GOA – CENTER FOR EXCELLENCE IN INTELLECTUAL PROPERTY

Intellectual Property: Prosecution to Litigation

Part 1: Recognition and Protection

2 Day Professional Development Program
Nov. 16-17, 2017
Goa College of Pharmacy
Panjim, Goa - INDIA

G-CEIP

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Goa – Center for Excellence in Intellectual Property

Umesh Banakar, Ph.D.

The importance of protection of Intellectual Property (IP) through IP Rights was first recognized in the Paris Convention for the Protection of Industrial Property (1883) and the Berne Convention for the Protection of Literary and Artistic Works (1886). Both treaties were administered by the World Intellectual Property Organization (WIPO). The WIPO in 1967 provided a list of subject matter protected by intellectual property rights which includes (but not limited to) **scientific works** and **scientific discoveries, among others.** The pharmaceutical products including formulations, processes, medical devices, diagnostic kits, etc., for example, resulting from scientific works and discoveries have been protected by IP rights by issuance and grant of Patent to the generator (innovator) of IP.

The Sovereign Republic of India is a signed full signatory of WIPO and World Trade Organization (WTO) and has been streamlined with and is at par with the current norms and regulations of IP as of December 1995. As a result, India enjoys the IP rights – protection and preservation – as every other country that is signatory to the WIPO and WTO. The scientific works and discoveries, i.e., IP, realized in India can be and will be protected through grant of patents, copyrights and trademarks as appropriate and will be protected and preserved across the registered members of the WIPO and WTO.

The industrial sector, including the pharmaceutical and healthcare sector in India is yet to recognize the full potential of the IP rights in all its dimensions – from generation to preservation and protection. The pharmaceutical community including the healthcare community – academia and industry – have a limited understanding of IP and the rights associated with them. Additionally, the scientists/professionals engaged in generating scientific works leading to IP have limited to no understanding of IP rights let alone their protection and preservation. As a result, there is a growing need to address the immediate need(s) with respect to IP considerations with an appropriate balance of academic training, continuous updating and upgradation of knowledgebase in IP matters.

Goa – Center for Excellence in Intellectual Property [G-CEIP] and Goa College of Pharmacy [GCP] have organized this 1.5-Day Professional Development Program: **Intellectual Property for Industry and Academia Professionals:** ***Training the Trainers*** as a first step of many to introduce the recent advances related to various aspects of IP from generation to preservation and protection. Global experts in IP have gathered here to share their rich and extensive experience in IP matters.

I, personally, welcome each and every one of you to take maximum advantage of this opportunity, not only to listen to these experts in IP, but also to interact with them. The program format is designed to facilitate such one-on-one interactions. I hope this program will be a professionally enriching and rewarding experience which will go a long way in your professional development.

Warm wishes,

Umesh Banakar

Umesh Banakar, Ph.D.

Professor & President

ABSTRACT

Fabrication of scaffold based nanosponges as a controlled release platform.

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Nanosponges are solid, porous, nano-particulate three dimensional structures which form complex with different types of drug molecules. Nanosponges have been used as drug carrier for different drug molecules. Nanosponges containing ethyl cellulose were prepared by emulsion solvent evaporation method. The effects of polymer on various characterization of nanosponges were investigated. Compatibility of adjuncts was studied by fourier transform infrared spectroscopy (FTIR). SEM images revealed their porous nature and number of cavities were formed which further results in more drug entraptment. The mean particle size of nanosponges were about 116 nm and Polydispersibity index was found to be 0.508 which indicates the particle were in monodispersed form. This research attempts to elaborate the interesting features of nanosponges as a scaffold, method of preparation and characterization of nanosponge in drug delivery.

Keywords- Nanosponge; Emulsion Solvent Evaporation.

Formulation & Evaluation of Probiotic Gel Containing Anti-inflammatory Agent

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Abstract

Mesalamine which contains 5-ASA has been considered the golden standard drug for treatment of ulcerative colitis. Similarly many reports are available justifying the use of probiotic cultures for the treatment of IBD_S including ulcerative colitis. These probiotic cultures especially those of species *Lactobacillus* are thought to beneficially affect the host by correcting the disturbed balance between the residential gut flora and pathogenic bacteria causing infection.

