

CONTENT

REVIEW ARTICLE

Hemorrhoids: A Review

AR Mullaicharam, R Uma Maheswari, K Geetha, Preetha S Panicker and V Chandralekha.....296

ABSTRACT

Anorectal disorders are common and the majority of the population will experience one at some time during their lives. There has been a steady increase in the prevalence of sexually transmitted diseases in recent decades leading to the identification of new anorectal syndromes. The prevalence of these conditions is increasing Hemorrhoidal disease is very widespread in modern industrial society. Hemorrhoids (piles) are common in both men and women and have a tendency to run in families. They may occur at all ages, but are uncommon below the age of 20 years except for vascular malformation (defect information of blood vessels) which may occur in Children. Hemorrhoids (Greek 'haima' means blood and 'rhoos' means flowing) or piles (Latin: Pila means a ball) refers to dilated veins occurring in relation to the anus. Hereditary predisposition, low-fibre diet, constipation and abnormal bowel habits are believed to play an important role in pathogenesis of hemorrhoids. This article highlights causes, types, symptoms, diagnosis, management of haemorrhoids

KEYWORDS:

Antidiabetics Review on Natural Products

Surendra Nath Pandeya, Rajeev Kumar, Arun Kumar and Ashish Kumar Pathak.....300

ABSTRACT:

Diabetes mellitus (DM) is an endocrinological metabolic disorder occur due to deficiency in production of insulin by the pancreas, or by the ineffectiveness of the insulin produced. Diabetes is in the top 10, perhaps in the top 5, of the most significant diseases in the developed world and is still gaining significance and number of those affected is increasing day by day. Though different types of oral hypoglycaemic agents are available along with insulin for the treatment of diabetes mellitus. The oral hypoglycaemic agents currently used in clinical practice have characteristic profiles of serious side effects, high cost and drug-drug interactions. Herbal preparations are preferred therapeutic agents to manage the glycaemic control in diabetics because of their lesser side effects. Many plants have been investigated for their beneficial use in different types of diabetes and reports occur in numerous scientific journals. There is still a need for new oral hypoglycaemic agents, may be derived from natural sources, as the serious side effects, high cost and drug-drug interaction properties of existing synthetic oral hypoglycaemic agents may not be satisfactory. This review focuses on phytochemicals, chemistry, mechanism, and lead compounds derived from plants and use to control or in the treatment of type -Diabetes.

KEYWORDS: Diabetes mellitus, Hypoglycaemic, Streptozotocin, Glutathione,

Nanoemulsions - Approaching Thermodynamic Stability

Uma Sankari K, Alagusundaram M, G Krishna Sahithi, C Madhu Sudhana Chetty, S Ramkanth, S Angalaparameswari and TS Mohammed Saleem.....319

ABSTRACT:

Nanoemulsions are part of a broad class of multiphase colloidal dispersions. In the world of nanomaterials, Nanoemulsions hold great promise as useful dispersions of deformable nanoscale droplets that can have flow properties ranging from liquid to highly solid and optical properties ranging from opaque to nearly transparent due

to their small droplet sizes possess stability against sedimentation or creaming with Ostwald ripening forming the main mechanism of nanoemulsion breakdown. The long-term physical stability of nanoemulsions with no apparent flocculation or coalescence makes them unique and they are referred to as 'Approaching Thermodynamic Stability'. Nanoemulsions can be prepared by use of high-pressure homogenizers, use of the low-energy emulsification method at constant temperature or application of the phase inversion temperature (PIT) concept. The compositional flexibility of Nanoemulsions offers a wide range in pharmaceutical applications. This review article emphasizes the formation, properties, mechanism of emulsification and characterization which enable a wide range of interdisciplinary researchers to enter the imperative field of nanoemulsions.

KEYWORDS: Nanoemulsions, Ostwald ripening, Thermodynamic stability, Phase inversion temperature.

A Prospective Study of Aetiology, Pathogenesis, Management and Outcome of Acute Renal Failure

Subash Vijaya Kumar , M Sasi Kala, Satyendra Garg, Guru Sharan and Manoj K Deka.....327

ABSTRACT:

Acute renal failure is characterised by a rapid fall in glomerular filtration rate, clinically manifest as an abrupt and sustained rise in urea and creatinine. Life threatening consequences include volume overload, hyperkalaemia, and metabolic acidosis. Our review mainly focused on acute renal failure severity and control is important in the evaluation of patients and their response to treatment. We developed a search strategy to find any publications about the diseases and its etiology. These to search the MEDLINE (1983 to current update) CINAHL, DOAJ, PUBMED databases using the key phrases causes of acute renal failure, diagnosis of renal failure. We identified supporting evidence and generated recommendations and/or directions for future research. This article examines the various complications that may be observed in patients with acute renal failure, while discuss treatment approaches and also emphasizing the need for interdisciplinary team work in improving the quality of life of patients.

KEYWORDS: Creatinine, Glomerular filtration rate, Intravenous fluids, Kidney, Urea, ATN.

A Review on Insoluble Drug Delivery Technology

Dipen Patel, ST Prajapati, CN Patel, Jatin Patel and Vachhani Savan.....333

ABSTRACT:

Insoluble Drug Delivery technology, which has been successfully, addressed the problem of water-insoluble drug delivery. Water-insoluble drugs pose intricate problems in their formulation and delivery. Poorly water-soluble drugs traditionally have been formulated for oral administration through their micronization. Micronization increases their in vivo dissolution rates by reducing particle size and increasing surface area with a concomitant gain in bioavailability. New approaches in formulating poorly soluble drugs, such as the use of surface stabilized nano or microparticles, inclusion in polymer or lipophilic matrices such as nanospheres, hydrophobic carrier systems, self-dispersible systems, and molecular complexation with agents suitable for lipophilic drugs, have demonstrated significant improvements. Hydrophobic carrier systems or self-dispersible systems can be employed only for those drugs that are sufficiently soluble in the carrier. Similarly, a matrix-inclusion system can be employed if the extent of drug loading and the drug release profile within the gastrointestinal tract are acceptable. Insoluble Drug Delivery technology formulations have displayed high drug payload, low amount of free drug in the continuous phase, almost all of the drug present in the dispersed particulate phase, no chemical change in the drug caused by the formulation process, absence of drug-vehicle interaction, narrow particle size distribution with well-defined particle morphology, a variety of suspensions and solid dosage forms, excellent bioavailability when required, and long formulations shelf-lives.

KEYWORDS: IDD technology, Advantage, Future Direction.

A Novel Method for Female Contraception: A Review

Santosh G Shep, Ashish B Roge, Nikhil M Mahajan, Atish R Sawant, Rupesh S Kamble and AV Chandewar.....339

ABSTRACT:

In response to the need of some women for long-acting, effective and safe contraceptive, the scientific community developed non-biodegradable progestational subdermal implants. Contraceptive implants are a form of birth control that contains one to six biodegradable capsules or rods that continuously release progesterin into the blood stream for up to five years. The implant system is a set of six matchstick sized, hormone- containing capsules made of flexible tubing ,works three to five years or until removed. The implants are surgically inserted under the skin in the upper arm(the part of the arm that lies against the side of the rib cage when the arms are at rest) and are one of the most effective birth control methods that require very little maintenance. For women who do not have the time or patience to remember to take a pill on a daily basis, contraceptive implants may be the best option. Contraceptive implants may also be used if a woman smokes, has a risk of cardiovascular disease, has high blood pressure and is breastfeeding. This review focus on introduction, need of contraception, methods of contraception, types, working, maintenance, advantages and disadvantages of implants.

KEYWORDS: Non-biodegradable , Biodegradable capsules, Subdermal implants, Contraception.

Current Status of Technologies and Devices for Chronotherapeutic Drug Delivery Systems

Jatin Patel, Dipen Patel, Savan Vachhani, ST Prajapati and CN Patel.....344

ABSTRACT:

An ideal drug delivery system should deliver a measurable and reproducible amount of drug when and where it is required. Studies show that many behavioural, physiological and biochemical processes of human body along with pathophysiology of certain diseases and pharmacokinetics and pharmacodynamics of many drugs as well undergo rhythmic changes with time by following circadian rhythms. So, a drug delivery system should be developed to provide the drug in synchrony with the human circadian rhythms. It allows for dosing of lower active agents with higher amount at specific time when greatest need and lower amount when less need with the potential to reduce side effects, improve efficacy and thus real optimization of therapy. Conventional dosage forms, sustained release or even constant release delivery systems fail to provide such release pattern that matches to the body's circadian rhythms. This problem can be overcome by chronotherapeutic drug delivery system that includes various devices and dosage forms fabricated by using a number of novel technologies. A variety of products based upon these technologies are in market and many others are very near to be arrived. The objective of this review is to explore the current status of the technologies claiming as chronotherapeutic drug delivery system. The article also tends to provide a bird's eye view on the rudimentary aspects, technical description and other salient features of several technological variants of chronotherapeutic drug delivery system.

KEYWORDS: Circadian rhythms, chronotherapy, chronotherapeutic drug delivery systems, time-controlled dosage forms and chronopharmaceuticals

Poly Lactic Glycolic Acid (PLGA) As Biodegradable Polymer

Chetan M Patel, Manan A Patel, Nikhil P Patel, PH Prajapati and CN Patel.....353

ABSTRACT:

Poly Lactic Glycolic Acid (PLGA) is a synthetic copolymer of lactic acid and glycolic acid. Lactic acid contains an asymmetric carbon atom, and therefore has two optical isomers: l(+) lactic acid and d(-) lactic acid. Lactic acid is present in nature as either an intermediate or an end product in carbohydrate metabolism. Glycolic acid occurs in nature to a limited extent. PLGA can be synthesized by direct poly condensation of lactic acid and glycolic acid. However, the most efficient route to obtain high-molecular weight copolymers is the ring opening polymerization of lactide and glycolide. PLGA degrades *in-vivo* to various innocuous products which are eliminated from the body through the Krebs cycle, primarily as carbon dioxide and water in urine. Since it offers great advantages of biocompatibility and biodegradability with adjustable properties and capable of being processed to form a variety of objects, PLGA finds extensive biomedical applications, such as sutures, implantable devices, and drug delivery systems. Recently, it has initiated to use as novel material as base material for sustained-release formulation. It is also advantageous as a carrier for imaging contrast agents. Preparation of PLGA scaffolds is one of important benchmark for tissue engineering applications and research is going on.

KEYWORDS: Lactic/glycolic acid copolymers; Biodegradable polymers; Imaging Contrast Agents; PLGA Scaffold.

Microchip as a Controlled Drug Delivery Device

Savan Vachhani, Nikhil Patel, Jatin Patel, ST Prajapati and CN Patel.....361

ABSTRACT:

Controlled-release systems are common in a number of products areas, including foods, cosmetics, pesticides and paper. Controlled-release system for a drug delivery first appeared in 1960s and 1970s. In the past three decades, the number and variety of controlled release systems for drug delivery application has increased dramatically. Many of these use polymers having particular physical or chemical characteristics such as biodegradability, biocompatibility or responsiveness to pH or temperature changes. However, recent advances in the field of microfabrication have created the possibility of a new class of controlled release system for drug delivery, namely, that of small, programmable devices. their small size, potential for integration with micro-electronics, and ability to store and release chemical on a demand could make controlled-release microchips useful in a number of areas, including medical diagnostics, analytical chemistry, chemical detection, industrial process monitoring and control, combinatorial chemistry, microbiology and fragrance delivery. More importantly, microfabrication technology may provide new treatment options to clinicians in their fight against disease.

KEYWORDS: Controlled-release drug delivery, Microchips, Implant, Microfabrication process.

