, mannan coated iron nanoparticles and mannan based nanogels. However, practical use of mannan has been confined to its four forms (linear mannan, gluco mannan, galacto mannan, gluco-galacto mannan). Microbial production of Mannan could be a feasible and economical alternative to conventional method of production as it recently showing its potential uses in nanotechnology. Hence, problem relating with sustainable production of this important biomaterial would overcome. The purpose of this review is to take a closer look at its four forms and its various application in different fields. From the studies reviewed it is concluded that mannan is promising bioactive material for drug nanocarrier since its amphiphilic structure can incorporate diverse biomolecule, providing novel nanostructured drug delivery system.

A-628

Emulgel- A Novel Topical Drug Delivery System

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Abstract:

Emulgel systems are currently of attention to the

pharmaceutical scientists because of their substantial potential to act as drug delivery vehicle by incorporating a broad range of drug molecules. These are either emulsion of water in oil type or oil in water, which is gelled by mixing it with a gelling agent. Incorporation of emulsion into gel makes it a dual control release system & also increases its stability. Due to lack of insoluble excipients and excess oily bases, it demonstrates better drug release as compared to other topical drug delivery system. Due to nongreasy because of the presence of gel phase which favors good patient compliance. In order to understand the potential of emulgel as delivery vehicles, this review gives an overview of the ideal properties, formation, and characterization of emulgels. The use of emulgel -based systems as drug delivery vehicles is reviewed, with particular emphasis being placed on recent developments and future directions.

Keywords: Emulgel, Gelling Agent, Emulsion, Topical Agent

A-629

Formulation and Optimisation of Essential Oil Based Emulgel to Deliver Griseofulvin Topically

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Abstract:

GRI is an antifungal drug that has been well explored for the treatment of dermatophytosis. Currently, GRI is available as conventional oral dosage forms. These formulations, though convenient suffer from the issues of poor solubility, highly variable bioavailability, numerous systemic side effects and requires long duration of treatment. Another major side effect of oral delivery of GRI includes the low availability of GRI at the actual site of action i.e skin and hair follicles. Hence, a topical system that delivers GRI at site of action in high concentration with enhanced penetration is desired. Such a system will also play an important role in avoiding the systemic side effects exerted by GRI. We explored the use of Essential Oils (EO) as it shows good solubilizing capacity for GRI and in combination with GRI, it may prove to be synergistic in antifungal activity thus reducing the dose of GRI in the formulation. The objective of the present work was to formulate and evaluate an essential oil based microemulsion system for topical delivery of Griseofulvin (GRI). Solubility studies indicated several fold improvement in

the solubility of GRI with Oreganum oil, Clove oil and Holy basil oil. Several surfactants and cosurfactants were screened with these selected oils based on flask inversion technique. The ratio of surfactant:cosurfactant was finalized by ternary phase diagram. The formulated o/w microemulsion was then incorporated into a carbopol gel system.

Keywords: Griseofulvin, Essential Oil, Microemulsion, Topical.

A-630

Sustained Release Oral Drug Delivery System: A Concise Review Sandhya Mishra

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Abstract:

The main objective of this review is to study various advantages, disadvantages and certain factors that govern the design of Sustained Release Dosage form. The review also included certain characteristics of drugs that is unsuitable for per oral sustained Release forms. This review involves various terminologies related to this drug delivery system like Delayed release and Extended release. This review also incorporate classification of sustained release system depending upon the manner of drug release i.e continuous release system, Delayed transit and controlled release system and Delayed release systems. Amongst, these the sustained release dosage forms have become extremely popular in modern therapeutics. Sustained release has been constantly used to retard the release of therapeutic agent such that its appearance in the circulation is delayed and/or prolonged and its plasma profile is sustained in duration. The onset of its pharmacological action is often delayed and duration of therapeutic action is sustained. Sustained release oral drug delivery system has certain advantages which include enhanced patient compliance, reduced 'see-saw' fluctuation, reduced total dose, improved efficacy in treatment and economical factor. This drug delivery system also includes certain disadvantages like inhibition of prompt termination of therapy, poor in-vitro in-vivo correlation and limited choice of selecting desired dose in the unit. There are many drugs which are unsuitable for peroral sustained release forms. Riboflavin and ferrous salts due to poor absorption in lower intestine, Penicillin G, Furosemide due to short biological half lives (<1hr), Diazepam, Phenytoin (due to longer biological half-lives (>12hr), Sulphonamide due to

larger dose requirements (>1g) and many more. Criteria to be met to incorporate the drug in sustained release dosage forms includes various Physicochemical parameters like molecular size, Aqueous solubility, Partition coefficient, Absorption mechanism, permeability and stability. Certain Pharmacokinetic and Pharmacodynamic considerations are also included like release rate, Absorption, Distribution, Metabolism, Excretion, Drug Protein Binding, Duration of Action, Therapeutic Index. From the above discussion it is concluded that sustained release dosage form is one of the most effective dosage forms. It is helpful in increasing patient compliance and also improves efficiency in therapeutic treatments. Certain criteria like molecular size, aqueous solubility and other related criteria must be met to incorporate the drug in sustained release dosage form. This dosage form undergoes mechanisms for the release of medicament in the body and also classified on the basis of the drug release. Various pharmacokinetic and pharmacodynamic parameters should be taken under consideration before formulating a drug into sustained release dosage form.

A-631

Formulation and Enhancement of Entrapment Efficiency of Water Soluble Drug Loaded Poly-Caprolactone Nanoparticles

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Abstract:

The aim of this study was to develop biodegradable polymeric nanoparticles (PNPs), using poly-caprolactone (PCL) as carrier for hydrophilic drug, Bisphosphonates are group of drugs that can be used in the development of new therapies for bone disorders. A new osteotropic drug [alendronate sodium (ALS)] PNPs was developed and the entrapment efficiency of ALS in the PNPs has been enhanced. ALS causes oesophageal side effects hence, preventing the free ALS coming in direct contact with the GI mucosa thereby reducing the possibility of side effects. The PCL PNPs were prepared by water/ oil/water (w/o/w) double emulsion technique. By varying the different formulation parameters such as drug polymer ratio, stabilizer and electrolytes in the formulation with a good encapsulation rate. The prepared ALS-loaded NPs were characterized for their physicochemical, morphological, differential scanning calorimetry, Entrapment efficacy and actual drug content were

assessed by UV Spectrophotometric method. The obtained NPs were free flowing and particle size ranging from 157 to 388 nm. Method chosen for ALS incorporation resulted in nanoparticles (NPs) with good entrapment efficiency. The ALS entrapped in the NPs increase with the increase in Polymer and electrolyte concentration. The *in vitro* drug release from PCL/ALS NPs was maintained up to 48 h in simulated gastrointestinal fluids and phosphate buffer solution pH 6.8 revealed a prolonged release of ALS. The double emulsion technique with PCL was effective in achieving desired physico-chemical characteristics and to sustained release.

Keywords: Alendronate sodium; Poly caprolactone; double emulsion; Bone disorders.

A-632

Solubility Enhancement of Efavirenz by Cyclodextrin Inclusion Complex Technique

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Abstract:

The solubility of BCS class II drugs can be enhanced using inclusion complex techniques. Cyclodextrin and its derivatives due to their high aqueous solubility are promising carrier for the enhancement of aqueous solubility of poorly soluble drugs. The present work shows the enhancement of aqueous solubility of BCS class II drug i.e. Efavirenz by making inclusion complex with β - CD. The aqueous solubility of efavirenz is calculated to be $5 \pm 0.003 \mu\text{g/ml}$ which was increased up to $288.9 \pm 0.005 \mu\text{g/ml}$ when complexed with β - CD in ratio of 1:1 and $318.5 \pm 0.03 \mu\text{g/ml}$ in ratio of 1:2. Thus, the inclusion complex technique is a promising way to enhance aqueous solubility.

Keywords: BSC, Efavirenz, Phase solubility, inclusion complex.

A-633

Formulation, Development and Characterization of Liposomal Hydrogel for the Treatment of Antibiotic Resistant Propionic Bacterium Acne

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Abstract:

The aim of this work was to prepare and evaluate the topical liposomal hydrogel for the treatment of antibiotic resistant propionic bacterium acne. Nadifloxacin loaded liposomes were prepared by thin film hydration technique. Nadifloxacin, soya lecithin, cholesterol were dissolved in mixture of chloroform and taken in different levels and liposomes were prepared. The prepared liposomes were evaluated for in-vitro drug release. Formulation F2 was highest percentage entrapment of 70% and released 73.2% of the drug in 8hrs. Minocycline hydrochloride based hydrogel was prepared by using the methylcellulose gelling agent, and the drug concentration was kept constant at 0.25%. The concentration of propylene glycol and methyl paraben was kept constant at 15% and 0.3%. The hydrogel formulation was evaluated for various physical parameters and drug release. Formulation H2 was highest percentage entrapment of 98.2% and released 73.45% of the drug in 5hr. Developed liposomal hydrogel formulation was better effect to treat acne due to high drug retention and permeation in skin layers.

Keywords: Nadifloxacin, Minocycline-hydrochloride, Liposomes, Hydrogel, Acne, Dermal delivery.

A-634

Formulation and *In Vitro* Evaluation of Sustained Release Dosage Form Containing Tramadol Hydrochloride

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Abstract:

Sustained release formulation of tramadol hydrochloride based on monolithic - matrix technology was developed and evaluated. Tramadol hydrochloride is freely soluble in water so it is suitable to develop sustained release matrix tablet using hydrophilic polymers. As tramadol hydrochloride is an intermediate acting drug, so developed formulation provides the advantages of sustained release formulations. The developed formulation is equivalent to commercial marketed product in view of its *in vitro* release. The developed formulation has an additional advantage like less steps of manufacturing procedure and is therefore economical. All of which made the procedure

easily amenable to mass production using conventional tablet machines. Tramadol hydrochloride matrix tablet formulations were prepared with different compositions using different polymers. Finally, one optimized formula for matrix tablet was selected and studied in detail. The effect of formulation variables namely different polymers, and concentration of polymer were studied. Tramadol hydrochloride release was inversely proportional to the polymer concentration. Drug release from the developed formulations was dependent on the agitational intensity and hardness of tablet. Tramadol hydrochloride release from the developed matrix formulation follows first order and diffusion is found to be the main mechanism of drug release. The manufacturing procedure was found to be reproducible and formulations were stable after one month of accelerated stability studies.

Keywords: sustained release; matrix tablet; tramadol hydrochloride; formulation; evaluation; physical parameters; *in vitro* release; stability.

A-635

Correlating In-Vitro and In-Vivo (IVIV) in Pharmaceutical Nanomedicine Arena

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Abstract:

One of the great challenges in nanotechnology is to understand and establish the relationship between physicochemical characters of nanocarriers and its biological interactions. However, we are still far away from assessing the biological metabolism of nanoparticles. Protein corona refers to the spontaneous formation of an adsorbed layer of biomolecules on the surface of nanoparticles in a biological environment. Protein corona formation involves the spatiotemporal interplay of an intricate network of biological, environmental and particle characteristics. Nanoparticles with its protein corona can be viewed as a biological entity, which interacts with cells and barriers in a biological system. The ability of in-vitro derived parameters to forecast in-vivo consequences by developing a mathematical model forms the basis of in-vitro in-vivo correlation. Understanding the effect of bio-nano interactions on the biological consequences of NPs at the cellular and physiological level can have a direct impact on the translation of future nanomedicines and can lead to the ultimate goal of developing a mathematical IVIVC model.

A-636

Development and Validation of a Spectrophotometric Method for Estimating Ferulic

Acid in Simulated Cerebrospinal Fluid (CSF) using Multivariate Techniques

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Abstract:

Analytical method development and validation remains the key prerequisites for the successful development of a product. Ferulic acid (4-hydroxy- 3-methoxycinnamic acid) is a ubiquitous phenolic compound in plants, therefore, it constitutes as one of the major bioactive in many foods. Many staple foods are among the rich sources of FA. The spectrophotometric method was developed using UV-visible spectrophotometric techniques. The analysis of the wave patterns and the peak resolution for the analysis was carried out using chemometric tools like Principal Component Analysis (PCA). This led to the formation of the selection of robust analytical conditions for the analysis of FA in simulated cerebrospinal fluid (CSF). The method so obtained was found to be robust, accurate and exhibited high degree of reproducibility. This successfully demonstrates the utility of multivariate chemometric tools for developing a sensitive and precise spectrophotometric method with enhanced method performance and improved analytical method understanding for ferulic acid.

Keywords: Ferulic acid, spectrophotometric analysis, PCA, multivariate.

A-637

Dissolution Enhancement of Lercanidipine HCL using Liquid-Solid Compact Technique

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Abstract:

Lercanidipine HCL is a calcium channel blocker, having a low solubility and low bioavailability due to first-pass

metabolism in liver and gut wall which has oral bioavailability approx 10-20%. The objective behind the research was to development of liquid-solid compact technique of Lercanidipine HCL sublingual tablet for enhancing the dissolution rate. The technique was formulated with Transcutol P as solvent. Neusiline US2 as a carrier material, Aeroperl 300 as a coating material, PVP K30 as a solubility enhancer and Kyron T-314 as a Disintegrant prepared by direct compression method. The effects of carrier to coat ratio (R-10, 15, 20) on drug dissolution profile were investigated. Using experimental design (3^2 full factorial) the prepared formulations were evaluated for in-vitro dissolution characteristic, disintegration time, T_{90} and Ex-vivo permeation studies. Solubility measurements DSC, FT-IR and XRD were used for evaluation of Lercanidipine HCL in liquid-solid powder. The optimized formulation (batch F_0) containing carrier to coating ratio (1:15), PVP K30 (7.5%) and Kyron T-314 showed greater drug dissolution 98.389% (5 min), disintegration time (24 sec), T_{90} (3.70) and ex-vivo permeability (99.306) within 8 minutes that were suitable for sublingual tablet. Lercanidipine HCL liquid-solid sublingual tablet can be successfully enhanced dissolution rate by addition of PVP K30 to the solvent and improve bioavailability by prevention of first-pass metabolism.

Key words: Lercanidipine HCL, Liquid-solid technique, Sublingual tablet, Dissolution rate enhancement, 3^2 full factorial design.

A-638

Trimethyl Chitosan Chloride Based Sublingual Polymeric Drops System for the Quick and Efficient Management of Pain

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Abstract:

The current research was initiated with the strategy of developing a Trimethyl chitosan chloride (TMC) polymeric drops system that may deliver medicament sublingually for efficient and quick management of pain. Ketorolac tromethamine (KT) is classified under NSAIDs, used for the management of moderate to severe pain at opioid level. The TMC with quaternization of 37.03%, a derivative of chitosan was synthesized by two step reductive methylation process to enhance the solubility & permeability. The sublingual polymeric drops were developed by using TMC with different excipients. The optimized formulation

(pH=5.46±0.26; viscosity=23.66±0.57; drug content=98.78%) was selected for further studies. The drug, polymer & excipient were checked for compatibility with FTIR, DSC and XRD. The *ex vivo* permeation showed that 94.70 % of drug penetrated within 30 min. The *in vivo* study on rat model & statistical analysis was observed for analgesic activity showing acceptable results whereas stability study predicted slight adequate change in properties. From the above research investigation & data analysis it can be concluded that prepared TMC polymeric drops can deliver an appropriate amount of drug sublingually for quick management of pain.

Keywords: Trimethyl chitosan chloride, Ketorolac tromethamine, Box Behnken Designed, Sublingually, Polymeric drops.

A-639

Development of Self-Nanoemulsifying Lipidic Formulations of Sorafenib Tosylate for Improved Cytotoxicity Employing QbD Approach

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Abstract:

The current studies entail systematic development, optimization and evaluation (*in vitro*, *ex vivo*, *in situ* and *in vivo*) of self-nanoemulsifying lipidic formulations (SNELFs) of a BCS class II drug, anticancer drug, sorafenib tosylate exhibiting low oral bioavailability (8%) ostensibly owing to high hepatic first-pass effect and poor aqueous solubility, employing rational QbD-based approach. The patient-centric QTPP and CQAs were earmarked. Ishikawa Fish-bone diagram was constructed for establishment of cause-effect relationship, followed by risk analysis using risk estimation matrix (REM). Initially, the analytical QD approach was employed for reverse-phase HPLC method development on C18 column using acetonitrile:water as mobile phase at flow rate 1 mL/min. Preformulation studies and risk assessment facilitated the selection of lipid, surfactant and cosurfactant as CMAs for formulation of SNELFs, which were systematically optimized employing D-optimal mixture design, evaluating CQAs like globule size, emulsification time, dissolution efficiency and permeation parameter. The design space was generated using apt mathematical models, and search for optimum formulation by numerical optimization

and desirability function, and validation of the QbD. Significant enhancement in absorption parameters was observed for SEDDS of sorafenib *vis-à-vis* the pure drug, as evident from the *in situ* SPIP. *In vitro* cytotoxicity and uptake studies on Caco-2 cell lines revealed efficient cellular uptake by the cancerous cells. In a nutshell, the results signify immense potential of SELFs in augmenting the oral bioavailability and therapeutic efficacy of lipophilic drug, sorafenib tosylate.

Keywords: Design of Experiments (DoE), cytotoxicity, anticancer, optimization, bioavailability.

A-640

Solid Lipid Nanoparticles (SLN) for Oral Delivery of Candesartan Cilexetil: Formulation, Characterization and Pharmacokinetic Studies

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Abstract:

Purpose: Poor aqueous solubility and suboptimal oral bioavailability hamper the therapeutic efficacy of Candesartan cilexetil (CDC). In this research, Solid Lipid Nanoparticle (SLN) of CDC were investigated and found that SLN could enhance the oral absorption of CDC compared with free drug suspension. **Method:** The present work mainly involved the development and characterization of CDC loaded SLN (CDC-SLN), using stearic acid as main encapsulating lipid, stabilized with poloxamer 188 using “modified emulsification–ultrasonication technique”. **Results:** CDC-SLN with total drug content of 88.33±1.23% and entrapment efficiency of 78.28±1.91%, with an average particle size of 197.9 nm and zeta potential value -21.3 mV were prepared. DSC and PXRD results confirmed the molecular encapsulation of the drug in amorphous state. CDC-SLN released 60.43% of drug in comparison to 17.11% released by CDC suspension in 24 hours ($p < 0.05$). The results of pharmacokinetic studies in rat showed that AUC_{0-t} of CDC-SLN was significantly enhanced over three folds than that of free drug suspension ($p < 0.05$). **Conclusion:** SLN of CDC could be successful in improving the oral bioavailability of poorly soluble CDC.

A-641

Vitamin E –TPGS: Nanocarriers for Anticancer Drug

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Abstract:

The physico-chemical and biological properties of vitamin E derivatives offer multiple advantages in drug delivery like biocompatibility, improvement of drug solubility and anticancer activity. Nanomedicines have shown high potential in drug delivery since (i) they may offer better drug biopharmaceutical properties such as longer half-life or better bioavailability and (ii) they have shown benefits in cancer therapy by improving anticancer drug therapeutic index. Vitamin E-based nanomedicines were developed to combine the pharmaceutical properties of both vitamin E and nanomedicines for two purposes: (i) to improve water solubility of hydrophobic drugs and (ii) to enhance the therapeutic efficiency of anticancer agents. This review is divided into three parts: the first one describes the biology and the metabolic functions of vitamin E, the second one focuses on the anticancer activity of two vitamin E derivatives: vitamin E succinate (TOS) and vitamin E polyethylene glycol-succinate (TPGS). Finally, in the third part, we discuss vitamin E derivatives based-nanomedicines. Nanomedicines can also enhance drug-circulation times, control drug-release kinetics and allow superior dose scheduling TOS acts on tumors through different mechanisms among which: (i) inhibitory effects on tumor cell proliferation; (ii) induction of apoptosis in tumor cells; and (iii) inhibition of metastasis. Vitamin E has been grafted on various polymers to enable drug encapsulation and efficient anticancer drug delivery. A polymeric nanoparticulate drug delivery formulation consisting of the amphiphilic diblock copolymer mPEG-PLA-tocopherol and the sodium salt of poly(lactic acid-co-mandelic acid) (PLMA-COONa) and incorporating DOX (DOX-PNP) has been developed. Tocopherol moiety was used to increase the stability of the hydrophobic core of the nanoparticles in aqueous medium.

A-642

Colon Targeting of Soluble Curcumin Bearing Chitosan Microspheres: *In Vitro*, *In Vivo* and *In Silico* Docking Approach

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Abstract:

In present investigation, primarily curcumin was complexed with 2-HP- β -CD (curcumin-2-HP- β -CD-complex) in 1:1 ratio and afterward amalgamated with chitosan microspheres (curcumin-2-HP- β -CD- CMs) for selective delivery in colon only through oral route of administration. Various analytical, spectral and in-silico docking techniques revealed that the curcumin was deeply inserted in the 2-HP- β -CD cavity with apparent stability constant of 3.35×10^{-3} M. Furthermore, the mean particle size of $6.8 \pm 2.6 \mu\text{m}$ and $+39.2 \pm 4.1$ mV surface charge of curcumin-2-HP- β -CD-complex-CMs in addition to encapsulation efficiency of about $79.8 \pm 6.3\%$ exhibited that the tailored microspheres were optimum for colon delivery of curcumin. This was also demonstrated in dissolution testing and standard cell proliferation assay in which curcumin-2-HP- β -CD-complex-CMs exhibited maximum release in simulated colonic fluid (SCF, pH \sim 7.0-8.0, almond emulsion- β -glucosidase) with improved therapeutic index in HT-29 cells. Consistently, curcumin-2-HP- β -CD-complex-CMs successively enhanced the colonic bio-distribution of curcumin by \sim 8.36 folds as compared to curcumin suspension in preclinical pharmacokinetic studies. In conclusion, curcumin-2-HP- β -CD-complex-CMs warrant further *in vivo* tumor regression study to establish its therapeutic efficacy in experimental colon cancer.

A-643

Comparison of Micromeritic characteristics of PPK- solid SNEDDDS prepared by adsorption and Spray drying

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Abstract:

Polypeptide-K (PPK) is extracted from dried seeds of *Momordica charantia*. It is well known for its antidiabetic properties. Solid self nano emulsifying drug delivery system (S-SNEDDS) was prepared by surface adsorption (SA) and spray drying (SD). Different carriers i.e. Aerosil -200 (A-200), Syloid244FP (SFP), Syloid XDP 3150 (SXDP), Micro Crystalline Cellulose (MCC) PH102, Magnesium stearate (MS), Poly vinyl alcohol (PVA), Na-CMC and HPBCD were used for preparing S-SNEDDS of PPK. The true density was found to be ranging between 1.23 ± 0.42 and 1.86 ± 0.16 g/cm³, bulk density from 0.202 ± 0.13 and 0.312 ± 0.08 g/cm³, and tapped density from 0.216 ± 0.22 and 0.441 ± 0.12 g/cm³, respectively. The flow rate was found to be ranging between 0.10 ± 0.06 and 4.96 ± 0.34 g/s, angle of repose from 18.35 ± 1.16 and 46.32 ± 2.19 (), and Carr's index from 6.93 ± 0.04 and 41.35 ± 1.33 , respectively. Among the various carriers and two techniques, micromeritic

properties of S-SNEDDS prepared by using SD technique have shown better results as compared to S-SNEDDS prepared by using SA technique. Overall, the studies revealed that Aerosil® 200 (SD) exhibited promising micromeritic behavior as compared to S-SNEDDS prepared by using any other carrier and technique. All the S-SNEDDS prepared by surface adsorption method resulted in comparatively poor flow and compression properties as clearly evident from higher values of angle of repose > 32, and Carr's index > 19, respectively.

Keywords: spray drying, solid self nanoemulsifying drug delivery system, polypeptide k, micromeritics, angle of repose.

A-644

Design and Development of Transdermal System for BCS Class – III Antihypertensive Drug

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Abstract:

The present study aims to formulating TDDS of Lisinopril to enhance its bioavailability and to enhance the permeation of drug through skin by using permeation enhancers. Preformulation studies of Lisinopril were carried out. Permeation Enhancers like oleic acid, tween 80 and ethanol were added in polymer solution for the preparation of Lisinopril patches by Solvent evaporation technique. The rate of evaporation of the solvent was controlled by inverting the cut funnel over petri dish. The prepared transdermal patches were evaluated for their physicochemical characterization – weight variation, thickness, drug content determination, moisture content, flatness, folding endurance, in vitro release, in vitro permeation studies. Preformulation studies confirmed the purity of Lisinopril. The FTIR studies revealed that there is no interaction between drug and excipients. Films were smooth, transparent, wrinkle free and uniform. Average weight of transdermal patches was relatively similar. Accelerated stability study showed that formulation is stable after 3 months of storage, as weight variation, drug content and percentage cumulative drug release were found stable after 3 months of storage. Lisinopril transdermal patches with permeation enhancers offer more predictable and more extensive drug release than corresponding conventional formulations. Utility of TDDS to enhance permeability and bioavailability of poorly

permeable compounds like lisinopril, which can be helpful to reduce dose and related side effects of the drug.

Keywords: TDDS, FTIR.

A-645

To Formulate and Evaluate Anti-Protozoal Suppositories by Moulding Process

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Mukhtar

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Abstract:

Suppository is a solid or semisolid medical preparation in a roughly conical or cylindrical shape, designed to be inserted into the rectum or vagina to dissolve. Drug administration via mucosal membranes, including the vaginal, has the advantage of by passing the hepatogastrointestinal first pass metabolism associated with oral administration. Metronidazole suppositories were prepared using different suppository bases viz., water soluble bases (PEGs and gelatin) emulsion and fatty bases. The physicochemical properties of most of the prepared MTZ suppositories comply with the pharmacopoeia limits and passed the quality control tests. In general, water soluble suppository bases gave higher release than did the emulsion in citrate buffer pH 4. PEG base (F4), gelatin base (F3) and emulsion base (F2) gave the highest drug release and selected for further investigation. The release of MTZ from polyethylene glycol bases followed, first and Higuchi order release model, while gelatin and emulsion obeyed first model. Various evaluation tests were performed included color, appearance, weight variation, melting point, disintegration time, liquefaction time, dissolution studies. All the tests were passed and showed enhancement of drug absorption from tested suppository.

Keywords: Vaginal suppositories, Metronidazole, Glycerogelatin.

A-646

Liquisolid Technology: Unique Tool for Enhancing Stability and Modifying Release Rate of Drugs

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Abstract:

The concept of liquisolid technology came into existence as a recent and advanced drug delivery system. It has various advantages over the conventional technologies although it utilizes similar production process as the conventional tablets. It is a simple process having low production cost and having possibility of scale up. Today, high molecular weight of new chemical entities results into poor water solubility due to high lipophilicity. This poor solubility results in reduced drug release rate and low bioavailability. These challenges can be tackled with multiple technologies e.g. pharmaceutical particle technology, nanotechnology etc. However, these technologies are not cost effective. Moreover, involved sophisticated machinery and complicated technology. Liquisolid technology is used to enhance the drug release rate of poorly water soluble drugs. Further it may slow down the drug release (sustain release) of highly water soluble drugs. This technology also overcomes the problems associated with stability of photosensitive drugs. The solution of drug or liquid formulation can be converted into dry powder having good flow property and the powder can be easily compressed. To formulate liquisolid systems different vehicles, carriers, and coating materials are used. The technology is in the early stages of its development with extensive research currently focused on. It is envisaged that the liquisolid compacts could play a major role in the next generation of tablets.

Keywords: Liquisolid, sustain release, sophisticated machinery, stability of drugs, pharmaceutical particle technology, nanotechnology.

A-647

Development and Validation of UV-Spectrophotometric Method for the Simultaneous Estimation of Perindopril Erbumine and Indapamide as API and in combination in Tablet Dosage Form

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Abstract:

A simple, accurate, precise, rapid and economical UV

spectrophotometric method was developed for simultaneous estimation of Perindopril Erbumine and Indapamide in a combined tablet dosage form. This method was based on simultaneous equations for analysis of both drugs using methanol as solvent. Perindopril erbumine has absorbance maxima at 210.6 nm and Indapamide has absorbance maxima at 240.0 nm in methanol. Linearity range was observed in the concentration range of 9-27 µg/ml for Perindopril erbumine and 3-8 µg/ml for Indapamide with correlation coefficient within range of 0.997 - 0.999 for both drugs. The method was validated according to ICH guidelines. The accuracy and precision of the method was determined and validated statically. The method showed good reproducibility and recovery with % RSD less than 2. The proposed method was successfully applied to commercial combined tablet dosage form of both drugs.

Keywords: Perindopril erbumine, Indapamide, Simultaneous equation, Recovery studies.

A-648

Doxorubicin-loaded Multiwalled Carbon Nanotubes for Treatment of Breast Cancer

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Abstract:

Cancer is among the leading causes of death worldwide despite of the advances in the diagnosis and treatment. Conventional chemotherapy treatments are often associated with adverse effects. This drawback can be overcome by utilizing the targeted drug delivery system, which has high selectivity towards cancerous cell. In the current research, pristine multiwalled carbon nanotubes and nano-selenium conjugated multiwalled carbon nanotubes (f-MWCNTs) loaded with doxorubicin (DOX) was developed and characterized. The objective behind the research was to investigate the cancer targeting propensity of the developed systems using breast cancer cells. The developed system was compared to the pristine MWCNTs with regard of particle size, loading efficiency, release profile, cytotoxicity and cellular uptake study. The f-MWCNTs based drug delivery provide a promising, novel, and alternative carrier for high treatment efficacy with reduced side effects for tumor cell targeting.

Keywords: Cancer, Doxorubicin, MWCNTs, tumor targeting.

A-649

“Preparation and Evaluation of Entacapone loaded Mucoadhesive microspheres” for effective treatment of Parkinson’s disease

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Abstract:

In the current research, Parkinson’s disease (PD) is a degenerative disorder of the central nervous system that impairs motor skills, cognitive processes, and other functions. The most obvious symptoms are motor-related, including tremor, rigidity, slowness of movement, and postural instability. The main families of drugs useful for treating motor symptoms are, catechol-O-methyl transferase (COMT) inhibitors dopamine agonists and MAO-B inhibitors. Entacapone is a selective, reversible catechol-O-methyl transferase (COMT) inhibitor which degrades dopamine, thereby prolonging the effects of levodopa for the treatment of Parkinson’s disease. Entacapone is weakly acidic (pKa is 10.72) and hydrophobic (logP - 3.2), half life (0.7- 1.2 h) and its low aqueous solubility (7.97e-02 g/l) contributes to high variability in absorption after oral administration. low aqueous solubility of entacapone may be reflecting low bioavailability. The nasal mucosa has been considered as a potential administration route to achieve faster and higher level of drug absorption. This is due to the large surface area, porous endothelial membrane, high total blood flow, the avoidance of first-pass metabolism, and ready accessibility. Based on facts presented, the aim of present research is “preparation and evaluation of entacapone loaded mucoadhesive microspheres” for effective treatment of Parkinson’s disease, minimizing the multiple dosing of drug and maximizing mucoadhesion strength to the nasal mucosal surface for retention time to obtained high bioavailability than the conventional dosage forms.

Keywords: Parkinson’s disease, COMT inhibitors, Entacapone, nasal delivery.

A-650

Preparation, Optimization and Standardization of Shankha Bhasma

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Abstract:

The herbo-mineral preparations have several benefits that have been instrumental in their widespread use in treatment of different disorders by traditional medicinal practitioners. These include better stability, lower dosage, ease of storage and sustained availability. Bhasmas the multi elemental drugs derived from natural resources. Bhasma means preparation from inorganic or organic substances that burnt into its ash and the process of burning is known as putapaka or calcinations. Shankha is an important drug of Sudhavargiya dravya. All these drugs are chemically more or less similar and mainly contain calcium carbonate. Shankha Bhasma is a popular Ayurvedic formulation which is used in hyperacidity, indigestion, ulcerative dyspepsia and other acid peptic disorders. In this project we prepared, optimized and standardized the Shankha bhasma for its purification steps, particle size and calcination process. After preparation the calcium content of shankh bhasma was analysed by SEM, FTIR and XRD for its particle size and elemental form. Toxicological studies of Shankh bhasma was done with comparative to CaO Nanoparticles and starting material of prepared bhasma.

Keywords: Shankha, Sudhavargiyadravas, Bhasma.

A-651

Simvastatin Loaded Chitosan Nanoparticles by Probe-type Ultrasonication

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Abstract:

The chitosan nanoparticles (CSNP) are promising polymeric nanoparticles because of their low immunogenicity, low toxicity, biodegradability, and biocompatibility. In the present study chitosan nanoparticles of simvastatin were formulated by modified ionic gelation method. The effect of chitosan concentration (X_1) and sonication time (X_2) on the encapsulation efficiency (%) of the simvastatin from polymeric nanoparticles was evaluated by applying Central Composite Design. The characterization of chitosan nanoparticles was

done by using attenuated total reflectance spectrophotometry, scanning electron microscopy, differential scanning calorimetry, *in vitro* dissolution, particle size, and zeta potential analysis. The result shows that the batch NP-7 has the maximum encapsulation efficiency, loading capacity, and percentage yield. The particle size analysis shows an average diameter of 625 nm to 820 nm (Z- Average) and the peak was found in the range of 108 nm to 480 nm. Scanning Electron Microscopy results confirmed a rod-shape of the nanoparticles. The *In-vitro* drug release study in the phosphate buffer shows a good release of the drug. Upcoming studies are focused to study the improvement in bioavailability and targeted applications of nanoparticles.