Hence, in the present work, a novel combination of the drug Mesalamine and the three *Lactobacillus* species (*L casei, L acidophillus and L. plantarum)* was employed in the formulation of colonic gel, which is meant for local and/or systemic action in the left-side colitis treatment. The experimental work includes characterization of the bacterial cultures for suitability in the gel formulation and characterization of drug for its availability in the colonic pH. The bacterial cultures were harvested in selective growth medium and were lyophilized to form a free flowing powder. The powder of these cultures was incorporated in various proportions along with the drug Mesalamine in synthetic gel base formulae. The in vitro evaluation of these probiotic gel formulations showed satisfactory gel characteristics including viscosity, spreadability, drug content uniformity and diffusion of drug Mesalamine in a sustained manner (over a period of 7 hours) through both artificial as well as natural mucous membrane (sheep colonic mucosa).

Also, the viable count of lyophilized cultures of *Lactobacillus* species was requisite when combined with drug Mesalamine individually as well as when employed as a mixture of all the three.

Development and characterization of nanocomposite clay based transdermal gels of aceclofenac

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Abstract: Aceclofenac is one of the widely used NSAIDs for the treatment of pain and inflammation. The oral administration of aceclofenac causes gastrointestinal side effects which could be overcome by administering the drug via transdermal route. We aimed to develop and characterize the nano-composite clay based transdermal gels of aceclofenac. FTIR characterization indicated the absence of interactions between drug and clays. Clay gels were formulated by using plain Montmorillonite (MMT) and Laponite (LP), also in combination with polymers such as HPMC K15 and Carbopol 940. Among all the MMT formulations, MMT1 (5% concentration of MMT) was optimized and among Laponite formulations LP1 (5% concentration of Laponite) was optimized based on drug release profile over a period of 12 hours. HPMC K15 and Carbopol 940 forms a covalently cross linked gel networks which are irreversible systems. This mechanism could hinder the drug release from the formed clays; whereas MMT clays form a reversible system of physically bonded gels which aid a better drug release property. For the optimized formulations, *ex vivo* drug release, skin irritation and anti-inflammatory studies were performed. Skin irritation studies confirmed that there was no sign of erythema or edema. The gels also exhibited good anti-inflammatory effect in rats. Overall, the aceclofenac loaded nanocomposite clay gels were successfully developed and evaluated for transdermal delivery of the drug.

Keywords: Aceclofenac, nanocomposite clays, transdermal gels

TITLE: COMPUTATIONAL APPROACHES FOR UNDERSTANDING THE CHEMISTRY OF PYRAZOLO [3,4-*d*] PYRIMIDIN-4-ONE ANALOGS TARGETING BREAST CANCER

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ABSTRACT

Cancer remains one of the most life-threatening diseases, taking nearly 7 million lives each year Worldwide. It had been observed that neither surgery nor radiation nor the two in combination can adequately control metastatic cancer. The pyrazolo [3,4-*d*]pyrimidine derivatives has received great attention due to their structural similarity with purines and hence several pyrazolo[3,4-*d*]pyrimidine derivatives exhibit promising anticancer activity. Different mechanisms account for the cytotoxic effect of this class of compounds, where they had been reported to act as glycogen synthase kinase (GSK) inhibitors, cyclin dependent kinase (CDK) inhibitors, dual src/Ab1 kinase inhibitors and epidermal growth factor receptor (EGFR) inhibitors.

In the present work, a set of sixteen (16) molecules having pyrazolo[3,4-*d*] pyrimidine moiety, reported to possess anti breast cancer activity against MCF-7 human cancer cell line were subjected to fragmentation based QSAR and molecular docking. The G-QSAR model was generated using Multiple Linear regression and Partial least square methods with stepwise forward backward, simulated annealing and genetic algorithm methods. On analyzing results, the robust (r^2=0.97,97,83) hypothesis with predictive power (pred_r^2=84,87,61) with external validation (pred_r^2=94,71,77) was obtained. Molecules were docked to breast cancer protein (i.e, 4CQ0 and 3HB5) in order to examine their binding affinity and probable mechanisms. This revealed various interactions between the ligand and the active site protein residues. The present study is expected to provide an effective guide for methodical development of potent MCF-7 inhibitors.