Multilayer Tablet Technology – Options and Opportunities

Jayesh M Jain, Dinesh M Sakarkar, Shajahan Abdul, Mangesh E Bhad, Anil V Chandewar and Sunil B Jaiswal.....368

ABSTRACT:

The target in tablet dosage form design is intended to enable drug delivery in a form that maximizes the therapeutic benefit without making the system prohibitively expensive. One way of enhancing efficacy of drugs in tablet dosage forms is formulating them as modified release drug delivery systems, with a view to overcome several shortcomings such as physicochemical limitations of the drug itself or its poor pharmacokinetic profile. Conventional modified release matrix tablets generally release the drug at a slow first order rate. Greater flexibility in formulation design is possible with the advent of multilayer tablet technology. Immediate release multilayer tablet can overcome the problems of drugs and/or excipients that are incompatible, modified release multilayer tablet offer the versatility of designing sustained release formulations having zero-order drug release or release profile tailored to achieve a specific objective such as pulsed release, quick-slow release, biphasic release, etc., while site-specific multilayered tablets provide the flexibility of designing gastroresistant, gastroretentive, colon-specific or mucoadhesive formulations. While multilayered tablets gives a formulator opportunity to design a dosage form having desired product performance characteristics, manufacture of such a formulation and its scale-up are challenges and a thorough understanding of tablet press design, process parameters and formulation components is important.

KEYWORDS: Multilayered tablets, modified-release, site-specific release, multilayer OROS.

Approaches and Challenges of Protein and Peptide Drug Delivery Systems

V Rajesh Babu, Syeda Rana Nikhat, P Nivethithai and SH Areefulla.....379

ABSTRACT:

Protein and Peptide drugs are increasingly recognized as potential leads for the development of new therapeutics for a variety of human ailments. With the advent of new technologies and radical growth in the field of biotechnology, dozens of protein and peptide drugs have been marketed. The unique requirement of peptides and proteins in designing delivery system and the unprecedented recent growth in the field has driven a great deal of research into novel means of drug delivery. The search for approaches that provide formulations that are stable, bioavailable, readily manufacturable and acceptable to the patient, has led to major advances in development of oral, transdermal, transmucosal and controlled released technology, as injectable administration, can result in low patient compliance. Hence, there is a drive in the biotechnology industry to produce needle-free and more user-friendly drugs This review focuses on the challenges and approaches involved in the delivery of these biomolecules through non-invasive routes.

KEYWORDS: Protein, peptide, oral, Pulmonary and Transdermal.

Footsteps of Pulsatile Drug Delivery System in Pharmaceutical Sciences

Sheth Avani, Naman Doshi, Dipen Patel, Badmanaban R and CN Patel,.....385

ABSTRACT:

Pulsatile Drug Delivery System is provided a novel drug delivery system which provides for intermittent drug delivery with readily adjustable intervals between drug delivery pulses. It is accomplished by providing a multilayer device in which layers of active drug are readily expandable or erodable when contacted with the environment in which the drug is to be administered. The drug layer is alternated with an inert layer and a multiplicity of such layers are contained within a tube impervious to such environment but provided with an opening into such environment. The multiplicity of such layers is driven along the length of such tube towards the opening. The interval between pulses is determined by the rate the layers are driven along the tube and the sizes of the layers. The duration of the pulse is determined by the rate of expansion or dispersion of the active layer into the environment.

KEYWORDS: Pulsatile drug delivery system, different technology, stimuli induced pulsatile systems.

Cardiac Pacemaker and Recent Advances

Hiren R Patel, Jatin Patel, IS Anand and CN Patel.....390

ABSTRACT:

Pacemaker is an object that influences the rate at which a certain phenomenon occurs, if it influences heart rate than is called cardiac pacemaker (natural or artificial cardiac pacemaker). The primary purpose of a pacemaker is to maintain an adequate heart rate, because either the heart's native pacemaker is not fast enough, or there is a block in the heart's electrical conduction system. Dr. Hopps invented first implantable artificial pacemaker in 1958. A patient's lifestyle is little bit modified. Few activities those are unwise such as full contact sports and activities that involve intense magnetic fields. Security and privacy concerns have been raised with pacemakers that allow wireless communication. Modern pacemakers are externally programmable and allow the cardiologist to select the optimum pacing modes for individual patients. Like, some combine a pacemaker and defibrillator in a single implantable device. Others have multiple electrodes stimulating differing positions within the heart to improve synchronization of the lower chambers of the heart. A Biventricular Pacemaker (BVP), also known as CRT (cardiac resynchronization therapy) by pacing both sides of the left ventricle, the pacemaker can resynchronize right atrium, right ventricle and left ventricle. An unrealized advancement in pacemaker function could mimic nature by utilizing various bodily input parameters such as CO₂ - O₂ level at in arterial-vein system, Body temperature, Respiratory rate, Body hormone levels like Adrenaline, etc. Advancement in design - as research efforts continue, future devices promise to be longer lasting, more reliable and versatile. Advances in battery technology, such as using radioactive isotopes, will undoubtedly improve the longevity of implanted pacemakers.

KEYWORDS: Cardiac pacemaker, Defibrillator, Biventricular Pacemaker (BVP), CRT (cardiac resynchronization therapy)

RESEARCH ARTICLE

Preliminary Phytochemical Investigation and In-vitro Antioxidant Activity of *Bergenia ciliata* Leaves

Byahatti VV, Khan AM, Patil SH and Choudhury A399

ABSTRACT

Alcoholic extract, butanolic and ethyl acetate fractions of *Bergenia ciliata* leaves were evaluated for antioxidant activity using 2,2-Diphenyl-1-Picrylhydrazyl (DPPH) radical scavenging and superoxide scavenging system along with preliminary phytochemical investigation. Ethyl acetate fraction significant antioxidant potential as radical scavenger over the alcoholic extract and butanolic fraction. Three phenolic compounds, a steroid and tannins have been isolated.

KEYWORDS: Antioxidants, *Bergenia ciliata*, DPPH, free radical scavenging.

Anti-Hyperglycemic and Antioxidant Activities of the Mehari Choornam

Sanap GS, Sawant VA, Shende VS, Shid SL, Borkar SN, Tarannum S, Dama GY and Suresh R.....402

ABSTRACT

Diabetes, the most prevailing metabolic disorder, is attracting present research attention towards it. In the present study, we have designed to evaluate the antihyperglycemic and antioxidant potential of Mehari choornam (POC), a poly herbal ayurvedic formulation, containing *Curcuma longa*, *Emblica Officinalis* and *Syzigium cumini* in different proportions as label claims. This combination of drug helps in reducing the blood glucose level in border-line diabetes and also acts as antioxidant thereby preventing end-organs complications. The effect of Mehari choornam on normoglycemic rats and on hyperglycemia induced with alloxan (120 mg/kg) on single and repeated administration of Mehari choornam was evaluated. The antioxidant potential of the extract was estimated in the heart and pancreas after repeated administration of Mehari choornam in alloxan induced diabetic rats. The results were satisfactory, as a significant decrease in the blood glucose levels after repeated administration was observed. The reversal of the decreased antioxidant enzyme levels in the heart and pancreatic tissues of diabetic rats suggest its efficacy against diabetes and oxidative damage.

KEYWORDS: Mehari choornam, Diabetes, Alloxan, Gliclazide, antioxidants.

Pharmacognostical Characters of Dried Flowers of *Nymphaea stellata* Willd.

Sachin Uttam Rakesh, Priyanka R Patil, VR Salunkhe, PN Dhabale and KB Burade.....406

ABSTRACT:

The macroscopic characters of the dried flowers, physical constant values, extractive values, behavior on treatment with different chemical reagent, fluorescence characters under ultra violet light after treatment with different chemical reagents of the dried powder of flowers of *N. stellata* Willd. (*Nymphaeaceae*) were studied to fix some pharmacognostical parameters. Preliminary phytochemical studies on different extracts of the dried flowers were also performed.

KEYWORDS:

Estimation of Metaxalone in Bulk and In Tablet Dosage Form by RP-HPLC

D Nagavalli, ASK Sankar, K Anandakumar, T Vetrichelvan and M Balaji.....409

ABSTRACT:

A simple, selective, rapid and precise RP-HPLC method for the estimation of Metaxalone in bulk material and in pharmaceutical formulation has been developed and validated. An isocratic elution at a flow rate of 1.0 ml/min was employed on a Phenomenax Luna C₁₈ column (150 X 4.6 mm i.d., 5 μ) at ambient temperature. The mobile phase consisted of Phosphate buffer: p^H (5.0) Acetonitrile: Methanol in the ratio of (40:40:20). The UV detection wavelength was documented at 280 nm. The retention time Metaxalone was found to be 4.19 min. The method obeys Beer's Law in the concentration range of 20-100 μg/ml. The method was validated as per standard analytical procedures. The correlation co-efficient value was found to be 0.9997. The limit of detection and the limit of quantification were found to be 0.03205 μg/ml and 0.9712 μg/ml respectively. The amount of Metaxalone present in formulation was found to be 399.98 mg. The method was validated statistically and by recovery studies. Hence, the proposed method can be proficiently applied for the routine analysis of Metaxalone in bulk and in tablet formulation.

KEYWORDS: Metaxalone, RP-HPLC, Skeletal muscle relaxant, Skelaxin

Formulation and In-vitro Evaluation of Fast disintegrating Tablets using Sertraline Hydrochloride as a model Drug

Ganesan V and Lokesh Mangalmurti Chitrivekar.....412

ABSTRACT:

The present study was aimed towards the formulation and *invitro* evaluation of rapidly disintegrating Sertraline hydrochloride, a new antidepressant as a model drug. Fast disintegrating tablets of sertraline hydrochloride was formulated using 5% and 10% concentration of superdisintegrants like Crospovidone, Croscarmellose sodium, Pregelatinized starch, low-substituted hydroxy propyl cellulose(L-HPC) and Sodium starch glycolate. A novel diluent (a combination of mannitol and silicified microcrystalline cellulose(SMCC)) in the ratio 70:30 was used in the study. All the formulations were prepared by direct compression method (Rimek II minipress) using 9.5mm flat-faced punches. Prepared tablet were evaluated for thickness, hardness, friability, uniformity of weight, disintegration time, wetting time, drug content and dissolution study. Disintegration time, wetting time and *in vitro* drug release were taken as the basis to optimize the best fast disintegrating formulation. Formulations containing crospovidone and croscarmellose sodium displayed shortest disintegration and wetting time and maximum dissolution compared to other disintegrants. Optimized formulations (S2 and S4) were subjected to stability studies for thirty days which showed stability with regards to release pattern. Overall results suggests that a 10% disintegrant concentration is suitable for the preparation of sertraline hydrochloride fast disintegrating tablets and the tablets containing disintegrants Crospovidone (S2) and croscarmellose sodium (S4) are the best.

KEYWORDS: Fast disintegrating tablet, Superdisintegrants, Direct compression, Sertraline hydrochloride.

Antagonistic Activity of Soil Fungal Metabolite against *Streptococcus mutans* Strains

Pranay Jain and Ram Kumar Pundir.....417

ABSTRACT:

In the present investigation, a soil fungus was isolated by using serial dilution agar plate technique and screened for its antagonistic activity against the three *Streptococcus mutans* strains following the overlay culture plate technique and agar well diffusion method. The antagonistic activity of fungal metabolite was compared with commercially available drug gentamycin, which was used as positive control. Identified fungus, *Aspergillus terreus* showed antagonistic activity in both overlay agar culture plate technique and agar well diffusion method. *A. terreus* was found to be effective against all the three strains of *S. mutans* with zone of growth inhibition ranging between 30 and 37mm. The antibacterial activity of fungal metabolite was higher than that of gentamycin and it may be suggested that further research is needed for determining the chemical structure of metabolite which is responsible for bioefficacy and to determine the cytotoxicity and *in vivo* efficacy against dental caries pathogens before it is used for commercialization purposes.