Keywords: Simvastatin, central composite design, ionic gelation, chitosan.

A-652

Preparation, Characterization and Toxicity study of Herbo Mineral Medicine Zinc Bhasma and Comparative Study of Zinc Oxide Nano particles

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Abstract:

Ayurveda a traditional Indian system of medicine, is believed to be in existence from time memorial. Ayurveda make use of herbal preparation for their curative effects .bhasmas are one of the ailment component in ayurvedic system of medicine and are used to treat various chronic ailments and maintain good health of an individual. Bhasmas are herbo- metallic ashes in which the metal is calcined along with various herbal ingredients to form complexes. Zinc bhasma is an extensively used ayurvedic medicine for treating various ailments. Zinc bhasma was prepared by a purification, trituration and incineration processes and was characterized for particle size, elemental analysis, infrared spectroscopy, determination of oxide content, toxicity studies and comparative studies with zinc oxide nanoparticles.

Keywords: ayurveda; bhasma; zinc bhasma; toxicity; zinc oxide (ZnO) nanoparticles.

B-1

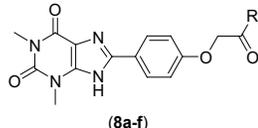
Bronchospasmolytic Activity of 8-Phenyl Substituted (Sulphonamide) Xanthine Derivatives

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Abstract:

Asthma is a common medical condition which affects approx. 300 million people worldwide and the number is expected to increase exponentially in the coming years. Xanthine derivatives are found as most effective class of antiasthmatics till date. Substituted xanthines constitute one of the most persuasive categories of adenosine receptor antagonists reported to date. **The incorporation of polar substituents such as sulfonates improves the otherwise extremely limited water solubility of 8-phenylxanthines and their conversion to sulphonamides increases solubility across wide pH range and also can be absorbed perorally because of their amphoteric nature. These above research findings led us to study the impact of polar sulphaminophenoxyacetate substituents at *para*-position of the 8-phenyl substituted xanthines on the bronchospasmolytic activity. In the present study we had synthesized a series of sulfonamide derivatives of 1, 3- Dialkylxanthine (8a-f) by coupling carboxylate ester with a series of sulfonamides through carboxamide linkage.**



The structures of the newly synthesized compounds were characterized by TLC, FT-IR, ¹H & ¹³C-NMR and elemental analyses. The synthesized derivatives were also evaluated in vivo for bronchospasmolytic activity. Among the derivatives 8a-f, the animals treated with compound 8f showed more protective action in the histamine induced bronchospasm. Increased bulkiness on the *p*-position of the aromatic ring increases the bronchospasmolytic activity.

Keywords: Sulphonamides, Xanthines, Bronchospasm,

Asthma.

B-2

Synthesis Ofazole Based Heterocyclic Derivatives as Possible Biological Agents

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Abstract:

The target compounds were synthesized starting from stearic acid. In the first step stearic acid was reacted with Thiosemicarbazide in presence of sulphuric acid and the reaction mixture was refluxed for 3 to 4 hours to yield thiadiazole. In the next step thiadiazole was reacted with different aromatic aldehydes in presence of methanol for approximately two hours to yield corresponding Schiff's bases. All the Schiff's bases thus obtained were reacted with thioglycolic acid to yield the title compounds. The synthesized title compounds were recrystallized and purity of the compounds was ascertained by using appropriate solvent systems (ethyl acetate: benzene: water). The yield of different synthesized compounds were found to be in the range of 44-59% and Characterization of the title compounds has been done with the help of suitable techniques like IR, NMR, TLC, etc. Further all the synthesized compounds were subjected to anthelmintic, antioxidant, antibacterial and antifungal evaluation. Then evaluation of antioxidant activity was done by hydrogen peroxide scavenging method and Anthelmintic activity was done against *Eisemia foetida*. The experimental data of the present studies reveals that synthesized derivatives of Thiazolidinone demonstrated significant anti-oxidant, Anthelmintic, antibacterial activity.

Keywords: Azole, Thiazolidinone, Thiazolidinone.

B-3

A Versatile Source of Anticancer Agent from Natural Flavonoids

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Abstract:

Flavonoids are naturally acting polyphenols that are ubiquitously in plants. They have broad-spectrum pharmacological activities and have the most prominent activity as anticancer agent. Chemically, flavonoid structure is written as C6-C3-C6. Presence of flavone nucleus in numerous categories of therapeutic agents such as antimicrobial, antiviral, cardioprotective, antineoplastic, antidiabetic, anti-inflammatory, antioxidant, anti-aging, antihypertensive, antiplatelet activities etc. has made it versatile and convenient precursor for the synthesis and development of new therapeutic agents. Despite of these numerous fascinating pharmacological activities, flavonoid still remain as one of the most versatile class of compounds against cancer agents and provide suitable platform for further molecular exploration. They were described to have important effects on cancer chemoprevention and chemotherapy. They are effective against various type of cancer such as colon, breast, lung, prostate and pancreas. Flavopiridol, Nobiletin, Silybin, Myricetin-3-O-(L-rhamno pyranoside), Diosmetin, Quercetin 3-O-amino acid-esters shows promising anti-cancer effect which have been originated from flavonoid. These compounds seem to exert their antitumor activity through various mechanisms such as carcinogen inactivation, cell cycle arrest, antiproliferation, induction of apoptosis and inhibition of angiogenesis. As part of an approach to generate flavonoid and their biogenetic precursors more effective and specific toward cancer cells, addition of nitrogen atom to the flavonoid core structure serve as a stimulus for the ongoing research in the area of phenyl quinolones chemistry and are found to be the novel class of anticancer drugs.

Keywords: Flavonoid, Chemoprevention, Chemotherapy, Antiproliferation

B-4

In-vitro Antitubercular Activity of 6-Aryl-tetrahydropyridazine-3(2h)-one Derivatives

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Abstract:

A series of 6-aryl-2,3,4,5-tetrahydropyridazin-3-ones has been synthesized by an appropriate aromatic compound reacts with succinic anhydride in presence of $AlCl_3$ to yield β -aroyl propionic acid (**1a**). This acid was cyclized with hydrazine hydrate to give 6-aryl-2,3,4,5-tetrahydro-3-pyridazinone (**2a-f**). All the synthesized compounds were characterized on the

basis of spectral analysis like IR, 1H -NMR, ^{13}C -NMR and MS data. These 6-aryl-tetrahydropyridazin-3(2H)-one compounds (**2a-f**) were evaluated for their *in-vitro* antitubercular activity against *Mycobacterium tuberculosis* H37Rv by using the Microplate Alamar Blue Assay (MABA) method. The result showed that minimum inhibitor concentration (MIC) of compound **2e** and **2f** was 12.5 μ g/ml and other remaining compounds (**2a-d**) were showed 25 μ g/ml when compared with reference drugs isoniazid (3.12 μ g/ml), pyrizinamide (3.12 μ g/ml) and streptomycin (6.25 μ g/ml). All the compounds (**2a-f**) have less activity with their reference standards.

Keywords: Antitubercular, heterocyclic, synthetic compounds, pyridazines.

B-5

Anti-diabetic Effect of Bitter Melon (Karela): An In-silico study on DPP-IV Enzyme

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Abstract:

Bitter Melon (*Momordica charantia*) is commonly known as *karela* has been reported many therapeutic effects including anti-diabetic potential. The active constituents of *Momordica charantia* include phenolics, flavonoids, triterpenoids and triterpene glycosides. Among the compounds, the phenolics and flavonoids reported as useful for curing diabetes by reducing elevated blood sugar levels as well as it quenches the reactive oxygen species generated in the cell metabolism. Therefore, we intended to study the phenolics and flavonoids for their binding and inhibition of DPP-IV enzyme, one of the anti-diabetic target. In the present study all five compounds namely Caffeic acid, Ferulic acid, Gallic acid, p-coumaric acid, and (+)-catechin acid were docked into DPP-IV enzyme active site and their binding affinity was calculated. The analysis of results and docking poses showed that (+)-catechin was showing better binding interaction with DPP-IV enzyme.

Keywords: Bitter Melon, DPP-IV, Catechin acid, gallic acid, anti-diabetic, *Momordica charantia*

B-6

Synthesis and Evaluation of 4-(6-Chloro-1H-benzimidazol-2-yl)-6-(2-chloroquinolin-3-yl)pyrimidin-2-amines as Potent Antimicrobial agents

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B

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Abstract:

In present research work, new series of 4-(6-chloro-1H-benzimidazol-2-yl)-6-(2-chloroquinolin-3-yl)pyrimidin-2-amine (Va-k) have been synthesized by the reaction of 1-(6-chloro-1H-benzimidazol-2-yl)-3-(2-chloroquinoline-3-yl)prop-2-en-1-one (IVa-k) with guanidine nitrate in ethanol and aq. solution of sodium hydroxide which in turn were obtained by the condensation of 6-chloro-1H-2-acetylbenzimidazole (III) with different aromatic/heteroaromatic aldehydes. 6-chloro-1H-2-acetylbenzimidazole was obtained by the oxidation of 6-chloro-1-(1H-benzimidazole-2-yl)ethanol (II) prepared by the reaction of 4-chloro-O-phenylenediamine (I) with 2-hydroxypropanoic acid. The synthesized compounds were characterized by their IR, ¹H NMR and Mass spectral studies. Further, they have been screened for their antimicrobial activity by cup plate method, against two gram positive and two gram negative organisms using Ciprofloxacin and Fluconazole as a standard drugs. Results of the activities reveals that, compound exhibited moderate to good antibacterial and antifungal activities.

Keywords: Benzimidazoles, Chalcones, Pyrimidines, Antimicrobial activity.

B-8

Evaluation of Antimitotic and Anti-Angiogenic Potential of Newly Synthesized Derivatives of 2-Amino-6-Fluorobenzothiazole

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Abstract:

The main objective of the study was to synthesize

2-amino-6-fluorobenzothiazole derivatives and to study their antimitotic and anti-angiogenic potential. Para-fluoroaniline was treated with potassium thiocyanate in presence of glacial acetic acid and bromine, was converted to 2-amino-6-fluorobenzothiazoles. It was then further treated with 2-chloro-N-phenylacetamido derivatives in presence of base. Structures of the products formed were confirmed by FTIR, NMR and Mass spectroscopy. The synthesized compounds were tested for antimitotic activity by onion root tip assay (*Allium* assay). The study was extended to test antiangiogenic activity by Chicken Chorioallantoic Membrane (CAM) assay. Mitotic index (MI) values were calculated and reported. Inhibition of root growth, appearance of stunted roots, MI, and abnormalities in chromosome arrangement (Chromosome fragments, Disturbed Metaphase, Sticky Metaphase, Disturbed Prophase, Sticky Anaphase with bridges, Disoriented Anaphase with Lagging Chromosome, Disturbed Prophase, Distorted Metaphase) were taken as parameters for the analysis. Neovascularization, evaluated by CAM assay with the selected compounds, showed reduction in number of vessels. The results were statistically analyzed using one-way analysis of variance (ANOVA) to compare the level of significance between control and experimental groups by MAX STAT LITE Software. The synthesized compounds were found to possess significant antimitotic and antiangiogenic activity. Mitotic studies revealed that the percentage of cell division decreased as the concentration of the compound increased. Also, no. blood vessels formed were also reduced as the concentration of the compound increased.

Keywords: Benzothiazole, antimitosis, antiangiogenesis, *Allium* assay, CAM assay

B-9

Synthesis of prodrugs of ibuprofen and ketoprofen and comparison by

in vitro and *in vivo* evaluation

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Abstract:

Pain is an unpleasant sensation experienced by all individuals and classified as acute and chronic pain. NSAID's were most widely used for treatment of Analgesia and Inflammation. Ibuprofen, Ketoprofen, Poly ethylene glycol 1500 & PEG 6000 were used as drug carriers and Glycine was used

as spacer to link the drugs through ester linkage. Ibuprofen and Ketoprofen belongs to propionic acid derivatives of Anti inflammatory drugs and are non selective COX inhibitors. PEG 1500/PEG6000-Ibuprofen/Ketoprofen and PEG 1500/PEG 6000-Glycine-Ibuprofen/Ketoprofen were synthesized and are subjected to *In Vitro* dissolution studies the results indicated that the drug release was higher at 7.2 pH rather than at 1.2 pH. The results of *In Vivo* evaluation studies of both (Ibuprofen and Ketoprofen) synthesized prodrugs revealed that these prodrugs retained their Analgesic activity by hot plate method and acetic acid method, Anti inflammatory activity by paw edema method and cotton pellet method. Both the synthesized prodrugs had exhibited good ulcer protective activity when compared to parent drugs.

Keywords: Prodrugs, Poly ethylene Glycol 1500, Poly Ethylene Glycol 6000, Ibuprofen, Ketoprofen.

B-10

Synthesis, Screening for Anti-Tubercular Activity of Novel Series of 4-[(2-(2-Substituted benzylidenehydrazinyl)-2-oxoethyl) amino] benzenesulphonamide Derivatives

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Abstract:

Multi-drug resistance to commonly used anti-tubercular drug has propelled the development of new structural classes of anti-tubercular agents. Hence in search of newer analogues we have synthesized novel Schiff's bases series of 4-[(2-hydrazinyl-2-oxoethyl)amino] benzene sulphonamide derivatives. Various substituted aromatic aldehydes were used to prepare different Schiff's bases. All these compounds were purified and characterized by IR, H_1 -NMR, and Mass spectroscopy. They were screened for their *in-vitro* anti-tubercular activity against *Mycobacterium tuberculosis* H₃₇Ra both in the active and dormant state by an established XTT Reduction Menadione assay (XRMA). Out of ten compounds five compounds showing best activity were further screened to find *ex-vivo* activity by using THP-1 infection model of MTB H₃₇Ra which reveals promising anti-tubercular activity of three compounds. And they were found to safe for advance screening. In future we are planning 3D-qsar studies and enzyme inhibition studies.

Keywords: Multi-drug resistance, Anti-tubercular activity, Benzene sulphonamides derivatives, *Mycobacterium tuberculosis*.

B-11

Docking Studies of Piperazine Propyl-4-Oxo-3,4-Dihydroquinazoline-

2-Carboxylate Derivatives

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Rao

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Abstract:

Molecular docking studies were carried out in order to explore the possible binding modes of these compounds with DNA. The *in silico* docking results were in good agreement with biological data.

Keywords: Docking, Quinazolinones.

B-12

Synthesis of Paracetamol-Peg Prodrugs, *In Vitro* and *In Vivo* Evaluation

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Abstract:

Paracetamol is a well-known drug for its Antipyretic, Analgesic and Anti-inflammatory Activity. The drug being an OTC had been used more frequently than required. An approach of PEGylation was chosen. PEG 1500-Paracetamol, PEG 6000-Paracetamol, PEG 1500-Glycine-Paracetamol and PEG 6000-Glycine Paracetamol, Prodrugs were synthesized and were subjected to *in vitro* dissolution studies at pH 1.2, 4.5 and 6.8. The studies revealed %drug release was more at pH 6.8 rather than at pH 1.2 and 4.5 for PEG 6000-Gly-Paracetamol than PEG 1500-Gly-Paracetamol and also PEG 6000-Paracetamol % drug release was more than PEG 1500-Paracetamol. *In vivo* Analgesic activity also revealed the information that PEG 6000-Gly-Paracetamol has higher activity than PEG 1500-Gly-Paracetamol; PEG 6000-Paracetamol has higher analgesic activity than PEG 1500-Paracetamol. This indicated that in

PEGylation there was an influence of Spacer i.e; Glycine, increase in molecular weights of Poly Ethylene Glycol in drug release and also in Analgesic activity.

Keywords: Prodrugs, Paracetamol, PEGylation, PEG 6000, PEG 1500

B-13

Toxicity Mechanism of Ginsenosides and Cardiac Glycosides as Treatments of Cardiovascular Diseases

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Abstract:

Ouabain, digitoxin and digoxin, foxglove-derived cardiac glycosides, are widely used for heart failure medications. However, due to their severe side effects, ginsenosides from Panax ginseng, which have a similar structure to cardenolides and both act on the Na⁺K⁺ATPase, are considered as a preferred treatment for heart failure. Although both compounds share a similar structure and targets, the precise mechanism of action of ginsenosides is also far from fully understood. Using human umbilical vein endothelial cells and cardiomyocytes, it was found that ginsenosides were much less toxic than cardenolides. In silico computational approaches were used to study the different mechanisms of toxicity between cardiac glycosides and ginsenosides. Of the 3,394 protein targets in the PIDGINv2 training set, 22 and 106 proteins were found to interact with at least one of the query compounds from cardiac glycoside and ginsenoside datasets, respectively. Enrichment reduced these to 18 and 11, respectively, that were most likely to occur. Of the 27 different targets highlighted, 14 targets had literature supporting a role in heart failure or cardiovascular disease. Literature searches and protein docking was used to support in silico target predictions. The present study suggests that ginsenosides could block a mechanism of toxicity, or cardenolides could elicit cell-damaging mechanisms that ginsenosides do not.

Keywords: Ginsenosides, cardiac glycosides, target prediction, Na⁺K⁺ATPase, PIDGINv2

B-14

Synthesis and Antibacterial Evaluation of β -naphthol Derivative Bearing Azosulfonamide Group

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Abstract:

The main objective of the study was to investigate antibacterial activity of 4-[(2-hydroxyphenyl) diazenyl]-N-(heteroary lsubs.) Benzene sulfonamide 4a-4g were synthesized which contains of azosulfo -positive and gram-negative bacterial strains and compared with standard antibiotic Ampicillin. These synthesized compounds are may be exist in form of azo and hydrazino tautomeric structure. The antibacterial activity is expressed in terms zone of inhibition. The results revealed that the compounds 4-[(2-hydroxyphenyl) diazenyl)-N-(pyrimidin3-yl)] Benzene sulfonamide 4e and 4-[(2-hydroxyphenyl) diazenyl)-N-(5-methyl isoxazol-3yl)] Benzene sulfonamide 4g, exhibited greater antibacterial potential against both *S. aureus* and *B.subtilis* whereas against *E.coli* and *Paeruginosa* is moderate activity. The other compounds were showed resistant to all the bacterial strains except the compound 4a and 4f. These compounds have been showed also moderate activity against all the strains. Due to presence of sulfomoyl, azo moiety and different heterocyclic ring in the synthesized structural compounds which may be showed greater antibacterial potential in compound 4e and 4g.

B-15

5,6-Benzoflavone Derivatives as Non-Purine Based Xanthine Oxidase Inhibitors: Synthesis and Biological Evaluation

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Abstract:

In continuous efforts to develop potent xanthine oxidase (XO) inhibitors, a series of forty 5,6-benzoflavone derivatives was rationally designed and synthesized by changing the position of benzene ring attached to flavone skeleton in previously reported naphthoflavones (7,8-benzoflavnes). All

the synthesized compounds were checked for their inhibitory potential against XO by using apigenin and allopurinol as reference compounds. Among the series, thirteen derivatives (B-7, 9-16, 19 and 22-24) exhibited above 90 percent inhibition against XO in *in-vitro* enzymatic assay. Compound B-23 showed the most promising activity with IC₅₀ value of 0.42 μM against XO. Moreover, to figure out the key binding interactions of B-23 with the amino acid residues of the enzyme's active site molecular protein–ligand docking studies were performed. The B-23 completely blocks the catalytic assembly of XO and prevents its mechanism of action. The favorable binding conformation of B-23 suggests its prevailing role as XO inhibitor. The study stated that the *cis*-orientation of Ring-A with respect to carbonyl group of Ring-C is responsible for potent XO inhibitory activity of newly synthesized compounds.

Keywords: 5,6-benzoflavones, Baker Venkataraman rearrangement, xanthine oxidase inhibition, molecular modeling studies

B-16

5,6-Benzoflavones as Cholesterol Esterase Inhibitors: Synthesis, Biological Evaluation And Docking Studies

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Abstract:

In continuous efforts to develop potent cholesterol esterase (CEase) inhibitors, a series of forty 5,8-benzoflavone derivatives was rationally designed and synthesized by changing the position of benzene ring attached to flavone skeleton in previously reported benzoflavones (7,8-benzoflavones). All the synthesized compounds were checked for their inhibitory potential against cholesterol esterase (CEase) by using spectrophotometric assay. Among the series, seven derivatives (**B-10** to **B-16**) exhibited above 90 percent inhibition against CEase in *in-vitro* enzymatic assay. Compound **B-16** showed the most promising activity with IC₅₀ value of 0.73 nM against cholesterol esterase. To determine the type of inhibition, enzyme kinetic studies were carried out for **B-16**, which revealed its mixed-type inhibition approach. Moreover, to figure out the key binding interactions of **B-16** with the amino acid residues of the enzyme's active site molecular protein–ligand docking studies were performed. The

B-16 completely blocks the catalytic assembly of CEase and prevents it to participate in ester hydrolysis mechanism. The favorable binding conformation of **B-16** suggests its prevailing role as CEase inhibitor. The study stated that the *cis*-orientation of Ring A with respect to carbonyl group of Ring C is responsible for potent CEase inhibitory activity of newly synthesized compounds.

Keywords: 5,6-benzoflavones, Baker Venkataraman rearrangement, cholesterol esterase inhibition, enzyme kinetics, docking studies

B-17

Synthesis of new 1,2,4-Triazolo[3,4-b][1,3,4]thiadiazole amine Derivatives as Anticancer Agents

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Abstract:

Anticancer activity. Among the newer fused heterocyclic derivatives, one compound, 5d, emerged as lead compounds and it is conceivable that this derivative could be further modified to exhibit better potency than the standard drugs. The fused heterocyclic triazolothiadiazole derivatives discovered in this study may provide important therapeutic intervention for the treatment of cancers.

B-18

Design and Synthesis of Thiazolidinedione derivatives and Evaluation for Antidiabetic activity

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Abstract:

In this research work we herein report new 5-(2-chloro-4-substitutedquinolin-3-yl)methylene thiazolidine-2,4-dione (IVa-j). The new thiazolidinedione derivatives have been synthesized via refluxing thiazolidinedione and 2-chloro

-3-formyl quinoline in dry ethanol using piperidine as catalyst. The required precursors were obtained by cyclisation and formylation of substituted acetanilides using Vilsmeier Hack reaction. Structure of compounds was confirmed by their NMR and IR spectrum. These newly synthesized compounds have been evaluated for anti-hyperglycaemic activity in rats with reference to Pioglitazone as standard. Gradual decrease in blood glucose level with time was exhibited by all synthesized compounds. However, compound IVa, IVc and IVi exhibited maximum anti-hyperglycaemic activity.

Keywords: Acetanilide, pioglitazone, thiazolidinedione, antihyperglycaemic and piperidine

B-19

Structural optimization of some substituted analogues for EGFR kinase: QSAR approach

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Abstract:

In the present article a set of sixty four substituted quinazoline analogues with EGFR kinase inhibition was subjected to quantitative structure activity relationship studies using two/three descriptors and performed with multiple linear regression method. The analysis resulted in QSAR equation, which suggests that, $r^2=0.7083$ and pred_r^2 validated $r^2=0.6811$. The model reveals that substituents HOMO energy of compounds results in increase in anticancer activity. 3D-QSAR study was performed using the *k-nearest neighbor* approach with generation of steric and electrostatic which shows good correlative and predictive capabilities in terms of $q^2 = 0.6918$ and $\text{pred}_r^2=0.6618$. Results obtained shows that the presence of the less bulky group may increase of the nucleus will increase the activity.

Keywords: anticancer activities, Quinazoline, multiple linear regression, EGFR kinase

B-20

Synthesis, Pharmacological Evaluation And Molecular Docking Studies of 1,3,7,8-Tetrasubstituted Xanthines as Potent and Selective A_{2A} Adenosine Receptor antagonists for treatment of Parkinson's disease

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Abstract:

In the present study, a new series of 1,3,7,8-tetrasubstituted xanthine based potent and selective AR ligands for the treatment of Parkinson's disease has been synthesized and biologically evaluated. Parkinson's disease (PD) is a chronic, incapacitating and progressive neurodegenerative disorder characterized by typical motor indications such as resting tremors, muscular rigidity, akinesia/bradykinesia, postural instability, difficulty in movement, and slow performance etc. A_{2A} antagonism has gained popularity as an innovative and non-dopaminergic approach to treat Parkinson's disease and may prove beneficial in both the early and later stages of parkinsonism. Moreover, A_{2A} AR antagonists are devoid of the unwanted side effects such as levodopa induced dyskinesia (LID) associated with the long term treatment with dopaminergic therapy. All the synthesized compounds have been evaluated for their affinity toward AR subtypes using *in vitro* radioligand binding assays. Drug induced catatonia in rats is used to evaluate an antiparkinsonian potential. Synthesized xanthines significantly decreased the catatonic score as compared to control and displayed antiparkinsonian effects comparable to standard. Compound **7a** bearing a methyl substituent at N-7 position in 1,3-symmetrically substituted xanthines represent the most potent compound of the series which displayed highest affinity ($K_i = 0.108 \mu\text{M}$) and 185 times more selectivity towards A_{2A} AR versus A_{2B} AR subtype. All the synthesized compounds were subjected to grid-based molecular docking studies to understand the key structural requirements for the development of new molecules well-endowed with intrinsic efficacy and selectivity as adenosine receptor antagonists. The results from *In silico* studies provided further support to the pharmacological results.

Keywords: Adenosine receptor, xanthine, Parkinson, Molecular docking.

B-21

Synthesis, docking study and Assessment of Antimicrobial activity of new small heterocyclic conjugates

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Abstract:

Arylidene derivatives of compounds containing active methylene group such as thiazolidinedione, barbituric/thiobarbituric acid, Meldrum's acid, dimedone possess various pharmacological activities. This research work focused on the design and synthesis of new arylidene derivatives of Meldrum's acid as potent antimicrobial agents. Required substituted pyrazole-4-carboxaldehyde derivatives, 3,4-disubstituted, 3-ethoxy-4-hydroxybenzaldehyde, *N*-substituted indole-3-carboxaldehydes and bromovanillin were synthesized by using appropriate reaction. All the synthesized aldehydes were successfully condensed with Meldrum's acid by Knoevenagel condensation in presence of methanol as solvent. The characterization was done with the help of various spectroscopic techniques; including IR, ¹H-NMR, ¹³C-NMR and mass spectroscopy. The synthesized compounds showed significant antibacterial activity against *Staphylococcus aureus* MTCC 96, *Staphylococcus pyrogenes* MTCC 442, *Escherichia coli* MTCC 443, *Pseudomonas aeruginosa* MTCC 1688 and against fungal strains: *Candida albicans* MTCC 227, *Aspergillus niger* MTCC 282, *Aspergillus clavatus* MTCC 1323. *In-silico* molecular docking studies of the synthesized compounds was done by using GRIP batch docking method of Vlife MDS 3.0 software to study their observed activity which showed a significant correlation between the binding score and biological activity for synthesized compounds. Some derivatives showed promising result against gram positive, gram negative bacterial and fungal strains than standard drug ampicillin and griseofulvin.

B-24

Synthesis and Antimicrobial Activity of Some New "spiro-[2.3"]-oxindole-spiro[3.3]-1 - Phenylethyl -5 -(substituted aryl) tetrahydro-4 - (1H)-pyridinone-4-(substituted aryl)-octahydro-(1H)- piperidin" Derivatives.

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Abstract:

The present study refers to the synthesis of new

antimicrobial candidates using the spiro pharmacophore comprising piperidine and oxindole scaffold. In an attempt to identify potential lead antimicrobial agents, a number of "spiro-[2.3"]-oxindole-spiro[3.3]-1 -Phenylethyl-5 -(substituted aryl) tetrahydro-4 -(1H)-pyridinone-4-(substituted aryl)-octahydro-(1H)- piperidin (**3a-3h**)" derivatives were efficiently synthesized by conventional synthesis and screened in order to evaluate for their antibacterial activity and antifungal activity. The present work showed significant antibacterial activity and antifungal activity for all compounds of the series. Compounds (**3e**) and (**3g**) were found to be potent molecules of this series for antibacterial and antifungal activity respectively, when compared with the reference drugs ciprofloxacin and ketoconazole. Thus, the inhibitory effects of these compounds can serve as potential leads for further antimicrobial studies.

B-25

Indole-Coumarin Based Molecular Hybrids as Novel Antibacterial Agents: Design, Synthesis and Biological Evaluation

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Abstract:

In search for the new antibacterial agents owing to drug resistant bacteria, a series of indole-coumarin based molecular hybrids has rationally designed and synthesized. The numerous reports on the antimicrobial potential of both indole and coumarin functionalities rationalize their inclusion in the designed hybrid architecture. The series of compounds was evaluated for the antibacterial activity against 5 Gram negative bacterial strains; *Shigella flexneri* (MTCC 1457), *Salmonella enterica* (MTCC 733), *Escherichia coli* (MTCC 119), *Vibrio cholera* (MTCC 3906), *Pseudomonas aeruginosa* (MTCC 741) and one gram positive bacterial strain *Staphylococcus aureus* (MTCC 96). The biological results indicated that among the Gram negative strains *Salmonella enterica* was found as the most sensitive one to the synthesized hybrids and second most sensitive strain was Gram positive (*S. aureus*). Five compounds exhibited above 10mm zone of inhibition against *S. aureus* amongst which **A-2** was found to be most potent one with the zone of inhibition determined 25mm. The minimum inhibitory concentration was calculated for the most potent antibacterial agent which was found 0.312 mg/mL. Established SAR revealed marked dependence of the antibacterial activity on the type

of substituent on indole and the length of carbon-bridge connecting indole moiety with triazole ring. Halo-substituted indoles and two carbon-bridge were found to be crucial for activity.

Keywords: Indole, Coumarin, Hybrids, Antibacterial, Structure Activity Relationship

B-26

Nitrogen Containing Fused Heterocyclic Compounds as Anticancer Agents

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Abstract:

In recent years, the incidence of cancer has been increasing dramatically owing to various factors. The increasing incidence of resistance to a large number of anticancer agents is also becoming a major concern. These observations place new emphasis on the need of as well as search for alternative new and more effective anticancer agents with a broad spectrum of activity. Derivatives of 1,2,4-triazole and 1,3,4-thiadiazole condensed nucleus system (triazolothiadiazoles) found to have diverse pharmacological activities including unique antitumor, antiproliferative and anticancer activities. In the present study, novel disubstituted triazolothiadiazoles were synthesized and evaluation of their *in vitro* anticancer activities. Twenty new 3,6-disubstituted-[1,2,4]-triazolo-[3,4-*b*][1,3,4]-thiadiazoles (**6a-m**) were synthesized from 4-amino-3-substituted-5-mercapto-(4*H*)-1,2,4-triazoles by condensation with different aryl/aroyl acids in presence of phosphorous oxychloride. The structures of synthesized compounds were established on the basis of IR, NMR, MS spectral data and microanalysis results. The results of *in-vitro* anticancer screening results showed good to remarkable broad-spectrum anticancer activity. Among the tested derivatives, the compound **6c** exhibited significant growth inhibition and found superior for CNS cancer cell lines. Hopefully in future, the **6c** compound could be used as lead compound for developing new anticancer agents. An analysis of results indicated that the compounds bearing halogen (chloro) were more active as compared to those having non-halogen substituents. The fused heterocyclic triazolothiadiazole derivatives discovered in this study may provide valuable therapeutic intervention for the treatment of cancers especially against CNS cancer.

B-27

QSAR Studies and *In Vitro* Hydrolysis of Some Derivatives of Isonicotinic Acid

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Abstract:

QSAR is an attempt to remove the element of luck from drug design by establishing a mathematical relationship in the form of an equation between biological activity and measurable physicochemical parameters. In order to identify substituent effect on antimicrobial activity, quantitative structure-activity relationship (QSAR) studies of title compounds were performed. The structures of synthesized derivatives of isonicotinic acid were first pre-optimized with the Molecular Mechanics Force Field (MM⁺) procedure included in Hyperchem 6.03 and the resulting geometries are further refined by means of the semiempirical method PM3 (Parametric Method-3). Hydrolysis studies of synthesized compounds were also carried out in aqueous buffer so as to study whether the synthesized compounds hydrolyze in an aqueous medium and to what extent or not, suggesting the fate of synthesized compound in the system. Hydrolytic studies of the synthesized compounds were studied in aqueous buffer solution of pH 1.2 and 7.4. The result of QSAR studies showed that the predictability of the QSAR models developed in the present study is high evidenced by the low residual values and minimum standard deviation. The result of *in vitro* hydrolytic studies showed negligible hydrolysis in acidic medium (pH 1.2) for 2 hours and phosphate buffer (pH 7.4) for 8 hrs. At both pH 1.2 and 7.4 the maximum drug release was found to be 1.69% and 1.77% respectively for the compound IC3. So this is confirmed that the release of parent drug (isonicotinic acid) is negligible in both stomach and intestine and the synthesized compounds are absorbed without hydrolysis.

