FORMULATION DEVELOPMENT AND CHARACTERIZATION OF ROSUVASTATIN INCLUSION COMPLEXATION

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ABSTRACT

The present investigation is to study the influence of β-Cyclodextrin and hydroxy propyl β-Cyclodextrin on 1:1, 1:2 molar ratios of Rosuvastatin inclusion complexations which are prepared by using various methods such as co-grinding, kneading method. Drug and excipient compatability studies like solubility, chemical interaction studies were performed for individual and combined forms. From the preformuation studies, it was found that individual and combined forms were compatible by the conduction of solubility, FTIR study. The prepared formulations were subjected for production yield, drug content, and *in-vitro* drug release. The cumulative percent drug release for the optimized formulation K2 showed best release at the end of the 120 minutes. Hydroxy propyl β-Cyclodextrin had showed excellent dissolution profile of Rosuvastatin when compared to β-Cyclodextrin inclusion complexation.

Keywords: Absorption, Amorphous, Crystalline, Solubility, Mass transfer.

PRODRUGS - BACKROUND, TRENDS & FUTURE PERSPECTIVES: A REVIEW

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ABSTRACT

The molecular information that became available over the past two decades significantly influenced the field of drug design and delivery at large, and the prodrug approach in particular. While the traditional prodrug approach was aimed at altering various physiochemical parameters. In drug discovery and development, prodrugs have become an established tool for improving physicochemical, biopharmaceutical or pharmacokinetic properties of pharmacologically active agents. It is estimated that about 10% of the drugs approved worldwide can be classified as prodrugs. In the past the prodrug approach has used to be viewed as a last option strategy, after all other possible solutions were exhausted,

nowadays this is no longer the case, and in fact, the prodrug approach should be considered already in the very earliest development stages. Indeed, the prodrug approach becomes more and more popular and successful platform for various drug molecules.

Keywords: Molecular weight, Pharmacokinetics, Solubility, Stability, Drug development.

Cytotoxic studies of different extracts of *Gracilaria edulis* (Gmelin)

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ABSTRACT

Marine environment is inestimable for their chemical and biological diversity and therefore is an extraordinary resource for the discovery of new anticancer drugs. For their various bioactivities, biomaterials derived from marine algae are important ingredients in many products, such as cosmetics and drugs for treating cancer and other diseases. Evidence from recent publication indicates that marine natural products, especially the secondary metabolites from marine organisms, are potential source and give high yield anticancer drugs than terrestrial sources. The algae material was collected, shade dried and extracted with hydroalcohol using cold maceration procedure. Later Anticancer activities are assayed with standard MTT colorimetric procedure against MCF-7 and MG-63 and HeLa cell lines. The cytotoxic activity was carried out with the extracts of ethyl acetate and the n- hexane. The extracts were obtained from by the fractionation of the hydro-alcoholic (70:30) extract. These extracts so obtained were used to evaluate the cytotoxic activity on adrenocarcinoma, bone cancer and breast cancer cell lines. The histopathological images obtained during the studies concluded that the ethylacetate extract at 100µg/ml and 200 µg/ml have shown better activity then the n-Hexane extract. The percentage cell viability obtained in ethylacetate at 100µg/ml and 200 µg/ml were much less then the n-hexane at the same concentration.

Keywords: cytotoxic activity, G. edulis, MTT assay

Design, *in silico* predictions and molecular docking studies of 1,3,4-oxadiazolyl sulphonamides as inhibitors of bacterial Mur enzymes, the amino acid ligases in peptidoglycan synthesis

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ABSTRACT

The extensive use of antibiotics in hospitals and community since their introduction into medical practice has created major evolutionary pressures in bacteria to develop various resistance mechanisms. This phenomenon has led to increased morbidity, mortality and health care costs. The search for new antibacterial agents directed towards novel targets

became highly imperative. The biosynthetic pathway of cytoplasmic peptidoglycan precursor is currently gaining much interest as a target site for antibacterial therapy. Since the mid-1990s, many inhibitors of the Mur cytoplasmic enzymes have been reported, but none has yet led to the development of a clinically utilized therapeutic agent. In view of the potentiality of sulphonamide and 1,3,4-oxadiazole moieties, it was planned to incorporate both the scaffolds. Thus a series of 4-Amino-N-[(5-phenyl-1,3,4-oxadiazol-2-yl)methyl] substituted benzene-1-sulfonamides (1-10) were designed, predicted for molecular properties and docking studies were conducted on Mur enzymes.. The presence of the two pharmacophoric scaffolds, oxadiazole and sulphonamide influenced the good bioactive scores. Docking studies further supported the biological activities.