KEYWORDS: Antagonistic activity, *Aspergillus terreus*, gentamycin, *Streptococcus mutans*

Pharmacognostical Evaluation of *Ipomoea staphylina* Linn

S Hemalatha and M Jegadeesan.....420

ABSTRACT:

Ipomoea staphylina Linn. (*Convolvulaceae*) is used in the treatment of purgation, stomach disorders, pain, inflammation, and also in rheumatism. Only a very limited research has been carried out on the plant. The present paper highlights the macroscopic and microscopic characters of leaf, stem, petiole, physico-chemical evaluation, powder analysis, histochemical studies and preliminary phytochemical studies of the aerial parts of the plant. These observations would be of immense value in the botanical identification and standardization of the drug in crude form. This study would help to distinguish the drug from its other species.

KEYWORDS: *Ipomoea staphylina*, *Convolvulaceae*

Spectrophotometric Estimation of Nadifloxacin in Pharmaceutical Dosage form

Amol A Kulkarni, Rabindra K Nanda, Meenal N Ranjane and Poonam N Ranjane.....429

ABSTRACT:

Three simple, precise and economical UV methods have been developed for the estimation of Nadifloxacin in pharmaceutical dosage form. Nadifloxacin has the absorbance maxima at 296.5 nm (Method A), and in the first order derivative spectra, showed sharp peak at 278.0 nm (Method B). Method C applied was area under curve

(AUC) in the wavelength range of 291-301 nm. Linearity for the detector response was observed in the concentration range of 5-25 µg/ml for all three methods. The proposed methods were successfully applied for the simultaneous determination of Nadifloxacin in commercial pharmaceutical preparation. The results of the analysis were validated statistically and by recovery studies it was found to be satisfactory.

KEYWORDS: Nadifloxacin, UV spectrophotometry, derivative spectroscopy, area under curve.

Anti-Inflammatory and Anti-Nociceptive Activity of *Adiantum capillus*

Vikas Gupta, Parveen Bansal, Pawan Kumar and Gurpreet Kaur.....432

ABSTRACT:

The present study was designed to evaluate both anti-inflammatory and antinociceptive activity of the ethanolic extract of dried leaves of *Adiantum capillus* (ACEE), using the Carrageenan-induced paw edema method in rats and Tail-flick method in mice, respectively, at various dose levels. The maximum anti-inflammatory effect of the extract was found to be at 300 mg/kg in carrageenan test and this effect was equivalent to phenylbutazone (PBZ) (80 mg/kg, orally) (p<0.05). The extract also demonstrated marked antinociceptive activity at a dose of 300 mg/kg and the effect was comparable to that of standard drug, Ibuprofen (100 mg/kg orally) (p<0.05). The results of this study have established the anti-inflammatory activity and antinociceptive activity of leaf extracts of *Adiantum capillus*.

KEYWORDS: *Adiantum capillus*, Paw edema method, Adiantaceae, Tail-flick method.

Analgesic Activity of *Thuja orientalis* Leaves

PV Andhale, RS Jadhav, RD Bhalke, VD Tambe and MH Kolhe.....435

ABSTRACT:

Present study reports analgesic activity of petroleum ether, chloroform, methanolic and aqueous extract of leaves of *Thuja orientalis*. Hot plate and tail immersion methods were used for evaluation of central analgesic activity and acetic acid induced writhing model was used for evaluation of peripheral analgesic activity. Hot plate acetic acid induced writhing model was used for evaluation of central analgesic activity as well as peripheral analgesic activity. Results indicate that petroleum ether extract of leaves at 50 mg/kg, i.p. dose produced a significant increase in reaction time (P<0.05) in tail immersion method and increased in response latency period (P<0.05) in hot plate method, against standard drug pentazocin. Aqueous extract of leaves of *Thuja orientalis* significantly (P<0.05) attenuated the number of writhing when compared to standard drug paracetamol in acetic acid induced writhing model.

KEYWORDS: *Thuja orientalis*, hot plate method, tail immersion method, acetic acid induced writhing model.

Phytochemical and Antimicrobial Evaluation of *Luffa cylindrica* Linn. Leaf and Flower Extracts – An In-Vitro Study.

Dhanalekshmi UnniKrishnan Nair, MP Saraswathy, Narra Kishore, Neelakanta Reddy Pully.....438

ABSTRACT

Luffa cylindrica (LC) linn. belonging to family Cucurbitaceae popularly known as “Raja koshataki”, is an traditionally important plant with more medicinal properties. Phytochemical investigation of ethanolic extracts of the leaves and flowers of *Luffa cylindrica* Linn resulted in the identification of various chemical constituents such as alkaloids, β – sitosterols and amino acids. The ethanolic extracts of leaves and flowers of *Luffa cylindrica* were also tested against nine human pathogenic bacteria and four fungal strains by the agar-well diffusion and slant method. Based on minimum inhibitory concentration (MIC) of the present study, maximum antibacterial activity was found in flower extract of *Luffa Cylindrica*, whereas leaf extract had maximum antifungal activity. This study supports the traditional claim and usefulness of the plant in typhoid, cholera and other gram negative bacterial and staphylococcal infections.

KEYWORDS: *Luffa cylindrica*, Antibacterial, Antifungal, agar-well diffusion.

Assessment and *In Vitro* Release Profiles of Salbutamol Sulphate from Hypromellose and Carbomer Based Matrix Tablets

Kanij Fatema, Md. Zakiur Rahman, Tasnuva Haque, Muhammad Shahidul Islam and Sayma Ara Dayna.....442

ABSTRACT:

In the present study an effort has been made to evaluate the effect of hydrophilic polymer as a rate retarding material to sustain the release of Salbutamol Sulphate from the sustained release tablet. Formulation of salbutamol sulphate sustained release tablet were made by direct compression method, using hypromellose 15,000 cps, hypromellose 4000 cps and carbomer 934P as release rate controlling polymer. These polymers were used at different concentration. Physical parameters of the prepared tablets were evaluated. To find out the appropriate dissolution media upon solubility, different media (distilled water, 0.9% NaCl, 0.1 N HCl, Phosphate buffer) were used for preparation of standard solution and dissolution media. The maximum absorbance was observed at 276nm for each preparation using UV-Visible spectroscopy. Release profile of sulbutamol sulphate from this sustained release tablet at dissolution media (pH-7.4 phosphate buffer) was investigated using USP apparatus-II paddle method for eight hours and the drug content was measured by UV-Visible Spectroscopy. The release rate, extent and mechanisms were found to be governed by polymer type and their content. Highly viscous and higher content of hypromellose 15,000 cps (40%) in the F-3 was shown comparatively slower rate and extent of drug release than other formulations. The release mechanism was explored and explained with Zero order, Higuchi, First order and Korsmayer equation. Release profile of sulbutamol sulphate from F-1, F-2 F-3, F-5, F-6, F-7, F-8, F-9, F-10, F-11 and F-12 showed a tendency to follow Zero order Kinetics. F-1, F-2 F-3, F-4, F-7, F-8, F-9, F-10 and F-13 followed Higuchi Kinetics. Most of the formulations follow non-Fickian (anomalous) release except F-7, F-8, F-10, F-11, and F-13 which show Fickian (Case I) release. The higher MDT value of F-3 indicates a higher drug retaining ability of Hypromellose 15,000 cps. Two market preparations were taken to compare release profile with the prepared tablets. Result showed that tablet formulated with hypromellose 15000 cps exit sustaining effect comparatively better than market preparation.

KEYWORDS: Sulbutamol Sulphate, Hypromellose, Carbomer, dissolution profile comparison.

Novel Visible Spectrophotometric Methods for Estimation of Fenoverine in Pharmaceutical Formulations

A Sreelakshmi, G Devala Rao and G Sudhakara Sai Babu.....449

ABSTRACT:

Fenoverine is an antispasmodic drug. Two simple, sensitive and accurate spectrophotometric methods have been developed for the determination of fenoverine in pure state and in its pharmaceutical formulations. The developed Method A is based on the formation of picrate salt between picric acid and free base of fenoverine and it shows maximum absorption at λ_{max} 410 nm and Linearity in the range of 10-50 $\mu\text{g/mL}$. Method B involves reaction between free base of fenoverine and chloranilic acid. The developed chromogen in Method B shows maximum absorption at λ_{max} 525 nm and Linearity in the range of 100-500 $\mu\text{g/mL}$. The results obtained were statistically evaluated and were found to be accurate and reproducible.

KEYWORDS: Fenoverine, Spectrophotometric.

Design and Evaluation of Floating Drug Delivery System of Furosemide.

Varma MM, Suneetha S and Raju DB.....452

ABSTRACT:

Controlled release gastroretentive dosage forms enable controlled and continuous input of the drug to the upper parts of gastrointestinal tract and improve the bioavailability of drug that is characterized by narrow absorption window. Floating drug delivery systems of furosemide were formulated using various concentrations of polymers such as HPMC K4M, HPMC K15M, HPMC K100M, ethyl cellulose and effervescent agents sodium bicarbonate and citric acid. The formulations were evaluated for floating properties and in vitro drug release studies. The floating tablets fulfilled the official specifications of weight variation, hardness, friability and drug content. The formulated tablets showed controlled release of the drug for a period of 10 hrs. The drug release from the floating tablets was found to be non fickian diffusion obeying zero order kinetics.

KEYWORDS: Furosemide, Floating tablets, HPMC, Ethyl cellulose.

Screening, Development and Optimization of a Potential Herbal Film Forming Agent

Ayan Kumar Kar, Rana Mazumder, Amitava Ghosh, Amitava Roy and Surajit Saha.....455

ABSTRACT:

The film of miracle herbal polymer, *Moringa Oleifera* was prepared along with 30% and 50% of plasticizers like propylene glycol, PEG-4000 and glycerol to ensure the spreading and/or the film forming capability of the herbal polymer. In this study, three plasticizers, namely polyethylene glycol 4000, propylene glycol and glycerol were selected and the aqueous film coating solution was prepared by incorporating different concentrations of the plasticizers. The evaluatory parameters of the prepared cast film of *Moringa Oleifera* like thickness, folding endurance, tensile strength, moisture loss, water uptake and vapour-pressure transmission rate were evaluated and the folding endurance value of the prepared films (F2, F6) was found satisfactory which ensures that the films prepared using the herbal polymer *Moringa oleifera* were having optimum flexibility and were no brittle. The percent moisture content (% W/W) of the film prepared with different proportion of glycerol and polyethylene glycol-4000 were found to be in between 3.2 to 3.5 (% W/W). It was observed that with increase in hydrophilic polymer concentration, the moisture content was also increasing. The water uptake (% W/W) of the film of the herbal polymer, *Moringa Oleifera* were found in between 4.1 to 4.4 (% W/W). In water uptake study, it was observed that the water uptake value increases with gradual increase in concentration of hydrophilic polymer. The water-vapour transmission rate through different film formulations showed that the films were permeable to water and the films showed uniform flatness without any observed constriction.

KEYWORDS: *Moringa Oleifera* Gum, Polyethylene Glycol-4000 and Propylene Glycol.