Keywords: Hydrolysis, QSAR, Derivatives, Isonicotinic acid

B-28

Synthesis and Biological Evaluation of Nicotinic acid methylester and peptides derivatives as Antimicrobial agents

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Abstract:

The present study deals with the synthesis of nicotinic acid peptide derivatives and comparative evaluation of biological activities, such as antibacterial and antifungal. Among heterocyclic aromatic compounds, pyridine nucleus is found in many bioactive products and incorporation of amino acids and peptides into the heterocyclic aromatic congeners have resulted in compounds with potent activities. All the compounds were synthesized by coupling of nicotinic acids with amino acid methyl esters/dipeptides/tripeptides/tetrapeptides in presence of DCC as coupling agent and NMM as base under continuous stirring for 36 hrs. All synthesized peptide derivatives were identified on the basis of melting point range, R_f values, solubility studies, IR and ¹H NMR spectral data. The antimicrobial activity of synthesized compounds was determined against bacterial strains viz. *E. Coli* and *S. Aureus* and fungal strains viz. *C. albicans* and *A. Niger* using ciprofloxacin and fluconazole as standard respectively. All the synthesized compounds showed good to moderate antimicrobial activity at 40, 80 and 160 µg/ml. The comparative studies showed the following order of activity profile: nicotinic acid <nicotinic acid methylesters>dipeptide >tripeptide>tetrapeptide.

Keywords: Nicotinic acid, Peptides, Antimicrobial activity.

B-29

Structural Insights of Clinically relevant HIV-1 NNRTIs

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Abstract:

Non-nucleoside reverse transcriptase inhibitors (NNRTIs) have contributed significantly in the treatment of HIV-1 infections in addition to the nucleoside reverse transcriptase inhibitors (NRTIs), protease inhibitors (PIs) and integrase inhibitors (INIs). NNRTIs acts by inhibiting reverse transcriptase (RT), an enzyme that controls the replication of the genetic material of HIV. 1-(2-(2-hydroxyethoxymethyl)-6-(phenylthio)thymine (HEPT) and tetrahydroimidazo [4,5,1-jk][1,4]benzodiazepin-2(1H)-

one and -thione (TIBO) compounds were identified as first two classes of compounds as NNRTIs. But now there are more than 60 structurally different classes of compounds that have been identified as NNRTIs, which are specifically inhibiting HIV-1 reverse transcriptase (RT). Till date, five NNRTIs (nevirapine, delavirdine, efavirenz, etravirine and rilpivirine) have been approved by US Food and Drug Administration (FDA) for clinical use. The NNRTIs bind with a specific 'pocket' site of HIV-1 RT (allosteric site) that is closely associated with the NRTI binding site. The drug resistance is a serious clinical concern associated with the treatment of HIV infection when antiretroviral drugs are administered individually. Thus, the treatment regimen consists of combination of three drugs from at least two different classes of antiretroviral drugs as NNRTIs are used either alone or in combination with NRTIs (AZT, 3TC, ddI, ddC, TVD or d4T) and PIs (Indinavir, nelfinavir, saquinavir, ritonavir and lopinaviretc). Here we have corroborated recent advances in structure based designing of clinically relevant HIV-1 NNRTIs (nevirapine, delavirdine, efavirenz, etravirine, rilpivirine and 4-thiazolidinones).

Keywords: Nevirapine, Delavirdine, Efavirenz, Etravirine, Rilpivirine

B-30

Anxiolytic Studies of Synthesized Cyclic Pentapeptide

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Abstract:

Cyclic peptides are the natural peptides located from various natural sources. These cyclic congeners were found to exhibit antibacterial, antifungal, anti cancer, anti inflammatory and anthelmintic activity. Keeping in the view the biological potential of cyclic peptides as well as to obtain a bioactive compound in a good yield, the present investigation was aimed at synthesis of cyclic pentapeptide by solution phase technique of peptide synthesis. Mullinamide B cyclic pentapeptide was synthesized by coupling of amino acid methyl esters/dipeptides/ tripeptides in the presence of DCC as coupling agent and NMM as base under continuous stirring for 36 hours. The reaction were monitored by TLC on silica gel G plates

utilizing chloroform/ methanol as solvent system in ratio 9:1 and orange brown spots were detected on exposure to iodine vapours in a tightly closed chamber. Compounds were purified by recrystallization from mixture of chloroform and petroleum ether (b.p. 40-60°C). The anti-anxiety activity of synthesized compound was carried out using the open field model test and elevated plus maze test in mice. Diazepam (2 mg/kg) i.p was used as standard drug in this study. Cyclic pentapeptide at doses of 30mg/kg, 10 mg/kg show anxiolytic effect in open field and elevated plus maze models.

Keywords: Peptides, Cyclic peptides, Anti-anxiety

B-31

Rational Approaches for the Design, Synthesis and Biological Evaluation Of Various GABA modulators

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Abstract:

GABA (γ -amino butyric acid), is the most important inhibitory neurotransmitter in the central nervous system. Attenuation in GABAergic neurotransmission plays an important role in the etiology of several neurological disorders including epilepsy, Alzheimer's disease, Huntington's chorea, migraine, Parkinson's disease, neuropathic pain, and depression. Increase in the GABAergic activity may be achieved through direct agonism at the GABA_A receptors, inhibition of enzymatic breakdown of GABA, or by inhibition of the GABA transport proteins (GATs). Numbers of the GABA modulators have been reported so far named as Diazepam, Alprazolam, Etomidate, Glutethimide etc. for various neurodegenerative disorder but their reported significant adverse effects such as sedation, amnesia, ataxia, and abuse liability limit their clinical utility. From the past several years, numerous GABA modulators have been developed and reported by the researchers around the globe, but not systematically summarized yet. Therefore, the main focus of the present review is to provide an ample overview on various design strategies for synthetic approaches providing a number of GABA modulators. Furthermore, mechanistic insights, structure-activity relationships and molecular modeling inputs for the potent derivatives have also been discussed. This compilation will be of great interest for the

researchers working in the area of neuroscience.

Keywords: GABA receptors, GABA receptor modulators, GABA uptake protein inhibitors, GABAergic enzyme inhibitors.

B-32

Synthesis of novel chalcones and evaluation of anti-bacterial activity

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Abstract:

Chalcones are naturally occurring compounds possessing versatile pharmacological activities. Further modifications in the nucleus of chalcone may result in the enhancement of its pharmacological activities. Hence novel chalcones have been synthesised using 4-Fluoro-3-methyl acetophenone and different aromatic aldehydes. The synthesised compounds were characterised by Elemental analysis, FT-IR and ¹H NMR. Their anti-bacterial activity was evaluated by agar-cup plate method using *Bacillus subtilis* (gram +ve) and *Escherichia coli* (gram -ve) bacteria in presence of Amoxicillin as a standard drug. It was observed that the chalcones having halogen groups on the aromatic ring showed good antibacterial activity.

Keywords: Chalcone, Anti-Bacterial activity, Agar-cup plate method

B-33

Synthesis, Characterization and Antimicrobial Activity of New Isatin Derivatives under Solvent Free Conditions

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Abstract:

Isatin is an endogenous compound and reported to possess antimicrobial activity. Isatin semicarbazone on reacting

with appropriate Benzaldehydes yields 3-substituted-[3,4-dihydropyrimidinone[1H]-Indolin-2-ones]. Their chemical structure had been confirmed by means of FTIR and ¹H NMR data. Investigation of antimicrobial activity of compounds was done by agar diffusion method against two pathogenic bacteria and two pathogenic fungi. Among the tested compounds Ethyl (Z)-1-((4-chloro-2-oxoindolin-3-ylidene)amino)-6-(4-fluorophenyl)-4-methyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate showed the most favorable antibacterial activity and Ethyl (Z)-1-((5-chloro-2-oxoindolin-3-ylidene)amino)-6-(3-chlorophenyl)-4-methyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate showed the most favorable antifungal activity.

Keywords: Isatin, Antimicrobial activity and Agar diffusion method

B-34

Design, Development and Characterization of New Bioactive 2,3-disubstituted 4(3H)-Quinazolinone Based Compounds as Potential Anticonvulsants

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Abstract:

The Quinazoline-4(3H)-one and its derivatives constitute an important class of fused heterocycles that are found in more than 200 naturally occurring alkaloid. The stability of the quinazolinone nucleus has inspired researchers to introduce many bioactive moieties to this nucleus to create new potential medicinal agents. Various hypotheses were analyzed and concluded that chemical modifications at the second and third position of Quinazoline-4(3H)-one have led to the generation of potent anticonvulsant agents. Second hypothesis was based upon the hypothesis that -CH₃ at second position of 4(3H)-quinazolinone is not always necessary for the CNS activity and other groups when placed at this position can also lead to potent CNS active agents. With a view to explore the versatile lead molecule 4(3H)-quinazolinones, Various substituted (o-,m-,p-) phenyl semicarbazides and various 2-amino-5-aryl-1,3,4-thiadiazoles compounds has been synthesized. Along with the various 2-substituted benzoxazin-4-one has been synthesized by the reaction of anthranilic acid with various substituted benzoyl chloride under anhydrous condition. 2-(4-chlorophenyl)-4H benzo[d][1,3]oxazin-4-one,

2-(4-nitrophenyl)-4H-benzo[d][1,3]oxazin-4-one, 2-phenyl-4H-benzo[d][1,3]oxazin-4-one, 2-(4-fluorophenyl)-4H-benzo[d][1,3]oxazin-4-one, 2-(4-bromo phenyl)-4H-benzo[d][1,3]oxazin-4-one, 2-(6-chloropyridin-3-yl)-4H-benzo[d][1,3]oxazin-4-one have been synthesized. A new series of 2,3-disubstituted 4(3H)-quinazolinone has been synthesized by the reaction of 2-substituted benzoxazin-4(3H)-one with various substituted phenyl semicarbazides and various 2-amino-5-aryl-1,3,4-thiadiazole. A novel compounds; 3-(5-chloro-1,3,4-thiadiazol-2-yl)-2-(4-bromophenyl)quinolin-4(3H)-one, 3-(5-bromo-1,3,4-thiadiazol-2-yl)-2-(4-nitrophenyl)quinolin-4(3H)-one, 3-(5-nitro-1,3,4-thiadiazol-2-yl)-2-(4-fluorophenyl)quinolin-4(3H)-one, 3-(5-fluoro-1,3,4-thiadiazol-2-yl)-2-(4-aminophenyl)quinolin-4(3H)-one, 3-(5-methyl-1,3,4-thiadiazol-2-yl)-2-(6-chloropyridin-3-yl)quinolin-4(3H)-one, 3-(5-methoxy-1,3,4-thiadiazol-2-yl)-2-(4-fluorophenyl)-quinolin-4(3H)-one has been synthesized. The chemical structures of the compounds were proved by elemental (nitrogen and sulphur) and spectral (IR, ¹H-NMR, ¹³C-NMR, and MS) analysis. Spectroscopic data were consistent with the structure of newly synthesized compounds. Furthermore the anticonvulsant activities of designed compounds have been proceeding.

Keywords: Quinazoline-4(3H)-one, Anticonvulsant, phenylsemicarbazides, 2-amino-5-aryl-1,3,4-thiadiazoles

B-35

Potent Anticancer Activity of Some Newly Synthesized Pyrimidine Derivatives

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Abstract:

New substituted pyrimidine derivatives were synthesized and compound allowed to react with different substituted aromatic aldehyde with substituted acetophenone in the alkaline medium to give corresponding chalcones (1). On further treatment of these derivatives with thiourea and guanidine separately in alkaline medium afforded, 4,6-disubstituted pyrimidine-2-thiones (2a₁-a₇) and 4,6-disubstituted pyrimidine-2-imine (2b₁-b₇). All the newly synthesized were structurally confirmed by various modern analytical method (IR, ¹H NMR and MASS), elemental analysis, TLC and melting point. All the compounds were evaluated for their anticancer activity against A549 cell lines by SRB assay and compounds (2a₁₀₋₁₁ and a₁₄) and (2b₁₂₋₁₃ and b₁₄) displayed promising anticancer activity with

CTC₅₀ value (125, 109 and 114 µg/ml) and (119, 110 and 111 µg/ml) respectively.

Keywords: Pyrimidine; Anticancer activity; A549 cell line.

B-36

Docking and QSAR studies of pyrazolic chalcone derivatives using CoMFA, CoMSIA

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Abstract:

The development of potential drugs for hepatocellular carcinoma is increasing now a day due to the lethality of the disease. For this pyrazolic chalcone was identified as a potent lead for new drug development. These pyrazolic derivatives were subjected to comparative molecular field analysis (CoMFA) and comparative molecular similarity indices analysis (CoMSIA). Overall, the QSAR results shows q₂ values 0.629 and 0.674 respectively. The contour maps of CoMFA and CoMSIA showed steric bulk are desirable at the aromatic substitution Ar and positive charge is desirable at the R substitution for anticancer activity. Further docking studies was performed to analyze the binding mode of the pyrazolic derivatives. Docking studies was carried on PDB 4ZY3 using Molegro 6.0 software.

Keywords: QSAR, Pyrazole, Chalcone, Docking

B-37

Biological Evaluation of Some Novel Synthesized 2-Amino-4-Substituted Phenyl Thiazole Metal Based Schiff Base Derivative

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Abstract:

Invasive microorganisms and free radicals are responsible for delayed healing of various infectious diseases. Therefore the importance of search of new hybrid molecules which should

remain effective against invasive microorganisms and can inhibited free radicals. A series of 2-amino-4-substituted phenyl thiazole based Schiff base bearing metal complexes **6a-6f** were synthesized by 2-amino 4-arylsuubstiuted thiazole **2a-2b** with diazenyl bearing of salicylaldehyde (**3**) in glacial acetic acid which on further complexes with transitional divalent metal ions viz. cobalt, nickel and copper. The structural environment of the synthesized molecules was confirmed by elemental analysis, FT/IR, ¹H NMR, and UV-visible spectroscopy technique. The wave numbers at ν 1660 and 1481 cm⁻¹ indicates the presence of carbonyl stretching and diazenyl (-N=N-) appeared in **3**. The Schiff base compounds showed singlet sharp peaks at δ 8.17 and 8.13 ppm respectively may be due to azomethine group. The antimicrobial activity of all the synthesized molecules was investigated by agar well diffusion method. The complexes Bis [4-((4-bromo-3-methylphenyl) diazenyl)-2-((4-phenylthiazol-2-ylimino) methyl) phenoxy]] cobalt (**6a**) and Bis [4-((4-bromo-3-methylphenyl) diazenyl)-2-((4-(4-chlorophenyl) thiazol-2-ylimino) methyl) phenoxy] cobalt (**6d**) exhibited significant antibacterial activity against drug resistant bacterial strains. This biological investigation justifies that the chelating of metals with the Schiff base is responsible for the enhancement of their biological activity against resistance microbial strains.

Key words; antibacterial, metal complexes, schiffbase, diazenyl salicylaldehyde

B-38

Cocrystallization Approach for Improvement of Bioassessibility Of Resveratrol Utilizing Picolinic Acid

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Abstract:

Resveratrol belongs to non-flavonoid class of nutraceutical, is believed to possess various bioactivities. There is increasing interest in the potential health benefits of *dietary nutraceuticals*. Unfortunately, resveratrol suffer from poor solubility and therefore very low bioavailability. This work is focused on preparation and characterization of cocrystal of Resveratrol with picolinic acid a cofomer of GRAS status. The Resveratrol was co-crystallized with picolinic acid (RPIC), by solvent drop grinding in stoichiometric ratio of 1:1 using acetone as solvent, and was characterized by various techniques including DSC, PXRD and FTIR. The co-crystal depicted single

endothermic transitions (254°C) which is different from the melting peaks of both drug (265°C) and picolinic acid (138°C) indicating the formation of a new solid phase. Crystal structure was determined by PXRD pattern using Material Studio software. Different XRPD patterns and FTIR spectrums for the co-crystals from those of individual components confirms the formation of new phase. Crystal structure was generated showing packing arrangement of RPIC. Enhancement in equilibrium solubility study (147 folds) and intrinsic dissolution study (16 folds) showed effectiveness of this cocrystal. Further improvement in pharmacokinetic profile has also been observed with 4 folds increase in relative bioavailability. The efficacy of the prepared co-crystal was evaluated for their antioxidant, anti-inflammatory, antihemolytic potential which showed improved activity. To conclude, our results show that application of picolinic acid as a cofomer is a viable approach towards the preparation of cocrystals of potential nutraceuticals having limited solubility.

B-39

Aroylindoles as Novel Anticancer Agent: Structure Activity Relationship Studies

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Abstract:

A series of novel N-substituted-2-amino-3,4,5-trimethoxyaroylindoles was synthesized and assessed against human cancer cell lines of breast (MCF-7) and colon (HT-29) using sulforhodamine B (SRB) method for their anticancer activity. The synthesis of N-substituted-2-amino-3,4,5-trimethoxyaroylindole derivatives was comprised of six steps reaction sequence as follows: (i) Nitration of methyl-3,4,5-trimethoxy benzoate **1** for the synthesis of methyl 2-nitro-3, 4, 5-trimethoxybenzoate **2**; (ii) Base hydrolysis of ester for the synthesis of 2-nitro-3, 4, 5-trimethoxybenzoic acid; (iii) Chlorination of acid with thionyl chloride for the synthesis of 2-nitro-3, 4, 5-trimethoxybenzoyl chloride **3**; (iv) Friedel-Crafts Acylation reaction with 6-methoxy indole **4** for the synthesis of 2-nitro-3,4,5-trimethoxyaroylindole **5**; (v) Substitution of hydrogen with acyl/benzyl/benzoyl derivative at R₁ of **5** resulted in compounds **7 (a-c)**/**(9a, 9b)**/**11 (a-c)** using corresponding acyl chloride/benzyl chloride/benzoyl chloride derivative in the presence of KOtBu; (vi) reduction of nitro group with stannous chloride dehydrate. The Molecular modeling studies

of N-substituted 2-amino-3,4,5 trimethoxyaroylindole derivative **7a** and **11a** were docked to colchicines binding site of β tubulin. The compounds **7a** and **11a** showed hydrogen bond interaction between SH group of Cys 241 of receptor molecule and *para* position of trimethoxyphenyl ring. In the present studies, the hydrogen at R₁ position of the aroylindole was substituted with acyl/benzyl/benzoyl moiety which does not formed hydrogen bond interaction and later might be the reason for lesser biological activity of the compounds.

Keywords: Combretastatin A-4, aroylindole, anticancer, docking

B-40

Synthesis and Biological Evaluation of Curcumin-Sugar Conjugates

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Abstract:

A novel series of curcumin-sugar conjugates have been synthesized by the process of knoevenagel condensation and evaluated for their anticancer, antioxidant, antimicrobial and in-vitro anti-inflammatory activity. The chemical structure of the synthesized derivatives was confirmed on the basis of spectral as well as elemental analyses. Investigation of anticancer activity against the three cell lines A-549 (Human lung adenocarcinoma epithelial cells), MCF-7 (human breast adenocarcinoma cell line) and Hela (Cervical cancer cell line). Further the in vitro cytotoxicity of all the synthesized compounds were confirmed by tested them on African green monkey kidney Normal cell line (Vero cells). were done by MTT assay method, antioxidant activity was done by DPPH radical scavenging activity; antimicrobial activity by the two-fold serial dilution method. The in-vitro anti-inflammatory activity was tested by protein denaturation method. It was found that all of the synthesized compounds were found to possess good biological activities. Docking simulation was also carried out to study the drug protein interactions.

Keywords: Curcumin, monosaccharaides, knoevenagel condensation, docking

B-41

Design, Synthesis and Evaluation of Polymer-linked Methotrexate for Targeted delivery to the colon

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Abstract:

Colon specific Polyphosphazene-linked azo prodrug of methotrexate and Chitosan-linked azo based prodrug of methotrexate was synthesized and Characterized by modern analytical techniques like IR, ¹H NMR, ³¹P NMR. Polymeric drug conjugates were stable in acidic (pH=1.2) and Basic (pH=7.4) buffers which showed their stability in upper GIT environment. Polyphosphazene-linked azo prodrug of methotrexate (NRT-4) showed maximum drug release in comparison to chitosan-linked azo prodrug of methotrexate by in-vitro drug release studies. Therefore, the polyphosphazene-linked prodrug of methotrexate is a better approach as compared to chitosan-linked prodrug of methotrexate for the targeted delivery of methotrexate to the colon.

Keywords: Cancer, Chitosan, Drug conjugate, Polyphosphazene, Polymer, Prodrug

B-42

Preparation of Polymer Lipid Hybrid Nanoparticulate Carrier for Oral Delivery of LMWH

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Abstract:

Polymer lipid hybrid nanoparticles (PLNs) are polymeric nanoparticles enclosed by lipid layers that combined the highly biocompatible nature of lipids with the structural reliability afforded by polymeric nanoparticles. The novel polymer lipid hybrid nanoparticles were developed to improve the oral delivery of LMWH. The anionic charge on LMWH can be reduced with the help of cationic polymer (chitosan) by forming electrostatic complex. It also increases the loading efficiency of LMWH in the

lipid carriers and lipid coating will completely avoid first pass metabolism by liver. The LMWH has been used to promote gastric ulcer healing by mucosal regeneration, proliferation and angiogenesis. LMWH-loaded chitosan nanoparticles (LMWH-CS-NPs) were synthesized by ionic gelation of chitosan using sodium tripolyphosphate. By the use of double emulsification and solvent evaporation method LMWH loaded stearyl amine lipid nanoparticles (LMWH-SA-LNPs) and LMWH loaded chitosan polymer lipid hybrid nanoparticles (LMWH-CS-PLNs) were developed. The performance of optimized formulations were evaluated by in vitro drug release studies in different GIT simulated conditions (SGF PH 1.2 and SIF PH 7.4), In vitro permeation study across intestinal epithelium, In vivo venous thrombosis model, particulate uptake by intestinal epithelium resembling caco-2 cell lines. The new CS-PLNs may provide an effective strategy for oral delivery of LMWH with improved encapsulation efficiency as compared to CS-NPs and SA-LNPs.

Keywords: Lipid nanoparticles, Chitosan nanoparticles, polymer lipid hybrid nanoparticles, thrombus, Low molecular weight heparin.

B-43

Synthesis, Characterisation and Biological Evaluation of Pyrazole Derivatives from p-Chloro Benzoic Acid

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Abstract:

Pyrazole is one of the most important biologically effective heterocyclic nucleus due to its reported broad spectrum biological activities. The present study was aimed to synthesize some novel pyrazoles by Vilsmer-Hack reaction. The molecule obeying Lipinski's rule of five was selected for wet lab Synthesis on the basis of extensive literature survey. Docking studies were carried out on the derivatives using Arguslab and Schrodinger software. From the dock score it was found that the compound PZ₃ possess higher binding affinity with the enzyme human phospholipase. Five different formyl pyrazole derivatives were synthesized by reacting acetophenone hydrazones with Vilsmeier-Hack reagent. The Synthesized derivatives were subjected to physicochemical and spectral characterization. TLC method was used for check

the completion of reaction. FTIR, ¹HNMR, MASS Spectra were used for characterizing the synthesized compounds. The derivatives were selected for anti-inflammatory and analgesic studies. Anti-inflammatory study was done by carrageenan induced paw edema method. Analgesic study was done by eddy's hot pate method. Swiss albino rats were used for the *invivo* studies. The synthesized compounds showed significant anti-inflammatory and analgesic activities compared to the standard drug.

Keywords: Pyrazole, Docking, Anti – inflammatory, Analgesic

B-44

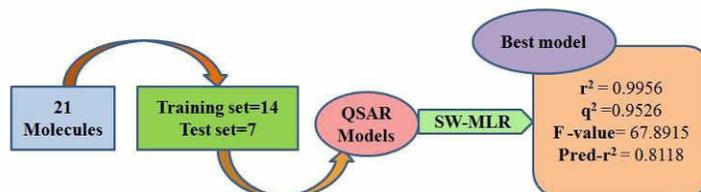
QSAR Analysis of the Triazole Based Tubulin Polymerization Inhibitors Using MLR-GA, SA, SW Methods

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Abstract:

Microtubules are one of the key auxiliary parts of the cytoskeleton in eukaryotic cells containing α and β -tubulin heterodimers. Tubulin polymerization inhibitors have been demonstrated to inhibit the polymerization of microtubules by advancing tubulin restricting movement, henceforth distinguishing the capability of such compounds is important to develop new medications. Quantitative structure activity relationship is an outstanding *INSILICO* approach that relates the chemical structure of compounds with their activities. 2D-QSAR studies has been done to describe correlation between the tubulin polymerization inhibitory action for fundamentally related cis-restricted triazole mimics of combretastatin-benzothiazole hybrids and their physicochemical descriptors and could be useful for future designing of the inhibitors. Number of models were developed using various regression methods but only 3 significant best models using multiple linear regression technique have been selected for the discussion. Further, model has included and featured the positive and negative relationship of five descriptors with the biological activity and factual information of best model has been found to be corresponded with human tubulin polymerization inhibitory activity.



Keywords: Tubulin polymerization inhibitors, 2D-QSAR, Microtubules, regression methods

B-45

In-silico Design, Synthesis, Characterization and Biological Evaluation of Benzothiazole Derivatives from *p*-Amino Acetanilide

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Abstract:

Benzothiazole belong to an important class of heterocyclic compounds which have a wide spectrum of biological activity. Derivatives of N-(2-aminobenzo[d]thiazol-6-yl) acetamide were synthesized from *P*-amino acetanilide. Compound which obey Lipinski rule of five were synthesized in wet lab after *in-silico* modeling. TLC was employed to identify the reaction completeness and the products were purified by recrystallization. The synthesized molecules were characterized by IR, ¹HNMR, Mass spectra. Docking studies were performed on the synthesized derivatives by using Argus lab and Schrodinger software. From the docking studies it was found that compound BT₁ has got higher docking score and has higher binding affinity towards human phospholipase A₂ enzyme, and Cox-2 enzyme. The compound BT₁ was selected for Anti-inflammatory activity studies. The Anti-inflammatory study was done on albino rats by carrageenan induced paw edema method. The Anti-bacterial study were performed on the synthesized derivatives by agar well diffusion method. The analgesic activity study was performed on Swiss albino mice by Eddy's hot plate method. The synthesized compounds were found to exhibit moderate to good antibacterial activity against both gram (+ve) and gram (-ve) strains. The N (2-aminobenzo [d] thiazol-6-yl) acetamide derivatives were found to possess

good antimicrobial, anti-inflammatory and analgesic activity.

Keywords: *p*-amino acetanilide, Benzothiazole, Anti-inflammatory, Anti-microbial, analgesic

B-46

Design, Synthesis and Evaluation of Combined Anti-Dopaminergic and Anti-Serotonergic Activities of Indole-Based Atypical Antipsychotics

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Abstract:

In an attempt to prepare a series of novel atypical antipsychotic molecules, we have designed non-piperazine indole based compounds as a part of this research work. The authenticity and purity of the compounds was ascertained through various spectral techniques including Infrared Spectroscopy, Nuclear Magnetic Resonance Spectroscopy, Mass Spectroscopy and chromatographic techniques. In-silico docking studies were carried out as part of drug design, for test compounds which suggested a preferential binding for D₃ and 5HT_{2A} receptors over D₂ receptors. The logBB values for our test compounds AP-8 to AP-11 were found to range from 0.64-0.68, which depicted a good BBB (blood brain barrier) penetration. The pharmacological testing for atypical antipsychotic activity was carried out on Albino LACA mice in apomorphine induced mesh-climbing and stereotypy assays (indicative of Anti-Dopaminergic activity) and DOI induced head twitches assay (indicative of anti-serotonergic activity). Clozapine was taken as standard drug. The results have shown potential atypical antipsychotic profile for compounds AP-8, AP-9 and AP-11. Compound AP-10 demonstrated atypical profile only up to 2.5 mg/kg dose levels. Above these doses, this compound showed a conventional antipsychotic profile. The test results were compared with the control group and statistical analysis carried out using one-way ANOVA followed by TUKEY test ($p < 0.05$). These assays showed an atypical profile for the compounds AP-8 to AP-11 (ED₅₀ values 1.03, 1.47, 0.65, 0.61 mg/kg). These evaluations mark our compounds as a promising lead for the development of novel antipsychotic molecules.

Keywords: Antipsychotic, Docking, Log BB

B-47

Exploration of Pharmacophoric Features of

Steroidal Derivatives as Aromatase Inhibitors by Introducing Molecular Docking and 3D-QSAR Model

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Abstract:

The CYP450 19A1 universally known as aromatase is the absolute and rate-determining step for aromatization of androstenedione to estrone. Aromatase inhibitors are the significant mark in treatment of estrogen-dependent breast cancer. Present study carried out by molecular virtual docker for confirmation of inhibitors, VLife MDS 3.5 used for alignment technique and Self-Organizing Molecular Field Analysis (SOMFA) used for QSAR models. Molecular docking study showed that compound 2, was most stable among all compounds which forms two hydrogen bonds with Arg115 and Met374 viewing the highest affinity to the receptor. The PLS model show a better reliable predictive ability as compare to MLR model which derived a significant cross-validated correlation validated (q^2) of 0.5671, non cross-validated (r^2) of 0.6454, F-test (F) of 15.1135 and S value(S) 0.3720. The overall findings illuminated that the model has comparatively good predictive power which can serve as the great potential for the design of novel AIs.

Keywords: Breast cancer, Aromatase, Steroidal aromatase inhibitors, 3D QSAR model, Molecular docking

B-48

Design of Benzoquinone Molecules by the Development of 3D-QSSR Model for Inhibition of Acetylcholinesterase

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Abstract:

The inhibition of acetylcholinesterase (AChE) has become a promising target for the management of various neurodegenerative diseases which is mainly associated with decreased levels of acetylcholine (ACh). From several studies it has been notified that BChE (butyrylcholinesterase) also possesses a PAS (peripheral anionic site) region that shares

some structural and physicochemical properties of AChE. However, BChE's PAS region lacks three of the four aromatic residues present in AChE and displays an inversion biochemical property, like substrate activation rather than the substrate-inhibition feature. Since BChE may have a role inverse to that of AChE, selectivity for AChE over BChE may be beneficial for the treatment of various neurodegenerative disorders. In the present research work, atom based 3D QSSR study has been performed by employing docking based alignment of reported congeneric series of 1,4-benzoquinone hybrids as acetylcholinesterase inhibitors to understand the structural requirements in a molecule for its selective binding. PHASE (Schrodinger Inc.) has been used for the 3D QSSR model development. The best QSSR model was obtained corresponding to PLS factor 3, displayed acceptable values of statistical parameters *i.e.* standard deviation (SD), 0.4025; R², 0.8134; F-value, 126.4; RMSE, 0.63; Q², 0.5213 and Pearson-r, 0.8120. The statistical measures of the best model clearly indicate that the generated model is consistent, reliable and has satisfactory prediction power for new molecules. Hence the present study facilitates the process of design and development of new potent and selective AChE inhibitors.

Keywords: Acetylcholinesterase, 3-D QSSR, 1,4-Benzoquinone.

B-49

Synthesis, Pharmacological Evaluation and Docking study of novel Derivatives of N-(5-(1*H*-indol-3-yl)-1,3,4-thiadiazol-2-yl)-5-(substitutedphenyl)-3-(phenylamino)-4,5-dihydropyrazole-1-acetamide.

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Abstract:

A novel series of 1,3,4 thiadiazole derivatives were synthesized and screened for their anti-inflammatory and antimicrobial potential. The structures of newly synthesized compounds were confirmed by their analytical and spectroscopic data using IR, ¹H-NMR and ¹³C-NMR Spectrum. The novel compounds were evaluated for their anti-inflammatory potential using carrageenan induced paw edema model. Three compounds alleviated inflammation more than the standard drug Diclofenac Sodium. The synthesized compounds also showed significant antibacterial activity against Gram

positive bacteria: *Staphylococcus aureus* (MTCC 3160), *Bacillus subtilis* (MTCC 2061), Gram negative *Escherichia coli* (MTCC 1652), *Pseudomonas aeruginosa* (MTCC 741) and antifungal activity against fungal strains: *Candida albicans* (MTCC 183) and *Aspergillus. Niger* (MTCC 2110) in comparison with the reference drugs Ciprofloxacin and Clotrimazole for antibacterial and antifungal activity respectively. *In-silico* molecular docking study of the synthesized compounds was done on crystal structures of *Aspergillus niger*, *Bacillus subtilis*, *Candida albicans*, *Escherichia coli*, *Pseudomonas aeruginosa*, *Staphylococcus aureus* and *Cyclooxygenase-2* using GRIP batch docking method of VLife MDS 3.0 software to study their observed activity which revealed a significant correlation between the binding score and biological activity for these compounds.

Keywords: Anti-inflammatory, Antimicrobial, *In-silico* docking, Thiadiazole

B-50

Molecular Docking Study on Naphthoflavones as Microtubule Polymerization Inhibitors

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Abstract:

Cancer is a universal burden and still remains the leading cause of death. The current trend in drug research is focused on structure based drug design to explore new leads in anti-cancer agents. In current study, one of technique of structure based drug design is employed to get insight of ligand receptor interaction at molecular level. Molecular docking is used to predict the binding behavior of ligand at a particular binding site. The present work describes the molecular docking of a series of naphthoflavones on tubulin binding cavity to provide a structural basis for the design of new ligands. The study has been carried out using 3D structures of tubulin binding cavity obtained from Protein Data Bank (PDB ID: 1SA0). The structures of naphthoflavone were prepared and energy minimized by MOE software. The docking was carried by using GOLD software. ChemPLP was used as scoring function to find out the best fit. The docking process was validated by re-docking the originally complexed structure. Each compound of the series was docked at the tubulin binding site and their molecular interactions were observed. In conclusion, this study will facilitate the designing of new anti-cancer agents.

Keywords: Gold, Chemplp, Tubulin binding site, Naphthoflavones.