STABILITY INDICATING METHOD DEVELOPMENT AND VALIDATION OF ANTIRETROVIRAL DRUG IN BULK AND ITS TABLET DOSAGE FORM BY SUITABLE ANALYTICAL METHOD.

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ABSTRACT –

A novel stability – indicating high performance thin layer chromatography HPTLC assay method was developed and validated for quantitative determination of Antiretroviral drug, Tenofovir Disoproxil Fumarate in bulk drugs and in pharmaceutical dosage form in the presence of degradation products generated from forced degradation studies. The present study is completed by using precoated silica gel aluminium plate 60 F – 254, (20 × 10 cm) with 250 μm thickness, as stationary phase and the mobile phase consisted of n-butanol: acetic acid: water (4: 1: 1 v/v/v). The detection was carried out at the wavelength of 260 nm. Tenofovir Disoproxil Fumarate was subjected to stress conditions of hydrolysis (acid, base, neutral), oxidation, photolysis, and thermal degradation. Degradation was observed for Tenofovir Disoproxil Fumarate in acid, base and in oxidation conditions. The drug was found to be stable in the other stress conditions attempted. The degradation products were well resolved from the main peak. The developed method was validated with respect to linearity, range, precision, repeatability, LOD and LOQ, robustness, specificity, and recovery. The analysis of the marketed product and the forced degradation studies prove the stability-indicating power of the method.

Keywords: Tenofovir Disoproxil Fumarate, HPTLC, Degradation, Validation.

Formulation and Evaluation of Mucoadhesive Buccal Tablets of Glimepiride using Various Polymers

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ABSTRACT

The Objective of this study is to focus with formulation and evaluation of Mucoadhesive Buccal Tablets containing Glimepiride a medium- to long-acting sulfonylurea Antidiabetic drug to improve its bioavailability with reduction in dosing frequency and dose related side effects and circumvent the first pass effect using various Polymers. The direct compression method is used for preparing Tablets. Several formulations were developed with varying concentrations of polymers like Chitosan, Carbopol and HPMC, amongst them Six are further investigated for evaluation parameters. The Tests like weight variation, hardness, surface pH, drug Content uniformity, percentage swelling index, bioadhesive strength, *ex-vivo* residence time *in-vitro* drug dissolution study, *In-vitro* drug release kinetic study, *ex-vivo* permeation study and Stability study are the Post Compression parameters that are done. The FTIR studies showed no interactions between drug, polymers, and excipients and are found to be compatible. The formulation F3 which satisfied the purpose of work showed best profile for Drug Release, better surface pH, bioadhesive strength, *ex-vivo* residence time and swelling index. The *in-vitro* release kinetics studies showed that all formulations are with zero order kinetics and followed non-Fickian diffusion mechanism. This reveals to conclude that the best formulation F3 was satisfying for all the evaluation parameters and can be permeated through human buccal mucosa.

Keywords: Mucoadhesive buccal tablets, Bioadhesive strength, *Ex-vivo* residence time, Swelling index, *Ex-vivo* permeation study.

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DOCKING STUDIES OF ALDEHYDE SUBSTITUTED 1,3-OXAZINE DERIVATIVES, FOR THEIR ANTI-OXIDANT, ANTI-MICROBIAL AND ANTI-INFLAMMATORY ACTIVITIES

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The purpose of the present work is to screen the aldehyde substituted with oxazine derivatives and to produce their anti-oxidant, anti-microbial and anti-inflammatory activities computationally using structure based virtual screening method. The protein receptors selected for anti-oxidant activity is 1QZR and anti-microbial is 1BAG and anti-inflammatory activity is 1T31 and the ligand receptor interaction were observed. The compounds were screened on the basics of their binding affinity. The variation in the biological activities with variation of the structures was studied. It was observed that the compounds with methyl and methoxy group substitution at 2^{nd} and 3^{rd} position exhibited good binding affinity, while compounds with five membered aldehyde and nitrogen groups substitution exhibited least affinity. From the above study it can be concluded that electron donating groups are exhibiting positively towards that activity.