Formulation and Evaluation of Lacidipine Tablets Employing Lacidipine – Starch Phosphate Binary Systems

Prasanthi NL and Rama Rao N.....458

ABSTRACT:

The objective of the study is to formulate and evaluate lacidipine tablets employing lacidipine-starch phosphate binary systems. Binary systems of lacidipine in starch phosphate were prepared in different drug to carrier ratios. Lacidipine tablets were formulated employing lacidipine-starch phosphate binary systems and their corresponding physical mixtures. The compressed tablets were evaluated for various tablet characteristics including dissolution rate and efficiency. Marked increase in the dissolution rate and efficiency of lacidipine was observed with tablets of binary systems in comparison to tablets formulated with physical mixture and pure drug. Dissolution of lacidipine from the binary systems obeyed first order kinetics.

KEYWORDS: Starch phosphate, Lacidipine, solid binary systems, tablets.

In-vitro Antimicrobial Activity of Four Indigenous Medicinal Plants Belonging to Bapatla, A.P

Mohan Kalyan R. Konduri, Kiran B. Uppuluri, Ramesh Chintha, Shaik Mulla and Rakesh Peruri.....461

ABSTRACT:

The antimicrobial activity of leaf extracts of four indigenous medicinal plants (*Cassia auriculata*, *Psidium guajava*, *Carica papaya*, and *Adathoda vasica*, of families Caesalpinaceae, Solanaceae, Caricaceae and Acanthaceae) were evaluated on four bacterial strains (staphylococcus aureus (MTCC 96), *Escherichia coli* (MTCC 738), *Enterobacter aerogenes* (MTCC 2990) and *Serratia marscens* (MTCC 2645)). The Methanol, Ethyl acetate and Aqueous extracts were obtained by soxhlet apparatus and antimicrobial activity was found using disc diffusion method. Results of phytochemical analysis indicated that out of 12 extracts (from four plants and three solvents) ethyl acetate extract of *Adathoda vasica* exhibited maximum antimicrobial activity against all the four tested microorganisms. Ethyl acetate extract of *Adathoda vasica* showed maximum inhibition (31mm) zone towards the microorganism *staphylococcus aureus* and 23mm, 18mm, 15mm zones of inhibition against *Enterobacter aerogenes*, *Serratia marscens* and *Escherichia coli* respectively. Methanol extracts of *Psidium guajava* and *Carica papaya* also found to be effective against *E. coli* with zones of inhibition 27mm and 25 mm respectively. The antibacterial activity of active extracts was compared with the antibiotic, Streptomycin. Comparative studies with antibiotic streptomycin showed that ethyl acetate extract of *Adathoda vasica* against *S. aureus*, methanolic extracts of *psidium guajava* and *Carica papaya*

against *E.coli* were found to be more effective compared to streptomycin. This study demonstrates potentials of all above plants as source of antimicrobial activities that could be harness for use in the health care delivery process.

KEYWORDS: *Adhatoda vasica*, *Psidium guajav*, *Carica papaya*, Antimicrobial activity, *Staphylococcus aureus*

Evaluation of Anticonvulsant Activity of Novel Substituted 2-Mercaptobenzimidazole Derivatives

Ravi N Tiwari, KG Bothara, Gurmeet Singh Chhabra and Sarang Kulkarni.....466

ABSTRACT:

Mannich bases of sulphonamide substituted 2-mercaptobenzimidazole compounds were synthesized from 2-mercaptobenzimidazole by reacting with aldehyde like formaldehyde and the various sulphonamides. Synthesized compounds were characterized by spectral studies and evaluated for antibacterial activities. The statistical analysis was done by students "t" test and the values were expressed as Mean \pm SEM.

KEYWORDS: Mannich Bases Sulphonamide Substituted 2-Mercaptobenzimidazole, Anti-Convulsant Activity.

Formulation and Evaluation of Controlled Release Drug Delivery System Containing Water Soluble Drug.

Pushpanjali C Ligade, Kisan R Jadhav and Vilasrao J Kadam.....468

ABSTRACT:

The objective of the present study was to develop controlled release tablet of water soluble drug (X) which can release the drug up to time of 12 hrs in predetermined rate. The drug release for extended duration, particularly for highly water soluble drug using a hydrophilic matrix system is restricted because of the rapid diffusion of the dissolved drug through the hydrophilic network. For such drug with high water solubility hydrophobic polymers are suitable, along with a hydrophilic matrix for developing sustained release dosage forms. Therefore in this study both the hydrophilic and hydrophobic polymer was used as matrix material to obtain a desirable drug release, patient compliance and cost-effectiveness. Hence in the present study work an attempt has been made to develop controlled release matrix tablet using hydrophobic and hydrophilic polymers. Matrix materials such as (HPMC) hydroxyl propyl methyl cellulose, hydroxyl propyl cellulose (HPC) and ethyl cellulose (EC), Na CMC, hydrogenated castor oil are tried. The in vitro drug release study and optimization studies revealed that low concentration of HPMC and high concentration of Ethyl Cellulose was able to control the simultaneous release of water soluble drug for 12 hours. Optimization was done using 3² factorial design. The in vitro release data followed Higuchi equation or matrix model, respectively. In conclusion, the in vitro release profile and the mathematical models indicate that release of drug can be effectively controlled from a single tablet using HPMC and EC matrix system.

KEYWORDS: Water soluble drug X, Hydrophobic and Hydrophilic Polymers, Optimization, In-vitro release mechanisms.

HPTLC Method Development and Validation for the Estimation of Rabepazole Sodium and Itopride Hydrochloride in Tablet Dosage form

B Dhandapani, N Anjaneyulu, K Vinod Kumar and Shaik Harun Rasheed and M Ramakotaiah.....475

ABSTRACT:

The simple, accurate and precise method for the quantitative determination of Rabepazole sodium (RP) and Itopride hydrochloride (IH), from its tablet dosage form by HPTLC method, the chromatograms were developed using a mobile phase of Ethyl acetate : Methanol : Ammonia (8.5:1:0.5 v/v) on precoated plate of silica gel 60 F₂₅₄ and quantified by densitometric absorbance mode at 285 nm. The R_f values of IH and RP were 0.21 and 0.41 respectively. Linearity of Itopride hydrochloride (IH) and Rabepazole sodium (RP) was in the range of 75 - 375 ng/ml and 10 - 50 ng/ml. Recovery studies of 98.88 - 102.41%, percentile relative std deviation of not more than 0.8 and correlation coefficient (linearity range) of 0.9954 - 0.9999 for IH and RP, it shows that developed methods were accurate and precise. The LOD and LOQ values were found to be 10ng/ml, 30ng/ml and 5ng/ml, 10ng/ml for IH and RP respectively. The mean percentage recovery values close to 100% it indicates there is no interferences of additives with Rabepazole sodium (RP) and Itopride hydrochloride (IH) present in tablet dosage forms. The method has been validated as per ICH guide lines. This method can be employed for the routine analysis of tablets containing IH and RP.

KEYWORDS: High performance liquid thin layer chromatography (HPTLC); Rabeprazole sodium (RP); Itopride hydrochloride (IH).

A Novel Sustained Release Swellable Bioadhesive Floating Gastroretentive Drug Delivery System for Tuberculosis

T Udayakumar and M Mohammed Hussain.....478

ABSTRACT:

Controlled release formulations of Rifampicin of about 28 formulations developed using combination of psyllium husk, Carbopol-934, Sodium Carboxy methyl cellulose, Chitosan-H, Sodium alginate, polymethacrylic acid ethyl acrylate and Basil seed using suitable different concentration. Initially the granules were prepared by wet granulation method and evaluated for bulk density (0.494-0.610); tapped density (0.521-0.694), Carr's index (9.81-15.01), Angle of repose (20⁰-30⁰), drug content was estimated. The granules were punched with single punch machine and evaluated for Hardness, Friability and Weight variation were determined. The Buoyancy and dimensional stability were determined to assess the best formulation for the further studies after invitro dissolution study. Amongst five selected formulation from the Buoyancy and invitro dissolution the best one was selected by the evaluation of muco adhesive property of the formulation using sheep stomach tissues. The selected best formulation is further studied for invivo bioavailability and floating property analysed by X-ray in the rabbit stomach using radiographic imaging technique. Finally concluded from the results that formulation using psyllium husk is the best polymer for gastroretentive drug delivery system of Rifampicin.

KEYWORDS: Gastro retentive system, Rifamicin, psyllium husk, *in vivo* and *in vitro* evaluation.

Formulation Development of Mucoadhesive Matrix Tablet for Metformin Hydrochloride: In-Vitro and In-Vivo Evaluation

Sheetal Dhar and Varsha Pokharkar.....483

ABSTRACT:

Of the various attempts to prolong the gastric residence time, use of mucoadhesive polymers is the most sought after. The main objective was to prolong the residence of metformin hydrochloride formulation in upper gastrointestinal tract. Herein we report a method for the preparation of mucoadhesive drug delivery system for metformin hydrochloride, a water soluble drug, using HPMC K4M, Carbopol 934P and Carbopol 971P as mucoadhesive and release controlling polymers. The selection of mucoadhesive polymer was done on the basis of water uptake, shear stress measurement and detachment force. The formulations were prepared by tablet compression method and were evaluated for water uptake, mucoadhesion, differential scanning calorimetry, *in vitro* and *in vivo* release. The water sorption studies of the formulation indicated a curvilinear relation for the drug: polymer ratio. The *in vitro* release studies revealed that the optimum combination of HPMC K4M and Carbopol 971P in the formulation leads to sustained release with a lower burst. The non invasive technique of gamma scintigraphy was explored which confirmed the exact position of the formulation within the gastrointestinal tract. Hence, it is concluded that the mucoadhesive formulation of metformin hydrochloride using mucoadhesive and release controlling polymers could sustain the drug release and remain in upper gastrointestinal tract so that the drug would be available in the dissolved form at the main site of its absorption.

KEYWORDS: Metformin hydrochloride, Mucoadhesion polymers, Dissolution kinetics, Gamma scintigraphy.

Simultaneous Estimation of Lamivudine, Stavudine and Nevirapine by RP-HPLC in Tablet Formulation

Jitendra Verma, Dheeraj Jain, Nilesh Jain, Sharad P Pandey and Deepak Kumar Jain.....490

ABSTRACT:

A simple, precise, reliable, rapid and reproducible reversed-phase high performance liquid chromatography (RP-HPLC) method was developed and validated for the simultaneous estimation of lamivudine (3TC), stavudine (D4T) and nevirapine (NVP) present in multicomponent dosage forms. Gradient chromatography using Inertsil-ODS-3 (C-18) Column (5 µm, 250mm x 4.60mm) eluted with two mobile phase components: mobile phase A comprising of 0.1% OPA and mobile phase B (methanol) with a flow rate of 1.0 mL/min and a detection wavelength at 254nm.

Parameters such as linearity, precision, accuracy, recovery, specificity and ruggedness are studied as reported in the International Conference on Harmonization guidelines. The retention times for lamivudine, stavudine and nevirapine were 2.6, 4.08, and 10.92 min respectively. The calibration curves were linear ($r^2 > 0.9997$ for all three compounds). The linearity range and percentage recoveries for 3TC, D4T and NVP are 30-150, 6-30, 40-200 μgml^{-1} and 100.95, 107.46, 106.74% respectively. The correlation coefficients for all components are close to 1. The relative standard deviations for three replicate measurements in three concentrations of samples in tablets are always less than 2%.

KEYWORDS: Lamivudine, Stavudine, Nevirapine, RP-HPLC, Simultaneous Estimation.

Sustained Release Mucoadhesive Tablets of Metoprolol Succinate for Buccal Administration.