B-51

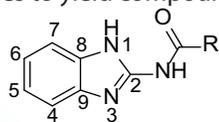
Synthesis and Biological Evaluation of Acetamide Derivatives as Potential Antiglaucoma Agents

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Abstract:

Glaucoma is a disease of progressive optic neuropathy with excavation and atrophy of optic nerve and the visual field loss. It ultimately leads to death of retinal ganglionic cells and deformation of connective tissues gradually progressing to complete blindness. Rho kinase, a serine/ threonine kinase domain has two isoforms, ROCK I and ROCK II where, ROCK II is involved in aqueous flow regulation. Literature survey highlights three key interactions which are required for the compounds to be highly potent and selective: a) hydrogen bond interactions with the backbone in the ATP hinge binding site b) electrostatic interactions with lysine side chain of kinase and c) hydrophobic interactions with the glycine rich P-loop. ROCK II inhibitors usually consist of a bicyclic core (nitrogen containing heterocyclic moiety), a linker and an aromatic or a heterocyclic moiety. Therefore, benzimidazole (which binds to the ATP hinge region), acetamide linker (which interacts with the lysine side chain) and substituted piperazine ring (binds the P-loop) have been selected for the synthesis of desired compounds. A series of substituted acetamide derivatives has been synthesized by reacting 2-aminobenzimidazole with chloroacetylchloride in THF under ice cold conditions using triethylamine as the catalyst to obtain ethyl N-(1H-benzo[d]imidazol-2-yl)-2-chloroacetamide which was further reacted to substituted piperazines to yield compounds 1-4.



Compound	R
1	N-methylpiperazine
2	N-ethylpiperazine
3	N-phenylpiperazine
4	N- fluorophenylpiperazine

The structures and purity of these compounds were established by using various spectral techniques. These new hybrid molecules showed considerable reduction in IOP in rats comparable to that of fasudil (standard).

B-52

Preparation, Characterization and Evaluation of Cocrystals of Lamotrigine

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Abstract:

Lamotrigine (LTG), an anticonvulsant drug, is having poor solubility in water (0.17 mg ml⁻¹ at 25°C) limits its absorption dissolution rate and thus delays the onset of action. Thus present work is attributed towards developing alternative multicomponent crystal forms of LTG through crystal engineering approach to combat this problem. The cocrystals of LTG were prepared using gallic acid (LTG-GA), maleic acid (LTG-MA) as cofomers as both having good water solubility and GRAS status. These prepared forms were characterized in the solid state by DSC, FTIR, XRPD as well as in the solution phase. Solubility profile of these cocrystals was improved as compared to pure LTG which is attributed to the formation of new phase. Solution calorimetric studies suggested that the thermodynamic patterns are favorable for conversion of these solid forms into a solution while maintaining the integrity of drug. There was no significant change in log P values of the multicomponent solid forms suggesting that the lipophilicity of drug is not altered. The maximum improvement in solubility was obtained in case of LTG – MA which was about 15 times than that of the drug. Stability testing of these solid forms under ambient conditions and 40°C/75 RH for six months revealed that these forms were stable. *In-vivo* studies showed an enhancement in absorption of LTG in multicomponent forms as depicted by their lowered ED₅₀ values as compared to pure LTG.

Keywords: Crystal engineering, Multicomponent, DSC, XRPD

B-53

Synthesis of 1-(1*H*-Benzo[d]imidazol-2-yl)ethanones as Potential Anticancer Agents

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Abstract:

Over the past few decades, the incidence of cancer has been increasing dramatically. The main problem in cancer chemotherapy is the severe toxic effects of anti cancer drugs on healthy tissues. Keeping this in mind, we have designed and prepared sixteen new benzimidazole heterocyclic compounds with more potency and lesser side effect. The starting material, 1-(1*H*-benzo[d]imidazol-2-yl)ethanone, was reacted with 1,2-dibromoethane to get desired intermediate, then this intermediate was condensed with different secondary and primary amines in the presence of sodium acetate in ethanol to furnish two different series of 1-((1-substituted-ethyl)-1*H*-benzo[d]imidazol-2-yl)ethanone and 1-(2-bromoethyl)-2-(1-substituted- hydrazonoethyl)-1*H*-benzo[d]imidazole, respectively. The preparation involved multi-step green synthesis methods utilizing scientific microwave synthesizer. The final compounds were structurally elucidated on the basis of spectral data and elemental analysis results. The compounds were evaluated for their in vitro anticancer activity at the National Cancer Institute (NCI), USA, according to their applied protocol at a single dose (1×10^{-5} M) against full NCI 60 cell panel. The results of anticancer activity indicated that the groups like adenine, guanine, triazole, and morpholine ring fused with benzimidazole nucleous (as Bendamustine ring) showed the potential anticancer activity. It is conceivable that further derivatization could result in the development of potential and safer anticancer agents.

B-54

Design, Synthesis and Evaluation of Pharmacokinetics and Pharmacological studies of Mutual Prodrugs of Fenbufen and Propyphenazone

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Abstract:

Mutual prodrugs is the unique association of two pharmacologically active agents coupled together so that each acts as a promoiety for the other agent and vice versa. The general objective of the present study was to design, synthesize and evaluate novel mutual prodrug structures, which could improve the physicochemical, pharmaceutical or biopharmaceutical properties of drug molecules. Fenbufen (4-(4-Biphenyl)-4-oxobutanoic acid) from NSAID category is used in the treatment of rheumatic and other musculoskeletal disorders. GI complaints are the most frequently reported side effects of fenbufen. Mutual prodrugs of fenbufen (4-(4-Biphenyl)-4-oxobutanoic acid) were synthesised with the aim of improving therapeutic index through prevention of gastrointestinal complications and to check the efficiency of release of parent drug in the presence of spacer. These mutual prodrugs were synthesised by direct esterification and by using glycine as a spacer. The synthesized compounds were characterized by determining their physicochemical properties (melting point, solubility, T.L.C., partition coefficient) by spectral (IR, ¹H NMR, Mass spectroscopy) and elemental analysis. Hydrolytic studies (*In-vitro* release studies) were carried out in aqueous buffers (SGF of pH 1.2 & SIF of pH 7.4). Biological activity of the synthesized fenbufen-propyphenazone esters were studied by tail flick method, carrageenan induced paw edema method and ulcerogenic potential. From the results obtained it was concluded that these compounds exhibit enhanced biological activity and less gastrointestinal side effects as compared to that of the parent drug fenbufen. Both mutual prodrugs revealed promising hydrolysis profile in buffer solutions of pH 7.4 with almost negligible hydrolysis at pH 1.2.

Keywords: NSAIDs, Analgesic, Anti-inflammatory, Ulcerogenic

B-55

Synthesis and Antibacterial evaluation of Schiffbase of Thiazole/pyridine

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Abstract:

In this research study a series of N-(substituted benzylidene) thiazol-2-amine **3a-3d** N-(substituted benzylidene) pyridyl-2-amine **3A-3D** has been synthesized to gather the structural requirements used for the antibacterial activity. The eight compounds N-(substituted benzylidene)

thiazol/pyridyl-2-amine has been synthesized by the mixture of 2-amino thiazole/pyridine with different derivatives of aldehyde in glacial acetic acid. All the synthesized compounds were characterized by elemental analysis, FT/IR, ¹H NMR and screened to their preliminary *in-vitro* antibacterial activity against *E.coli* and *K.pneumonia* bacterial strains and compared with standard Ampicillin. The antibacterial investigation of synthesized of the compounds was carried out by cup and plate method. These prepared compounds showed the presence of azomethine functionality connecting with thiazole and pyridine motif which may be displayed the antibacterial activity. The results revealed that compounds 3a, 3B and 3d shows good zone of inhibition against *K.pneumonia* whereas the others showed moderate active. The compounds 3A and 3D exhibits good inhibition of growth *E.coli* strain whereas others are resistant. The compounds N-(4-nitro benzylidene) pyridyl-2-amine 3D shows excellent inhibition of bacterial growth from which structural support to say that in presences of para nitro-substituted schiffbase connecting to pyridine moiety.

Keywords: Thiazole/pyridine, Schiffbase, antibacterial, spectral analysis, cup and plate method

B-56

Design Strategies for New Series of Coumarin Fused Heterocycles as Anticancer Agents

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Abstract:

Coumarin belongs to benzopyrone chemical class which is a versatile nucleus and possesses a wide range of biological activities. Anti-cancer activity is one of the most important activities as cancer is a life-threatening disease of common age. So far, there is no coumarin derivative drug candidate has been reported for cancer therapy. On the other hand, there is a resistance issue in the existing anti-cancer drugs. To overcome these problems, we have intended to explore anti-cancer activity of coumarin nucleus. Therefore, we are working on coumarin fused nitrogen containing heterocyclic compounds as potent anticancer agent. Coumarin and its deriva-

tives were found to exhibit very rare nephrotoxicity, hepatotoxicity, cardiotoxicity, dermal toxicity and other side effects. It has been reported that therapeutic application of coumarins depends on the nature of the group present and its pattern of substitution on the basic nucleus. On the basis of this we are making an attempt to design newer coumarin derivatives by fusing/tethering them with diversified nitrogen containing heterocycles. It has been reported that coumarin-heterocycles exhibit anti-cancer activity by binding to various biological targets such as aromatase, sulphatase, protein-kinase, TNF- α and selective estrogen receptor modulator etc. So, we are going to explore our designed compounds against these targets for the *in silico* prediction of anti-cancer activity.

Keywords: Anti-cancer, benzopyrone, heterocycles, biological targets.

B-57

Denovo Protein Based Structure Pharmacophore Modelling Of Estrogen Receptor Modulators As Potential Antialzheimer's Agents

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Abstract:

Alzheimer's disease (AD) is more common in post-menopausal women, one way estrogen is also responsible for this disease. Selective Estrogen Receptor Modulators (SERMs) act as selective agonist and/or antagonistic effects on estrogen receptor at different tissues, and use as first line treatment in estrogen responsive AD, breast cancer and osteoporosis. To design promising SERM, the present study has been focused on protein structure based pharmacophore modelling study that can explore 3D features and configurations required for showing biological activity of structurally diverse compounds. The critical interatomic distances and bond angles in 3D pharmacophore model (PM-3) of the features significantly differentiate the estrogen receptor subtypes (ER α and ER β) binding affinity.

B-58

New Lead as Anti-Cancer Agent: 6-(Phenylimino) indolo[2,1-*b*]quinazolin-12(6*H*)-one

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Abstract:

The indolo [2,1-*b*] quinazolin-6, 12-dione is a novel alkaloid compound obtained from various plant sources such as *Isatis indigotica*, *Isatis tinctoria* and *Strobilanthes cassia* etc. This alkaloid is active in chemotherapy of cancer and is well known for its ability to reverse the drug resistance in the cancer treatment. The C-6 carbonyl of the indole[2,1-*b*]quinazolin-6,12-dione is the one position where we have carried out modifications and observed the change in its anticancer activity. The introduction of schiff bases at position 6 of indolo [2,1-*b*] quinazolin-6,12-dione show enhancement in its anti-cancer activity. A series of compounds were synthesized and docking study was also performed. We found that among all compounds, RK-1 to RK-15 have satisfactory gold scores and were also having important interactions with residues such ASN91, SER149 and ASP94. Highest gold score of 99.51 of compound RK-8 was having interactions with ASN91 amino acid residue. All the interactions were complementary to the docking interactions with that of etoposide. We concluded that substituted-6-(phenylimino) indolo[2,1-*b*]quinazolin-12(6*H*)-one derivatives possess significant anti-cancer activity compared to indolo[2,1-*b*]quinazolin-6,12-dione but were less active than standard drug-etoposide.

Keywords: Anti-cancer, Isatin, Quinazoline, Tryptanthrin, Docking.

B-59

Synthesis, *in vitro* evaluation and docking studies of indole-linked chalcones as anti-inflammatory agents

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Abstract:

The present work focused on the synthesis of a

series of twelve indole-linked chalcone derivatives via base catalyzed Claisen-Schmidt condensation of indole-3-carboxaldehyde/5-bromo-indole-3-carboxaldehyde with substituted acetophenones. The structures of the synthesized compounds were assigned on the basis of IR, ¹H-NMR, ¹³C-NMR and mass spectral data. The synthesized compounds were evaluated for their *in vitro* anti-inflammatory activity through bovine serum albumin denaturation method. The title compounds exhibited significant anti-inflammatory activity, with the bromo-indole-linked chalcones (BILC-1 and BILC-2) having superior activity compared to indole-linked chalcones (ILC1-ILC10). Amongst indole-linked chalcones, the compound with 4'-fluoro substitution on the phenyl ring (ILC-8) was found to be the most active compound. The enhanced anti-inflammatory potential of bromo-indole-linked chalcones over indole-linked chalcones revealed the requirement of electron withdrawing group on indole ring. Also, electron-donating groups are favoured on phenyl ring for improvement of anti-inflammatory activity in case of bromo-indole-linked chalcones, while electron-withdrawing groups were found to increase anti-inflammatory activity for indole-linked chalcones. Docking analysis of the synthesized compounds was performed on both COX-1 [PDB ID: 2OYE, ovine COX-1 co-crystallized with Indomethacin-(R)-alpha-ethyl-ethanolamide; resolution 2.85 Å] and COX-2 (PDB ID: 3NT1, murine COX-2 co-crystallized with naproxen; resolution 1.73 Å) enzymes. The bromo-indole-linked chalcone with 4'-methoxy substitution on phenyl ring (BILC-2) was found to interact with Val349 of COX-1 and Glu374 and Asn375 of COX-2. ILC-8, indole-linked chalcone with 4'-fluoro substitution on the phenyl ring interacted with Ser530 of COX-1 and COX-2, while hydrogen bonding with Arg120 was seen with COX-2 enzyme. BILC-2, BILC-1 and ILC-8 could be further explored for their *in vivo* anti-inflammatory potential.

Keywords: Chalcone, docking, anti-inflammatory, indole

B-60

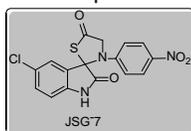
Design, Molecular Docking and Biological Evaluation of Novel-Thiazolidinones as Anticancer Agents

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Abstract:

Cancer is a group of diseases involving abnormal cell growth with the potential to invade or spread to other parts of the body. Cancer grows out of normal cells in the body. The 4-thiazolidinone ring system is a core structure in various synthetic pharmaceutical agents, displaying a broad spectrum of biological activities such as, antitubercular, antibacterial, anti-HIV, anti-inflammatory, anti-mycobacterial, anticancer, and analgesic. By taking this into consideration, we have designed a series of novel thiazolidinone derivatives by introducing 4-thiazolidinone scaffold at position C-3 of isatin. Docking analysis was also carried out on designed compounds with tubulin protein and most of the compounds showed interaction with essential amino acids Tyr-224 and Lys-254 on tubulin active site. Furthermore, synthesized novel 4-thiazolidinones were evaluated against MCF-7 and HT-29 cancer cell lines for their anticancer activity. The compound JSG-7 showed most potent activity against both cancer cell lines. These novel thiazolidinone derivatives were identified as potentially useful scaffolds for the further development of anticancer agents.



Keywords: Anti-cancer, Thiazolidine, Isatin, Schiff bases.

B-61

Chemistry and Biological Activities of Dihydropyrimidinones: An overview

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Abstract:

Dihydropyrimidines are one of the most important heterocyclic ring systems that has exhibited key role in the synthesis of DNA and RNA. Because of their presence as bases in DNA and RNA, they have become very important in the world of synthetic organic chemistry. The wide range of pharmacological activities of DHPs and their applications in the field of drug research have encouraged the development of numerous synthetic methods for their preparation. They have been synthesized using Multi-component reactions like Biginelli reaction and Hantzsch dihydropyridine. Recently, Biginelli type dihydropyrimidones have emerged as an important scaffold

owing to its interesting pharmacological properties including anti-inflammatory, anti-HIV, anti-tubercular, antifungal anticancer, antibacterial, antifilarial, antihyperglycemic, antihypertensive, analgesic, anti-convulsant, antioxidant, anti-TRPA1, anti-SARS, and anti-cancer activity and α_1 binding affinity. Several alkaloids containing the dihydropyrimidines core unit have been isolated from marine source, which also contain interesting biological properties. The structure based SAR of DHPM derivatives for various pharmacological activities would serve as a benchmark for the alteration of existing ligands to design new ones with better pharmacological profile.

Keywords: Dihydropyrimidines, Biginelli, Hantzsch, anti-HIV

B-62

Synthesis of quinazolinone derivatives for Analgesic, anti-inflammatory and antipyretic activity

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Abstract:

A series of quinazolinone derivatives were designed, synthesized and fully characterized by elemental analysis and spectroscopical (IR, NMR, Mass spectroscopic) data. The synthesis of the the compounds were moniterd by the thin layer chromatography. The compounds were screened for analgesic, anti-inflammatory and antipyretic activity. The newly synthesized compounds have shown a significant therapeutic activity, when compared to the standard drug. Based on the result of pharmacological studies, one compound was selected for toxicological studies. Acute toxicity studies revealed that the derivatives are non-toxic in rats up to 5000 mg/kg, p.o. Histopathological studies of the compounds revealed that there was mild toxicity on liver and kidney at higher dose. It has been concluded that newer derivatives of quinazolinone have shown fruitful Analgesic, anti-inflammatory and antipyretic activity.

B-63

Synthesis and *In-Vitro* Antioxidant Activity Screening Of Some Novel Benzoxazole Derivatives

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Abstract:

Antioxidants are important compounds that reduce or neutralize the free radicals, thereby protecting the cells from oxidative injury. In this research work with an aim of synthesizing some novel and potent antioxidant agents here we have reported the synthesis of N-(4-oxo-2-substituted phenyl-1,3-thiazolidin-3-yl)-1,3-benzoxazole-5-carboxamide **III (a-h)** derivatives. The titled compounds were synthesized by the reaction between Schiff bases of benzoxazole **II (a-h)** with thioglycolic acid. The purity of these compounds was confirmed by melting point and TLC. Structure of these compounds was confirmed on the bases of IR, ¹H NMR, ¹³C NMR and Mass spectral data. All the synthesized compounds were screened for in-vitro antioxidant activity by nitric oxide scavenging and DPPH methods using ascorbic acid as the standard drug. The results showed that compounds **III c**, **III e**, **III f** and **III g** showed significant antioxidant activity. Activity results of these newly synthesized compounds depicted them as potential antioxidant leads endowed with moderate to excellent activity. Further enhancement in the activity can be achieved by slight modifications in the ring substituent.

Keywords: Benzoxazole, anti-oxidant, DPPH, nitric oxide scavenging.

B-64

Design, Molecular Docking and Synthesis of Some New Pyrazole Schiff Base Hybrid as Antimalarial Agent

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Abstract:

Continuing our efforts on the synthesis of new heterocyclic compounds of potential biological interest, we became interested in the synthesis of some new pyrazole derivatives as antimalarial agent. In the present work, a series of novel pyrazoleschiffbase hybrid with a widerange of substitution at 3 & 4 positions has been synthesized via condensation of

substituted aniline with substituted 4-formylpyrazole and evaluated for their *in vitro* antimalarial activity against asexual blood stages of human malaria parasite, *Plasmodium falciparum*. Antiplasmodial EC₅₀ activity of these compounds ranged between 1.95-8.34 µg/ml. Among all compounds, **5bf** and **5bd** was found to be potential molecule with EC₅₀ 1.95µg/ml and 1.98µg/ml respectively. The interaction of these conjugate hybrids was also investigated by the molecular docking studies in the binding site of *P.falciparum*cystein protease falcipain-2. The pharmacokinetic properties were also studied using ADME prediction. The above result establishes the fact that pyrazole Schiff base hybrid can be a rich source of exploitation. Therefore, in new search of new drugs it may be a worthwhile to explore the possibility in this area by replacing different moieties and increasing the potency.

B-65

Synthesis and Characterization of Indolizine derivatives

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Abstract:

A novel indolizine derivatives were synthesized by 1,3 dipolarcycloaddition of pyridinium N-methylides with electron deficient alkyne methyl propiolate to give Methyl indolizine 1- carboxylate, Formylation of Methyl indolizine 1- carboxylate with reacting DMF,phosphorus oxychloride to get 3-formyl methyl indolizine 1- carboxylate which is then reacted with antihypertensive agent like Hydralazine to form corresponding derivatives characterized by I.R,NMR spectral data. This method gives the product in moderate to high yields.

Keywords: cycloaddition, dehydrogenation, formyl indolizine

B-66

Recent Synthetic and Medicinal Perspectives of Benzothiazoles: A Review

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Abstract:

Benzothiazole is an important class of heterocyclic compounds and clinically used for many ailments in humans. Benzothiazole derivatives have emerged as an important class of compounds possessing diverse biological activities such as antitubercular, antimicrobial, antimalarial, anticonvulsant, anthelmintic, analgesic, anti-inflammatory, antidiabetic, antitumor activities etc. The versatile synthetic applicability & biological activity of these heterocyclic compounds will help the medicinal chemists to plan, organize & implement new approaches towards the discovery of novel derivatives of benzothiazole. Many scientists have developed a wide range of methodologies for the synthesis of the benzothiazole nucleus and its derivatives using different types of catalysts to improve the selectivity, purity and yield of the products. Hence, in this review, a brief synthetic methodology to access these benzothiazole derivatives along with their recently reported biological activities has been highlighted. This review will provide a platform to the synthetic chemists and biologists to further design and synthesize novel benzothiazole derivatives with enhanced biological activity profile.

Keywords: Benzothiazole, antitubercular, antimicrobial, antimalarial.

B-67

Design and Synthesis of Novel Derivatives of Pyrazine-2-Carboxylic Acid and Their Antimicrobial Evaluation

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Abstract:

There is a limited usage of most antimicrobial agents because of the continuous need for iterative cycles of antibiotic discovery and development to deal with the selection of resistant pathogens that emerge as a therapeutic application of an antibiotic. Pyrazine-2-carboxylic acid is widely used in the treatment of tuberculosis. It is the active constituent of the first line drug "Pyrazinamide" (Prodrug of pyrazine-2-carboxylic acid). In the present work, antimicrobial activity associated with Pyrazine-2-carboxylic acid moiety prompted us to synthesize some new derivatives which may help to enhance its

antimicrobial activity. A number of Pyrazinoic acid derivatives have been synthesized in moderate to good yield. Synthesized compounds were characterized by the determination of R_f value, melting point, solubility and % yield. The IR, ^1H NMR and mass spectral data of the synthesized compounds were found in agreement with the assigned molecular structures. The synthesized compounds were screened for *in vitro* antibacterial activity against Gram-positive bacteria *Staphylococcus aureus*, *Bacillus subtilis* and Gram-negative bacteria *Escherichia coli* and *in vitro* antifungal activity against *Candida albicans* and *Aspergillus niger*. Some of these compounds showed better antimicrobial activity than the parent compound, pyrazine-2-carboxylic acid. Among all the screened compounds, N'-(2-nitrobenzylidene)pyrazine-2-carbohydrazide showed antibacterial activity against Gram-positive bacteria *Bacillus subtilis* and fungal strains of *Aspergillus niger*. N'-(2-chlorobenzylidene)pyrazine-2-carbohydrazide showed significant antibacterial and antifungal activity against Gram-positive (*Staphylococcus aureus*, *Bacillus subtilis*). N'-(3,4-dimethoxybenzylidene)pyrazine-2-carbohydrazide showed antibacterial activity against Gram-positive *Bacillus subtilis* and antifungal activity against *Aspergillus niger*. N'-(furan-2-ylmethylene)pyrazine-2-carbohydrazide only showed antibacterial activity against Gram-negative bacteria (*Escherichia coli*).

Keywords: Pyrazinoic acid, antibacterial activity, Antifungal activity

B-68

Aromatic Heterocyclic Derivatives as Potent Antifungal Agents

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Abstract:

Life-threatening infections caused by pathogenic fungi are becoming increasingly common, especially in individuals with suppressed immune systems such as cancer chemotherapy or AIDS patients. However, there are only a limited number of antifungal drugs available for such infections, which leads to a strong need to develop new classes of compounds having antifungal activity. Although, there are newer, less toxic antifungal agents available for clinical use but their clinical efficacy is not active against various fungal infections. So there is a constant need for the discovery of novel and safer antifungal drugs. A series of aromatic heterocyclic derivatives were

designed, synthesized and evaluated for in vitro antifungal activity. These aromatic heterocyclic compounds provide a preliminary insight into antifungal area and help further modification to improve upon the activity profile.

Keywords: Life-threatening, antifungal, fungal infections.

B-69

Hansch Analysis for the Antibacterial Activity of Indole Derivatives

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Abstract:

A set of 14 compounds of substituted indole derivatives were been selected from reported work of MardiaTelep El-Sayed et al. (2016) for QSAR by using Hansch analysis for their antibacterial activity. QSAR analysis revealed the importance of topological parameters, Randic Index (R), valence second order molecular connectivity index ($^2\chi^v$) and Kier's second order shape index (κ_2) as well as electronic parameters, Dipole moment (μ) and electronic energy (EE) in describing antibacterial activity of reported indole derivatives. Compounds with high value of electronic energy and dipole moment will be effective against *S. aureus*, indole derivatives having lower κ_2 value will be effective antibacterial agents against MRSA standard strain and compounds having lower R value and a high $^2\chi^v$ value will be effective antibacterial agents against MRSA isolate.

Keywords: Indole derivatives, Hansch analysis, *S. aureus*, MRSA standard strain, MRSA isolate

B-70

A Novel Dual Inhibitors Of Acetylcholine Esterase And Matrix Metalloproteinase-2 (MMP-2) Of Piperazine-2,5-Diones Nucleus For Treatment Of Alzheimer Disease

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Abstract:

Alzheimer disease (AD) is a progressive neurodegenerative disorder primarily characterized by the loss of memory associated with other cognitive deficits. Novel 3,6-diphenyl-1,4-bis(phenyl sulfonyl) piperazine-2,5-dione derivatives were designed as multitarget-directed moiety for Alzheimer disease (AD) by using different drug design tools. The designed scaffold has BBB penetrating ability, Acetylcholine esterase (AChE) and Matrix metalloproteinase (MMP-2) inhibition potential. Among all the synthesized compounds, compound 37 and 45 showed excellent inhibition potential against AChE $IC_{50} = 32.45 \pm 0.044$, 28.65 ± 0.029 and $MMP-2 IC_{50} = 36.83 \pm 0.015$, 19.57 ± 0.005 (nM) respectively. Enzyme kinetics study with lead molecule 45 showed noncompetitive inhibition for AChE with $K_i = 7$ nM and competitive inhibition of MMP-2 with $K_i = 20$ nM. Compounds 37 and 45 inhibited AChE-induced $A\beta$ aggregation at $20 \mu M$ and compound 45 showed metal chelating ability. The compounds also showed noticeable in-vitro antioxidant potential. Further, compound 45 exhibited promising neuroprotection ability in MC65 cell. In scopolamine induced AD animal model, compounds 45 at dose of 5 mg/kg could significantly enhance working memory of animals. The learning response, studied by passive avoidance test, was found to be improved at 5 mg/kg dose of compound 45. The mitochondrial membrane potential found to be disturbed in the scopolamine treated animals, was restored in the animals treated with compounds 37 and 45.

Keywords: Alzheimer disease, piperazine-2,5-dione, acetylcholine esterase (AChE), matrix metalloproteinase (MMP-2)

B-71

Validation of Arylpropionic Acid Derivatives as FFA1 Agonists: Pharmacophore Modeling, Atom-Based 3D-QSAR, Docking and In-silico ADME Studies

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Abstract:

The increasing prevalence of type 2 diabetes mellitus (T2DM) and confines (mainly risk of hypoglycemia) associated with clinically used oral antidiabetic agents emphasized the need to explore new molecular targets to develop safer and effective antihyperglycemic agents. In recent years free fatty acid receptor 1 (FFA1) (previously known as GRP40) has attracted considerable attention as a new potential target for management of T2DM. Activation of FFA1 amplifies glucose-stimulated insulin secretion but does not affect insulin secretion at low glucose levels and therefore diminishes the risk of hypoglycemia. Pharmacophore modeling, atom-based 3D-QSAR and docking studies have been performed on a series of 81 arylpropionic acid derivatives in an attempt to understand the structural features required for a molecule to act as FFA1 agonist. A five point pharmacophore hypothesis having two hydrophobic (H), one negative ionic (N), and two aromatic rings (R) with discrete spatial arrangements as pharmacophoric features were developed using PHASE module of Schrodinger suit. HHNRR.375 was considered as best hypothesis and its robustness was further validated by the good correlation coefficient value ($r^2 = 0.907$), cross-validated correlation coefficient ($q^2 = 0.694$), Pearson-R value (0.839), and F value (186). Results of molecular docking studies further complimented the developed model. *In-silico* ADME studies have shown favorable pharmacokinetic profile. The present study identified some vital structural features of arylpropionic acid derivatives that can be used to design and synthesize potent agonists of FFA1.

Keywords: Diabetes, QSAR, Free fatty acid receptor 1, ADME

B-72

A Simple and Sensitive RP-HPLC Method for Simultaneous Estimation Of Cefixime And Ornidazole In Combined Tablet Dosage Form

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Abstract:

A simple, accurate, sensitive and validated RP HPLC method for simultaneous determination of Ce-

fixime and Ornidazole in combined tablet dosage form has been developed. The separation was achieved on Hypersil BDS Column C-18 (4.6 × 250mm, 5µm) using Buffer, ACN and Methanol (0.1% of Triethylamine in Water and Adjusted the pH 5 of O-Phosphoric acid) as mobile phase (70:10:20) for assay and flow rate 1 ml/min. Detection was carried out by UV detector at 310 nm. Ambient temperature conditions were maintained. The retention time was Cefixime 2.5min and Ornidazole 6.5min for API. The Validation of method carried out using ICH guidelines. The method has been successfully applied for the analysis of drugs in pharmaceutical formulation. Proposed method was validated for precision, accuracy, linearity range, specificity and robustness.

Keywords: RP-HPLC, Cefixime, Ornidazole, Tablet dosage form.

B-73

In-silico Design, Synthesis and Pharmacological Screening Of Pyrazoline Substituted Thiazolone Derivatives

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Abstract:

Thiazolone substituted 3-(4-chlorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole-1-carbothioamide analogues (1-10) were synthesised, purified and recrystallized using suitable solvents, TLC etc. It is then characterized by using IR, ¹HNMR, ¹³C NMR and mass spectral technique. The synthesised compound was subjected to *invitro* screening for anticancer and antimicrobial evaluation. Among the series PTZ 8 showed moderate activity on Human cervical carcinoma cell lines (HeLa) on comparison with standard drug (doxorubicin). Antibacterial screening was done on both gram positive (*Staphylococcus aureus*) and gram negative bacteria (*Escherichia coli*). PTZ 5 was screened for gram positive bacteria and PTZ 4, PTZ 5, PTZ 8, PTZ 9 were screened for gram negative bacteria. All compounds showed moderate activity when compared with standard drug (streptomycin). The binding interactions of these compounds were confirmed through molecular docking studies.

Keywords: pyrazoline, thiazolone, HeLa cells

B-74

Cross Docking and correlation study of the Enoyl Acyl Carrier Protein Reductase of *Mycobacterium tuberculosis*

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Abstract:

In the present work an effort was made to validate and select a protein crystal structure of Enoyl-acyl-carrier-protein reductase of *Mycobacterium tuberculosis*. Which shall further be used for the docking studies of diphenyl ether analogues. To achieve the above stated objective, all the protein crystal structures from RCSB protein data bank pertaining to H37Rv strain were selected. They were screened based on many parameters like resolution, mutation, bound crystal ligand, and structural integrity of the protein crystal structure etc. The ligands from all the crystal structures were extracted and a cross docking study was done using the selected protein crystal structures. The data was examined and based on the number of outliers and the average deviation of the cross docking results a protein crystal structure was selected as the optimum one for all the docking studies using the diphenyl ether analogues. To validate the result of the outcome, a correlation study was also performed using diphenyl ether analogues from the literature along with their IC₅₀ values. Using MM/GBSA calculations binding free energies of the ligand protein complexes were calculated. The IC₅₀ values and the free binding energy of the docked molecules on the chosen protein crystal structure were plotted on a graph. A Pearson's correlation coefficient of 0.73 was obtained which validates the outcome of the cross-docking study and the selected proteins applicability.

B-75

Synthesis, Characterization and Anticonvulsant activity of Isoxazole Derivatives

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Abstract:

A series of 2-(4-(5-arylisoxazole) isoxazol-3-yl)phenoxy)acetic acid were synthesized and evaluated for their anticonvulsant activity. All the derivatives were synthesized from *p*-hydroxyacetophenone and substituted benzaldehyde. All compounds were obtained in appreciable yield which were characterized by determination of various physicochemical parameters, IR and ¹H-NMR analysis. Among the synthesized compounds 2-(4-(5-hydroxyphenyl) isoxazol-3-yl)phenoxy)acetic acid) were found to be the most active compound.