Key words: 1,3-oxazine, anti-inflammatory, anti-microbial, anti-oxidant.

Design and Evaluation of Press coated tablet for Chronotherapeutic delivery as a novel approach

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Abstract

The aim of present investigation was to develop press coated tablet for pulsatile drug delivery of Nadolol using hydrophillic and hydrophobic polymers. The drug delivery system was designed to deliver the drug at such a time when it could be most needful to patient of hypertension and angina pectoris. The press coated tablets containing Nadolol in the inner core was formulated with an outer shell by different weight ratio of hydrophobic polymer (micronized ethyl cellulose powder) and hydrophillic polymers (Glycinemax Husk or sodium alginate). The release profile of press coated tablet exhibited a lag time followed by burst release, in which outer shell ruptured into two halves. Also investigated factors influencing on lag time such as particle size and viscosity of ethyl cellulose, outer coating weight and paddle rpm. Differential scanning calorimeter and Fourier transformed infrared spectroscopy study showed compatibility between Nadolol and coating material.

Keywords: Press coated tablet, Nadolol, lag time, Hypertension, Angina pectoris.

GASTROPROTECTIVE EFFECT OF SELECTED ANTIOXIDANTS, VITAMINS AND MINERALS IN PYLORUS LIGATION AND ACETIC ACID INDUCED ULCER IN RATS

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ABSTRACT

Objective: The investigation was aimed to explore the critical role of few selected antioxidants, vitamins and minerals on gastroprotection by using pylorus ligation and acetic acid induced ulcer models in rats. **Materials and Methods:** Male Wistar rats weighing between 180-220g. were divided into 9 groups (n=6). The groups were treated respectively as follows Group I normal control, and Group II disease control, received normal saline, Group III was treated with standard drug omeprazole, Group IV to IX received test substances respectively, antioxidants (Vitamin E, Cystine) vitamins (Thiamin, Niacinamide) minerals (Iron, Zinc) administered for 7 days. Various parameters like, the volume and pH of gastric juice, total acidity, ulcer index, percentage protection, biochemical parameters like mucin content, pepsin activity and antioxidant enzymes were estimated. Histopathology of stomach epithelium was observed.

Results: Significant reduction ($p<0.05$) in ulcer index, total acidity, and increase in pH were observed in ulcer induced rats pretreated with test substances. Mucin content in all rats pretreated with test substances was increased, and pepsin activity was decreased significantly ($p<0.05$) when compared with disease control treated rats. Test substances treated rats showed significant restoration i.e., increased the level of super oxide dismutase, catalase, reduced glutathione and significantly reduced ($p<0.05$) the lipid peroxidation and decreased the levels of MPO and MDA. Histopathological observations on gastric mucosa also confirmed the gastroprotective activity of test substances.

Conclusions: It is concluded that, all test groups acts as an antiulcer drugs which may be attributed to its antisecretory, cytoprotective and antioxidant activities.

Key words*:* Antioxidants, Gastroprotection, Lipid peroxides, Minerals, Omeprazole, Vitamins.

Formulation and Characterisation of microspheres containing inclusion complex of an anti-hyperlipidemic drug

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Abstract:

Hyperlipidaemia is caused by excess lipids or fatty substances in the blood and is an important risk factor in developing atherosclerosis and cardiovascular diseases. Statins (3-hydroxy-3-methyl-glutaryl-CoA reductase inhibitors) are the widely used drug candidates for treating primary hyperlipidaemias with raised LDL and total cholesterol levels. Most of the statins such as atorvastatin, fluvastatin, lovastatin and simvastatin belong to BCS Class II; they are lipophilic in nature and exhibit low oral bioavailability. The aim of this research work was to improve the oral bioavailability of the drug by solving its stability and solubility issues by retaining the drug in the upper GIT which is the absorption site of the drug. Hence, inclusion complex technique was used to improve the poor solubility of one of the statins chosen as a model drug using hydroxyl-propyl-β-cyclodextrin. The optimised inclusion complex showed improvement in aqueous solubility of the drug to approximately 5 times the aqueous solubility of the pure drug. The optimised inclusion complex was formulated as microspheres using HPMC E5 (immediate release) and HPMC K4M (mucoadhesive) polymers which can retain the drug in the gastric pH for at least 2- 4 in upper GIT. The dissolution studies were carried out for the innovator product and for the batches of microspheres containing the inclusion complexes (in different ratios), filled in hard gelatin capsules (size "0"). The optimised batch (containing 1:3 ratio of inclusion complex to polymer) showed 100% drug release at the end of 3 hours, which was found to be more than that of innovator product that showed a drug release of 82% in 3 hours. The in vitro wash off test carried out to study mucoadhesion of the microspheres showed that the optimized microspheres remained in the gastric pH 1.2 for 2 hours and 30 minutes. Thus, the present study demonstrates the solubility improvement of the model drug in the gastric pH due to cyclodextrin complexation and also reveals the successful retention of the microspheres in the gastric fluid for 2.5 hours due to its mucoadhesive property.

Keywords:

Anti-hyperlipidemic drug, statins, inclusion complex, microspheres, solubility enhancement

SYNTHESIS AND ANTITUBERCULAR ACTIVITY OF *N'*-SUBSTITUTED BENZOYL-4-(2, 5-DIMETHYL-1*H*-PYRROLYL) BENZOHYDRAZIDES DERIVATIVES.

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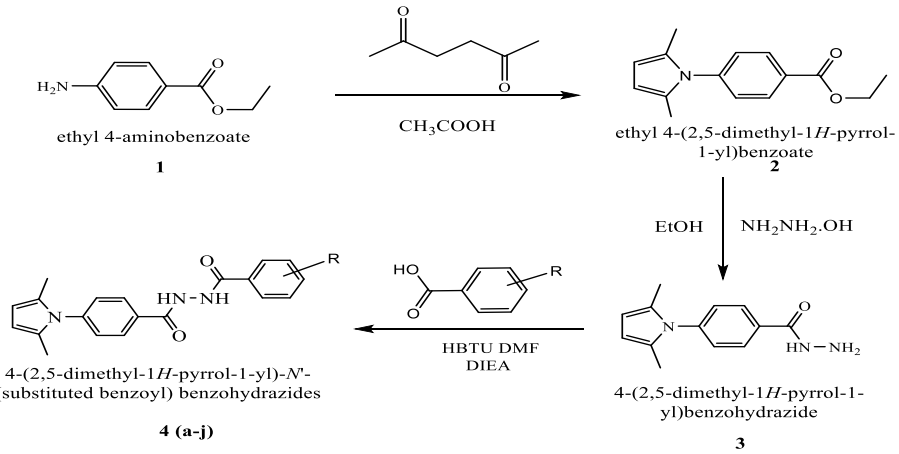
ABSTRACT:

In an approach to develop new antitubercular agents with more potent and less side effect to combat growing tuberculosis, herein we have synthesized some novel substituted dimethylpyrrolyl benzohydrazide derivatives as per the scheme. Dimethylpyrrolyl benzohydrazide derivatives (**4a-j**) were synthesized by using ethyl 4-amino benzoate (**1)** as a precursor and amino group was used to construct pyrrole ring, by reacting it with 2,5-hexanedione in presence of glacial acetic acid to obtain ethyl 4-(2,5-dimethyl-1*H*-pyrrol-1-yl)benzoate (**2)** in good yield. Conversion of ester (**2)** into its hydrazide (**3)** was straightforward, which was achieved by refluxing it with hydrazine hydrate in ethanol. Thus formed hydrazide was stirred with substituted benzoic acids in DMF at room temperature for 24h using HBTU, amide coupling agent and DIEA as a catalyst, to get 4-(2,5-dimethyl-1*H*-pyrrol-1-yl)-*N'*-(substituted benzoyl) benzohydrazide derivatives **4(a-j).** Purity of newly synthesized compounds were confirmed by physicochemical data viz., TLC and melting point and further structures of all the newly synthesized **4(a-j)** compounds were established on the basis of spectral data analysis like ^{1}H NMR, ^{13}C NMR and Mass. All the newly synthesized compounds **4(a-j)** were screened for *in vitro* antitubercular activity against *Mycobacterium tuberculosis* H_{37}Rv and compounds have exhibited significant MIC values.