Pathan AM, Channawar MA, Bakde BV, Gawande SR, Sapkal SR, Chandewar AV and KS Bombatkar.....494

ABSTRACT:

The buccal mucosa has been investigated for local and systemic delivery of therapeutic peptides and other drugs that are subjected to first-pass metabolism or are unstable within the rest of the gastrointestinal tract. Metoprolol Succinate is subjected to first-pass effect, therefore formulation of buccal-adhesive dosage form can circumvent this effect. In the present investigation, an attempt was made to developed buccoadhesive sustained release tablet of Metoprolol succinate. Total six formulations were prepared with varying the concentration of mucoadhesive polymers. Mucoadhesive polymers such as HPMC, Carbopol 934P were used to formulate such dosage form with magnesium stearate as a lubricant. The tablets were prepared by direct compression method & evaluated with regards to the parameters for drug content, hardness, friability, and weight variation, mucoadhesive strength, swelling index & dissolution studies. In the formulation batches, that contain Carbopol 934 P, with HPMC K4M in different ratio, in 1:2 ratios shows maximum swelling index, bioadhesive force was found to decrease with decrease in proportion of HPMC K4M. In IR spectroscopy, similar peaks were obtained of pure drug and F4 batch tablet that indicate there is no any physical or chemical interaction between drug, polymer & excipients. The maximum % cumulative drug release of the loaded drug was found to be in F4 formulation batch as 94.9 % after 8 h in vitro in saliva fluid pH 6.2 while they released about 96 % of their content after the same time in phosphate buffer pH 6.8

KEYWORDS: Buccal Delivery, Buccal Mucoadhesive Tablet, Metoprolol Succinate, Mucoadhesion.

Area under Curve (AUC) Method for Estimation of Venlafaxine Hydrochloride in Bulk and Pharmaceutical Formulations

Vimal D Shirvi, G Vijaya Kumar, KP Channabasavaraj, Chirag B Pandya and T Tamizh Mani.....500

ABSTRACT:

A simple, precise and economical Area Under Curve (AUC) method has been developed for the estimation of Venlafaxine hydrochloride in bulk and pharmaceutical formulations. This method is based on calculation of AUC for analysis of Venlafaxine hydrochloride in the wavelength range of 267-278 nm. The drug follows the Beer's law in the concentration range of 20-100 $\mu\text{g/ml}$. The values 0.94 and 1.42 represents for % RSD for intra-day and inter-day precision, respectively. The LOD and LOQ were found to be 1.27 $\mu\text{g} / \text{ml}$ and 3.85 $\mu\text{g} / \text{ml}$, respectively. Mean recovery studies of Venlafaxine hydrochloride in tablet formulations were observed in the range of 98.85-100.61 %. The proposed method is precise, accurate and reproducible and can be extended to the analysis of Venlafaxine hydrochloride in bulk and pharmaceutical formulations.

KEYWORDS: Venlafaxine hydrochloride, Method validation, Area Under Curve.

Preparation and Characterisation of Alginate Coated Chitosan Microspheres for Bacterial Vaccines.

Kalaivani M, Manju Jose Pulikottil, Nisha Mary BM, Sakthivel R and Rajasekaran A.....503

ABSTRACT:

Tetanus toxoids vaccine is widely used to prevent tetanus. As it has a short biological half-life, a long acting tetanus toxoids formulation is desirable to improve patient compliance. The chitosan microspheres were prepared by an emulsion polymerization method using glutaraldehyde as the crosslinking agent. All microspheres were spherical and smooth with the mean particle size in the range of 30-38 μm . Drug release from the chitosan microspheres displayed a biphasic pattern characterized by an initial fast release, followed by a slower release. The released

amount was decreased with an increase in the glutaraldehyde concentration. The time required for complete degradation of microspheres was increased from 144 to 264 h when the glutaraldehyde concentration increased from 0.1 to 0.7 ml. Biodistribution studies indicated that the degree of uptake by the M-cells of the peyer's patches in the gut was higher than that of the other organs. All these results demonstrated that tetanus toxoids loaded chitosan microspheres can be used for passive M-cell targeting.

KEYWORDS: Chitosan microsphere; Tetanus toxoid; mucosal immunization; Controlled-release

Simultaneous Estimation of Simvastatin and Ezetimibe in Bulk Drug and Tablet Formulation by High-Performance Liquid Chromatography and High-Performance Thin-Layer Chromatography

Chhalotiya UK, Bhatt KK and Captain AD.....507

ABSTRACT

Background and the purpose of the study: A suitable reverse phase high-performance liquid chromatography (RP-HPLC) and high-performance liquid thin layer chromatography (HPTLC) method for determination of Simvastatin (SIM) and Ezetimibe (EZE) in bulk drug and tablet formulation. The present study describes a simple, rapid, sensitive, reliable, and economic RP-HPLC and HPTLC methods for determination of simvastatin and ezetimibe in bulk drug and tablet formulation which is more feasible than reported RP-HPLC and HPTLC assays.

Methods: The RP-HPLC separation was achieved on a Hypersil C-18 column (250 mm X 4.6 mm id, 5µm particle size) using acetonitrile– Water (80: 20, v/v) mobile phase at a flow rate of 1.0 mL/min at ambient temperature. The HPTLC separation was achieved on an aluminum-backed layer of silica gel 60F254 using hexane: toluene: ethyl acetate: acetic acid (3:1:6:0.2, v/v/v/v) mobile phase. Quantitation was achieved with UV detection at 235 nm over the concentration range 4–24 µg/mL for both drugs, with mean recoveries of 100.81 ± 0.28 and 100.27 ± 0.66% for SIM and EZE, respectively, using the HPLC method. Quantitation was achieved with UV detection at 235 nm over the concentration range of 1600–4800 ng/spot for both drugs, with mean recoveries of 100.34 ± 0.55 and 100.54 ± 0.83% for SIM and EZE, respectively, using the HPTLC method.

Conclusion: Proposed study describes new RP- HPLC and HPTLC methods for the estimation of simvastatin and ezetimibe in bulk drug and tablet formulation. The method was validated and found to be simple, sensitive, accurate and precise. Percentage of recovery shows that the method is free from interference of the excipients used in the formulation. Therefore the proposed method can be used for routine analysis for estimation of simvastatin and ezetimibe in its bulk drug and pharmaceutical tablet formulation.

KEYWORDS: Validation; RP – HPLC; HPTLC; Simvastatin; Ezetimibe.

Development and In Vitro Evaluation of Sustained Release Matrix Tablet Formulations of Metoprolol Tartrate

Ramesh V Shinde, Pawar Jaydip B, Kadam Sagar D, Landge Dhananjay A, Rahul Ahirrao, Kulkarni Vaishali , Patil VG and Pawar Gitanjali R.....512

ABSTRACT:

In the present study, Metoprolol Tartrate (MT) was chosen as a model drug which is a β1- selective adrenergic blocking agent which is prescribed widely in diverse cardiovascular diseases like hypertension; angina pectoris, arrhythmias and myocardial infarction but because of its short half life 3-4 hrs and its high water solubility it was chosen as a suitable candidate for sustained release matrix tablet formulation. It was formulated in to matrix tablet using hydrophilic polymers such as Hydroxy Propyl Methyl Cellulose (HPMC 15 cps), Sodium Carboxy Methyl Cellulose (NaCMC) and Guar Gum (GG) as release retardants. All the Precompressional parameters like angle of repose, Hausner's ratio, and Carr's index were found to be within the standard limits. Tablets were evaluated for hardness, friability, thickness, drug content, *in vitro* release, swelling and stability study. The effect of polymer concentration, binary polymer mixture and wet granulation methods on drug release profiles was studied. It was observed that the type of polymer and its concentration has influenced the drug release from matrix tablets. Matrix tablets that contained a blend of HPMC and Sodium Carboxy Methyl Cellulose successfully sustained the release of Metoprolol Tartrate for a period of 12 hrs. Precompressional parameters indicated that granules used for preparing tablets were free flowing. Post-compressional parameter like hardness, friability, thickness and drug content were within the acceptable limit. The concentration of Metoprolol Tartrate was kept constant (100 mg) Formulation containing only a single polymer could not control the release of Metoprolol Tartrate. The sustained release from

Sodium Carboxy Methyl Cellulose and Hydroxy Propyl Methyl Cellulose combination was due to interaction between ionic polymer and non-ionic polymer which resulted in favorable increase in the water uptake capacity and gel viscosity leading to a better control over the release of Metoprolol Tartrate. HC1 and HC2 showed the sustained release of Metoprolol Tartrate as desired. Model fitting data showed good correlation coefficient with Higuchi's kinetics. The study revealed that the combination of NaCMC and HPMC can be used for the formulation of sustained release matrix tablets of Metoprolol Tartrate.

KEYWORDS: Carboxy Methyl Cellulose, Matrix tablets, Metoprolol Tartrate, Wet granulation.

Simultaneous Spectrophotometric Analysis of Glimiperide , Metformin and Piogilitazone HCl in Combined Dosage Form

Syed Azhar Nizami, Vazir Ashfaq Ahmed and SC Marihal.....518

ABSTRACT

Two simple, Specific, Accurate, and Precise spectrophotometric methods were developed for simultaneous analysis of Glimiperide, Metformin and Piogilitazone HCl, in combined dosage form. The first method was based on simultaneous equation method, and the second method was based on Q- analysis (absorbance ratio method). Glimiperide has absorbance at 228nm, Metformin has absorbance at 237nm and Piogilitazone HCl has absorbance at 267nm in Methanol The linearity was obtained in concentration range of 2-20µgm/ml for all the drugs. In the first method, the concentrations of drugs were determined by using simultaneous equations, in the second method, the concentrations of drugs were determined by using ratio of absorbance at isoabsorptive point 257nm and 237 the λ_{max} MET. The results of analysis have been validated statistically and by recovery studies. The developed methods was found to be accurate, precise, selective and rapid for simultaneous spectrophotometric analysis of Glimiperide, Metformin and Piogilitazone HCl, in combined dosage form.

KEYWORDS: Type 2 diabetes mellitus (T2DM) , Simultaneous equation, Q-analysis, Piogilitazone Hcl,Glimiperide and Metformin.

Design and Evaluation of Sustained Release Matrix Tablet of Metformin Hydrochloride

Kothawade PI, Zate SU, Gajbe JW, Rathi MN, Yewale CP and Baheti DR.....522

ABSTRACT:

The present study was based on direct-compressed matrix tablets consisting of a combination of Metformin HCl with the hydrophilic polymers HPMC K 100M and hydrophobic polymers Ethylcellulose 7cps. The resulting formulation produced monolithic tablets with optimum hardness, uniform thickness, consistent weight uniformity low friability, drug content. *In vitro* release studies were carried out in 0.1N HCl for first 2h and followed by phosphate buffer at 6.8 over a period of 12hrs using USP type II dissolution apparatus. Applying different kinetic models, the mechanism of drug release from formulations was found to be followed Higuchi model. The swelling and gelling properties of hydrophilic polymer matrix like HPMC form protective barrier to influx of water and efflux of drug solution along with ethylcellulose which controls diffusion of drug towards surface of matrices. Due to these properties the combination of hydrophilic HPMC K 100M and hydrophobic EC in matrix have better retarding property to give desire dissolution profile.

KEYWORDS: Metformin, Matrix Tablet, HPMC K100M, Ethylcellulose, Sustained release.