Keywords: Isoxazole, Anticonvulsant activity

B-76

Synthesis, Characterization and Biological Evaluation of Some Novel Substituted Pyrazoles

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Abstract:

In the current research, novel substituted pyrazoles were synthesized by using Vilsmeier Haack Reaction. Pyrazole have occupied a unique position in heterocyclic chemistry and its derivatives have attracted considerable interests in recent years for their versatile properties in chemistry and Pharmacology. The synthetic chemistry involved reaction of various substituted acetophenones with Isoniazide followed by Vilsmeier Haack reaction (DMF/POCl₃) under microwave irradiation without catalyst. The objective behind the research focuses on the simpler synthetic routes for the Pyrazole derivatives with relevant substitutions to arrive at newer compounds with better pharmacological activities. The newly synthesized compounds will be characterized by using various spectras like IR, ¹H-NMR & Mass spectrum. Computational studies *i.e.* docking studies to explore the interaction between the ligands and the receptor's binding site by using specific docking software such as Glide 5.8 docking tool of Schrodinger Suit 2012 will be done for synthesized derivatives. The synthesized derivatives will be evaluated for: Analgesic activity using Eddy's hot-plate method, Anti-inflammatory activity against carragenan induced acute paw oedema in rats, antioxidant activity (DPPH free radical scavenging assay) and Antimicrobial activity (agar diffusion method) against some pathogenic bacteria and fungi *etc.* Thus it can be concluded that Pyrazole is a unique template that is associated with several biological activities and it reveals the focus on new synthetic strategies and enhances biological

activities associated. It was found that the microwave method is preferred for such synthesis which afforded excellent yield, clean and green synthesis and shortened reaction time of all synthesized Pyrazole derivatives when compared with conventional method.

Keywords: Pyrazole, Vilsmeier Haack reaction, Microwave assisted irradiation

B-77

Synthesis of Novel Quinazolinones as Anti-Inflammatory Agents

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Abstract:

A series of 2-(3-methyl-2-oxo-3,4-dihydroquinazolin-1(2H)-yl)acetohydrazide can be prepared by the action of 3-methyl-3,4-dihydroquinazolin-2(1H)-one with DMF by stirring for some hours and separated by mixing it in the cold water. The separated compound is then treated with hydrazine hydrate. Now the prepared hydrazide is treated with different derivatives of the benzaldehyde in the presence of catalytic amount of glacial acetic acid. The prepared compounds are further confirmed by the elemental analysis and spectral studies. Further the prepared compounds have been screened for their *in-vitro* antiinflammatory activities by standard method. Results show the moderate to good antibacterial and anti-inflammatory activities.

B-78

Synthesis, Characterization and Antimicrobial Screening of Some Novel 1, 2, 4, 5-tetrasubstituted imidazole derivatives

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Abstract:

A simple, efficient and cost-effective method for the synthesis of 1, 2, 4, 5-tetra substituted imidazole derivatives

by a one-pot three component cyclo condensation of Benzil, aromatic aldehydes and aromatics amines and Ammonium acetate in the presence of methanol has been developed in present work. Thirty novel 1, 2, 4, 5-tetra substituted imidazole derivatives have been synthesized. The chemical structures were assigned by means of spectral analysis such as FT-IR, ¹H NMR, and MS. Synthesized compounds were screened for *in vitro* antibacterial and antifungal activity.

Keywords: 1, 2, 4, 5-tetra substituted imidazole, multicomponent reactions, benzil.

B-79

Synthesis, characterization and Biological Evaluation of novel 1,3,4- oxadiazole derivatives

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Abstract:

An easy access to a series of 1,3,4-oxadiazoles bearing a 1-(naphthalen-2-yl)propan-1-one side chain in the 4-position is described. These compounds were obtained starting from commercially available Naphthalene and aromatic acids. The work involves Friedel craft acylation reaction of hydrocarbons i.e. Naphthalene that result in formation of Aroyl propanoic acid and finally this Aroyl propanoic acid is condensed with different aromatic hydrazides and result in formation of 1,3,4-oxadiazoles. The resulted compounds were screened for their biological activity. The starting materials, β -(4-benzylbenzoyl) propionic acid 3 and β -(4-ethylbenzoyl) propionic acid 4, were prepared by condensing naphthalen with succinic anhydride in presence of anhydrous aluminium chloride following Friedel-Craft's acylation reaction conditions. Reaction between β -(4-benzylbenzoyl) propionic acid 3 or β -(4-ethylbenzoyl)propionic acid 4 with aryl acid hydrazides in phosphorous oxychloride (reaction time varies from 2 to 5 h)

Keywords: 4-(naphthalen-2-yl)-4-oxobutanoic acid, Aromatic Esters, Aromatic Hydrazides, Antiinflammatory activity and Analgesic activity.

B-80

Synthesis and Characterization of Some New Carbohydrazone Derivatives.

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Abstract:

We report in this manuscript, the design and synthesis of new derivatives of 3-hydroxy-1, 2, 3, 4-tetrahydroquinoxaline-2-carbohydrazide. And every effort was made to avoid repeating information except deemed necessary for clarity. Compounds reported were intermediates of reaction scheme they were obtained in high purity with good yield. The FTIR studies shows following peaks corresponding to structure character. Infrared spectrum of compound Sa-1-I shows a peak at 2800 cm⁻¹ corresponding to aromatic O-H broad stretch, 3100 cm⁻¹ corresponding to aliphatic C-H stretch, 1620 cm⁻¹ of ester C=O stretch, 1210 cm⁻¹ for C-N imino stretch. The Infrared spectrum of compound Sa-1-II shows a peaks at 2780cm⁻¹ corresponding aromatic C-H stretch, peak at 3310 cm⁻¹ for N-H stretch, 1600 cm⁻¹ C=O stretch of CONH, 1210 cm⁻¹ C-N stretch (NH-NH₂), disappearance of peak at 1620 and appearance of 3310 peak is in compound SSP1-3 confirms that formation of hydrazide. These intermediates converted into corresponding derivatives and they were obtained in high purity with good yield. The FTIR studies show peaks at 1422-1425 cm⁻¹ C=N stretch proves formation of derivatives of corresponding structure; these derivatives were analyzed for HNMR and MASS Spectral analysis.

Keywords: Quinoxaline, phenylenediamine, aryladine, azetidinone, thiazolidinone.

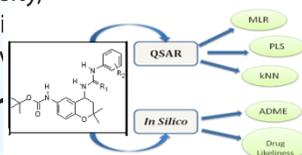
B-81

Computer Aided Tools for Analysis and Prediction in the Optimum Design of Sirtunin Inhibitor

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Abstract



Bridging chemical and biological space is the key to drug discovery and development. Typically, cheminformatics methods operate under the assumption that similar chemicals have similar biological activity. Ideally then, one could predict a drug's biological function(s) given only its chemical structure by similarity

searching in libraries of compounds with known activities. The QSAR study, in a nutshell, provides a detailed understanding of the effectivity of the lead which is dependent mainly on the shape, size as well as steric features of molecules. In an attempt to find potent sirtunin as anti-cancer agents, two-dimensional quantitative structure activity relationship studies has been performed on a novel series N-aryl-N-(6-tertbutoxycarbonylamino 3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl)ureas using Vlife molecular design suits (MDS). Developed models were analysed to understand the important physiological and structural parameters modulating the sirtunin inhibitor activity. Best model ($q^2=0.8588$, $pred\ r^2 = 0.8226$) was obtained with kNN method against Hs683 glioblastoma cell line. Further *in silico* pharmacokinetics studies like ADME prediction and drug likeness prediction has been performed with preADMET tool. Further observations have revealed that the active compounds comply with Drug likeness prediction rules for good [bioavailability](#) and suggesting them good candidates for oral administration with a predicted high [safety profile](#). These findings may open up a new horizon for designing new potential anticancer molecules that can be effective to enhance the site specificity and reduce the undesired side effects.

Graphical Abstract:

Keywords: Sirtunin inhibitors, ADMET, QSAR, Drug likeness

B-82

Molecular Docking Approach on Coumarin Analogues as Potential Inhibitor of *Leishmania infantum*

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Abstract:

Leishmaniasis is one of the most dreadful diseases as a leading cause of death in most of the developed countries. In the given study molecular docking study was performed on the library of coumarin analogues as anti-leishmaniasis agents. Total 508 coumarin analogues were taken from Pubmed and were studied using a molecular docking study on trypanothione reductase from *Leishmania infantum* (PDB code: 2JK6). Molecular docking result revealed that most active compound COU-139 bind to the active site of the protein with amino acids Thr 51, Ser 14, Lys 60. Further top 50 compounds were selected and studied for Lipinski rule. Further *in vitro* and

in vivo study of selected coumarin analogues can be studied for their therapeutic potential in treating leishmaniasis.

Keywords: Leishmaniasis, trypanothione reductase, Molecular docking

B-83

Synthesis, Structural Characterization and Antimicrobial Investigation of 4-(4-substitutedphenyl)-5-(2,4,5-triphenyl-imidazole-1-ylmethyl)-4H-1,2,4-triazol-3-thiol Derivatives

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Abstract:

It has been observed continuously by the scientific community that microbes are developing resistance against the currently used antibiotics resulting in the failure of therapy leading to the worldwide death of millions of patients. This situation is demanding an urgent research on novel antibiotics having different mode of action as displayed by the novel imidazole substituted triazole derivatives. Therefore, this research work is envisaged to synthesize 1,2,4-triazole derivatives clubbed with imidazole nucleus and evaluate them for their potential antimicrobial activity. These compounds were designed and synthesized by different reactions in sequence like formation of ester, hydrazide and thiosemicarbazide derivatives. Structural characterization was performed by instrumental methods of analysis like elemental analysis, IR, NMR and mass spectroscopy. One compound having 4-nitrophenyl group exhibited the most potent antibacterial activity whereas other compound with 3,4,5-trimethoxy phenyl group demonstrated the most potent antifungal activity when compared with their respective standard drugs Ofloxacin and Ketoconazole respectively. The significant findings of this research work may be found helpful for drug design and development of novel antimicrobial agents for future to curb the menace of microbial resistance and save the valuable human lives globally.

Keywords: Imidazole, 1,2,4-triazole, antibacterial, antifungal activity

B-85

Synthesis and Evaluation of Free Radical Scavenging Activity of Dibenzal Derivatives

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Abstract:

In recent years, epidemiological studies show that consumption of food with high phenolic content correlates with decreasing cardiovascular diseases. Phenolic compounds may produce their beneficial effect by scavenging free radicals. There has been much research which showed the implication of oxidative and free radical in the mediated reaction on the degenerative processes related to aging and other diseases. Several methods, both *in vivo* and *in vitro*, have been developed to measure antioxidant performance. These methods focus on different mechanisms of antioxidant including scavenging of oxygen and hydroxyl radicals, reduction of lipid peroxy radical, inhibition of lipid peroxidation or chelation of metal ions. Thus, some methods that are based on the mechanisms include β -carotene bleaching method, DPPH assay, thiobarbituric acid reactive substance (TBARS) method, lipid peroxidation, and deoxyribose assay. Free radical is one atom or molecule that has one or more unpaired electrons. Theoretically, free radical will be formed if a covalent bond happens to break. The compound which is scavenging hydroxyl radical can decrease deoxyribose degradation. Deoxyribose degradation will produce malonaldehyde that is identified by red color of the Thiobarbituric acid (TBA) complex. Dibenzalacetone has a conjugated system and is expected to be easily oxidized. The more the double bond, the easier it will be oxidized. Therefore, it is assumed that Dibenzalacetone and its derivatives will show antioxidant activity. Therefore, the objectives of this study are: (1) to synthesize and characterize Dibenzalacetone and its derivatives and (2) to develop an oxidation system using Deoxyribose assay and to identify and compare the antioxidant property of various synthesized Dibenzalacetone derivatives.

Keywords: Peroxidation, Chelation, DPPH assay, Thiobarbituric-acid, Malonaldehyde, Dibenzalacetone, Antioxidant-activity, Doxyribose-assay.

B-86

Synthesis of 2-Mercaptobenzimidazole Derivatives as Agents for Microbial Infection and Cancer

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Abstract:

A series of 2-mercaptobenzimidazole derivatives was synthesized and characterized by physicochemical and spectral means. The synthesized derivatives were evaluated for *in vitro* antimicrobial activity against Gram +ve bacteria- *Staphylococcus aureus*, *Bacillus subtilis*; Gram –ve bacteria- *E coli* and fungal strains- *Candida albicans* and *Aspergillus niger* by tube dilution method. The compounds were also assessed for *in vitro* anticancer activity against breast cancer (MCF7) and colorectal (HCT116) cell lines. Compounds 8, 9 and 11 emerged out as excellent antimicrobial agents in antimicrobial assays when compared to standard antibacterial and antifungal drugs. Majority of the compounds were found to be less cytotoxic than standard drugs (tamoxifen and 5-fluorouracil) towards MCF7 and HCT116 cell lines. However, compound 2 ($IC_{50} = 0.0047 \mu M$) and compound 10 ($IC_{50} = 0.0058 \mu M$) showed highest cytotoxicity against MCF7 and HCT116 cell lines, respectively. A further research on most active synthesized compounds as lead molecules may result in discovery of novel antimicrobial and anticancer agents.

Keywords: benzimidazole, microbes, molecules, novel, cancer

B-87

Synthesis and biological evaluation of some 4-arylidene-1, 7-bis (4-hydroxy-3-methoxyphenyl) hepta-1, 6-diene-3, 5-diones

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Abstract:

A series of curcumin derivatives have been synthesized from curcumin (5-hydroxy-1, 7-bis (4-hydroxy-3-methoxyphenyl) hepta-1, 4, 6-triene-3-one) and aryl aldehydes and evaluated for their antioxidant, antimicrobial and anti-inflammatory activities. Ulcerogenic potential of the synthesized compounds was also determined. The chemical structure of the synthesized derivatives was confirmed on the basis of spectral and elemental analyses. Investigation of antioxidant activity was done by DPPH free radical scavenging

activity and antimicrobial activity by the two-fold serial dilution method. The anti-inflammatory activity was tested by the inhibition of carrageenan induced rat paw edema model using Indomethacin as standard drug. It was observed that all the synthesized compounds were found to possess good biological activities and are free from ulcerogenic side effects.

Keywords: Curcumin, antioxidant, anti-inflammatory, antimicrobial, ulcerogenic potential.

B-88

Thiadiazole as Potential Anticonvulsant Agents

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Abstract:

A series of thiadiazole-thiazolidinone derivatives were synthesized. Elemental analysis, IR, 1H NMR, ^{13}C NMR and mass spectral data confirmed the structure of synthesized compounds. The derivatives of this moiety were evaluated for anticonvulsant activity by MES model and neurotoxicity by rotarod method. The synthesized compounds showed good potential for anticonvulsant activity along with neurotoxic effects. It was observed that thiadiazole-thiazolidinone derivatives containing 3,4-dimethoxy substituted benzylidene ring showed less protection against convulsions as compared to derivatives having unsubstituted benzylidene ring. Neurotoxicity screening revealed that unsubstituted phenyl derivatives of thiadiazole-thiazolidinone moiety did not show neurotoxicity at maximum administered dose.

Keywords: Thiadiazole-thiazolidinone, Anticonvulsant activity, Neurotoxicity

B-89

Dipeptidyl Peptidase –IV Inhibitor as Incretin Mimetic: A QSAR study on the effect of physicochemical parameters on efficacy of cyclohexane based DPP-IV inhibitors for the treatment of type-II diabetes mellitus

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Abstract:

Diabetes is one of the most challenging chronic metabolic disorders around the world which results of progressive β -cell dysfunction, leading to increased risks of micro and macrovascular complications. It may be a combination of hereditary and environmental factors, resulting in abnormal high blood sugar levels. Type-II diabetes develops due to the insulin resistance to its effect, which results in decreased insulin-mediated glucose disposal; increased endogenous glucose production, chiefly from the liver; and inadequate pancreatic insulin secretion. It is currently treated with diet and exercise, followed by oral drug therapy, and finally by exogenous insulin. While these treatments are known to improve blood glucose control but none of them are currently available to show significant improvement in β -cell function as well as to address defects in hormonal secretion which play key roles in the pathophysiology of type-II diabetes mellitus. Incretin hormones GLP-1 and GIP, secreted from L and K-cells of the intestinal mucosa, respectively, in the gut, in response to incoming nutrients, play a crucial role in the maintenance of glucose homeostasis which inhibits glucagon release, increases insulin secretion, decreases gastric emptying, and decreases blood glucose levels. DPP-IV (dipeptidyl peptidase-IV) degrades these incretin hormones, decreasing their stimulatory effects on β -cell insulin secretion. Hence DPP-IV inhibitors like alogliptin, sitagliptin, saxagliptin and vildagliptin are novel treatment to correct the incretin hormones deficiency by blocking this degradation, prolonging the incretin effect and ultimately augment glucose homeostasis.

Keywords: Type-II diabetes, DPP-IV inhibitors, Incretins, QSAR

B-90

Synthesis, Characterisation and Biological Evaluation of Some Novel Alpha-Acetyl-Gamma-Butyrolactone Derived Chalcones

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Abstract:

In the present study, novel Alpha-acetyl-gamma-butyrolactone derived chalcones were synthesized using

substituted aldehydes. All the synthesized compounds showed characteristic absorption peaks in IR and ¹HNMR spectra. Expected molecular ion (M⁺) fragments were observed for all the compounds in the mass spectra and studied their antibacterial and anti-inflammatory, antioxidant activities in particular. Among the Compounds AAGBL 1- 12, compound 1, 5,6,7,8 showed potent antibacterial activity. Among the compounds screened for antioxidant activity, compounds 1,2, 9,10,11 showed potent antioxidant activity. Anti-inflammatory studies were performed for some of the synthesized compounds by Carrageenan induced paw oedema method and compared to standard diclofenac drug. The compounds evaluated are AAGBL 1,2,3,5,7,9. Among compounds AAGBL 2, 3, 7, 9 showed moderate activity.

Keywords: Pthalimide derived Chalcones; Antimicrobial; Antioxidant; Anti-inflammatory.

B-91

Synthesis, Characterisation and Antibacterial Evaluation of Some Novel Substituted Dihydropyrimidinone Derivatives

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Abstract:

Dihydropyrimidinones were found to possess versatile biological activities. Dihydropyrimidinones, the products of Biginelli reaction, are widely used in the pharmaceutical industry as calcium channel blockers, antihypertensive agents, and alpha-1 antagonist. The Biginelli reaction is a multiple – component chemical reaction that creates 3, 4 –dihydropyrimidin-2(1H) – ones from ethyl acetoacetate, an aryl aldehyde and urea. Some novel substituted dihydropyrimidinones were synthesized and evaluated for *in vitro* antibacterial activity. Dihydropyrimidinones were tested against gram positive bacteria such as *S.albus* and *M.luteus*, gram negative bacteria such as *P.aeruginosa*, *E.coli* and *S.paratyphi*. All the compounds were found to exhibit a moderate antibacterial activity against the tested microorganisms. Compounds PS-3 and PS-4 were found to show pronounced activity against *S.albus* and *S.paratyphi* respectively comparable to a standard antibiotic.

Keywords: Dihydropyrimidinones, Biginelli reaction, Antibacterial activity, Gram negative bacteria, Gram positive bacteria.

B-92

Anticancer activity of Piperazine Propyl-4-Oxo-3,4-Dihydroquinazoline -2-Carboxylate Derivatives

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Abstract:

In this study, The synthesized piperazine propyl-4-oxo-3,4-dihydroquinazoline-2-carboxylate derivatives were screened for their *in vitro* anti proliferative activity in these four different human cancer cell lines He La (cervical), MIAPACA (pancreatic), MDA-MB-231 (breast) and IMR 32 (neuroblastoma) by the standard SRB assay method. Among all the compounds only two compounds **8e** and **8g** showed potent anti proliferative activity with GI₅₀ values of 0.02, less than 0.01 μM against MIAPACA human cancer cell line and some compounds showed significant activity within the range of 0.1-0.87 μM against human cancer cell lines. Potencies of all the compounds were comparable to the standard drugs Doxorubicin and Paclitaxel. The structure-activity relationship (SAR) study revealed that not only the electron accepting substituents on the quinazolinone moiety but also the quinazolinone with a propyl linker is required for inducing anti-proliferative activity against the MIAPACA as well as remaining human cancer cell line. The substituent at ring-B on quinazolinone moiety with propyl linker of ortho-fluoropiperazine (**8e**) and para-fluoropiperazine (**8g**) were associated with a potent increase in the growth inhibitory effect against MIAPACA.

Keywords: cell lines, anticancer activity, quinazoline moiety.

B-93

Design, Synthesis and Biological Evaluation of 2-Aminoimidazole Derivatives as Anti-biofilm and Antiproliferative Agents

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Abstract:

Human bacterial infections are mostly allied with the biofilm formation and the resistance of biofilms to antibiotics limits its treatment. Cancer patients have high susceptibility

to bacterial infections due to their low immunity. Thus, compounds with dual anti-biofilm and antiproliferative activities could become a useful adjunct to chemotherapy. In addition, marine sponges are a rich source of structurally diverse alkaloids which possess interesting biological activities. Taking consideration of the vast bioactive potential of marine natural alkaloids, particularly naamine family which also comprises 2-aminoimidazole scaffold and as a result of our continuous interest in 2-aminoimidazole derivatives, polysubstituted 2-aminoimidazole derivatives **20(a-x)** have been designed and synthesized as the analogue of naamine family *via* introduction of an amide linkage at the N-1 position. The synthesized compounds were evaluated for their biofilm inhibitory and antiproliferative activity and most of them show promising results. The two most potent compounds (**20i** & **20r**) were also found to have capability to induce G2/M phase cell cycle arrest. These results indicate that the compounds have potential to target both cancer proliferation and biofilms and might be used for single drug monotherapy.

Keywords: Biofilm, antiproliferative, 2-aminoimidazole, cell cycle.

B-94

In-Silico Design Synthesis and Pharmacological Screening of Some Novel Pyrimidine Substituted Azetidinone Derivatives

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Abstract:

This work reveals the significance of rational *insilico* design and development of pyrimidine substituted azetidinone derivatives as cytotoxic and anti inflammatory activities. Azetidinone nucleus considered as versatile nucleus. Synthesis of pyrimidine substituted azetidinone derivatives were done by both conventional and Microwave method. Synthesized compounds subjected to *invitro* screening of anti-cancer, anti - inflammatory by MTT assay, LOX&COX inhibition assay respectively. Characterization of the synthesized compounds were done by determining melting point values, elemental analysis (C,H,N) and spectral analysis by IR, ¹H NMR and mass spectrometry. The result of anticancer studies reveals that the compound AZ8 showed significant effect in HeLa cell lines (cervical cancer). The compound AZ8 showed a percentage viability of 49.46% at 100μg/ml which was less than that of

standard drug doxorubicin having percentage viability of 8.1% at 100µg/ml. The result of anti inflammatory studies of newly synthesized derivative AZ4 was found to be a good Inhibitor of COX and LOX enzyme which is responsible for the production of inflammatory mediators causing the inflammation when compared with standard drug diclofenac sodium. Binding interaction of these compounds were confirmed through molecular docking studies.

Keywords: azetidinone, HeLa cell line, COX, LOX

B-95

Design, Synthesis and Antiproliferative Evaluation of Substituted Quinazoline Derivatives

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Abstract:

Cancer, the second cause of mortality in the world, is continuing to be major health problem in developing as well as undeveloped countries. In order to overcome draw backs of nonspecific traditional chemotherapeutic agents, identification of specific tumor targets and design of novel analogues are very important. The Epidermal Growth Factor Receptor (EGFR), a tyrosine kinase receptor is one of the most suitable targets for cancer. Agents which could inhibit EGFR are directly related to blockade of regulatory processes of cellular proliferation. Our aim was to develop novel thiazolidino-quinazoline analogues inhibiting EGFR leads to potent anticancer agents. *In-silico* design of novel analogues were carried out using ACD labs ChemSketch 12.0 and MarvinSketch software. Molinspiration software was used to analyse 'Lipinski Rule of Five' and drug likeness properties. Biological activity was predicted by PASS software. Preliminary docking study was carried out using GLIDE software by SCHRODINGER. Five derivatives which obeyed rule of five and having predicted antitumor activity on EGFR were synthesized by four step process. After the completion of reaction in each step, the compounds were isolated, recrystallised by using suitable solvents, purified by TLC and column chromatography. Analogues were characterized by FT-IR, ¹H NMR, ¹³C NMR and Mass Spectroscopy. The Biological evaluation was done by MTT assay, and nuclear condensation

studies on EGFR positive A549 and EGFR negative SiHa cell lines. The results were compared with standard anticancer agents doxorubicin and paclitaxel.

Keywords: Epidermal Growth Factor Receptor, Quinazoline, Anticancer, A549 cell lines

B-96

Synthesis and pharmacological evaluation of 4-(quinazoline-4-yloxy) phenylprop-2-en-1-one derivatives as antileukemic agents

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Abstract:

Cancer is one of the dreaded diseases and major cause of death worldwide. Cancer starts when cells in a part of the body begin growing out of control. The main failure of cancer treatment is multidrug resistance (MDR) i.e. the intrinsic or acquired resistance to chemotherapy. It has been reported that breast cancer resistance protein (BCRP) plays a major role in the development of MDR against chemotherapeutic agents, thus BCRP inhibitors might be useful in the treatment of cancer therapy. Chalcone and quinazoline derivatives have been reported as potent anticancer agents with the capability to reverse MDR mediated by different ATP-binding cassette transporters. On the basis of these findings, we designed and synthesized a new series of bioconjugates by fusing quinazoline nucleus with different chalcones and explored their anticancer potential. The structures of the synthesized compounds were established by various spectral analytical techniques. The compounds were evaluated for the *in vitro* antineoplastic activity at National Cancer Institute, USA against the NCI-60 cell lines which include cells from eight melanomas, six leukemia, eight breast cancers, two prostate, nine lung, seven colon, six ovary, eight kidney and six central nervous system. A mean growth of 30.65% was observed on treatment with compound (*E*)-3-(3,4-dimethoxyphenyl)-1-(4-(quinazolin-4-yloxy)phenyl)prop-2-en-1-one against six leukemia cell lines namely CCRF-CEM, HL-60(TB), K-562, MOLT-4, SR and RPMI-8226 at 10 µM. In conclusion, this class of compounds exhibited potent antileukemic activity and further studies are in progress to understand their exact mechanism of action.

Keywords: Cancer, Quinazoline, Chalcone, Antileukemic agents

B-97

Synthesis And Biological Evaluation Of Schiff Bases Of 1,3,4- Oxadiazoles As Potent Anticancer Agents

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Abstract:

1,3,4-oxadiazole heterocycles are very good bioisosteres of amides and esters, which can contribute broad spectrum of bioactivities such as anti-cancer, anti-microbial, anti-tubercular, anti-inflammatory, analgesic agents etc. To overcome drawbacks of non-specific traditional chemotherapeutic agents, identification of specific tumor targets and design of novel analogues are very important. Oxadiazole is a heterocyclic aromatic five membered ring system which contain an oxygen and two nitrogen of molecular formula $C_2H_2N_2O$. This work was aimed to *in-silico* design, synthesize a new series of 5-(pyridine-4-yl)-1, 3, 4-Oxadiazole Schiff bases which could selectively target telomerase enzyme which is overexpressed in tumors. A series of novel Schiff bases were designed by *in-silico* screening methods. The drug likeness of the analogues was analyzed by using Molinspiration software. Biological activities of these analogues were evaluated by using PASS software. The analogues which obeyed Lipinski rule of five and having suitable anti-cancer and anti-microbial activity were taken for docking studies using Discovery Studio 4.1. All the proposed derivatives were docked with various protein targets obtained from PDB, using Accelrys software and satisfactory docking energy scores were obtained. Ten derivatives have been synthesized using isoniazid as starting material. These analogues were purified by analyzing its melting point, R_f value. These were further characterized by FT-IR, 1H NMR and mass spectral studies. The anti-cancer activity of these derivatives was done by MTT assay using MCF7 breast cancer cell lines. These novel analogues possess target specific antitumor activity against majority of solid tumors and can be considered as suitable lead compounds.

Keywords: 1,3,4-oxadiazole, *In-silico* methods, Schiff bases, Anticancer

B-98

In-Silico Design, Synthesis and Pharmacological Evaluation of 1, 3, 4-Thiadiazole Substituted Quinoxaline Derivatives

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Abstract:

The current research work was focussed on the rational approach to design and develop newer 1,3,4-thiadiazole substituted quinoxaline derivatives. Though, Quinoxaline and its synthetic analogues have been established as agents for anti-diabetic activity, the present work has envisaged its versatility as biologically active agents as antitubercular and anticancer agents. The *in-silico* screening studies was carried out using software for selection of suitable drug candidates prior to wet lab synthesis. All the proposed analogues were subjected to flexible docking. Of the proposed thirty analogues, eleven candidates were chosen for wet lab synthesis. The compounds were ascertained for its purity through TLC and melting points were checked. Characterizations of the synthesised analogues were done by IR and NMR spectroscopy. The analogues were screened for anti-tubercular and anticancer activity as per the PASS and GLIDE score. Anti-tubercular study was performed by using Alamar blue assay method (REMA-Resazurin Microtitre Assay). *Mycobacterium tuberculosis* H₃₇Rv maintained in Lowenstein Jensen medium was used as the test organism for antimycobacterial screening studies. The analogue QNX 2 shows more antimycobacterial activity. The analogues QNX 5 and QNX 11 exhibited the highest glide score for cytotoxic activity. The analogues can be subjected to further detailed pharmacological screening for consideration as drug candidates.

Keywords: 1, 3, 4-thiadiazole substituted quinoxaline, Alamar blue assay

B-99

Development of QSAR model against antimicrobial activity of newly synthesized substituted benzimidazoles

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Abstract:

Quantitative Structure Activity Relationship (QSAR) studies describe the relationship between biological activity and the physicochemical properties of a drug molecule. Novel 1, 2-disubstituted benzimidazole derivatives were synthesized and evaluated for their *in vitro* antimicrobial activity against bacterial strains *E.coli*, *S.aureus* and *B.subtilis* and fungal strains *C.albicans* and *A.niger*. The antimicrobial activity of the newly synthesized compounds was correlated with the structural parameters in the form of mathematical equations using multi linear regression analysis. QSAR studies results indicated the importance of molecular descriptors ZM1, WAP, AlogP, BAC and ZM2V in explaining the antimicrobial activity of synthesized compounds against *E.coli*, *B.subtilis*, *S.aureus*, *C.albicans* and *A.niger* respectively. The developed QSAR model rapidly detects the most favorable compounds in advance with the help of software without need to synthesize thereby helps in reducing the number of compounds to be synthesized in laboratory which considerably saving cost, time and human efforts and reducing animal sacrifice.

Keywords: Antimicrobial Activity, Benzimidazole Derivatives, QSAR, molecular descriptors

B-100

Synthesis & Biological evaluation some 4-Amino-5-(substituted-phenyl)-4H-[1, 2, 4 triazole-3-thiol derivatives for their Antifungal activity

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Abstract:

Despite the remarkable progress in diagnostic and antifungal drugs research in past 10 years, still complexity of the characteristics of patients continue to make the management of fungal infection is a great challenge. 1,2,4-triazole compounds not only offer an interesting chemistry but also their various derivatives possesses diverse chemotherapeutic activity. The recent literatures are enriched with the progressive finding about the synthesis and biological activity of 1,2,4-triazole

heterocyclic compounds. 4-amino-1,2,4-triazole-3-thiol are known to exhibit diverse pharmacological profile including analgesic, anti-inflammatory, anti-allergic, anti-viral, anti-HIV, anti-microbial, anticonvulsant, anti-depressant, antifungal, anti-cancerous, anti-bacterial and anti-tubercular activities. A series of 4-amino-5-(substituted phenyl)-4H-[1,2,4]-triazole-3-thiol were synthesized by cyclization of thiocarbohydrazide and substituted benzoic acid by fusing method. 4-(4-substitutedbenzylideneamino)-5-(substitutedphenyl)-2H-1,2,4-triazole-4(4H)thione was prepared by condensation of primary amine of 4-Amino-5(substituted phenyl)-4H[1,2,4]-triazole-3-thiol with various substituted aromatic aldehyde through a single step and 4-(4-substituted benzylideneamino)-2-(morpholinomethyl)-5(substituted phenyl)-2H-1,2,4-triazole-4(4H)thione were afforded by the reaction of corresponding Schiff base with formaldehyde and morpholine with the formation of Iminium ion. IR, ¹H NMR & MASS spectral data confirmed the structure of newly synthesized compounds. Synthesized triazole investigated for antifungal activity. Some of tested compounds show good and moderate antifungal activity against various antifungal strains.

Keywords: 1, 2, 4-Triazole, Thiocarbohydrazide, Antifungal activity

B-101

Studies On 1,4-Dihydropyridines Derivatives as Neuroprotective Agents in Animal Models

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Abstract:

Neurodegenerative disorder is a term representing an array of conditions primarily affecting the neurons in the human brain. Multiple molecular mechanisms such as misfolding of proteins, apoptosis, oxidative stress and excitotoxicity have been shown to exist in the neurodegenerative disorders. Current management of neurodegenerative disorder is directed at establishing neuroprotective agents, which further prevents neuronal damage in Central Nervous System (CNS). Adenosine receptors (AR) are G-protein coupled receptors consisting of four subtypes identified as A₁, A_{2A}, A_{2B} and A₃. Adenosine A₃ receptor antagonists were previously hypothesized to act as potential anti-asthmatic, anti-inflammatory and cerebroprotective agents. Recently 1,4-dihydropyridines (DHP) have emerged as the promising lead for neuroprotection

which act by antagonising the A₃ Adenosine Receptor subtype. Conventionally 1,4-dihydropyridine act as potent blockers of L-type calcium channels and are used widely for treating coronary heart diseases. Research findings from structure activity studies indicate the significance of introducing bulky groups at 4-, 5- and 6- positions of core dihydropyridine skeleton for increasing the affinity and selectivity for adenosine A₃ receptors over L-type calcium channel. In context of this we have synthesized various derivatives of 1,4-dihydropyridines. The derivatives were synthesized by Hantzsch procedure, by continuously refluxing requisite aldehyde with isopropylacetoacetate and small amount of strong ammonia in 2-propanol. While another set of derivatives were prepared by modified Hantzsch condensation of requisite aldehyde with alkyl 3-aminocrotonate in the presence of catalytic amount of trifluoroacetic acid. The newly synthesized compounds were characterised by various spectral techniques. The antiparkinsonian and anti-Alzheimer activities of newly synthesized 1,4-dihydropyridine derivatives were studied in rats and mice, respectively. It is observed that 1,4-dihydropyridines possessing bulky groups at 4- and 6-position displayed potent biological activity.