KEYWORDS: 4-(2, 5-Dimethyl-1*H*-pyrrol-1-yl)benzohydrazides, HBTU, DIEA, *Mycobacterium tuberculosis* H_{37}Rv, Antitubercular activity

SCHEME; Synthetic route for benzohydrazide compounds containing pyrrole.



R - a) H, b) 2-Cl, c) 3-Cl, d) 4-Cl, e) 3-NO_2, f) 2-CH_3, g) 4-Fl, h) 2-Br, i) 4-OCH_3, j) 3-NH_2.

DESIGN, DEVELOPMENT AND IN-VITRO EVALUATION OF TRANSDERMAL PATCHES CONTAINING METOPROLOL TARTRATE

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Abstract

The aim of the present investigation is to develop and evaluate transdermal patches of Metoprolol Tartrate. The transdermal patches were prepared by solvent evaporation technique. The formulations of patches were prepared that composed of ethyl cellulose with hydroxyl propyl methyl cellulose and ethyl cellulose with polyvinyl pyrrolidine in different ratios of 1:2, 1:3, 1:4, 2:1, 3:1, and 4:1 w/w, as film former. Polyvinyl alcohol was used to prepare the backing membrane. All formulations contained Tween 80 as penetration enhancer and propylene glycol as plasticizer in dimethyl formamide as solvent system. The prepared transdermal patches of Metoprolol Tartrate were evaluated for thickness, mass variation, drug content, moisture content, moisture vapor transmission, folding endurance, tensile strength, ex vivo drug permeation study, drug release kinetics. The maximum drug release in 24 h was 97.80% (T2, HPMC: EC is 1:3), which is significant ($P < 0.05$). Furthermore, the formulation T2 showed maximum skin permeation (12.90 mg/cm^2/h) incomparison with other formulations. The mechanical properties and tensile strength revealed that the formulations were found to be strong enough but not brittle. Metoprolol Tartrate matrix-type transdermal therapeutic systems could be prepared with the required flux having suitable mechanical properties.

Keywords: Folding endurance, Drug release kinetics, Metoprolol Tartrate, Permeation enhancer, Transdermal patches

Molecular docking, synthesis and antitubercular activity of some new pyrrolyl benzamide derivatives

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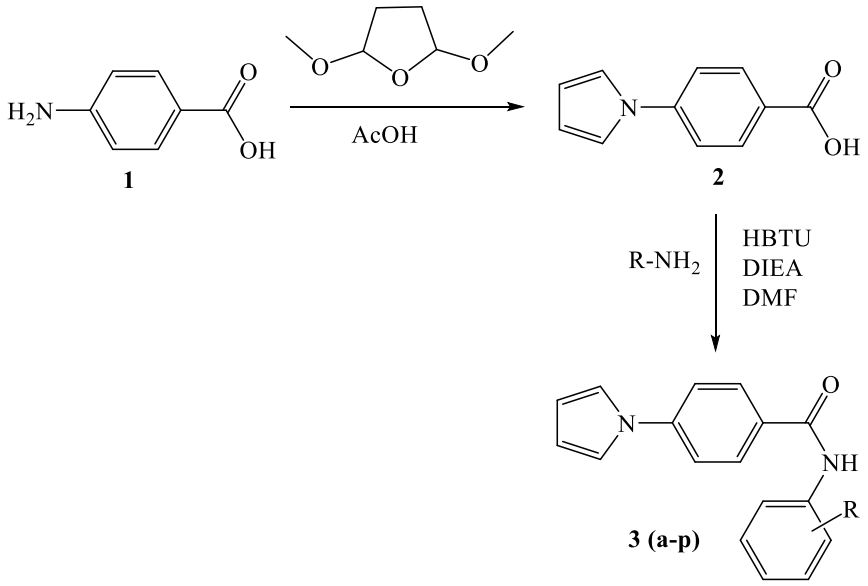
Abstract:

In efforts to develop lead antitubercular compounds, a novel series of pyrrolyl benzamide derivatives were synthesized and screened to target enoyl-ACP reductase enzyme, which is one of the important enzymes involved in type II fatty acid biosynthetic pathway of *M. tuberculosis*, an attractive target for designing novel antitubercular agents. Molecular docking was carried out on enoyl ACP reductase enzyme from *M. tuberculsosis* using Surflex-Dock. Docking analysis of the crystal structure of ENR performed using Surflex-Dock in Sybyl-X 2.0 software indicates the occupation of substituted pyrrolyl derivatives into hydrophobic pocket of InhA enzyme. All the compounds were evaluated for their antitubercular activity against *M. tuberculosis H37Rv* strain using MABA (Micro Plate Almar Blue Assay) method and the compounds have showed good to moderate activity. Some compounds exhibited very good inhibition activities against InhA.



Development of Polyherbal Formulation for Stress Management

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Stress is daily phenomenon faced by every human, in the present society advance life style and modern world, stress is playing effective role in the precipitation of diseases and degeneration of the body systems, so it is now contemporary to search some safe and effective treatment for stress. Keeping this view in mind the present study was undertaken to investigate the adaptogenic effect of polyherbal formulation and synergism between compounds present in formulation. Formulation consists of hydrolacoholic extract of *Centella asiatica, Withania somnifera* and *Ocimum sanctum* all of which are classified in *Ayurveda* as *Rasayanas* which are reported to promote physical and mental health. Individual extracts and formulation were screened for phytochemical investigation and *in vivo* antistress action. Phytochemical tests were carried out by using standard reported methods which revealed the presence of desired phytoconstituents for antistress action. In swim endurance and cold restrain model formulation has revealed the significant antistress activity at 200 mg/kg. Formulation has shown potent activity than individual plant extracts. Thus present study revealed that formulation showed antistress activity and this may be due to synergism between *C. asiatica, W. somnifera and O. sanctum.*

Key words: Antistress, polyherbal, *C.asiatica, W.somnifera, O.sanctum*

EXTRACTION AND CHARACTERIZATION OF *TERMINALIA CATAPPA* GUM AND *MORINGA OLEIFERA* GUM

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Abstract:

The objective of the present study was to find out the potential of naturally available *Terminalia catappa* gum (TG) and *Moringa oleifera* gum (MG) as an excipient in pharmaceutical formulations. The exudates from the incised trunk/bark of *Terminalia catappa L.* and *Moringa oleifera* were extracted by using distilled water. The gum exudates were screened for presence of carbohydrates, proteins, fats, starch, reducing and non-reducing sugars, alkaloids, glycosides, steroids, tannins, phenolic compounds. Further, swelling index, rheological properties and FTIR spectrogram were also studied. Extracted gums were soluble in warm water and insoluble in organic solvents. The results showed that, extracted TG and MG exhibited excellent rheological properties such as Bulk density and Tapped density indicate good packability, compressibility was derived from Carr's index, Hausner's ratio, Angle of repose. All parameter values were within the satisfactory limits of official books. Gums had good swelling index for TG (87.44 ± 0.310%) and for MG (85.294 ± 0.621%) and also exhibited good water uptake capacity. The pH values of the gums were near neutral (TG:6.4 and MG:6.8), indicating nonirritant nature of the polymer to mucous membranes of the body and skin. The FTIR spectra of both gums confirmed their carbohydrate nature. For TG ash values such as total ash, acid insoluble ash, water soluble ash was found to be 15.9%, 0.57% and 3% respectively, loss on drying was 4.85%. For MG ash values such as total ash, acid insoluble ash, water soluble ash was found to be 14.7%, 0.49% and 3.1% respectively, loss on drying was 5.05%. The results of rheological properties showed that, TG and MG had acceptable organoleptic properties and pH, so can be easily used to formulate various dosage form. In a nutshell, selected gum exudates have promising properties for application as multifunctional excipients.

Keywords: *Terminalia catappa* gum, Moringa *oleifera* gum, Rheological properties.