Preparation and Characterization of Rabeprazole Gastroretentive Drug Delivery System by Ionotropic Gelation Technique

Rajashree S. Masareddy, Smitha D. Rananaware, Bhushan R. Patil.....526

ABSTRACT:

In view of improving oral bioavailability of rabeprazole, in the present study multi-unit floating alginate microbeads of rabeprazole were prepared by ionotropic gelation method using sodium bicarbonate as gas forming agent. Effect of cross linking agent BaCl₂ and CaCl₂, concentration of gas forming agent and concentration of alginate on formulation was investigated. Prepared microbeads were evaluated for particle size, scanning electron microscopy, drug polymer compatibility, drug entrapment efficiency and drug release. The floating behavior of the alginate beads

after dosing was observed in-vivo on healthy rabbits. The formulated beads were found to be spherical and free flowing. The surface topography and floating time was found to vary with change in concentration of gas forming agent and alginate concentration. All the formulations remained buoyant and controlled release for up to 10 hrs. As a Cross linking agent CaCl_2 was found to be effective than BaCl_2 . Studies showed drug release from formulation was by supcase II-transport mechanism, following Peppas model.

KEYWORDS: Ionotropic gelation, gastroretentive, sodium alginate, controlled release, Floating beads.

Formulation and Evaluation of Loperamide Hydrochloride Mouth Dissolving Tablet by Using Super Disintegrants

Venkatalakshmi R, Sasikala C and SP Silambarasan.....530

ABSTRACT:

Loperamide hydrochloride 180 mg mouth dissolving tablet was prepared by using super disintegrants such as Sodium starch glycolate, Croscarmellose Sodium, Crospovidone at various concentration and Sucralose, aspartame used as sweetening agent. The excipients were used for this study was based on the compatibility studies. All the formulations were prepared by direct compression method. Among all the formulations Crospovidone at 10 mg/tablet gives 98 % drug release at 12th minute by UV method. It was considered as optimized batch. The optimized batch was passes all the evaluation parameters and stability studies .The final formulation were packed in blister package.

KEYWORDS: Loperamide hydrochloride, Sodium starch glycolate, Croscarmellose sodium, Crospovidone.

Protective Effects of Testosterone on Cisplatin Induced Impairment of Spermatogenesis and Steroidogenesis in Rats

YV Kishore Reddy, P Sreenivasula Reddy and MR Shivalingam.....535

ABSTRACT:

Cisplatin is one of the most effective and potent anticancer drugs currently approved for the treatment of several human carcinomas. However many reports available on reproductive toxicity caused by cisplatin, but very limited studies demonstrated the use of testosterone to prevent the anti fertility effects caused by cisplatin. In the present study cisplatin was administered alone and in combination with testosterone to male rats in order to investigate the possible interference of cisplatin and to investigate the protective role of testosterone against Cisplatin. Cisplatin exposure (3 mg/ kg body weight) to male rats resulted in significant decrease in sperm count, sperm viability, sperm count and sperm function. From the studies it is found that steroidogenic marker enzyme (3 β -hydroxy steroid dehydrogenase and 17 β -hydroxy steroid dehydrogenase) activity levels were significantly decreased in rats exposed to cisplatin when compared with the controls. Further the study shows that co-administration of cisplatin with testosterone resulted in recovery of cisplatin induced male reproductive toxicity. From the above results, it can be concluded that administration of cisplatin suppresses the spermatogenesis and steroidogenesis by inhibiting the activity levels of testicular steroidogenic marker enzymes (3 β -HSD and 17 β -HSD) which are essential for production of testosterone. Supplementation of testosterone along with cisplatin could restore the deficiency of testicular testosterone contents and ameliorates the detrimental effects of cisplatin, finally preserves fertility. So it may be concluded that patients under cisplatin regimen may be prescribed with testosterone during treatment period to maintain fertility.

KEYWORDS: Reproductive toxicity, Cisplatin, Testosterone, Spermatogenesis, Steroidogenic marker enzymes, Rats.

Formulation and Evaluation of Mucoadhesive Tablets of Amoxicillin Trihydrate

Dhruba Sankar Goswami, Prasanta Kumar Choudhury, Sandeep Goyal, Kamal Goyal and Neeraj Mittal.....540

ABSTRACT:

Amoxicillin trihydrate is a β -lactam antibiotic, widely recommended for management of *H. pylori* infection. Due to its frequent dosage regimen (TDS; 7 days), it has poor patient compliance. The present study aims to reduce the dosing frequency by using single and combinations of synthetic and natural polymers for preparation of mucoadhesive tablets. Various approaches to combine synthetic (HPMC-K4M, SCMC and sodium alginate) and

natural (tragacanth and acacia) hydrophilic polymers have been made to prepare total eight formulations. Further, these formulations were subjected to different evaluation studies like friability, content uniformity, surface pH, wash-off and dissolution tests. All the tests were performed using standard methods. Results for *in vitro* drug release and wash-off studies suggest that the formulation (FHT) containing HPMC-K4M and tragacanth has shown better mucoadhesive property. Other studies have shown satisfactory results in all eight formulations. Thus, the present investigation suggests the combination of HPMC-K4M and tragacanth, as hydrophilic polymers for preparation of amoxicillin trihydrate mucoadhesive tablets.

KEYWORDS: Amoxicillin, H. pylori, Mucoadhesive tablets.

Formulation and Optimization of Orodispersible Tablets of Olanzapine

NKD Devi, AP Rani, BR Madhavi, BS Mrudula and A Swetha.....543

ABSTRACT:

In the present study, fast dissolving tablets of Olanzapine were prepared by Roll compaction technique with a view to enhance patient compliance. Six formulations were prepared for the optimization of concentrations of disintegrant and binder. The formulations were developed with the aim of having balance over the hardness and disintegration time of the tablets. The prepared batches of tablets were evaluated for hardness, friability, thickness and disintegration time. Based on *in vitro* dispersion formulations were tested for the *in vitro* drug release pattern in 0.1 N HCl. The formulations were checked for their stability at 40⁰/75% relative humidity for 6 months. Among the formulations prepared F-5 emerged as the overall best formulation. The drug release was found to be comparable to the marketed formulation. Short term stability studies on the formulations indicated that there was no change in drug content and *in-vitro* dispersion time.

KEYWORDS: Fast dissolving tablets, Olanzapine, L-Hpc II, croscarmellose sodium.

Study on Anti-Ulcer Activity of *Daucus carota* Juice

G Chandra Mohan Rao, D Sujatha, VLS Rupa, ES Sindhu Priya, K Mallikarjuna Rao and M Venkateswarlu547

ABSTRACT:

A number of drugs are now available for treatment of peptic ulcer disease. These drugs are mainly considered the counter acid secretion and cytoprotection by virtue of their effects on mucosal defensive mechanisms. Although these drugs have brought remarkable changes in the ulcer therapy, the efficacy of these drugs is still debatable. Reports on clinical evaluation of these drugs show that there are incidences of relapse, adverse effects and danger to drug interaction during ulcer therapy. The search to find a suitable palliative or curative agent for the treatment of peptic ulcer disease has been extended to dietary fibre. The Main aim of the present study was to verify the claim of *Daucus carota* as an antiulcer agent, mentioned in traditional system of medicine. Hence, the objective of the present study was to investigate the antiulcer activity of juice of *Daucus carota* by employing the pylorus ligation method in rats. The group of animals treated with Ranitidine HCl at a dose of 26.57 mg/ kg b.wt, a significant decrease in the acid volume (13.5 ml) and increase in the gastric pH (6) was noted. Group receiving *D. carota* at a dose of 1 g/ kg. b. wt. a significant decrease in the acid volume (5.96 ml) and increase in gastric pH (6) was observed when compared with the control animals. The anti-ulcer activity was observed by using the ulcer index values such as control (14.9), standard (5.15) and test samples (3.833). By on the observation of ulcer index values the prepared *Daucus carota* juice having the best anti-ulcer activity compared with standard sample.

KEYWORDS: *Daucus Carota*, , Gastric fluid, Ranitidine Hcl, Saline water, Mean Ulcer Number, Mean Ulcer Score, Mean Ulcer percentage, ulcer index.

Phytochemical Analysis and In-Vitro Antioxidant Activity of *Mimosa pudica* Lin., Leaves

Rekha Rajendran, R Hemachander, T Ezhilarasan, C Keerthana, DL Saroja, KV Saichand and Mohamed Gasim Abdullah.....551

ABSTRACT:

The chloroform extract of *Mimosa pudica* Lin., leaves was screened for invitro antioxidant activity against free radical scavenging by DPPH, nitric oxide, super oxide dismutase and reducing power ability. The chloroform extract

was also subjected to preliminary phytochemical analysis, high performance thin layer chromatographic analysis and fluorescence analysis. *Mimosa pudica* Lin., is traditionally used for its anti-hyperglycemic, antidiarrhoeal and cytotoxic properties. The results of the present study reveals that the chloroform extract of *Mimosa pudica* Lin., leaves showed a significant antioxidant activity against free radical scavenging by DPPH, nitric oxide, superoxide dismutase and reducing power ability. The effects were comparable with the standard antioxidant ascorbic acid and these antioxidant properties were concentration dependent. Preliminary phytochemical analysis revealed the presence of phytoconstituents such as steroids, flavonoids, glycosides, alkaloids, phenolic compounds, which is further confirmed by the thin layer chromatography and high performance thin layer chromatography and the results suggests that the chloroform extract of *Mimosa pudica* Lin., is a potential source of natural antioxidants and the extract have constituents which were capable of showing antioxidant activity and the said invitro antioxidant activity is due to antioxidant principle phenolic compounds and flavonoids. The significant antioxidant activity of chloroform extract of *Mimosa pudica* Lin., leaves was encouraging enough to pursue isolation and characterization of the active constituents which is responsible for the observed significant invitro antioxidant activity.

KEYWORDS: *Mimosa pudica* Lin., Antioxidant activity, free radical scavenging, chloroform extract.

Diuretic and Laxative Activities of Methanol Extract of *Mimosa pudica* Leaves

Rekha Rajendran and S Ruby.....556

ABSTRACT:

The methanol extract of leaves of *Mimosa pudica* (Mimosaceae) was screened for diuretic and laxative activities in wistar albino rats. The study suggested that the extract was found to produce significant diuretic as well as laxative activities in dose dependant manner (200 and 400mg/kg p. o.). These activities were comparable with the standard drugs such as Furosemide (10mg/kg p. o.) and Agar-agar (300mg/kg p. o.) respectively. The preliminary phytochemical analysis of methanol extract of leaves of *Mimosa pudica* revealed the presence of phytoconstituents such as alkaloids, tannins, mucilage and flavonoids. The present study indicates that the observed significant diuretic and laxative activities of *Mimosa pudica* leaves may be contributed to the phytoconstituents present in it. Further work is in progress to identify the possible mechanisms of action and to identify the lead molecules responsible for diuretic and laxative activities.

KEYWORDS: *Mimosa pudica*, diuretic and laxative activities, methanol extract, Furosemide, Agar-agar

A Validated HPTLC Method for Simultaneous Determination of Losartan and Perindopril in Tablets

KS Lakshmi, Lakshmi Sivasubramanian and Ajit Kumar Pandey.....559

ABSTRACT:

A Simple, fast and precise high performance thin layer chromatographic method has been developed for the simultaneous determination of losartan and perindopril in tablet. Separation was carried out on precoated TLC plates, coated with silica gel 60 F 254. The separation was done using a mobile phase toluene: acetonitrile: formic acid (5:5:0.3 v/v/v). After development, the chromatoplates were scanned at 215 nm. The R_f value of losartan and perindopril was found to be 0.55 and 0.27 respectively. The results of the analysis have been validated statistically and by recovery studies.