Keywords: Dihydropyridines, neuroprotective agents, Hantzsch condensation, neurodegenerative disorder, Adenosine receptor

B-103

Synthesis, Characterization of N-Substituted Tetrahydrocarbazoles and Evaluation of anti-cancer activity

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Abstract:

A New series of N-Substituted Tetrahydrocarbazole derivatives are prepared by cyclohexanone (1) treated with substituted phenyl hydrazine's (2a, 2b) refluxed at 60°C for 10 mins undergoes cyclization with the loss of ammonia, in presence of reagents like glacial acetic acid leads the formation of substituted tetrahydrocarbazole (3a, 3b), this upon treating with 10% sodium hydroxide and substituted 4-aminobenzoyl chlorides (4a, 4b) gives (4-aminobenzoyl) 1, 2, 3, 4 tetrahydrocarbazole derivatives (5a, 5b). The structures of new derivatives should be purified by the different chromatographic techniques and assigned on the basis of ¹H NMR, IR, and Mass spectral data. All the newly synthesized compounds were

evaluated for their in-vitro anti-cancer activity.

Keywords: Tetra hydrocarbazole, cyclohexanone, anti-cancer, phenyl hydrazine.

B-104

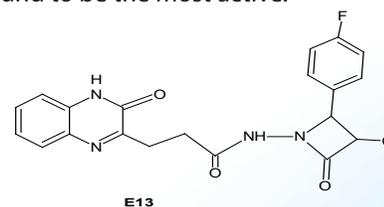
Synthesis, Characterization and Antimicrobial Activity of Quinoxaline Derivatives

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Abstract:

A series of quinoxaline derivatives as N-[3-chloro-2-(substituted phenyl)-2-substituted -4-oxoazetidin-1-yl]-3-(3-oxo-3,4-dihydro quinoxalin-2-yl)propanamide were synthesized and evaluated for their antimicrobial activity against various bacteria and fungi. Elemental analysis, IR, ¹H NMR, ¹³C NMR and Mass spectral data confirmed the structure of synthesized compounds. The Antimicrobial activity for the compounds was carried out using Cup plate method and the data reveals that the synthesized quinoxaline derivatives are comparatively more active against fungi than bacteria. It is observed that the presence of certain electron withdrawing groups (F, Cl, Br) at position 4 or 2,4 of the phenyl ring greatly enhances the activity. The decrease in activity was observed in the compounds possessing methyl substituent at 2nd position of phenyl ring. The compounds showed excellent activity against fungus *Candida albican*, good against *Aspergillus* species, *Staphylococcus aureus* and *Escherichia coli* but very low to almost zero activity against *Penicillium citrinum*, *Pseudomonas aeruginosa* and *Salmonella typhii* at the tested concentrations. Among the evaluated compounds, the compound N-[3-chloro-2-(4-fluorophenyl)-4-oxoazetidin-1-yl]-3-(3-oxo-3,4-dihydroquinoxalin-2-yl)propanamide (**E₁₃**) as represented below was found to be the most active.



B-105

Synthesis and biological screening of Sulphur

containing new 2(3H)-pyrrolones

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Abstract:

Pyrrolone, a 5-membered heterocycle lactam, is an important synthon for the preparation of a variety of pharmacologically active compounds. They are synthesized from butenolides or furanones. The γ -lactone ring present in butenolides is significantly reactive and could be utilized for the synthesis of nitrogen heterocycles (pyrrolones and benzyl-pyrrolones) of potential biological activity. Studies have revealed that substitution of the oxygen atom of the butenolide ring with NH (pyrrolone) resulted in marked increase in their antimicrobial activity. Moreover, pyrrolones and sulphur containing compounds have been found to have profound biological activities such as anti-HIV, anticancer, anti-inflammatory, antibacterial, antifungal, antiviral, and anti-TB. In view of these points, it was considered worthwhile to prepare a series of sulphur containing pyrrolones. Thus, eleven new 2-arylidene-5-(2-thienyl)-2(3H)-pyrrolones (**2a-k**) were prepared from 2-arylidene-4-(2-thienyl)-2(3H)-furanones (**1a-k**) following green synthesis method, and screened for their in-vitro antimicrobial activities (Minimum Inhibitory Concentration; MIC) against some selected microbes. The structures were assigned on the basis of IR, NMR and Mass spectral data. The results of antimicrobial activity showed that 2(3H)-pyrrolone (**2c**) showed excellent activity against *E. coli* and *C. albicans* with MIC-6.25 $\mu\text{g/ml}$, while compound (**2f**) was highly active against *S. aureus* with MIC-6.25 $\mu\text{g/ml}$. Another compound, (**2e**), showed good activity against *C. albicans* with MIC-12.5 $\mu\text{g/ml}$. Present study revealed the antimicrobial potential of sulphur containing 2(3H)-pyrrolones.

B-106

Computational Modeling of 2, 3-Diaryl Pyrazolo [1,5-b]Pyridazines as Selective COX-2 Inhibitor

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Abstract:

The suppression of inflammation is still a challenge despite the availability of large number of non-steroidal anti-

inflammatory drugs (NSAIDs) (McGettigan, 2000). This is because, NSAIDs not only used in the effective management of pain and inflammation, their chronic use has been associated with gastrointestinal toxicity (Kauffman, 1989). The classical NSAIDs inhibit both cyclooxygenase-1 (COX-1) and cyclooxygenase-2 (COX-2). COX-1 is constitutively expressed and is responsible for the maintenance of normal physiological functions (Allison, 1992). COX-2 is induced upon harmful inflammatory stimuli and is responsible for the progression of inflammation. Thus the treatment of inflammation associated disorders urges for the design and development of compounds with selective inhibition of COX-2 over COX-1. The computational study attempts to explore the structural and physicochemical requirements of substituted 2,3-diaryl-pyrazolo[1,5-b]pyridazines and coxibs (celecoxib; rofecoxib; valdecoxib; and etoricoxib) for COX-2 inhibitory activity using quantitative structure-activity relationship (QSAR) and pharmacophore modelling. The QSAR models were developed using both physicochemical descriptors and electro-topological descriptors. Stepwise multiple linear regressions (MLR) led to the identification of five important descriptors AlogP, ssCH₂_Key, aaCH_Cnt, sCH₃_Sum and aasN_Sum for modeling the activity. The physicochemical descriptor like partition coefficient (AlogP) was found negatively contributing to COX-2 inhibitory activity. On other hand, electro-topological descriptors such as ssCH₂_Key, aasN_Sum, aaCH_Cnt and sCH₃_Sum were found positively contributing to COX-2 inhibitory activity. Furthermore, the pharmacophore search ultimately identified a set of chemical features comprising of three hydrogen bond acceptors and two aromatic rings "AAARR" as key structural features governing the selective COX-2 inhibitory activity of these analogues.

Keywords: Cyclooxygenase, Pharmacophore, Quantitative structure-activity Relationship

B-107

Ecofriendly Synthesis of Benzimidazole Derivatives Using Green Chemistry

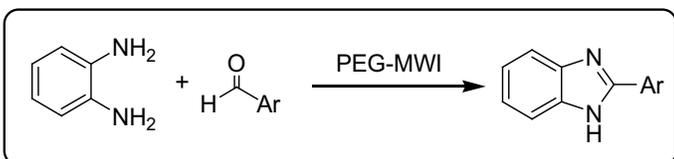
Amarjit Kaur, Ravindra K. Rawal and Rajesh Kumar

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Abstract:

Benzimidazoles are very important class of [heterocycles](#) and most important intermediates in organic

synthesis in recent years. This group has a seminal role in drug discovery and these derivatives show an excellent scaffold for the development of novel drugs, polymers, ligands and dyes. The most important benzimidazole compound in nature is N-ribosyl-dimethylbenzimidazole, which serves as an axial ligand for **cobalt** in **vitamin B12**. Benzimidazoles have diverse type of biological activities i.e. antiulcers, antihypertensives, antivirals, antifungals, anticancers, and antihistaminics. In addition, they exhibit significant activity against several viruses, such as HIV, herpes (HSV-1), RNA influenza, and human cytomegalovirus. Several methods have been developed to synthesize benzimidazoles. Present protocol is efficient environment benign method with high yield and purity. Microwave heating is used here as alternate source of energy while polyethylene glycol (PEG-400) is used as a reusable, non toxic, green media further short reaction time add another additional merit to this protocol over existing procedures.



Scheme: Microwave assisted synthesis of benzimidazole derivatives using PEG-400.

Keywords: Benzimidazole, PEG, N-ribosyl-dimethylbenzimidazole, Aluminium trichloride hexahydrate, HSV-1

B-108

Molecular Docking Studies on Imidazo [1,2-a] pyrimidine as Antiproliferative Agents

Shelly Pathania and Ravindra K. Rawal

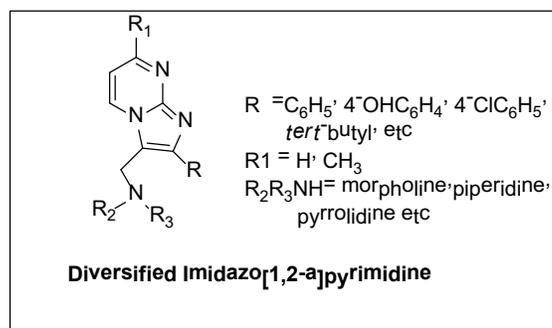
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Abstract:

Cancer is a multifactorial disease having worldwide striking impact on people's health nowadays. Despite the advances in the research and development of various anticancer agents, still chemotherapeutic agents suffer from limitations like lack of selectivity, acquired mutation in molecular target and multi-drug resistance. The chemotherapeutic agents follow multiple mechanism for their anticancer potential inhibiting signaling pathways *via* molecular targets (tyrosine kinases, ER- α), spindle poison and DNA intercalating agents etc. Various

heterocycles such as pyrroles, imidazoles, pyrimidines have shown their potential role as antitubercular, antibacterial, antiviral, anti-inflammatory, and anticancer agents. The current trend in anticancer research is focused on designing drugs utilizing novel and potent leads having increased potency against mutated molecular targets such as kinases. One of the many approach for development of such anticancer agents could be rational modification of lead molecule to improve its activity and drug-like properties for a pathophysiological target under investigation. The present work represent the molecular docking studies of reported imidazo[1,2-a]pyrimidine derivatives with significant anticancer potential. We have explored the active site of HER2 (PDB ID: 3PP0), a well known anticancer target using these imidazo[1,2-a]pyrimidine and studied the plausible mechanistic interventions.

Keywords: Molecular Docking, Imidazo[1,2-a]pyrimidine, Anticancer agents, Kinases



Reference: Aeluri, R, *et al*, Eur. J. Med. Chem, Vol. 100, pg. 18-23, 2015

B-109

Synthesis, characterization and antimicrobial activity of 4-(5-substituted phenyl-1,3,4-oxadiazol-2-yl)pyridine

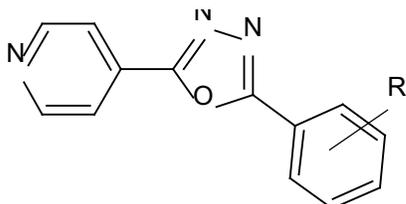
Sreekuttan U, D. Visagaperumal and Vineeth Chandy

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Abstract:

A series of 5-substituted phenyl-1,3,4-oxadiazole were synthesized from isoniazide. Isoniazide was converted to 4-(5-substituted phenyl-1,3,4-oxadiazol-2-yl)pyridine by treating with substituted benzoic acid derivatives in the presence of phosphorus oxychloride. The synthesized compounds were further characterized by IR, NMR, Mass spectra and elemental

spectral data. They were evaluated for antimicrobial activity against the *Staphylococcus aureus*, *Bacillus cereus*, *Escherichia coli*, *Pseudomonas aureginosa* and antifungal activity against *Aspergillus niger* and *Aspergillus flavus*. All the compounds had shown mild to good activity against selected strains.



B-110

Synthesis and Biological Evaluation of Indanone Derivatives as Potential Cognition Enhancers

Madhu Bala, Dhiksha Devi, Ankit Jain and Poonam

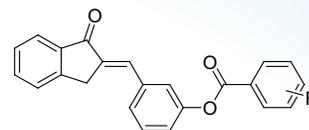
Piplani

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Abstract:

Alzheimer's disease (AD) is a complex neurodegenerative disorder characterized by central cholinergic depletion and amyloid- β plaques. Acetylcholinesterase (AChE) inhibitors not only suppress the normal break down of acetylcholine (ACh) from the synaptic cleft, but also prevent the proaggregating activity of AChE toward A β . A number of indanone derivatives have been reported as potential cognitive enhancers. It was thus envisaged to exploit this versatile pharmacophore. A series of substituted indanone derivatives was synthesized by reacting 2-(3-hydroxybenzylidene)-2,3-dihydro-1H-inden-1-one with substituted benzoyl chlorides in the presence of 5% aqueous sodium hydroxide solution to afford compounds 1a-1f. The structures of the synthesized compounds were confirmed by spectral analysis. The compounds were evaluated for their anti-amnesic and AChE inhibitory activity using passive avoidance step-down and *Ellman* methods, respectively. Trimethoxy-substituted compound 1f has been found to be more potent as compared to the standard drug Donepezil. Among the molecules screened for the AChE inhibitory activity, compound 1c was found to block the enzyme effectively as compared to other derivatives. Thus, the synthesized indanone based compounds have shown promising and potent anti-acetylcholinesterase activity.

Keywords: Indanone, cognition, acetylcholinesterase, neurodegeneration



1a-1f

Compound	R
1a	2-Fluoro
1b	3-Chloro
1c	3-Trifluoromethoxy
1d	4-Chloromethyl
1e	4-Nitro
1f	3,4,5-Trimethoxy

B-111

QSAR and docking study of pyrazole derivatives as BRAF kinase inhibitors

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Abstract:

In the present study quantitative structure activity relationship studies were performed on a series of pyrazole derivatives as BRAF kinase inhibiting activity using ChemDraw Ultra 8.0. The best model was selected having a correlation coefficient (r^2) of 0.8248 and cross-validated correlation coefficient (q^2) of 0.6851. The information generated from the present study may be useful in the design of more potent substituted compounds 4,5-dihydro-1H-pyrazole niacinamides as BRAF kinase inhibitors. The docking study performed gave the best MolDock score of Compound 1_18 to be -177.294. Also the interactions that matched with pdb 3D4Q downloaded from RCSB were Cys532, Lys483 and Glu501.

Keywords: 2D QSAR, Docking, Pyrazole, BRAF kinase inhibitors, MLR, VALSTAT, MOLEGRO.

B-112

Study of Antimicrobial and Anti-Inflammatory Activities of Newly Synthesized 2, 3-Disubstituted Thiazolidinones Derivatives

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Abstract:

The novel derivatives (4a-4j) of 2, 3-disubstituted thiazolidinones was synthesized in good yield by the reaction of aromatic aldehydes with thiosemicarbazide to give thiosemicarbazones, which was then cyclized with ferric chloride to give 1,3,4-thiadiazoles. 1,3,4-thiadiazoles on reaction with various aromatic aldehydes yielded various Schiff bases. The Schiff bases were cyclized with thioglycolic acid to give the final products *i.e.* 2-aryl-3-(5-aryl-1,3,4-thiadiazol-2-yl)-1,3-thiazolidin-4-one derivatives. All derivatives characterized by elemental and spectral analysis (IR, ¹H NMR, Mass) then screened for antimicrobial and anti-inflammatory activities. Synthesized derivatives have shown moderate activity. As results the compound 4j, 4d, and 4c were found significant from this series.

Keywords: Thiazolidinone, Thiadiazole, Aldehydes, Schiff bases, Antimicrobial, Anti-inflammatory.

B-113

Synthesis, Characterization and Biological Evaluation of Benzimidazole Derivatives as Potential Anxiolytics

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Abstract:

Considerable attention has been focused on the synthesis of benzimidazoles due to their broad spectrum of biological activities such as anti-parasitic, fungicidal, anti-helminthic, antimicrobial, antiviral, anti-diabetic, anticancer, anti-hypertensive, anti-anxiolytic and anti-inflammatory activities. As a part of our research work in this area, a series of benzimidazole derivatives were synthesized in good to high yields by reaction of *o*-phenylenediamine and different aromatic aldehydes in the presence of Ammonium Chloride NH₄Cl, as an efficient catalyst at Room temperature. This environmentally benign and practical method offers several advantages, such as high yields, use of available catalyst, mild reaction conditions

and easy workup. All synthesized compounds were characterized by using LCMS, IR and NMR spectroscopy. The synthesized benzimidazole compounds were screened for chronic anti-anxiety activity in albino rats by using Light and Dark box model with standard Buspirone. Rats were placed individually in the illuminated part of the light and dark box apparatus and behavioral parameters were recorded during the test session of 5 minutes. All benzimidazole derivatives have shown potent anti-anxiety effect. However benzimidazole analogue (3e) exhibited an increase in number of entries in light box (5 ± 1.46) and time spent in light box (244.33 ± 1.96 seconds) when compared to control rats (0.66 ± 0.12, 19 ± 1.84). This indicates that the benzimidazole derivatives have a significant anxiolytic potential. Buspirone (1 mg/kg) exhibited higher anxiolytic potential than that of test compounds.

Keywords: Aromatic aldehydes; Ammonium Chloride, *o*-Phenylenediamine, room temperature, light and dark box apparatus, buspirone.

B-114

Anticonvulsant, Anti-Inflammatory and Analgesic Activity of Novel Derivatives of 2{5[4-Morpholin-4-yl-Phenyl]}-1,3,4-Oxadiazole-2yl}Sulfonyl Acetohydrazide.

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Abstract:

Oxadiazole types of five membered heterocyclic compounds contain oxygen and two nitrogen atoms at position 1, 3 and 4 positions respectively. These derivatives are synthesized by both conventional as well as microwave assisted. As with the azoles, this is also an electronegative ring system with weak basic characteristics due to the inductive effects of the extra hetero atoms. Oxadiazoles are susceptible to nucleophilic attack as because it readily undergoes ring cleavage with aqueous acid or base hence both carbon positions are substituted. 1,3,4-oxadiazoles also display a wide spectrum of activities such as antibacterial, antimalarial, anti-inflammatory, antifungal and anticonvulsant, antihistaminic, anticancerous, and antihypertensive activities. Hence, some new 1,3,4-oxadiazoles are synthesized and biologically evaluated as anticonvulsant, anti-inflammatory and analgesic according to reaction sequence outlined in scheme. From

these 1,3,4-oxadiazoles act as starting material for the synthesis of various derivatives of 1,3,4-oxadiazoles. With the aim of obtaining the new broad spectrum various 1, 3, 4-oxadiazole derivatives, which will devoid of side effects associated with current therapy.

Keywords: 1,3,4-oxadiazole, Morpholine and anticonvulsant activity.

B-115

Design, Synthesis and antidepressant activity of some new bioactive 1-(4-substituted-phenyl)-3-(4-oxo-2-methyl/phenyl-4H-quinazolin-3-yl)-urea

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Abstract:

Depression is a state of low mood and aversion to activity that can affect a person's thoughts, behavior, feelings, and sense of well-being. The search of effective and novel antidepressant with more potential and lower toxicity continues to be an area of demanding investigation in medicinal chemistry. The potency and selectivity in the pharmacological response of quinazolines as antidepressant have attracted the attention of many researchers to explore this framework for its biological activity. For the development of new synthetic strategies and their antidepressant potential based on the most recent knowledge emerging from the latest research the design, synthesis and antidepressant activity of some novel 4(3H)-quinazolinone derivatives have been carried out. A new series of 4(3H)-quinazolinone analogues was designed and synthesized to get the target compounds **1-8, 9-16**. The obtained compounds were evaluated for their antidepressant activity using two well-known models i.e. elevated plus maze and Open Field behavior in rats. Compounds proved to be the most active in this study with a remarkable protection against depression suggest that substituents at the 2 and 3 positions are important in the generation of derivatives with strong activity.

B-116

Recent Developments on α -Glucosidase Inhibitors as Antidiabetic Agents

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Abstract:

Coumarins are the member of the benzopyrone family which consist a benzene ring fused with a pyrone ring system. Coumarins shows a great antidiabetic potential with minimum side effects. α -Glucosidase (EC 3.2.1.20) is an enzyme involved in breaking down complex carbohydrates such as glycogen and starch into its monomers. In this review study, we have discussed about structural activity relationship studies of coumarin derivatives that put light on minimum structural requirements for α -Glucosidase inhibition activity and different chemical groups responsible for antidiabetic potential of coumarin derivatives. In future, these coumarin derivatives can be used as potent antidiabetic agents.

Keywords: Coumarin, α -Glucosidase, SAR, Antidiabetic.

B-117

Synthesis, characterization and evaluation of 5-(4-substituted arylidene-2,4-thiazolidinedione) derivatives as Potential Antimicrobial Agents

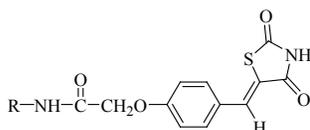
Pooja Chawla, Karuna S. Shukla and Shailendra Pandey

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pvchawla@gmail.com

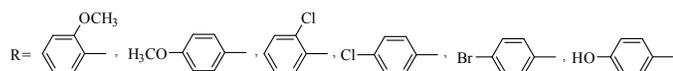
Abstract:

A new series of thiazolidine-2, 4-dione derivatives (**4A-4F**) have been synthesized and characterized by physico-chemical, elemental (C, H, N), FT-IR, mass and ¹HNMR spectral analysis. All the synthesized derivatives of thiazolidine-2,4-dione were evaluated for antimicrobial activity. Antimicrobial activity was carried out using agar well diffusion assay method against selected Gram-positive (*Staphylococcus aureus* MTCC 1430, *Bacillus subtilis* MTCC 0441), Gram-negative (*Escherichia coli* MTCC 1573, *Pseudomonas aeruginosa* MTCC 2453) and fungal strain (*Aspergillus tubingensis* MTCC 2546) and the activity expressed as the diameter of zone of inhibition in millimeter (mm). From the results of antimicrobial activity compound **4E** 2-(4-((2,4-dioxothiazolidin-5-ylidene) methyl)phenoxy)-

N-(4-bromophenyl) acetamide was found to be most active against all the tested strains of microorganisms with the zone of inhibition 16.6-18.5 mm when compared with standard drug ciprofloxacin, norfloxacin and fluconazole as it has *para* bromo substitution at phenyl ring. From these results, it was clear that the compounds substituted with halogens on the phenyl ring at *para* & *meta* positions enhanced the antimicrobial activity (Br Cl). These results indicate that further optimization of thiazolidine-2, 4-dione derivatives may provide a new class of broad spectrum antimicrobial agents.



2-(4-((2, 4-dioxothiazolidin-5-ylidene) methyl)



Keywords: Antibacterial, antifungal, Knoevenagel condensation, Thiazolidine-2, 4-dione,

B-118

Synthesis and Antimicrobial Activity of imidazo[2,1-b][1,3,4]thiadiazole derivatives

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ASBASJAM college of Pharmacy, Bela, Ropar, India
vkguptaa@gmail.com

Abstract:

Thiadiazole is a heterocyclic compound containing both two nitrogen atoms and one sulfur atom as a part of the aromatic five-membered ring. The imidazo [2,1-b]-1,3,4-thiadiazole ring system is the core skeleton of well known immunomodulator levamisole. The present study involves the synthesis and evaluation of antimicrobial activity of nine 6-Phenyl-2- substituted imidazo [2,1-b]-1,3,4-thiadiazole derivatives against Gram +ve bacteria *Bacillus subtilis* (MTCC 121), *Staphylococcus aureus* (MTCC 87), Gram -ve bacteria *Pseudomonas aeruginosa* (MTCC 424), *Escherichia coli* (MTCC 40), and fungal strains *Candida albicans* (MTCC 183), *Fusarium solani* (MTCC 2935), *Fusarium oxysporium* (MTCC 2840). Ciprofloxacin and Fluconazole were used as standard drug for antibacterial and antifungal activity respectively. The synthesized compound (6) and (5b) had moderate antibacterial activity especially with Gram negative *Escherichia coli* (MTCC 40) where (5a) and (5f)

had overall good antibacterial activity.

Keywords: Thiadiazole, Antimicrobial, Imidazo [2,1-b]-1,3,4-thiadiazole, Thiosemicarbazide

B-119

Green synthesis and Characterization of O-Amino Amide of 1,5-benzodiazepine from Ortho phenylene diamine by Multi component reaction

Jyothi kuncham, Marivada sirisha(s), Guruvelli aparajitha and Kakileti pravallika

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Abstract:

In the current research, O-amino amide of 1, 5 benzodiazepines were Synthesized from Ortho Phenylene diamine and characterized. The main objective was to synthesize 1, 5 benzodiazepine by utilizing green chemistry techniques to overcome multistep synthesis by using conventional method which is not ecofriendly also to enhance percentage of yield and to characterize by Using IR, NMR and Mass. The synthesized benzodiazepines were characterized for their structures by the help of FTIR, NMR (1H & 13C) and Mass. All The spectra's confirmed the formation of 1, 5 benzodiazepine with very much high percentage of yields (73% - 81 %). By this we can conclude that this present green synthesis may be utilized to replace the conventional procedures which are relatively yielding less and with high amount of impurities, We can say that this may become a very good alternative procedure to synthesize 1, 5 benzodiazepine by carrying out furthermore research in this method.

Keywords: 1, 5 benzodiazepine, Ortho phenylene diamine, Green chemistry techniques FTIR, NMR (1H & 13C) and Mass, Percentage yields.

B-120

Synthesis, Characterization And Pharmacological Activity Of Novel 1,5 Benzodiazepines

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Abstract:

An Eco-friendly synthesis of 1,5-Benzodiazepine was carried out. 1,5-Benzodiazepine is finding numerous applications, These are widely used as Sedative, Hypnotics and a Antidepressant agents. Hence these 1,5-Benzodiazepine was synthesised by condensation of Ortho phenylene diamine and various Ketones (acetone, acetophenone and cyclohexanone) in various acids (formic acid, acetic acid, oxalic acid, tartaric acid). The novel synthesized compounds were characterized by Melting Point, IR, & Mass spectra. These synthesized compounds were subjected to acute oral toxicity study, Skeletal Muscle Relaxant Activity by Rota-rod apparatus and anti catatonia activity. The Diazepam was used as standard drug for both activities. The tested compounds exhibited significant skeletal muscle relaxant as well as anti catatonia when compared to that of standard.

Keywords: 1,5-Benzodiazepine, Ortho phenylene diamine, Ketone, Skeletal muscle relaxant activity, catatonia activity

B-121

Study of Structure Based Drug Design for 3-Acetylcromene-2-One and Its Derivatives as Cox-ii Inhibitor

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Abstract:

Coumarin are a class of lactones and their derivatives have been found to be inhibitors of cyclooxygenase and lipoxygenase in the arachidonic acid pathway of inflammation suppression. In this paper we have made to develop the docking studies of six different 3-Acetylcromene-2-one derivatives with cyclooxygenase-2 (COX-II) inhibitor (PDB-Code 1CX-2) to identify potential candidates with minimum dock score for anti-inflammatory activity. Molecular docking analysis was carried out to better understand the interaction between ICX-2 target and inhibitors in this series. By using Argus lab, HEX, and bubble soft wares we attempt to evaluate the anti-inflammatory activity were subjected to the docking studies. The docking study revealed that the title compounds have good interaction with final C-chain of ICX-2 and compounds 4C and 5C are potential candidates as anti-inflammatory are potential candidates as anti-inflammatory agent because of the highest negative dock

score (-4.669672 of compound 2 (c) -4.324429 of compound 2(e)). The results of the docking studies were found to endorse the result of the experimental work in future. Therefore it can be said that the strategy employed can serve as an important tool in future for the design and development of novel therapeutic agents with minimal side effects of various categories too.

Keywords: Cyclo-oxygenase-2(COX-II) inhibitor, 3-acetylcromene-one, inflammation, molecular docking.

B-122

Designing hypothesis of Thiazolidinedione derivatives as HIV-1 inhibitors: Docking approach

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Abstract:

Human immunodeficiency virus (HIV) is the virus that causes AIDS. When a person becomes infected with HIV, the virus attacks and weakens the immune system. In the given study molecular docking study was performed on the Thiazolidinedione analogs as HIV-1-RT inhibitors (PDB code: 1RT2). Molecular docking result revealed that most active compound to the active site of protein with amino acid Gly93, Asn-137, Gln-161. Docking studies of the compounds was done with the help of Molegro Virtual Docker software using docking method to study their activity.

Keywords: Thiazolidinedione analogs, HIV-1 inhibitors, molecular docking.

B-123

Preparation, Characterization and Evaluation of Safety and Efficacy of Atorvastatin co-Crystals

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Abstract:

In the current research area, Atorvastatin co-crystals were synthesized characterized and evaluated for its safety

and efficacy. The goal of this present research work is to prepare, characterize, to evaluate the safety and efficacy of atorvastatin co-crystals. The prepared atorvastatin co-crystals were characterized for its formation co-crystals by IR, DSC, SEM, XRD evaluated for its antihyperlipidemic and hepatoprotective activity. Spectral data confirmed the formation of co-crystals by IR initially followed by difference in DSC graph and finally confirmed by SEM results with average particle size of 240-255 nm. Biological evaluation data further proved the synergistic effect nicotinic acid and also ascorbic acid taken with atorvastatin in a co-crystal, also safety and efficacy was confirmed by the hepatoprotective activity of Co-crystals. Here with putting all the data together we can say that these Atorvasatin co-crystals as good safety and efficacy related to hepatoprotective which is lacking in normal pure atorvastatin. Therefore by carrying out further research on these co-crystals we could expect some potential drug candidates to come up with better safety and efficacy profiles in the mere future.

Keywords: Atorvasatin, nicotinic acid, central ascorbic acid, co-crystals, IR, DSC, SEM antihyperlipidemic, hepatoprotective activity

B-124

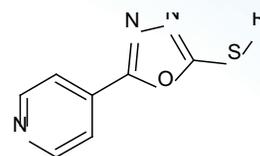
Antimicrobial Activity Of 4-[5-(Substituted Sulfanyl)-1,3,4-Oxadiazol-2-yl]pyridine

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Abstract:

A series of 4-[5-(substituted sulfanyl)-1,3,4-oxadiazol-2-yl]pyridine **2a-2e** were synthesized from isoniazide. Isoniazide was converted to 5-(pyridin-4-yl)-1,3,4-oxadiazole-2-thiol **1** by treating with carbon disulphide in the presence of potassium hydroxide. Then, the compound **1** is treated with substituted alkyl halides and potassium hydroxide. The synthesized compounds were further characterized by IR, NMR, Mass spectra and elemental spectral data. They were evaluated for antimicrobial activity against the *Staphylococcus aureus*, *Bacillus cereus*, *Escherichia coli*, *Pseudomonas aureginosa* and antifungal activity against *Aspergillus niger* and *Aspergillus flavus*. All the compounds had shown mild to good activity against selected strains.



B-125

Design synthesis and *in silico* Studies of Propargyl Pyrimidines as Putative Ligands to Target Alzheimer's Disease

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Abstract:

Brain is one of the most important and conscious organ which has control over all major and minor activities of human body. Therefore, any kind of interruption in the working of it causes difficulties in day to day living. Alzheimer's disease is remarkably progressive disease spreading all over the world. There is a very limited number of drugs to control or manage this disastrous neurodegeneration of brain. Current drug therapies are unable to cure Alzheimer's disease properly and are restricted to the symptomatic relief only. MAO enzyme hyperactivity in Alzheimer patients made it a potential target for treating Alzheimer's disease. Propargyl containing moieties have been established in controlling the increased MAO enzyme activity in brain. So, we designed and synthesized propargyl pyrimidine derivatives. Further the *in silico* studies were performed on both MAO-A and MAO-B isoforms. Some compounds displayed good affinity when docked in MAO-A, whereas all of the synthesized compounds have shown better interactions with MAO-B protein.