The results indicated the possible inhibitory activity towards the Mur enzymes. The results also indicate the selectivity towards Mur A , Mur C , &Mur E enzymes. Of all the compounds, compound 6 and compound 9 with isopropyl substitution exhibited the highest scores against all the three Mur enzymes. Compound 7 showed good binding interactions with Mur C and Mur E.

Keywords: antibiotics, medical practice, resistance, sulphonamide.

FORMULATION AND EVALUATION OF MUCOADHESIVE DRUG DELIVERY OF ANTI-DIABETIC DRUG

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ABSTRACT

Gastroretentive drug delivery system is one of which could prolong gastric residence time to obtain sufficient drug bioavailability. The present investigation was aimed at the development of mucoadhesive drug delivery systems of the anti diabetic drug, Nateglinide for oral administration. It was envisaged that the proposed delivery systems will overcome the first pass metabolism and result in increased bioavailability of the drug. The mucoadhesive drug delivery systems were formulated to prolong the residence time. This would permit the formulation to adhere on the stomach mucosa and control (decrease) the rate of drug release. Mucoadhesive tablets were prepared by direct compression method and prepared formulations were subjected to evaluations like *in-vitro* adhesion, water uptake studies, *in-vitro* drug release studies and *in-vivo* residence studies. *In-vitro* dissolution studies tablet indicated non-Fickian diffusion controlled drug release mechanism and was best fitted into Korsmeyer Peppas equation. *In-vitro* mucoadhesion was up to 8 hours for optimized formulation conducted in rabbits. For optimized formulation it is indicated over 10 hour's retention of tablet in the stomach region. The successful outcome of the *in-vitro* and *in-vivo*

studies on gastrointestinal mucoadhesive drug delivery systems of above anti-diabetic drug warrants further studies in patient volunteers to establish their credibility in providing an effective and safe therapy.

Keywords: Residence time, Mucoadhesion, Swelling index, Kinetic model.

A NOVEL APPROACH ON CURRENT CONCEPTS AND PROSPECTS OF HERBAL NUTRACEUTICAL

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ABSTRACT

Nutraceuticals are naturally derived bioactive compounds that are found in foods, dietary supplements and herbal products, which promote health, prevent or treat a disease and have medicinal properties. In recent years nutritional therapy and phyto-therapy have emerged as new concepts of health aid. Based on their natural source, chemical grouping, Nutraceuticals have been categorized into three terms– herbals, nutrients, dietary supplements, dietary fiber, etc. Some popular phyto-nutraceuticals include glucosamine from ginseng, Garcinia cambogia from green coffee bean extract, Raspberry ketones, Epigallocatechin gallate from green tea, Omega-3 fatty acids from linseed, lycopene form tomato etc. Nutraceutical has an advantage over the medicine because of no side effect and natural dietary supplement. Improvement of the dietary nutritional values of fruits, vegetables and other crops or enhancement of the bioactive components in herbals has become the focus of progressing plant biotechnology industry. Herbal nutraceutical is used as a strong instrument in maintaining health and to act against nutritionally induced acute and chronic diseases, thereby promoting optimal health, longevity, and quality of life. The present review provides better understanding of the phyto-nutraceuticals from different medicinal plants based on their disease specific indications.