KEYWORDS:

Validated Spectrophotometric Simultaneous Estimation of Nimesulide and Dicyclomine Hydrochloride in Combined Tablet Dosage Form

Lokesh Singh and Sanju Nanda.....562

ABSTRACT:

Two novels, simple, rapid and sensitive spectrophotometric method has been developed for simultaneous estimation of Nimesulide and dicyclomine HCl. The first method involved determination of Nimesulide and Dicyclomine HCl using the Q analysis method and second method involved simultaneous equation method. Nimesulide has absorbance maxima at 300.8 nm, Dicyclomine HCl has absorbance maxima at 217.6 nm and isoabsorptive point is at 232.4 nm in methanol. Linearity was obtained in the concentration ranges 10-100 µg/ml and 900-3000 µg/ml for

Nimesulide and Dicyclomine HCl, respectively. These methods were successively applied to pharmaceutical formulation because no interferences from tablet excipients were found. Accuracy and reproducibility of proposed method was statistically validated by recovery studies. The suitability of these methods for the quantitative determination of the compounds was proved by validation.

KEYWORDS: Nimesulide, Dicyclomine HCl, Simultaneous equation method, Q analysis method, Spectrophotometric.

Studies on Leaf extracts of drug Punarnava by comparing its Antioxidant potential by Spectrophotometric method

R Badmanaban, Prajapati Milan G, Patel CN, DJ Sen and Panigrah Bibhuranjan.....566

ABSTRACT:

These two plants namely *Boerhaavia diffusa* L. (Nyctaginaceae) and *Trianthema portulacastrum* L. (Aizeaceae) commonly called as "Punarnava" they were completely different biological source but used for the same purpose since ancient time. So that it is considered as a source of controversial drug. Here we have given emphasis in our study to compare these two sources by means of their antioxidant potential. In the preliminary study, aqueous and methanolic extracts were prepared in the form of hot decoction revealed the presence of tannins and flavonoid, active constituents responsible for antioxidant properties. Then the concepts were considered to under taken to quantify the variation content. To fulfil its timely needed thrust in research area by adopting modern analytical way for standardization. The active constituents were determined by Folin-Denis method for total Phenolic content and AlCl₃ colour complex method for flavanoids. Its antioxidant property was evaluated by DPPH radical scavenging assay, Hydrogen Peroxide Scavenging activity and Nitric Oxide scavenging assay. On the basis of the results of this study *Boerhaavia diffusa* leaf extracts showed that the potent antioxidant potential when compared to *Trianthema portulacastrum* leaf extracts against free radicals using specific *in vitro* models.

KEYWORDS: *Boerhaavia diffusa*, *Trianthema portulacastrum*, Punarnava, controversial drug, Antioxidant, DPPH, scavenging assay

Pharmacological Evaluation of Substituted Benzeneacetic Acid Ester Derivatives for Their Sedative, Antibacterial and Antifungal Potential

Nandini R Pai and Deepnandan S Dubhashi.....570

ABSTRACT:

Antispasmodic medication is used to relieve urinary and bladder difficulties by relieving muscle spasms of the bladder. Several compounds of this family are known to possess antimicrobial potency in addition to their pre-designated pharmacological actions. Based on this rationale present study is intended towards evaluating sedative, antibacterial and antifungal potency of an established antispasmodic drug to establish it as effective sedative and antimicrobial agent. This is based on the theory that the compound is expected to exhibit antimicrobial potency may be due to two benzene rings and secondary or tertiary nitrogen in its core molecule structure. For pursuing this objective, novel and structurally diverse analogs of the lead compound α -cyclohexyl- α -hydroxy-benzeneacetic acid-4-(diethylamino)-2-butynyl ester hydrochloride, a potential antispasmodic drug having two benzene rings and secondary or tertiary nitrogen in its structural framework were synthesized. All the synthesized compounds were confirmed by using physical data and different structure elucidation tools. The novel compounds were screened for their sedative, antibacterial and antifungal potential. The sedative potency of the compounds was evaluated using Thiopental sodium (Thiosol ®) as standard drug following one-way ANOVA followed by Scheffe's post hoc analysis to find out the significance. The compounds were evaluated *in vitro*, for their antibacterial activity using acetone as a solvent and MIC was done by broth dilution method. The fungal susceptibility testing on different fungi was done by cup-diffusion method using Clotrimazole (100mcg/mL) as standard using acetone as a solvent. The compounds have shown promising results and definite structure-activity relationship could be established.

KEYWORDS: Anti-spasmodic, Antimicrobial, Antibacterial agents, Antifungal agents

Characterization and Solubility Enhancement of Etoricoxib in Solid Dispersion Systems Using Lipid Carriers Gelucire 44/14

Nidhi Mishra and Shikha Srivastava.....578

ABSTRACT:

The present study was aim to investigate on solid dispersion of etoricoxib using Gelucire44/14, PEG4000 prepared by co-evaporation and direct filling method were characterized by phase solubility study, in-vitro dissolution , HSM, XRD, stability studies. HSM have demonstrated the ability of melted Gelucire44/14 to dissolve the crystal of etoricoxib at increasing temperatures. In The XRD pattern of pure etoricoxib showed numerous distinctive peaks while Solid dispersion showed all the peaks shown by the drug however intensity of the peaks found was markedly reduced and d-spacing increases . Phase solubility study indicated the drug solubility increased linearly with increase in polymer concentration. In-vitro drug dissolution shows maximum drug release up to 99.84% from solid dispersion prepared by co-evaporation method.

KEYWORDS: etoricoxib, solid dispersion, dissolution, Gelucire 44/14

Formulation and Evaluation of Floating Microballoons of Ibuprofen for the Enhanced Enteric Bioavailability

MR Shivalingam, KSG Arul Kumaran, YV Kishore Reddy, S Bugga Reddy, N Deepika, R Padmapriya and P Annapoorna.....583

ABSTRACT:

The present study involves the preparation and evaluation of hollow microspheres (microballoons) of ibuprofen by novel emulsion-solvent diffusion method in an attempt to improve the drug enteric bioavailability by prolonging the gastric residence time. Microspheres were prepared by pouring ethanol, DCM solution of the drug and Eudragit RL100 in to an agitated aqueous solution of PVA that was thermally controlled at 40.c. The resulting microspheres were separated by filtration and subjected to various evaluations like micromeritic properties, Morphology study, *invitro* floating behavior, % drug entrapment and *invitro* drug release. The micromeritic properties showed the improved physical characteristic of the formulation (F4) over the pure drug. *Invitro* buoyancy studies showed that prepared microballoons floated continuously over the surface of acidic dissolution media containing surfactant for greater than 12hr. *Invitro* release studies showed that the formulation (F4) does not show drug release in simulated gastric buffer and the same shows slow and controlled drug release of about 70-80% over a period of 6hr in simulated intestinal medium which proved the enteric release property of microballoons. From the study it may be concluded that microballoons were found to be an ideal device for prolonging residence time of drug in stomach to enhance the enteric bioavailability.

KEYWORDS: Microballoons, Emulsion-solvent diffusion, Micromeritics, Floating behavior.

Simultaneous Estimation of Metoprolol and Atorvastatin in Combined Dosage Form

V Niraimathi, V Prema , Ajithadas Aruna and A Jerad Suresh.....586

ABSTRACT:

Three novel, simple, sensitive, economical, accurate, rapid and precise spectrophotometric methods have been developed for the simultaneous estimation of Metoprolol and Atorvastatin in pharmaceutical oral solid dosage form. Method A involves solving of simultaneous equations based on measurement of absorbance at two wavelengths 223nm and 241nm, λ_{max} of metoprolol and atorvastatin respectively. Method B involves estimation by Absorbance ratio method at 231 nm (iso absorptive point) and at 241 nm (λ_{max} of atorvastatin). Method C involves AUC for the normal spectrum. All the methods utilize methanol as solvent. Beer's law was obeyed in the concentration range of 5-90 $\mu\text{g/mL}$ for both the drugs and the linearity was established. The results of analysis have been validated statistically and by recovery studies. The proposed methods can be successfully applied for the estimation of metoprolol and atorvastatin in combined dosage form.

KEYWORDS: Beer's law, Simultaneous equation, Absorbance ratio , Area under curve (AUC), Metoprolol (METO) and Atorvastatin (ATOR).

Evaluation of Broncho-Protective Effect of *Zingiber officinale* Roscoe in Histamine Induced Broncho-Spasm.
Susanta Rout, Saptarshi Dutta and Bhabagrahi Rath.....589

ABSTRACT:

Bronchial asthma is a common disease around the world and nearly 7.2% (100 million people) have the disease. Presently anti-inflammatory drugs (corticosteroids), mast cell stabilizers (cromolyn), Leucotrine antagonists (zafirlukast) bronchodilators (theophylline) and anti-cholinergics (ipratropium) serve as the mainstay of treatment. But these drugs have their interest limitations in forms of toxicities and high cost of chronic therapy. Therefore suitable herbal remedies are being explored for scientific validation regarding their broncho-protective effect. Ginger has been used by traditional Chinese and Indian medicine for over 25 centuries. The rhizomes and stems of ginger in various forms and routes have been used for their antiemetic effects in motion sickness, sea sickness, hyperemesis, gravidarum, postoperative vomiting and vomiting induced by cytotoxic compounds, for which scientific evidence is either good or unclear. Ginger has also been used as appetizer, digestant, anti-flatulant, carminative, anti-diabetic and anti-migraine agent. Ginger which is distributed throughout India is widely used in Ayurvedic medicine for its anti-inflammatory, anti-arthritic analgesic and antiulcer properties. Apart from that, ginger is also used for a wide array of other conditions, without scientific evidence of benefit i.e. asthma. With this background, the present work has been undertaken to evaluate the broncho-protective effect of aqueous extract of *Z. officinale* in one animal model of asthma (histamine induced asthma in guinea pigs). These important and significant preliminary findings can be taken as the basis upon which further studies should be carried out to delineate the detailed profile of these pharmacological actions of ginger.

KEYWORDS: Asthma, Dyspnoea, AZO, CPM, Salbutamol.

Studies on the Effect of Hydrophilic Carriers in the Dissolution Rate Enhancement of Poorly Soluble Drug, Bicalutamide

MV Srikanth, GV Murali Mohan Babu, SA Sunil, N Sreenivasa Rao, K Praveen Kumar and KV Ramana Murthy.....592

ABSTRACT:

The purpose of this study was to formulate and evaluate the solid dispersions of bicalutamide, a non-steroidal anti androgen. The role of various water-soluble carriers was studied for dissolution enhancement of a poorly soluble model drug, bicalutamide, using solid dispersion technique. Diverse carriers viz, Povidone K 30, Poloxamer 407 and Gelucire 50/13 were investigated for this purpose. Solid dispersions with Povidone K 30 were prepared by solvent evaporation technique and the dispersions with Poloxamer 407 and Gelucire 50/13 were prepared by fusion process. The results showed that Gelucire 50/13 based solid dispersions exhibited higher dissolution rate than other dispersions. Dissolution studies showed a significant change in the dissolution profile of bicalutamide when dispersed in hydrophilic carriers at varying concentrations, but the maximum drug release was exhibited by the dispersion made with Gelucire 50/13 containing drug: Gelucire 50/13 1:1. The dispersions were characterized by X-ray diffraction. The diffractions exhibited in PXRD reflected the change in the crystalline structure of the bicalutamide in povidone based dispersion, but not in other carrier dispersions.

KEYWORDS: Solid dispersions, Gelucire 50/13, Povidone K30, Poloxamer 407, Bicalutamide, dissolution rate.

Formulation and Evaluation of Ranitidine Hydrochloride Mouth Dissolving Tablet by Effervescent Formulation Technique.