Keywords: Alzheimer's disease, neurodegeneration, MAO, Propargyl

B-126

Evaluation Of Anxiolytic Activity Of Substituted 1,2,4-Triazole Bearing Imino, Five Membered Heterocyclic Moieties

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Abstract:

Anxiety disorders are among the most common mental, emotional and behavioral problems affecting one-eighth of the total population worldwide, and have become a very important area of research interest in psychopharmacology. It is increasingly recognized as a highly prevalent and chronic disorder with onset during the teenage years, with an incidence of 18.1% and a life time prevalence of 28.8%. The disorder is associated with significant disability (including educational and occupational) which has a negative impact on the quality of life. Anxiety represents a heterogenous group of disorders, probably with no single unifying etiology; various psychodynamic, psychoanalytic, behavioral, cognitive, genetic and biological theories have been proposed to explain the etiology of anxiety disorders. Because of the side effects associated with current drugs, we are in search of newer drugs with better activity with less side effects. A series of 4-[(5-amino-1,3,4-thiadiazol-2-yl)methyl]-5-substituted phenyl-4*H*-1,2,4-triazole-3-thiol (**8a-d**), 5[(3-mercapto-5-substituted phenyl-4*H*-1,2,4-triazol-4-yl)methyl] 1,3,4-oxadiazole-2-thiol (**9a-d**), 4-[(5-mercapto-4-(4-substituted phenyl)-4*H*-1,2,4-triazol-3-yl)methyl]-5-substituted phenyl-4*H*-1,2,4-triazole-3-thiols (**10a₁-a₂-10d₁-d₂**), 2-(3-mercapto-5-substituted phenyl)-4*H*-1,2,4-triazol-4-yl)-N¹-[(1*E*)-substituted phenyl methylene]acetohydrazides (Schiff's bases) (**11a₁-a₆-11d₁-d₆**), 2-(3-mercapto-5-substituted phenyl-4*H*-1,2,4-triazol-4-yl)-N-(4-oxo-2-substitutedphenyl 1,3-thiazolidin-3-yl)acetamides (**12a₁-a₃-12d₁-12d₃**) were synthesized. All these synthesized compounds are characterized by IR, ¹H-NMR, ¹³C-NMR, Mass spectral analysis. The test compounds **8a-d**, **9a-c**, **10a₁**, **10b₁**, **10c₂**, **11a₁**, **11b₂**, **11c₃**, **12a₁**, **12b₂**, **12c₃** are screened for anxiolytic activity by Hole board test, Staircase test. All the test compounds are showed non-significant anxiolytic effect expect **8d**, **11a₁**, **11b₂**, **11c₃** which showed moderate activity when compared to standard.

Keywords: 1,3,4-thiadiazole, 1,3,4-oxadiazole, 1,2,4-triazole, 4-thiazolidinone, Anxiolytic

B-127

Development of a Computational Model for Indole Molecules Acting as Anti-Tubercular Agents

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Abstract:

In the present study computational modelling was performed followed by 3D QSAR on 97 indole molecules showing in-vitro anti-tubercular activity. The indole moiety was selected owing to its structural simplicity, high efficiency and minimal toxicity reported. These number of indole compounds were proposed to act via inhibiting MMPL3 protein. Owing to the absence of crystal structure of the protein, ligand based model development was chosen. Five sites from the moiety were selected as essential features and random models were generated. A pharmacophore with the best hypothesis i.e. ADDHRR.26 was selected based on a good score active and score inactive difference. Further, 3D QSAR was performed on this pharmacophore which yielded a R-Square of 0.86 and a Q-Square of 0.83. The correlation values were well within acceptable ranges which provided for the validation of the model and gave a platform for drug designing in future.

Keywords: Indole, 3D QSAR, pharmacophore.

B-128

Synthesis, Characterization of N-Substituted Tetrahydrocarbazoles and Evaluation of anti-oxidant activity

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Abstract:

A New Class of N-Substituted Tetrahydrocarbazole derivatives are prepared by in presence of reagents like glacial acetic acid leads the formation of Intermediate compounds substituted tetrahydrocarbazole (3a, 3b), by using cyclohexanone and phenyl hydrazine's using as starting material. The Intermediate compounds upon treating with 10% sodium hydroxide and substituted 4-amino-benzoyl chlorides (4a, 4b) gives (4aminobenzoyl) 1, 2, 3, 4 tetrahydrocarbazole derivatives. (5a, 5b). The structures of new derivatives are characterized by ¹H NMR, IR, and Mass spectral data. All the newly synthesized compounds were evaluated for their in-vitro anti-oxidant activity. Among these compounds the 5b shows good anti-oxidant activity due to the presence of the methyl functional group at 8th position.

Keywords: Tetra hydro carbazole, cyclohexanone, phenyl hydrazines, anti-oxidant.

B-129

Docking studies of pyrano[3,2-a]phenazine hybrid molecules as antitumor agents

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Abstract:

Cancer cells the normal control systems that prevent cell overgrowth and the invasion of other tissues are disabled. The docking analysis was performed on thirty poly-substituted pyrano[3,2-a]phenazine derivatives for anti-tumor activity, their biological activities. The docking analysis of the best docked molecules showed significant interactions with active-site amino acid residues. Designed compounds favours active site binding in various amino acid residues Arg48, Ser40, Thr266, Ser41, Ala66, Val252, Glu40, Ser18, Ile477, Arg68 and Met67 in binding pocket.

B-130

Applicability of Greener Approach for Pharmaceutical Institutions

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Abstract:

With the increasing concerns about the environmental protection, synthesis of organic compounds from raw materials through a Green Chemistry procedure is desirable. Green Chemistry insists that our synthetic objectives are achieved while assuming additional considerations related to the unnecessary environmental burden created during operations. A literature search may provide no current alternative with similar efficiency and reduced toxicity, but many do not realize that the simple act of inquiry toward reduced toxicity already indicates a new priority and intent, a higher level of awareness and environmental stewardship, and is Green Chemistry. In some cases a safer reagent will exist. In various pharmaceutical laboratory procedures there is a need to switch the manuals from traditional conventional synthesis to some novel safer pathway. It is better to prevent waste than to treat or clean up waste after it is formed. Environmental concerns in

synthetic chemistry have led to a reconsideration of reaction methodologies. The design of greener processes must be developed as an alternative pathway like, solvent-free reaction. Microwave assisted synthesis, aqueous mediated synthesis, use of supercritical fluids, eco-friendly and renewable solid acid catalysts etc. Using these greener approaches, many reactions have been reported for synthesis of small nucleus of medicinal importance. These methodologies, if used in laboratory procedures, will reduce or eliminate the use or generation of feedstock, products, by-products, solvents, reagents, etc., that are hazardous to human health or the environment.

Keywords: Green chemistry, solvent-free reaction, Microwave assisted synthesis

B-131

A Novel Molecule (1, 1-Dimethyl-3-Phenyl-3-(5-Phenyl-1, 3, 4-Thiadiazol-2-Yl) Urea) Have Antiproliferative Activities against A Leukemia Cell Line -K562

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A b s t r a c t :
Cancer is deliberate to be caused by the interaction between genetic susceptibility and environmental toxins. Based on the DNA changes in cells, proliferating cycle of tumor cells can be divided into 4 phase's Pre-synthetic phase (Gap 1 phase or G1 phase). The antiproliferative activities of these compounds were evaluated against a Cytotoxicity analysis of compounds against leukemia cell line -K562 organism homo sapiens (human) organ bone - marrow .Tissue - lymphoblast, disease - chronic myelogenous leukemia (CML) one human tumor cell lines (K562) by applying the MTT colorimetric assay. The 1, 3-disubstituted urea derivatives show good antiproliferative activity against human cancer cell lines (K562). Generally, an aromatic ring on N-3 seems to be in favor of enhancing the inhibitory activity, compounds introduced a Nitro group substituted at C-3 position on the aromatic ring approved to generally decrease activity. Cells were incubated with different concentrations of the extract for 5 days in a 96 well plate, after which the live cells which did not take in stain and dead cells which took in stain were counted. For counting the cell suspension was mixed with an equal volume of trypan blue and was counted. A concentration that inhibited the growth of cells at 50% (IC50) was computed. Substances with low IC50 indicate potential for cytotoxicity. (A) 1, 1-dimethyl-3-phenyl-3-(5-phenyl-1, 3, 4-thiadiazol-2-yl) urea was found higher activity

Keywords: Cancer, urea derivative, antiproliferative activities, malignant behavior.

B-132

Synthesis and *In-Silico* Evaluation of Some New Substituted Benzopyrones as Potential Antidepressant Agents

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Abstract:

A literature revealed that heterocyclic ring system such as substituted benzopyrone and thiazole have received much attention during recent years on account of their prominent potential as cardiogenic, analgesic, anti-inflammatory effects, antimicrobial, antibacterial and herbicidal activities. The biological importance of benzopyrones and thiazoles prompted us to synthesize some new compounds having both the ring systems, with a view to screen them for their antidepressant activity. The identities of all new compounds, synthesized during the course of present investigations have been established through their elemental analysis and their spectral characteristics. The synthesized derivatives were also analyzed for their antidepressant potential on the basis of *in silico* analysis via molecular docking studies.

Keywords: Benzopyrones, Thiazoles, Docking study, Antidepressant activity

B-133

An Antimicrobial Agents Based on the Guanidine Derivative Synthesis and Evaluation of *In-vitro* Activity

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Abstract:

A substituted guanidine derivative was synthesized with the aim of developing potential antimicrobials. It was characterized by NMR, Mass spectroscopy, ultraviolet spectroscopy, infrared spectroscopy, and elemental analysis.

In addition, the *in vitro* antibacterial and antifungal properties were tested against some pathogenic microorganisms by employing the Compounds were tested for possible antibacterial and antifungal activity by cup-plate method and minimum inhibitory concentration determined by solid dilution and broth dilution method. All compounds showed activity found to be more against gram positive bacteria than gram negative bacteria. (*Staphylococcus aureus*), one gram negative (*Escherichia coli*) bacterial strain and fungal strain (*Candida albicans*). The relationship between the functional group variation and the biological activity of the evaluated compounds were well discussed. Based on the results obtained, compound-2 [1-Diphenylmethyl] guanylpiperazine], Compound-3 (guanylpiperazine) was found to be very active compared to other compounds which were subjected to antimicrobial assay.

Keywords: Guanidine, Antimicrobial activity, Antifungal activity

B-135

Synthesis, Characterization And Biological Evaluation Of Some New Novel Substituted-1,3-Thiazine Analogues

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Abstract:

In the present investigation, a new series of 2-amino-4-phenyl-6H-1, 3-thiazine indolin-2-one derivatives were synthesized from 1,3-thiazine derivatives. In the beginning acetophenone which underwent Claisen-Schmidt condensation, was dissolved in ethanol and various substituted aromatic aldehydes were added in the presence basic media to afford chalcones. Further these various chalcones were subjected to cyclocondensation with thiourea, in ethyl alcohol, catalyzed by aqueous potassium hydroxide to afford 1, 3-thiazine derivatives. Now these 1,3-thiazine derivatives were refluxed with substituted isatin catalyzed by glacial acetic acid in ethanol to afford 2-amino-4-phenyl-6H-1,3-thiazine indolin-2-one derivatives. The structures of the newly synthesized compounds have been characterized by their IR, ¹H NMR ¹³C NMR, MS spectral data and elemental analysis. These newly

synthesized compounds were screened for their antimicrobial activity. The *in vitro* antimicrobial activity has been carried out by cup plate method and minimum inhibitory concentration value was determined for the titled compound by agar streak dilution method.

Keywords: Claisen-Schmidt; Schiff Base; Thiazine Derivatives; Antimicrobial Activity.

B-136

Synthesis and evaluation of anti-oxidant activity of 1,2,4-triazole derivatives of *p*-aminobenzoic acid

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Abstract:

A series of eight derivatives of 1,2,4-triazoles in the form Schiff base complexes were synthesized. The initiating reaction was done by reacting hydrazine hydrate with carbon disulphide. This resulting molecule obtained was thiocarbohydrazide. Thiocarbohydrazide was melted with *p*-aminobenzoic acid led to the formation of 1,2,4-triazole. This molecule was refluxed with eight aromatic aldehydes led to formation of Schiff base complexes. All the reactions were monitored by thin layer chromatography. The structure of molecules was determined by using FTIR, NMR and mass spectroscopy. After that synthesized molecules were subjected for anti-oxidant activity. The anti-oxidant activity of the complexes was estimated by conducting DPPH radical scavenging assay. Ascorbic acid was taken reference. On comparing the IC₅₀ value of compounds with ascorbic acid, it was observed that out of all synthesized compounds only one or two molecules showed significant anti-oxidant activity.

Keywords: *p*-Aminobenzoic acid, Anti-oxidant activity, 1,2,4-triazole, Schiff complexes.

B-137

New Molecular Insight of Curcumin Analogues as Novel ALR2 Inhibitors

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Abstract:

Naturally occurring curcumin demonstrates relatively specific and non-competitive inhibition of human recombinant aldose reductase (ALR2) when compared to other members of aldo-ketoreductase (AKR) superfamily *viz.* ALR1 and AKR1B10. ALR2 is the most legitimate target for the management of diabetic complications. The major hurdles in the drug development against ALR2 are its close structural similarity with ALR1 as well as AKR1B10, lipophilicity problem *i.e.* poor diffusion of synthetic aldose reductase inhibitors (ARIs) to target tissues, and presence of β -diketone moiety which is specific substrate for liver AKRs accountable for its rapid *in vivo* metabolism. In the present study, a data set of synthetic curcumin analogues and naturally occurring curcuminoids was opted to map pharmacophoric features of curcumin analogues responsible for their ALR2 specificity along with potency using structure based drug designing tools. The different chemico-biological interactions of curcumin analogues and ALR2 were explored to quantify their binding affinity. The data set molecules were also screened for drug-likeness; the result showed that curcumin analogues could be proposed as a good drug candidate for the development of ALR2 inhibitors with improved pharmacokinetic profile compared to curcuminoids due to the absence of β -diketone moiety in their chemical structure.

Keywords: ALR2, curcumin analogues, molecular docking, structure based drug design

B-138

Docking Studies of Enaminone Derivatives with Phosphoglycerate Mutase

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Abstract:

Climatic changes in the world lead to the recurrence of subsided infectious diseases like anthrax, *Pseudomonas* and *Staphylococcus* infections. In addition to this, resistance of antimicrobials paves the way for small molecule anti microbials drug discovery. As an initiative to the above problem, docking of small molecule for their anti microbial properties was done with the Molegro Virtual Docker (MVD) software. The study was designed with nine enaminones (E1-E9) derivatives and two Phosphoglycerate Mutase (iPGM) proteins (4NWJ and 5KGN). The 3D structures of enaminones were drawn using ChemDraw,

energy minimization with ArgusLab. Among the docked derivatives, E6 have shown good docking score (-79.7135 and -103.942) than the co-crystallised ligands of 4NWJ, 5KGN. Compounds E4, E3 and E2 also exhibited significant affinity.

Keywords: Enaminones, Phosphoglycerate mutase, Docking, Molegro Virtual Docker.

B-139

Synthesis, Docking, Characterization & Anti-inflammatory Activity of Novel Coumarins

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Abstract:

Coumarin belongs to a group of benzopyrones, which consists of a benzene ring joined to a pyrone nucleus. Coumarin (2H-chromen-2-one) is a fragrant organic chemical compound, which is a colorless crystalline substance in its standard state. It is a natural substance found in many plants. In the present study, the substituted coumarins were synthesized by the Pechmann reaction of substituted phenols and ethyl acetoacetate in the presence of concentrated sulphuric acid to get the resultant products. 7-hydroxy-4-methyl coumarin was reacted in the presence of sodium hydroxide with commercially available aliphatic & aromatic acids and halides to obtain esters & ethers. Total ten compounds were synthesized using substitution with electropositive & electro negative groups including aromatic groups. The compounds were then subjected to Dock study using software like Auto dock tools & their dock scores were calculated. An interesting observation had been made with the results that the substitution at position 3, 4 & 7 in the coumarin ring was essential for the proper binding with the PDE4B. The compounds showed significant anti-inflammatory activity when compared to standard drug.

Keywords: coumarin, docking, PDE4B, Pechmann, inflammation

B-140

Synthesis, *in vitro* antitubercular and antimicrobial Activities of novel oxadiazoles synthesized from benzimidazole and benzothiazole

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Abstract:

Five membered heterocyclic moieties like oxadiazoles, imidazoles, thiazoles have been reported to possess diverse pharmacological activities like antitubercular²⁶, anticonvulsant⁶⁰, anti-inflammatory¹⁸, analgesic¹⁹ and antidepressant¹⁰. Oxadiazole is a crucial pharmacophore in drug discovery which belongs to an important family of heterocyclic compounds and various synthetic approaches have been documented. Hence it is proposed to synthesize certain oxadiazole derivatives derived from acid hydrazide and to screen them for *in vitro* antitubercular and antimicrobial activities. 1, 3, 4-oxadiazole derivatives were synthesized from various substituted aryl moieties and hydrazide derivatives of benzimidazole and benzothiazole. The hydrazide derivatives were treated with substituted aryl acids in presence of phosphorous oxy chloride to form respective oxadiazoles. The structures of the synthesized compounds were established on the basis of chromatographic and spectroscopic techniques. The synthesized compounds were screened for *in vitro* antitubercular activity by MABA method and *in vitro* antimicrobial activity by serial tube dilution method. Out of them, only **1d₂**, **2d₂**, **2d₃** & **2d₆** exhibited good to moderate antitubercular activity. The compounds **1d₂**, **1d₆**, **2d₂** & **2d₆** showed significant antibacterial activity. **1d₂**, **1d₅** & **2d₆** showed significant antifungal activity. The results highlighted that some of the synthesized oxadiazoles are potential lead compounds in the search for novel antitubercular agents.

Keywords: Oxadiazoles, Benzimidazole, Benzothiazole, Antitubercular and Antimicrobial.

-141

Synthesis and Evaluation of *in vitro* Glucosidase inhibitor activity of Ethyl 1,2,3,6-tetrahydro-5-(1,3-dioxoisindolin-2-yl)pyrimidine-4-carboxylate derivatives

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Abstract:

In the present work tetrahydropyrimidones are

synthesised from enaminones by Biginelli condensation using citric acid as catalyst. Biginelli condensation is condensation of α,β -unsaturated carbonyl compound with an aldehyde, urea in presence of acid to produce dihydropyrimidone. Enaminones for tetrahydropyrimidone synthesis is synthesized from ethylacetoacetate and phthalimide in presence of ceric ammonium nitrate (CAN). The synthesized compounds are characterized by TLC, IR. The tetrahydropyrimidones are evaluated for *in vitro* glucosidase inhibitor activity with comparison to the standard voglibose.

Keywords: Tetrahydropyrimidones, enaminones, glucosidase inhibitory activity

B-142

COMFA & COMSIA studies of benzimidazole analogues as α -glucosidase

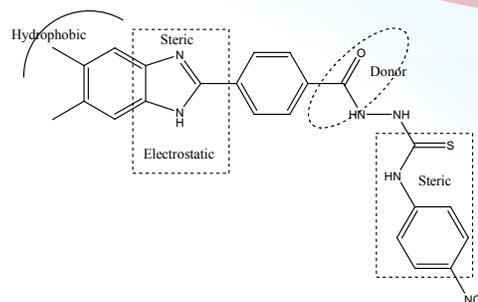
Inhibitors

Yogeshwari Hada, Naveen Dhingra and Rajesh Sharma

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Abstract:

α -Glucosidase is the hydrolase enzyme, found in the brush boarder surface in the intestine. It is one of the clinically validated target for the treatment of type 2 diabetes, since its inhibition delays the intestinal glucose absorption process. The major goal of the drug discovery is to predict behaviour of newly synthesized and pharmacologically evaluated derivatives. In view of it, for the present study, eighty four benzimidazole derivatives were selected from the literature and their properties were studied by CoMFA and CoMSIA. The values of statistical parameters; q^2 and r^2 for significant models of CoMFA and CoMSIA were found to be 0.703, 0.965 and 0.584, 0.713, respectively. The difference between r^2 and q^2 is less than 0.3 which showed the statistical significance of both the models. Further, structure activity relationship was generated from the contour maps of CoMFA and CoMSIA and it will be used for the designing of novel benzimidazole derivatives with improved pharmacological activity with lesser side effects.



Keywords: Benzimidazole, α -glucosidase inhibitors, COMFA, COMSIA

B-143

Synthesis of Novel Indanone Derivatives and Identification of Their Dimers for Breast Cancer Therapy

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Abstract:

Breast cancer is the most common invasive cancer in women and it has four different stages. Breast cancer can be ductal carcinoma, which begins in the milk duct and the most common type lobular carcinoma, starts in the lobules. Aromatase is an enzyme that mediates the conversion of androgens to estrogens in the final step of the steroid biosynthetic pathway and it leads to overproduction of estrogen. Estrogen is the main hormone involved in the development of breast cancer. In contrast, aromatase inhibitors markedly suppress plasma estrogen levels in postmenopausal women by inhibiting aromatase. Indanone derivatives possessing different substitution exhibit potent aromatase inhibitory activity. Non Steroidal derivatives of indanones such as 2-(4-pyridylmethylene)-1-indanone and pyridylmethyl analogue have been reported as potent anti carcinogenic agents. Aldol condensation of 1-indanone with various aldehydes in basic medium afforded unexpected dimeric product in some cases. Although treatment with pyridine-3-carboxaldehyde resulted in the formation of dimer, the reaction with imidazole-2-carboxaldehyde afforded 2-imidazolylidene indanone monomer. The newly synthesized compounds were characterized by elemental and spectral analysis. It was observed that during the synthesis of 2-arylidene indanone derivatives, reveals monomers formed from the aldehydes having electron withdrawing substituents at *para* position facilitate the formation of dimers. The presence

of electron donating groups at the *meta* position also facilitate dimer formation. All the newly synthesized compounds were evaluated for aromatase inhibitory activity.

B-144

Synthesis, Characterization and Biological Evaluation of Some Novel Pyrimido [4, 5-B] Quinoline Derivatives

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Abstract:

A series of thirty novel pyrimido [4, 5-b] quinoline derivatives were synthesized and identified by spectral analysis. The pyrimido [4, 5-b] quinoline derivatives have been synthesized by reacting barbituric/2-thiobarbituric acid, substituted aldehyde and substituted aniline using L-proline as Organocatalyst through conventional method. Their chemical structures were identified by spectral analysis like FT-IR, ¹H NMR, and Mass spectrum. All the synthesized compounds of pyrimido [4, 5-b] quinoline derivatives were screened for their possible antimicrobial activities like antibacterial and antifungal activity by Well diffusion method. Two gram positive bacterial strains *Staphylococcus aureus* and *Bacillus subtilis* and two gram negative bacterial strains *Escherichia coli* *Shigella* were used for antibacterial and four strains for antifungal study namely *Aspergillus flavus*, *Candida albicans*, *Aspergillus niger* and *Ustilago maydis* were used. The synthesized compounds have exhibited weak to more potent antibacterial and moderate to more potent antifungal activity. In both antibacterial and antifungal activity study the synthesized derivatives are categorized as more potent derivatives, equipotent derivatives, near equipotent, stronger, moderate and weaker against the test microorganisms compared to standard drug used.

Keywords: Pyrimido [4, 5-b] quinoline, Spectral analysis, Antibacterial activity, Antifungal activity.

B-145

Synthesis of Thiazolidinone Derivatives Aided By Microwave

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Abstract:

A series of Thiazolidinone derivatives were synthesised using the microwave. The microwave aided synthesis method is a very easy, eco-friendly, reduces reaction time, increases yield and uses lesser amount of solvent. Many derivatives of thiazolidinone have been synthesized using this techniques. The presence of the thiazolidinone moiety in the structure of many naturally occurring molecules with useful antibiotic, immunosuppressant and anticancer activity have been known. As per the literature survey, the compounds having thiazolidinone ring have been found to have number biologically significant activities. Thiazolidinone derivatives possessing antimicrobial, anti-hyperglycemic, anti-inflammatory, antitubular, antioxidant and antiviral activities. We have reported products obtained by microwave aided synthesis and screened them for their antimicrobial activity. Structures of all these compounds were later confirmed by IR, ¹HNMR and Mass Spectral Data. The synthesised compounds were thus evaluated for their antimicrobial activity.

Keywords: Thiazolidinone derivatives, microwave, antimicrobial activity

B-146

Pyrazole Substituted Diazenyl Chalcones: Synthesis and Antimicrobial Evaluation

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Abstract:

In the present investigation, pyrazole substituted diazenyl chalcones were synthesized by base catalyzed Claisen-Schmidt condensation of synthesized acetophenone dye with various synthesized substituted pyrazole aldehydes. The synthesized chalcone derivatives were characterized by various physico-chemical and spectral means like FTIR, mass and NMR spectroscopy. The synthesized derivatives were screened for *in vitro* anti-microbial activity against a number of Gram-positive, Gram-negative bacterial and fungal strains, using cefadroxil and fluconazole as standard drugs, by serial broth dilution method. The results revealed that derivative **6** was found to have good antimicrobial activity particularly against Gram-positive bacteria: *B. cereus* with MIC of 20 μM, Gram-negative bacteria: *E. coli* with MIC of 30 μM and fungal strain: *C. albicans* with MIC of 30 μM. The derivative **1** also exhibited good activity against *S. aureus* with

MIC of 20 μ M and *E. coli* with MIC of 70 μ M. Most of the synthesized derivatives were found to be inactive against *B. subtilis* and *K. pneumoniae*.

Keywords: Chalcones, antimicrobial, diazenyl, pyrazole

B-147

Synthesis and Evaluation of Antiulcer Activity of Benzimidazole Derivatives

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Abstract:

The present work was carried out to synthesize compounds with lesser side effects and more potent antiulcer activity. A dose of antiulcer drug sufficient to kill normal cells is often toxic to the normal tissue and leads to many side effects, which in turn, limits its treatment efficacy. In recent years, there has been a concerned search for the discovery and development of novel selective anti-ulcer agents, devoid of many of the unpleasant side effects of conventional antiulcer agents. Benzimidazole ring belongs to a privileged scaffold in modern medicinal chemistry, particularly in the discovery of novel antiulcer agents. The present study describes the synthesis of benzimidazole nucleus coupled with various aromatic aldehydes in presence of acid and evaluated for antiulcer activity. The synthesized compounds were confirmed by ¹H-NMR and IR spectrum. The Antiulcer activities of the compounds were evaluated. Almost all the tested compound possessed characteristic antiulcer activity. It proves that suitable structural modifications will have to be carried out to get novel compounds having potent antiulcer activity with least effect on normal cells. In future, the compounds can be modified further to bring about structural variations so that compounds with potent antiulcer activity can be obtained.

Keywords: benzimidazole, NMR and IR spectrum, invitro and invivo studies.

B-148

Novel Quinoxaline Derivatives- Design, Synthesis, and Pharmacological Evaluation

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Abstract:

The Formaldehyde-3-chloro-quinoxaline-2-yl-hydrazine derivatives possess many biological activities like antibacterial, antifungal, analgesic, anti-inflammatory, smooth relaxant, spermicidal etc. Formaldehyde-3-chloro-quinoxaline-2-yl-hydrazine derivatives were synthesized by a mixture of o-Phenylene diamine (27.9g, 0.25 mole) and oxalic acid (32.5g, 0.36 mole). To a mixture of 2, 3-dichloroquinoxaline 2 (2.98g, 0.015 moles) and hydrazine hydrate (1g, 0.02 mole), 50 ml of ethanol were added and refluxed for 3 hr. To an ethanolic solution of 2-chloro-3-hydrazinyl quinoxaline (3) (2.01g, 0.01 mole) was refluxed with DMF. A series of Schiff's bases of formaldehyde -3-chloro-quinoxaline-2-yl hydrazone were prepared and tested for their in vitro antimicrobial against 5 strains of microbes, which are *Bacillus Subtilis*, *Staphylococcus Aureus*, *Escherichia Coli*, *Aspergillus Niger* and *Rhodotorula Rubra*. So we found that electron withdrawing group essential for antimicrobial activity. The target compounds R2, R5, R6 were excellently equipotent against the microbial strains. R1, R3, R7, R8, R11 showed optimum equipotent activity, R9 and R10 were moderately active and R4 was mild active against the strains. The substitution on electron withdrawing groups in C-2 and C-4 of phenyl ring seen to be of great significance for antimicrobial activity. In the anti-inflammatory activity, % inhibition of protein denaturation (%) of all the synthesized compounds was recorded. Amongst all the synthesized compounds R1, R3, R5, and R11 showed better activity against protein denaturation (albumin) compared with standard Antipyrine.

Keywords: Antimicrobial activity, Formaldehyde-3-chloro-quinoxaline-2-yl-hydrazine, protein denaturation.

B-149

Quantitative Analytical Method Development for Pharmaceutical Formulation

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Abstract:

Analytical method development for pharmaceutical formulation must be validated to provide reliable data for regulatory submissions and it is concerned with quality of life. An analytical method is selected on the basis of criteria such as accuracy, precision, sensitivity, selectivity, robustness, ruggedness. Ilaprazole is a proton pump inhibitor (PPI) used in treatment of dyspepsia, peptic ulcer disease (PUD), gastroesophageal reflux disease (GORD/GERD) and duodenal ulcer. Literature survey reveals that Ilaprazole is developed by Il-Yang Pharmaceutical (Korea), and is still under clinical trials with US FDA. It has launched in Korea and China for the treatment of gastric ulcer, duodenal ulcer, gastroesophageal reflux disease and erosive esophagitis. The manuscript describes the development of UV spectrophotometric methods and the RP-HPLC Method for the quantitative estimation of Ilaprazole from its tablet formulation.

B-150

Synthesis and Antimicrobial Evaluation Of 2-(4-Substituted Phenyl)-3-(4-(4-Nitrophenyl)Thiazol-2-yl) Thiazolidin-4-One Derivatives

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Abstract:

A series of 2-(4-hydroxyphenyl)-3-(4-(4-nitrophenyl)thiazol-2-yl)thiazolidin-4-one derivatives (T1-T10) was designed and synthesized. All the titled compounds were evaluated for their antimicrobial. Antimicrobial Activity was performed by tube dilution methods against Gram positive bacteria: *S. aureus*, *B. subtilis*, Gram negative *E. coli*, and fungal strains: *C. albicans* and *A. niger*. Among the synthesized derivatives, compounds 2, 4 and 10 was found to be most active antimicrobial agents. These 4-thiazolidinone derivatives were characterized by IR and ¹H NMR spectral data.

Keywords: 4-Thiazolidinone, Hydrazone, Antimicrobial activity

B-151

Phytochemical and Pharmacological Activity of Stem Part of *Decalepis Hamiltonii*

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Abstract:

Decalepis hamiltonii, apocyanaceae is a handsome, more or less deciduous or medium to large shrub to a small to a small tree, up to 4 m in height. The extract of the methanol and ether showed high anti-angiogenic activity measured as scavenging CAM superoxide and hydroxyl radicals. The anti-angiogenic activity didn't correlate with the phenolic content of extract this results demonstrate the anti-angiogenic potency of the stem extract which could be the basis for its alleged health promoting potential of *Decalepis hamiltonii*. The indicate that the methanol extract *decalepis hamiltonii*. In dose dependent manner inhibits angiogenesis in vivo.

Keywords: *Decalepis hamiltonii*, antiangiogenic.

B-152

Synthesis, Structural Activity Relationship and Antimicrobial Activity Of New Oxadiazole Derivatives

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Abstract:

Widespread use of 1,3,4-oxadiazole as a scaffold in medicinal chemistry and its derivatives displayed wide range of broad spectrum of biological activities including anticancer, antimicrobial, antifungal, antitubercular, anti-inflammatory and analgesic, antihypolipdemic and anti-HIV activities. In the current exploration, a series of new oxadiazole were synthesized by performing coupling reaction between hydrazine hydrate and carbon disulfide/KOH. The structures of these compounds were confirmed by FT-IR, ¹H NMR. In vitro antibacterial and antifungal activities of these compounds were screened against the different strains such and minimum inhibitory concentrations (MIC) method. The results revealed that compound containing halogens, nitro group showed promising anti-microbial activity against various pathogenic microorganisms as compared to antibiotics Ciprofloxacin and Fluconazole.

Keywords: 1,3,4-oxadiazole, antimicrobial, Halogen

derivatives

B-153

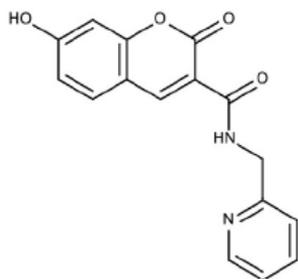
Highly selective fluorescence recognition of Fe³⁺ using 7-hydroxy-2-oxo-N-(pyridin-2-ylmethyl) chromene-3-carboxamide

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Abstract:

We have successfully designed and synthesized 7-hydroxy-2-oxo-N-(pyridin-2-ylmethyl)chromene-3-carboxamide (Probe 1, coumarin derivative). It exhibited significant selectivity towards Fe³⁺ over other biologically important metal ions (Cu²⁺, Al³⁺, Zn²⁺, Ba²⁺, Co²⁺, Cd²⁺, Sn²⁺, Hg²⁺, and Pb²⁺). Probe 1 exhibited high fluorescence I_{em} at 447 nm. Interestingly, upon addition of Fe³⁺ addition, there was ~30% decrease in fluorescence intensity. The limit of detection of Fe³⁺ was found to be ~40 ppb (0.76 μM). Probe 1 was further evaluated for its cell staining behaviour. It could exhibit bright blue fluorescence in the cytoplasm. This fluorescence disappeared upon addition to Fe³⁺. Probe 1 can be successfully used for the detection of Fe³⁺, particularly, in pathies due to Fe³⁺ deregulation.