Asenapine Maleate loaded Nanostructured lipid carriers for oral administration: Design of Experiment aided formulation development and optimization, *in vitro, ex vivo* and *in vivo* evaluation

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Abstract

Asenapine Maleate (ASPM) is an antipsychotic drug which undergoes extensive first pass metabolism making the oral route inconvenient using conventional dosage form. Therefore nanostructured lipid carriers (NLCs) of ASPM were prepared to increase ASPM oral bioavailability. ASPM-NLCs were prepared by ultrasound dispersion technique by adopting statistical experimental design (DoE) approach and characterized for various parameters. Total lipid, surfactant concentration and drug/ lipid ratio were significant parameters which showed to have a statistically significant effect on ASPM-NLCs in DoE approach. The particle size, polydispersity index, zeta potential, particle concentration and entrapment efficiency were 84.91±2.14 nm, 0.222±0.026, -4.83±0.29 mV, 1.29±0.032 x e^{14} particles/mL and 86.9±1.8 %, respectively. DSC and XRD studies indicated the amorphized nature of ASPM in lipid matrix and *in vitro* drug release study indicated the sustained release from NLCs (88.3±3.1% in 48 h). TEM images showed spherical shaped particles with narrow size distribution. ASPM-NLCs showed greater apparent permeability across Caco2 and Caco2+Raji B cell lines and everted rat ileum. ASPM-NLCs showed high cellular uptake, increased bioavailability and high efficacy in reducing the L-DOPA-carbidopa induced locomotor count compared to plain drug. ASPM-NLCs showed high concentration of drug in brain and spleen. Thus present study revealed successful development and evaluation of ASPM-NLCs.

Keywords: Asenapine maleate, Nanostructured lipid carriers, Intestinal lymphatic system, Design of experiment.

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 anti-fungal drugs.

A series of aromatic heterocyclic derivatives have to design, synthesize and will evaluate for in vitro antifungal activity.

Keywords: Life-threatening, antifungal, fungal infections.

Regulatory aspects in nanoformulations: Proof-of-concept from bench to Market

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Abstract: Nanotechnology has emerged as a "new technological revolution" in the past two decades. The nanoformulations because of their nanosize and high surface area provide a suitable platform for the delivery of the drugs to the target site in the human body and to interact within the tissues and cells in a highly specific manner. The fast growing nanoformulation field has opened a wide avenue for the research community to bring about newer treatment modalities for various diseases. However at the same time, industries are facing challenges to produce the nanopharmaceuticals in the large scale and the regulatory authorities are facing encounters in developing newer and appropriate guidelines. Despite of the advantages of the nanoformulations there is a scarcity of specific regulatory protocols to characterize and evaluate these nanoformulations at physicochemical, biological and physiological levels. The present study reviews the key factors for the regulation of nanoformulations. It emphasizes on the efforts undertaken by the regulatory authorities and industries for i) setting general protocols for preclinical development and characterization of nanoparticles, ii) addressing biocompatibility and immunotoxicity issues pertaining to nanoparticles and iii) adapting the manufacturing process from lab scale to industry setup and to promote its translation into the market.

Keywords: Nanotechnology, regulatory, quality-by-design, biocompatibility.

Thin Layer Chromatography-Mobility Spectrometry (TLC-MS)

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Abstract

LC-MS coupling is the powerful solution to the hyphenation of Thin-Layer Chromatography and mass spectrometry (MS) and thereby opens up new possibilities for both techniques.

Not all samples may be processed by HPLC-MS or HPLC-DAD systems due to no or low detection of the compounds or impurities in the UV range, a heavy matrix load or a lack of MS compatible solvents, however necessary for the HPLC separation. On the other hand HPTLC is a very fast and convenient method to separate samples.

In the past unknown substances were scraped off from the TLC/HPTLC plate, eluted into a tube and transferred into the MS. Now a very convenient and universal TLC-MS Interface is available which can semi-automatically extract zones of interest and direct them online into HPLC-MS systems of various brands and techniques (APCI-MS, APPI-MS or EI-MS) The instrument extracts circular zones or zones in the form of bands from a TLC/HPTLC plate, e.g. with acetonitrile or any other appropriate solvent, using the standard flow speed of the HPLC-MS system (e.g. 0.2 mL/min).

Keywords: Chromatography, Impurities, LC-MS