Vinodh Kumar S Mannur, Subhas S Karki and Ayaz A Dhada.....596

ABSTRACT:

Mouth dissolving tablet constitute an innovative dosage form that overcome the problem of swallowing and provides a quick onset of action thus proves to be a user friendly formulation. In view to increase patient compliance and to enhance the bioavailability an attempt was made in the present study to formulate six formulations using two effervescent agents in different concentrations. Sodium bicarbonate and citric acid were used as effervescent agents. Sucralose was employed to mask the bitter taste and orange flavour to impart palatability. All the prepared formulations were evaluated for both precompression and post compression parameters. The study revealed that effervescent formulation approach released about 90% of the drug at the end of 25minutes respectively. The disintegration time for all formulations ranged from 15 to 20 seconds and gave soothing fizz, with excellent mouth feel and good palatability.

KEYWORDS: Mouth dissolving tablet, effervescence, Ranitidine hydrochloride

Stability Indicating HPLC Method for Simultaneous Determination of Diacerein and Aceclofenac

A Suganthi and TK Ravi.....600

ABSTRACT:

A simple, specific, accurate and stability-indicating reversed phase high performance liquid chromatographic method was developed for the simultaneous determination of diacerein and aceclofenac, using a RP-18 column and a mobile phase composed of water: acetonitrile (45: 55, v/v) pH 2.4 adjusted with o-phosphoric acid. The retention time of diacerein and aceclofenac were found to be 5.9 min and 14.2 min, respectively. Linearity was established for diacerein and aceclofenac in the range of 1-10 µg/ml and 2-20 µg/ml, respectively. The percentage recoveries of diacerein and aceclofenac were found to be in the range of 98.90-100.05% and 99.60-100.68%, respectively. Both the drugs were subjected to acid, alkali and neutral hydrolysis, oxidation, dry heat, and photolytic degradation. The degradation studies indicated diacerein to be susceptible to H₂O₂, dry heat, acid, alkaline and neutral hydrolysis, while aceclofenac showed degradation in acid and alkali. The degradation products of diacerein and aceclofenac were well resolved from the pure drugs with significant differences in the retention time values. This method can be successfully employed for simultaneous quantitative analysis of diacerein and aceclofenac in bulk drugs and formulations.

KEYWORDS: Diacerein, aceclofenac, degradation products, HPLC-PDA.

Development and Optimization of Mucoadhesive Microsphere of Bovine Insulin

Shinde AD and Bhise SB.....604

ABSTRACT:

Bovine Insulin is one of the remarkable anti-diabetic hormonal drug. It is mostly available in the form Vials and administered by parenteral Route, which is often complex, difficult, painful and occasionally dangerous. So it was decided to select alternative route of administration hence nasal route was selected. Bovine Insulin microsphere containing mucoadhesive polymers Sodium Alginate and Carbapol-934 were prepared by Ionic Gelation technique by utilizing 3² Factorial Drug Design for the Optimization of formulation. Bovine Insulin encapsulated by lyophilization. Mucoadhesive microsphere was evaluated, for microencapsulation efficiency, mucoadhesion study, swelling index, particle size determination and its morphology by using SEM. The Vitro release study for prepared microspheres was done. The prepared microspheres are spherical in shape and multilentic type and in the range of 10 to 40 µ in a size. The Percentage Encapsulation Efficiency of microspheres varied from 35 % to 86, Mucoadhesion varied from 88 % to 100 %. Photographic and SEM microscopy studies found that the surface of microsphere is rough due to presence of mucoadhesive polymer coat. The release studies show a polymer concentration dependent profile for microspheres.

KEYWORDS: Bovine Insulin, Mucoadhesive microsphere, Carbapol-934.

Estimation of Cefditoren Pivoxil in Pharmaceutical Oral Solid Dosage Form by Difference Spectroscopy and Q-Absorbance Method

V Prema , V Niraimathi, Ajithadas Aruna and A Jerad Suresh.....610

ABSTRACT:

Two novel, simple, sensitive, economical, accurate, rapid and precise spectrophotometric methods have been developed for the estimation of Cefditoren Pivoxil in pharmaceutical oral solid dosage form by spectrophotometry. **Method A** involves the determination of Cefditoren Pivoxil by Difference Spectrophotometry. It is based on shifting the λ_{max} by changing the pH of the solution (using 0.1M HCl and water). The absorption maximum was 275nm for drug in 0.1M HCl and 229nm for drug in water. The Beer's concentration was found to be between 5-50 $\mu\text{g/mL}$. **Method B** involves determination of Cefditoren Pivoxil by Q-Absorbance method. This method depends on the property that, for a substance which obeys Beer's law at all wavelengths, the ratio of absorbances at any two wavelengths is a constant value independent of concentration or pathlength. The wavelengths selected for this method are 232 nm and 275.6 nm. The methods have been statistically evaluated and were found to be precise and accurate. The proposed methods are economical and sensitive for the estimation of cefditoren pivoxil in bulk drug and formulations.

KEYWORDS: Cefditoren Pivoxil(CP), Difference Spectrophotometry, Q-Absorbance

Optimization of Pharmacokinetic By Loading Bioenhancer in Optimized Nasal Mucoadhesive Microspheres of Insulin

Shinde AD and Bhise SB.....613

ABSTRACT:

Mucoadhesive Microspheres of Bovine Insulin containing a mucoadhesive polymers Sodium Alginate and Carbapol-934 were prepared by Ionic Gelation technique and Bovine Insulin encapsulated by lyophilization by utilizing 3^2 Factorial Drug Design and 9 formulation prepared. The formulation C-3 was selected as a final optimized formulation for its significant characteristics. The Optimized Mucoadhesive microspheres C-3 was loaded with bioenhancers such as Sodium deoxycholate, Sodium Taurocholate and Lecithin from soya in 1% w/w. Drug release study was carried out. The mucoadhesive microspheres loaded with 1% w/w Sodium deoxycholate indicate significant release profile. Hence the final optimized formulation loaded with 1% w/w Sodium deoxycholate was investigate for animal study by selecting rabbit model. The diabetes was induced by using Alloxan 150 mg/kg to rabbits. The final optimized formulation loaded with and without 1% w/w Sodium deoxycholate. The formulation without bioenhancer was remarked as reference formulation; both formulations were given to animal by nasal route, with a dose 1.5 U/Kg by selecting Crossover drug design study. Prior to investigate a optimized and reference formulation to animal a standard dose of bovine insulin was given to each animal 0.5 U/Kg by subcutaneously. Serum blood concentration of bovine insulin was estimated by using previously calibrated and validated RP-HPLC method at predetermined time schedule and pharmacokinetic study was done. The % blood glucose levels were recorded during animal study.

KEYWORDS: Bovine Insulin, Mucoadhesive microsphere, Diabetes, Pharmacokinetic.

Microwave Mediated Synthesis and Antifungal Activity of Some 5-Benzylidene-2,4-thiazolidinediones

M. Srinivas, K. Satyanarayana, S. Kiran Kumar, N. Sravan Kumar, V. Ajay and N. Kishore.....619

ABSTRACT:

A series of 5-benzylidene-2,4-thiazolidinedione derivatives were synthesized by employing microwave irradiation. The synthesis involves microwave irradiation of an aromatic aldehyde with 2,4-thiazolidinedione in sodium acetate/acetic acid in ethanol. The reaction was completed in 15-30 min with 68-82% yields and was environmentally friendly with easy workup. The synthesized compounds were evaluated for antifungal activity.

Effect of Aeration on Fermentation of Neomycin

Someswara Rao. B, Ellaiah. P and Ramesh. B622

ABSTRACT:

In aerobic fermentations, the supply of oxygen to the microorganism is one of the variables which will affect the yield. An aerobic fermentation can be carried out only when the oxygen, which the organism is continuously

absorbing from the mash, is continuously replaced and hence the problem of aeration is of considerable importance for successful fermentation.

In this study, the supply of air was initially maintained at a high level but was subsequently reduced to varying levels at different stages of the stationary phase and the results were observed and compared with control. The aeration was maintained at uniform level throughout the fermentation cycle.

A reduction in the aeration level from 1.0 vvm to 0.25 vvm at all stages of the stationary phase resulted in a decrease in the antibiotic production compared to control maintained at 1.0 vvm level. On the 7th day the difference in production of Neomycin narrowed to 400 units out of 7400 units between the sparged flask aerated at 1.0 vvm and the one where aeration was reduced to 0.25 vvm after 120 hours.

KEY WORDS: Sparged, cornsteep liquor, Jowar starch and mash

Synthesis and Anti-inflammatory Activity of Some New 2-Pyrazoline Derivatives

Ramesh. B Azma Yasmeeen, Shivakumar Swamy and Someswara Rao. B625

ABSTRACT:

Some new pyrazoline derivatives were synthesized by reacting chalcones of 2-acetyl thiophene with phenyl hydrazine hydrochloride in the presence of alcohol. The synthesized compounds were identified by spectral data and screened for anti-inflammatory activity. Some of these compounds showed moderate to considerable anti-inflammatory activity.

KEY WORDS: Synthesis, Pyrazolines, Anti inflammatory activity

SHORT COMMUNICATION

Rising Importance of Green Chemistry in India: A Study

Surya Prakash BN Gupta, Neeraj Upmanyu and Gopal Garg.....627

ABSTRACT:

With growing public concern over global warming and greenhouse gases, we want to understand how human actions affect the health of our planet. We are deeply concerned about pollution. We practice recycling. Moreover, we want to secure a healthy Earth for future generations. We have a unique opportunity to start at the ground floor of the exciting and expanding field of green chemistry. Green chemistry is also known as environmentally benign chemistry, or sustainable chemistry. Green chemistry involves the development of chemical products and synthetic procedures, which are environmentally friendly and have reduced health risks with the search for more efficient methods to do chemistry. Its roots stem back ten years from a simple idea to a prominent concept, which permeates all areas of modern chemistry, which strives to reduce or eliminate the use and generation of hazardous substances. Green chemistry impacts a wide array of fields including pharmaceuticals, pesticides, polymers, and many others.

KEYWORDS:

Comparative Studies on Anthelmintic Activity of *Clerodendrum infortunatum* and *Vitex Negundo*

VS Bhutada, AJ Modi, SS Khadabadi, IA Farooqui and Deore SL.....629

ABSTRACT:

Ethanollic extracts of *Clerodendrum infortunatum* and *Vitex negundo* were taken for anthelmintic activity against Indian earthworm *Pheritima posthuma*. Various concentrations of both extracts were tested and results were expressed in terms of time for paralysis and time for death of worms. Piperazine citrate (10 mg/ml) was used as a reference standard and distilled water as a control group. Dose dependent activity was observed in both plant extracts but *Clerodendrum infortunatum* shows more activity as compared to *Vitex negundo*.

KEYWORDS: *Clerodendrum infortunatum*, *Vitex negundo*, Anthelmintic activity, Piperazine citrate.

Study of Antiulcer Activity of Aerial Parts of *Tephrosia spinosa* (L.f) Pers

K Ilango and R Xavier Arulappa.....631

ABSTRACT:

The effect of methanolic extract of aerial parts of *Tephrosia spinosa* was investigated in rats to evaluate antiulcer activity by using Indomethacin induced plus pyloric ligation ulcer model. The parameters taken for antiulcer activity were the total gastric volume secretion, ulcer score, total acidity, pH and the ulcer index. The methanolic extract of aerial parts of *Tephrosia spinosa* showed significantly ($P < 0.001$) decreased when compared with the control treated group total gastric volume (6.12 ± 0.09) ml, ulcer score (3.17 ± 0.123) total acidity (70.32 ± 2.91) m eq /L/100g.

KEYWORDS: *Tephrosia spinosa*, *Papilionaceae*, Methanolic extract, Antiulcer activity.

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