Probe 1

Keywords: Fluorescence, Fluorescent probe, Fe³⁺, cell staining, coumarin

B-154

Novel Coumarin Derivatives as Squalene Synthase Inhibitors: A Design Investigation

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Abstract:

Squalene synthase, which act at the first committed step in cholesterol biosynthesis, distal to the mevalonate-farnesyl diphosphate pathway, this enzyme catalysis the reductive dimerization of two molecule of farnesyl pyrophosphate to form squalene which is a precursor of cholesterol. Drugs that selectivity inhibits this target enzyme are highly effective, as they do not interfere with the biosynthesis of other biologically important molecules. Many heterocyclic compounds have been designed as Squalene synthase inhibitors and evaluated as possible anti-hyperlipidemic agents. Considering the need for newer Squalene synthase inhibitors, efforts have been made to screen virtually a new series of propanoic acid derivatives derived from 4-methyl umbelliferone by incorporating various substituted aryl acrylic acid derivatives. The designed molecules were subjected to molecular docking studies using SYBYL X 2.1. The protein was subjected to minimization and protomol generation using the standard protocol. The designed compounds were docked in the active site and docking scores revealed that the compounds possess high affinity. Among the compounds, 5J generated a good docking score of 11.2313.

Keywords: SYBYL X 2.1, Docking, Squalene synthase, propanoic acid.

B-155

Synthesis and Biological Evaluation of 7-Substituted-3-(4-(3-(4-Substituted Phenyl)-4,5-Dihydroisoxazol-5-yl)Phenyl)-2-Substituted Quinazolin-4(3H)-One Derivatives As A Novel Class Of Potential Antihypertensive Agents

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Abstract:

A series of 7-substituted-3-(4-(3-(4-substitutedphenyl)-4,5-dihydroisoxazol-5-yl) phenyl)-2-substituted quinazolin-4(3H)-one have been synthesized by the cyclization of (E)-3-(4-(3-substitutedphenyl)acryloyl)phenyl)-2-(substitutedphenyl)-7-substituted quinazolin-4(3H)-one with hydroxylamine hydrochloride. The synthesized compounds were tested for their *in vivo* antihypertensive activity using albino rats. All the titled compounds exhibited good to moderate antihypertensive activity. Some derivatives exhibited potent antihypertensive

activity through their expected α_1 -adrenergic receptor blocking property similar to its clinically used analogue, prazosin without affecting in heart rate and shows prolonged duration of action when tested in adrenaline induced hypertension in anaesthetized rats.

Keywords: adrenaline, antihypertensive activity, isoxazole, quinazoline etc.

B-156

Structure Based Virtual Screening Studies on Drug Database for Search of Potent HIV-1 RT Inhibitors

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Abstract:

The identification of hit compounds against a specific target and subsequently optimization of the potency of searched hit is the prime strategy of early-stage drug discovery. Structure-based virtual screening (SBVS) is more economic and fast due to this it has proven a crucial tool in drug discovery. Non-nucleoside reverse transcriptase inhibitors (NNRTIs) are structurally diverse group of compounds which binds to allosteric site of HIV-1 RT and inhibits its catalytic function. In this study, we screened drug bank database against the wild HIV-1 RT using structure-based virtual screening and shortlisted top six hits as potent inhibitor of HIV-1 RT. Further investigation on these hits revealed that out six hits, five (ABZ, ADB, Rilpivirine, Etravirine and H18) were already reported as potent inhibitor of HIV-1 RT, either as approved drugs or experimental drugs, while one hit (3FP) is yet not investigated for inhibition of HIV-1 RT. Docked pose analysis of 3FP hits revealed prominent hydrophobic and hydrogen bonding interaction, which may be responsible for its stable binding with selected RT enzyme. The searched hit 3FP can be explored further for *in-vitro* evaluation against HIV-1 RT. Over all, we found the screening protocol as highly efficient in searching of potent hits against RT and can be adopted for screening of other databases.

Keywords: Drug bank, AIDS, virtual screening, high-throughput screening

B-157

A Validated Analytical Method Development for Irbesartan by Simultaneous Equation

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Abstract:

Development and validation of two samples, rapid precise accurate and sensitive UV spectrophotometric methods using simultaneous equation methods was developed for the simultaneous estimation irbesartan combined in dosage forms. use in prevent the stroke and heart attack irbesartan used to treat high blood pressure. The absorbance signals in simultaneous equation method are measured at a λ_{max} 238.99 and 246.5nm. The linearity ranges for were found irbesartan to be 8-20 $\mu\text{g/ml}$ and 12-30 $\mu\text{g/ml}$ respectively. Contraction of each of drugs was obtained by using absorptive value calculated for both the drugs at these two wavelengths. The developed method was validated according to ich guidelines. The method was validated in terms of linearity, accuracy (% recovery), precision (interday and intraday), reproducibility and robustness. The linearity of the method was with in range and the % recovery was 99.16% for and 95.5% for irbesartan therefore the proposed method is suitable for simultaneous equation determination of irbesartan from combine pharmaceutical dosage form in routine quality control analysis. Application of the suggested procedures was successfully applied to the determination of these compounds in active pharmaceutical ingredient and in pharmaceutical preparations, with high percentage of recovery, good accuracy and precision.

Keywords: irbesartan, UV spectrophotometric, simultaneous equation

B-158

Benzimidazoles: A biologically active & Attractive Pharmacophore in Medicinal Chemistry

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Abstract:

Benzimidazole ring is an important and effective pharmacophore in modern drug discovery for development of new drugs. A variety of benzimidazole ring is used in

many drugs like thiabendazole, flubendazole, omeprazole, lansoprazole, Astemizole, Bezitramide, Diabazole, Droperidol etc. The pharmacology and chemistry of benzimidazole have been of momentous to medicinal chemistry because derivatives of benzimidazole possessed various biological activities such as antioxidant, antimicrobial, antihelminthic, anticancer, antihypertensive, antineoplastic, anti-inflammatory, analgesic, antiprotozoal, antiallergic activity, antidiabetic and antiviral activity. In addition, a large number of antibiotics contain the 2-azetidinone (commonly known as β -lactam) moiety such as penicillin, cephalosporin, carbapenem. Benzimidazole is successful compounds and there are quantities of reviews available for its different pharmacological studies which assured that these molecules are very useful against an ample variety of microorganisms. Benzimidazole derivatives have been showing promising activity in the treatment of several diseases, due to that reason; they achieved much attention as significant pharmacophore and advantaged structure in medicinal chemistry. This review contains the different pharmacological activities of benzimidazole and their best derivatives.

B-159

Design, Synthesis and Biological Evaluation of Novel Benzimidazole Assembled Pyrimidine Derivatives

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Abstract:

A series of pyrimidine derivatives were synthesized. Condensation of substituted O-Phenylene diamine with lactic acid under microwave irradiation and further oxidation of the product with potassium dichromate gave intermediate 2-acetyl benzimidazole which was then reacted with aromatic aldehydes and finally the product was cyclised with urea to form pyrimidine derivatives of benzimidazoles and compd 4d,4e,4f showed good antitubercular activity and moderate anthelmintic & antibacterial activity.

B-160

Synthesis and Anti Microbial Activity of Ethyl 1,2,3,6-tetrahydro-5-(1,3-dioxoisindolin-2-yl) pyrimidine-4-carboxylate derivatives

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Manohar Babu

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Abstract:

In the present work tetrahydropyrimidones are synthesised from enaminones by Biginelli condensation using citric acid as catalyst. Biginelli condensation is condensation of α,β -unsaturated carbonyl compound with an aldehyde, urea in presence of acid to produce dihydropyrimidone. Enaminones for tetrahydropyrimidone synthesis is synthesized from ethylacetoacetate and phthalimide in presence of ceric ammonium nitrate (CAN). The synthesized compounds are characterized by TLC, IR. The tetrahydropyrimidones are evaluated for anti microbial activity by agar disc diffusion method with bacteria and fungal strains like *Staphylococcus aureus*, *Klebsiella pneumoniae* and *Asperigillus flavus* respectively.

Keywords: Tetrahydropyrimidones, Biginelli condensation, enaminones, anti microbial activity

B-161

Chemistry and Pharmacological Activities of Flavones: An Overview

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Abstract:

A large number of metabolic diseases are considered to arise due to oxidative stress, and flavones have vast spectrum of biological activities, due to which they have bring out a huge concern among chemists. The exceptional growth of flavones derivatives in distinct diseases in a very short period convinces its significance for research in medicinal chemistry. The present review gives an overview about the chemistry and biological activity of flavones.

Keyword: Quercetin, Flavopiridol, combinations, Bakere-Venkataraman rearrangement, Chalcones.

B-162

Various Pharmacological Attributes Of Coumarin Hybrids: Multi Target Directed Ligands

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Abstract:

With the advancement in the technology, there is a need to bring advancement in the treatment therapy too. Conventional drugs works on the one protein, one target and single strategy which leads to various side effects, a major challenge worldwide. Thus there is a pressing need for new drug molecules with high potency, less toxicity and unique targets of action. There is increasing interest in the discovery of hybrid molecules that concomitantly address more than one biological target. There are number of reports on coumarin based molecular hybrids as bioactive molecules but not systematically summarized yet. This compilation summarizes the potent coumarin based molecular hybrids reported during recent past with their biological evaluation, structure-activity relationships and their mechanistic insights during the biological evaluation. The interactions with the amino acid residues responsible for inhibitory potential of molecules have also been discussed with their targeted proteins. Thus focusing on the coumarin based hybrids and their diverse pharmacological activities, this assemblage will provide a great interest for researchers working on coumarin based molecules.

Keyword: Coumarin based hybrids, design strategies, biological activities, structure activity relationship, docking studies.

B-163

Synthesis, Characterization And Antimicrobial Evaluation Of 5-Substituted-2,4-Thiazolidinedione Derivatives

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Abstract:

The upcoming challenges for medical science is resistance in the use of antibiotics against various infections like H1N1, E-bola virus, HIV, hepatitis C and various gram

positive and gram negative bacteria. New antimicrobials can be the key to this problem. The 2,4-thiazolidinedione is having nitrogen in the heterocyclic ring akin to beta lactam and thiazolidine ring of penicillin and quinoline which can lead to antimicrobial activity. Many antibiotics, like penicillin, have severe side effects like gastric irritation, anaphylactic shock, skin rashes etc. can be overcome by the inventions of new antimicrobials. But 2,4-thiazolidinedione derivatives has been reported to possess neither of these side effects. So, the valuable properties of 2,4-thiazolidinedione initiated us to synthesize its various derivatives by substituting at position 5. The aim of present study is to synthesize a set of 5-substituted-2,4-thiazolidinediones as antimicrobials. The basic nucleus i.e 2,4-thiazolidinedione is prepared by using chloroacetic acid and thiourea. The progression of reaction was monitored by TLC. These compounds were evaluated for their antimicrobial activity. The structures of the compounds were established on the basis of IR and NMR spectral studies.

Keywords: 2,4-thiazolidinedione, Chloroacetic acid, Thiourea, TLC

B-164

Novel Piperic acid Analogs as Antibacterials

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Abstract:

The research work was focused to develop novel drug candidates of N- heterocyclics of piperine or piperic acid which might be useful as antibacterial agents and can be employed pharmaceutically important drug molecule. Piperine is one of the important alkaloids of Pepper fruits belonging to the family *Piperaceae* and has been found to have numerous medicinal properties. Piperine or its modified compound; piperic acid had a potential as antimicrobial. In the current study, Schiff bases analogs of piperine or piperic acid were synthesized by introducing the N - heterocyclics to explore its antibacterial properties. The prepared compounds were bioevaluated against the bacterial strains *Escherichia coli*, *Bacillus subtilis*, *Micrococcus luteus*, *Staphylococcus aureus*, *Pseudomonas aureus*, *Salmonella typhi* in comparison to the standard Ciprofloxacin. The result shows that the majority of the compounds shows

moderate to favorable activity compared with reference. Three compounds BC6, BC8 and BC5 were found to be most active against bacterial strains. The study also concludes that presence of electron donating groups on the aryl moiety increases the activity as compared to the presence of electron withdrawing groups. In the future prospects, the synthesized analogs were needed to be docked to study its drug interactions properties with proteins so that the present the present finding may be likely to be helpful in development of novel antibacterial.

Keywords: Piperine, piperic acid, antibacterial, analogues

B-165

Synthesis and Characterization of Novel Derivatives of Chalcones

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Abstract:

In the recent research, synthesis, characterization and pharmacological evaluation of derivatives of chalcones have been executed. Main aim of this research was to study about chemistry of chalcones, their synthesis, importance and pharmacological evaluation. Chalcones have broad spectrum of biological activities such as antibacterial, antioxidant, antimalarial, anticancer, antiviral, anticonvulsant etc. Chalcones contain reactive alpha beta unsaturated ketone group. These are precursor for biosynthesis of flavonoids in plants and they were also synthesized in the laboratory. Chalcones are synthesized by Claisen Schmidt condensation, it is one step reaction in which equimolar quantities of a substituted acetophenone and substituted aldehydes in the presence of aqueous alcoholic alkali are used. It is also a useful reaction for preparation of coumarin, phenyl derivatives and other molecules. With the modification in their structure, new medicinal agents were found with improved potency and lesser toxicity and good pharmacological action. The structures of all the newly synthesized compounds were established by IR, NMR and Mass spectral analysis.

Keywords: Chalcones, Claisen Schmidt condensation, antibacterial activity, antioxidant activity.

B-166

Radio Labelled Compounds use for Diagnosis of

Neurodegenerative Disorders

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Abstract:

Neurodegenerative disorders are age related diseases. Mechanism of neurodegenerative disorders are not clearly identify still now a days, so that it is not diagnosis properly by available methods like sMRI, fMRI, MRS, PET, FDG-PET, sCT, SPECT, Cerebrospinal fluid (CSF), etc., and also not provide proper treatments for the neurodegenerative disorders. It is over come by using radio labelled molecules for the diagnosis of the neurodegenerative disorders. Various isotopes like ¹¹C (¹¹C-PIB, ¹¹C-SB13), ¹⁸F (¹⁸F-AV45, ¹⁸F-FDG, ¹⁸F-FAHA, ¹⁸F-AZD4694, Flutemetamol, Flortetapir), ⁶⁰Cu, ⁶¹Cu, ⁶²Cu, ⁶³Cu, ⁶⁴Cu (⁶⁴CuCl₂), ⁶⁵Cu, ⁶⁷Cu, Cu¹¹ (Cu¹¹ (at-sm), Cu¹¹ (gt-sm), Cu¹¹ (pt-sm), Cu¹¹L¹, Cu¹¹L², Cu¹¹L³), etc. are used in positron emission tomography (PET) for the diagnosis of neurodegenerative disorders.

Keywords: Neurodegenerative disorders, Radio labelled compounds, PET, Isotopes and Diagnosis

B-167

Therapeutic Potential of N-heterocyclic Analogs as Potent Anti-inflammatory Agents

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Abstract:

Inflammation is the localized substantial situation that mainly occurs as a result of infection or injury which is significant in case of both adaptive and intrinsic immunity. It is the first defensive complex biological body's attempt to eliminate or to limit the spread of injurious stimuli, such as pathogens, irritants or injured cells. Although inflammation is the body's natural defense mechanism but uncontrolled inflammation often results in an array of chronic diseases like rheumatoid arthritis, inflammatory bowel disease, psoriasis, atherosclerosis and even cancer. Current approaches used to overcome inflammation include NSAIDs, IMSAIDs, selective glucocorticoid receptor agonist, Resolvins/protectins and TNF inhibitors. Apart from the beneficial anti-inflammatory,

antipyretic and analgesic effects; the use of these agents may also results in various unwanted side effects such as physiological homeostasis, skin atrophy, impaired memory, steroid-induced osteoporosis and gastric erosions, which can become stomach ulcers and can cause severe haemorrhage, resulting in death. Therefore, it is still a challenge for medicinal chemists to search safe and effective molecule that can interfere with these pathways to treat as well as abate the symptoms of inflammatory diseases. N-heterocyclics containing pyrazoles, imidazolones and pyrimidine are reported to have diverse pharmacological activities and their role, as anti-inflammatory agent has been well defined. Although further exploration in general and detailed mechanism of action of these compounds is required to make them safe and potent therapeutic agents. Hence, there is still scope to conduct more research in this field to find a novel potent anti-inflammatory agent.

B-168

Design and Synthesis and Of Pyrazole Base Cinnoline as Antimalarial Activity

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Abstract:

Malaria is a Mosquito borne infectious disease effecting humans caused by parasite protozoans. It affects more than 2400 million people, over 40% of the world population. *Plasmodium falciparum* is the main cause of severe clinical malaria and the World Health Organisation (WHO) has forecast an annual growth of 16% in malarial cases. A Series of 4-methyl-3-[5-(substituted phenyl)-4, 5-dihydro-1H-Pyrazol-3-yl] Cinnoline-6-Sulfonamide were synthesized and coded as (CN1a-11a) from 4-methyl-3-acetylcinnoline-6-Sulfonamido chalcones and hydrazines. The structure of the synthesized compounds were characterized by UV, IR, NMR & Mass spectral data, and evaluated for their *in vitro* anti-malarial activity. All analogues exhibited *in vitro* anti-malarial activity against *Plasmodium falciparum*. The compound coded as CN-5a was found to be most potent against resistant strain of *plasmodium* while taking the account of inhibitory concentration of compound in biological evaluation.

Keywords: Malaria, Cinnoline, Chalcone and hydrazine

B-169

Deign, Synthesis and *in vitro* Evaluation of Some Novel Quinoline Derivatives against Breast Cancer Cell Lines

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Abstract:

Flavonoids encompasses flavones, isoflavones, flavanones and flavanols each possessing the benzopyranone ring system as the common structural scaffold were identified as potent nonsteroidal aromatase inhibitors (NSAIs) in the development of new cytotoxic agents for breast cancer. Azaflavones which was isosteric structural scaffold of flavonoids was also proven to be potent NSAIs. In recent literature several substituted azaflavones were reported as potent aromatase inhibitors. In order to develop new aromatase inhibitors we have designed 3-(5-substituted-1,3,4-oxadiazole-2-yl)-4(1H)-quinolinone derivatives, synthesized them by using respective synthetic routes. The EMME (Ethoxy Methylene-Malonic acid diethyl Ester) by reacting with appropriate anilines in aqueous ethanol to get corresponding ethyl 4-oxo-1,4-dihydroquinoline-3-carboxylate. The obtained compounds upon thermal cyclization in diphenyl ether at 250°C resulted in the formation of substituted ethyl 4-oxo-1,4-dihydroquinoline-3-carboxylate. The resulted compounds were then hydrazinolysed with hydrazine hydrate in ethanol to give corresponding 4-oxo-1,4-dihydroquinoline-3-carbohydrazide in good yields. The hydrazide moiety of compound was used to synthesize some oxadiazolo-quinoline derivatives through its reaction with some appropriate aromatic acids in presence of phosphoryl chloride to get the titled compounds. All the synthesized compounds were characterized by using IR, ¹H NMR and ESI-MS data, and screened for anticancer activity against human breast cancer cell lines MCF-7 & T47D, and antioxidant activity by DPPH method.

Keywords: Azaflavones, cytotoxic activity, MTT assay

B-170

Synthesis, Biological evaluation and Docking study of 4,5-Dichloro-6-(substituted benzyl)-2-morpholin-4-ylmethyl-2H-pyridazin-3-one Derivatives

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Abstract:

Pyridazin-3-one is a saturated or unsaturated form of pyridazine with carbonyl group on third carbon. It is a class of compounds containing the *N-N* bond. Pyridazine are diazines. This study presents the synthesis and spectral analysis of novel pyridazinone derivatives. Novel compounds were synthesized by treating the 4,5-dichloro-2H-pyridazin-3-one with substituted aldehyde and amine derivatives. The structures of newly synthesized compounds were confirmed by their analytical and spectroscopic data using IR and ¹H-NMR Spectrum. All synthesized compounds were screened for their in vitro antibacterial, antifungal activities by using the agar cup plate method. Some of compounds showed good activity against Gram (+ve) bacteria and Gram (-ve) bacteria. The experimental results were further done by molecular docking analysis with better interaction patterns. Based on the results of molecular dock score and number of hydrogen bond interactions, compounds 3rd and 4th observed to be the most potent compounds.

Keywords: Antibacterial; Antifungal; Molecular Docking; Pyridazinone.

B-171

Role of Medicinal Chemistry in Drug Discovery

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Abstract:

The primary objective of medicinal chemistry is the design and discovery of new compounds that are suitable for use as drugs. The discovery of new drugs required not only its design and synthesis but also the development of testing methods and procedure which are needed to establish how a substance operates in the body and its stability or use of drugs. Medicinal chemistry and pharmaceutical chemistry are disciplines at the intersection of chemistry, especially synthetic organic chemistry, and pharmacology and various other biological specialties, where they are involved with design, chemical synthesis and development for market of pharmaceutical agents, or bio-active molecules (drugs). Discovery is the identification of novel active

chemical compounds, often called "hits", which are typically found by assay of compounds for a desired biological activity. Discovering new hits involves chemical aspects of identification, and then systematic, thorough synthetic alteration of new chemical entities to make them suitable for therapeutic use. It includes synthetic and computational aspects of the study of existing drugs and agents in development in relation to their bioactivities (biological activities and properties), i.e., understanding their structure-activity relationships (SAR). Pharmaceutical chemistry is focused on quality aspects of medicines and aims to assure fitness for purpose of medicinal products. The present paper describes the role of medicinal chemistry in drug discovery. Recent and advanced methods are developed by using different techniques and tools of medicinal chemistry. These techniques may prove beneficial in discovering novel and drug like compounds for the treatment of chronic disorders.

Keywords: Medicinal chemistry, drug design, drug discovery.

B-172

Synthesis and Biological Evaluation of Triazolo-Thiazolidinone Derivatives

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Abstract:

A novel series of triazolo-thiazolidinone derivatives were synthesized from stearic acid as a starting material by conventional methods. The structures of the title compounds were confirmed by suitable spectroscopic methods i.e. IR, ¹H NMR spectroscopy etc. These synthesized compounds were screened for various biological activities such as antibacterial, anthelmintic and antioxidant activities. Compound **6f** showed the most potent antibacterial activity against *B. subtilis*, *S. aureus* and *E. coli* with zone of inhibition 10.9, 11.2 and 11.0 mm respectively followed by the compound **6b** (10.1, 11.3 and 9.9 mm respectively). Compound **6c** exhibited comparable anthelmintic activity with mean paralysis time 33.67 ± 0.66 min and death time 41.09 ± 0.86 min to that of standard drug piperazine citrate. Among synthesized compounds the compound **6c** also demonstrated most potent antioxidant profile 81.56%, 84.98% and 87.58% at different concentrations 100 µg/mL, 300 µg/mL and 500 µg/mL respectively. It can be concluded that combination of heterocyclic

system namely triazoles and thiazolidinones have been synthesized successfully. Synthesis of their derivatives has improved the biological potential and therefore, they are preferably appropriate for further modifications to obtain more promising biologically active compounds in future.

Keywords: Heterocycles, anthelmintic activity, antioxidant activity, antibacterial activity, evaluation.

B-172 A

4,4'-(1,4-Phenylene)bis(pyrimidin-2-amine) derivatives: Synthesis and Biological Potentials

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Abstract:

Pyrimidine molecules attracted organic chemists very much due to their biological and chemotherapeutic importance. Their related fused heterocycles are of interest as potential bioactive molecules so, we have designed and prepared a new class of 4,4'-(1,4-phenylene)bis(pyrimidin-2-amine) derivatives and screened for their *in vitro* antimicrobial activity. The structures of synthesized pyrimidine molecules were confirmed by determination of their physicochemical and spectral characteristics. The synthesized compounds were evaluated for their *in vitro* biological potential i.e. antibacterial (Gram positive and Gram negative) bacterial and fungal strains by tube dilution technique. The biological study demonstrated that compounds s7, s8, s11, s14, s16, s17 and s18 have shown more promising antimicrobial activity with best MIC values than the cefadroxil (antibacterial) and fluconazole (antifungal).

Keywords: Pyrimidine derivatives, Synthesis, Antimicrobial, Cytotoxicity

B-173

Characterization of Newly Synthesized Cyclic Pentapeptide

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Abstract:

Cyclic peptides are the natural peptides located from

various natural sources. These cyclic congeners were found to exhibit antibacterial, antifungal, anti-inflammatory and anthelmintic activity. Keeping in view the biological potential of cyclic peptides as well as to obtain a bioactive compound in a good yield, the present investigation was aimed at synthesis of cyclic pentapeptide by solution phase technique of peptides synthesis. The title compounds were synthesized by coupling of amino acid methyl esters/ dipeptides/ tripeptides in the presence of DCC as coupling agent and NMM as base under continuous stirring for 36 hours. The reactions were monitored by TLC on silica gel G plates utilizing chloroform/ methanol as developing solvent system in ratio 9:1 and brown spots were detected on exposure to iodine vapours in a tightly closed chamber. Final peptide derivatives were purified by recrystallisation from mixture of chloroform and n-hexane. In order to synthesize cyclic pentapeptide, the peptide molecule was disconnected into three amino acids methyl ester, three dipeptide units and one tripeptide units: Val-OMe.HCl, Ile-OMe.HCl and Phe-OMe.HCl, Boc-Gly-Pro-OMe, Boc-Phe-tyr-OMe and Boc-Val-Phe-OMe, Boc-Phe-Tyr-Val-OMe. The dipeptide unit after deprotection at carboxyl terminal, was coupled with amino acid unit using DCC and NMM to get first tripeptide unit Boc-Phe-Tyr-Val-OMe. Similarly dipeptide units after deprotection at carboxyl end were coupled with amino acids unit to get tripeptide unit Boc-Gly-Pro-Phe-OMe. The tripeptide unit was joined dipeptide after the deprotection and cyclization was done using Pnp to get cyclic pentapeptide. The structures were confirmed by FTIR and ¹H NMR spectral data

B-174

Synthesis, Antimicrobial and Cytotoxic Activities of Thiazole Clubbed Pyrazole Bearing Traizole Derivatives

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Abstract:

The present work describes the synthesis of a series of N-((1-(4-(4-bromophenyl)thiazol-2-yl)-3-substitutedphenyl)-1H-pyrazol-4-yl)methylene}-4H-1, 2,4-triazol-4-amine derivatives as antimicrobial and cytotoxic agents. The structures of synthesized compounds were elucidated by suitable spectral methods such as IR and ¹H-NMR. Synthesized compounds were screened for their *in vitro* antibacterial activity against the pathogenic strains including *E. coli*, *P. aeruginosa*, *S. aureus*, *S.*

pyogenus and antifungal activity against fungal strains viz. *C. albicans*, *A. niger* and *A. clavatus*. These compounds were also screened for their *in vitro* cytotoxic activity against human breast cancer cell line (MCF-7) and human cervical cancer cell line (HeLa). Antimicrobial results revealed that compound **5a** with triazole and *para* bromo phenyl substitution (MIC = 62.5-100 µg/ml) have emerged as most potent antimicrobial agent against bacterial and fungal strains namely *E. coli*, *P. aeruginosa*, *S. aureus*, *S. pyogenus*, and *C. albicans*. Cytotoxic studies indicated that human cervical cancer cell line (HeLa) was most susceptible then human breast cancer cell line (MCF-7) towards the synthesized compounds. Compound **5a** was endowed with excellent cytotoxic activity against HeLa cell lines with GI₅₀ value of 1.1 µg/ml. The results suggested that 1,3-thiazole clubbed pyrazole and triazole derivatives such as **5a** have shown much favourable antimicrobial and cytotoxic activities and are suitable candidates for robust scientific exploration.

Keywords: Thiazole, Triazole, antibacterial, antifungal and cytotoxic activities.

B-175

A Facile Route for the Synthesis of Bisquaternary Azasteroidal Neuromuscular Blocking Agents

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Abstract:

Neuromuscular blocking drugs interrupt transmission of nerve impulses at the neuromuscular junction causing paralysis of the affected skeletal muscles. This is accomplished either by acting pre-synaptically or postsynaptically. The clinically-relevant drugs work post-synaptically. These are used clinically in anaesthesiology to provide skeletal muscle relaxation for surgical procedures. The use of these agents obviates the need for high doses of anaesthetic agent. The synthesis and pharmacological profile of steroidal mono- and bisquaternary ammonium derivatives as neuromuscular blocking agents have been extensively reported. Keeping in view the key structural features of pancuronium bromide and chandonium iodide, bis quaternary azasteroids had been designed and synthesised. The designed molecules were synthesis via a sequence of reaction. For the synthesis, the oxidation of 17 α -Aza-D-homo-5-androsten-3 β -ol has been carried out by two different reactions (Moffat oxidation and Oppenaur oxidation). The synthesised

molecules were characterized by spectroscopic techniques such as I.R and NMR. The desired molecules were designed keeping in view the key pharmacophoric features essential for neuromuscular blocking agents. Moffatt oxidation, is a chemical reaction for the oxidation of primary and secondary alcohols to aldehydes and ketones, respectively. Oppenauer oxidation is a gentle method for selectively oxidizing secondary alcohols to ketones. The oxidation is highly selective for secondary alcohols and does not oxidize other sensitive functional groups such as amines and sulfides.

Keywords: Neuromuscular blocking agents, Moffatt oxidation, Oppenauer oxidation.

B-176

Synthesis, Characterization and Molecular Modelling of Phthalimide Hydrazine Carbothioamide Derivatives as Potential Anti-Inflammatory Compounds

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Abstract:

Numerous chronicles have established various biological responses fetched by thalidomide which includes immunomodulatory, anti-inflammatory activities. Thalidomide is composed of three components a phenyl ring, a phthalimide and a glutrimide moiety. In spite of having various biological activities it is well known for its undesirable side effects. Literature survey has made evident that side effects are majorly due to glutrimide ring. Phthalimide is a well-known scaffold responsible for anti-inflammatory activity. The development of phthalimide based derivatives is an optimistic boulevard for the development of compounds having anti-inflammatory and anti-oxidant activities. The paper describes the synthesis and evaluation of anti-inflammatory and anti-oxidant activity of compounds of phthaloyl glycol hydrazide with substituted phenylisothiocyanates. The structure of synthesised compounds were confirmed by ¹H, ¹³C-NMR, Mass and IR spectroscopy. The purity of compounds was ascertained by TLC. *In vitro* anti-oxidant activity was evaluated by DPPH ((2,2-diphenyl-1-picrylhydrazyl) free radical scavenging assay. The EC₅₀ value (concentration at which 50% antioxidant activity occurred) ranged between 0.046 \pm 0.0009 to 0.595 \pm 0.013(\pm SEM) µg/ml. The anti-inflammatory activity was determined by injecting 0.1 ml of 1% w/v carrageenan through the plantar tissue of the

right hind paw of rat to produce inflammation. The percentage decrease in paw edema after 3h subsequent to administration of 40mg/kg of test compounds ranged between 21.5 ± 4.1 to $58.5 \pm 8.4(\pm SD)$ percent. The in-silico docking studies into the catalytic site of TNF- α was used to identify potential anti-inflammatory lead compounds using AUTODOCK VINA v1.1.2.

Keywords: Phthaloyl glycol hydrazide; phenylisothiocyanates; anti-inflammatory.

B-177

Novel Thiazole Clubbed Triazole Derivatives as Antimicrobial, Antimalarial and Cytotoxic Agents

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Abstract:

Thiazole is the most potential pharmacophoric moiety in bioorganic chemistry and is major tool in drug design and discovery. The present work describes the synthesis of a series of N-{(1-(4-(4-bromophenyl) thiazol-2-yl)-3-substitutedphenyl)-1H-pyrazol-4-yl) methylene}-1H-1, 2, 4-triazol-3-amine derivatives 5(a-g). The structures of newly synthesized compounds were elucidated by suitable spectral methods such as IR and ¹H-NMR. These compounds were screened for their *in vitro* cytotoxic activity against human cervical cancer cell line (HeLa) and human breast cancer cell line (MCF-7). Antimicrobial activity was tested against the tested pathogens including bacterial strain such as *E. coli*, *P. aeruginosa*, *S. aureus*, *S. pyogenus*, fungal strains viz. *A. niger*, *A. clavatus* species and *C. albicans* and antimalarial activity against *P. falciparum*. Anti-infective and cytotoxic studies indicated that synthesized compounds display moderate to good activities. Antibacterial activity results showed that compounds were effective against *S. aureus* & *E. coli* with MIC value in the range of 125-250 $\mu\text{g/mL}$ and antifungal activity against *C. albicans* with MIC value of 500 $\mu\text{g/mL}$. Antimalarial activity data against *Plasmodium falciparum* revealed the maximum mean IC_{50} of 0.64 $\mu\text{g/mL}$. Furthermore, the most potent compound was found to have cytotoxic activity with GI_{50} value of (1.2 $\mu\text{g/mL}$) against HeLa cell lines as compared to standard drug.

Keywords: Thiazole, heterocycles, Anti-infective activity, Cytotoxic activity.

B-178

Evaluation of Antidepressant Activity of Synthesized Substituted 4-Oxo-4H-Chromene-3-Carbaldehyde Derivatives

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Abstract:

The present study was carried out to evaluate antidepressant effect of synthesized compounds in Swiss albino mice. The antidepressant effect was determined by recording the immobility time and fatigue time in Forced Swim Test (FST) and immobility time in Tail Suspension Test (TST). The synthesized compounds were analysed by ¹H NMR. In both cases of Forced Swim Test (FST) and Tail Suspension Test (TST) model, depression induced in Swiss albino mice resulted in increase in immobility time and fatigue time. The results of mice model of depression i.e. FST and TST indicated that the synthesized compounds 4-Oxo-4H-chromene-3-carbaldehyde and its substituted derivatives showed potent to moderate antidepressant effect (decrease immobility time and fatigue time) as compared to normal control group. These compounds might have effect on monoamine oxidase enzyme, inflammatory process and oxidative stress but the study needs further investigations.