Keywords: Dietary supplement, Medicinal plants, Nutraceutical, Phytotherapy

Biosimilars: The Need, the Challenge, the Future

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ABSTRACT

A biosimilar is a highly similar replica of an already clinically validated biological medicine. Biological medicine are based on biological processes that use a living system or

organism to develop the therapy and can treat a range of serious conditions. Each biologic is specifically engineered to treat a specific type of molecule in the body with the aim of treating a disease. Biosimilar development represents a large profit potential for pharmaceutical manufacturers. Consumers and policy makers view appropriate market introduction of biosimilars as high priority because of the prospect of reduced medical costs Just like generic drugs, which compete with chemical-based medicines that previously enjoyed market exclusivity, biosimilars compete with brand-name medicines once their patents have expired.A number of biologics with very high annual sales will lose patent protection in the next few years. These include Rituxan (Rituximab, an anti-inflammatory and chemotherapeutic agent), Enbrel (Etanercept, used for rheumatoid arthritis), and Remicade (Infliximab)

In Europe so far 14 biosimilars are licensed nearly all fall into three biologic analogs: somatropin, epoetinalfa, and filgrastim. Zarxio- a Novartis/Sandoz treatment for neutropenia (a common side-effect of chemotherapy) — is the first approved in the USA. A biosimilar product is one with "no clinically meaningful differences" from its reference product with regard to safety, purity, and potency, as supported by data from analytical, animal, and clinical studies. However, unlike generic drugs, biosimilars may be structurally and functionally different from the reference product they are designed to resemble. The FDA has issued new guidance describing processes by which manufacturers may demonstrate either biosimilarity or interchangeability with an FDA-approved biologic agent, which is required for abbreviated licensure.

Biosimilar development is a consequence of the financial success of biologic therapies and their eventual patent expiration .Already in development is a new wave of "biobetter" or "biosuperior" drugs that mimic but also improve upon a biologic drug's chemistry, formulation, or delivery.Biosimilars are essential to the future of healthcare because they lead to greater competition and innovation in the market, causing prices to drop and allowing greater access to the medication for patients — wherever in the world they may be.

Keywords: Biosimilar, FDA, biologic analogs, medication.

BONE MARROW TRANSPLANT

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ABSTRACT

A bone marrow transplant is a procedure to replace damaged or destroyed bone marrow with healthy bone marrow stem cells. Bone marrow is the soft, fatty tissue inside your bones. The bone marrow produces blood cells. Stem cells are immature cells in the bone marrow that give rise to all of your different blood cells. There are 3 kinds of bone marrow transplants:

Autologous bone marrow transplant: The term auto means self. Stem cells are removed from you before you receive high-dose chemotherapy or radiation treatment. The stem cells are stored in a freezer. After high-dose chemotherapy or radiation treatments, your stems cells are put back in your body to make normal blood cells. This is called a rescue transplant.

Allogeneic bone marrow transplant: The term allo means other. Stem cells are removed from another person, called a donor. Most times, the donor's genes must at least partly match your genes. Special tests are done to see if a donor is a good match for you. A brother or sister is most likely to be a good match. Sometimes parents, children, and other relatives are good matches. Donors who are not related to you, yet still match, may be found through national bone marrow registries.

Umbilical cord blood transplant: This is a type of allogeneic transplant. Stem cells are removed from a newborn baby's umbilical cord right after birth. The stem cells are frozen and stored until they are needed for a transplant. Umbilical cord blood cells are very immature so there is less of a need for perfect matching. Due to the smaller number of stem cells, blood counts take much longer to recover.

A bone marrow transplant replaces bone marrow that is either not working properly or has been destroyed (ablated) by chemotherapy or radiation. Doctors believe that for many cancers, the donor's white blood cells may attack any remaining cancer cells, similar to when white cells attack bacteria or viruses when fighting an infection.

Keywords: Transplantation, allogenic bone marrow transplant, autognic bone marrow transplant, umbilicalcord bone marrow transplant.

INCIDENCE OF MICROALBUMINURIA AMONG TYPE II DM PATIENTS AND THE EFFECT OF ARB'S IN ITS MANAGEMENT

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ABSTRACT

Over the past few decades, the incidence of DM type II increased to about 382 million worldwide. Microalbuminuria is a marker of endothelial dysfunction & vascular damage which could be a predictor for coronary artery atherosclerosis and early mortality in patients with DM type II, independent of renal function. Overall prevalence of Microalbuminuria was 36.3 %. The prevalence of Microalbuminuria will be increased with the increase in duration of diabetes. The prospective, observational study was conducted with the aim of to detect the incidence of Microalbuminuria in Type - II DM Patients.

Out of 100 type II DM patients 35 were screened +ve in spontaneous urine dip stick analyses, but 5 were dropped out from the study as they failed to come for regular follow-ups. The remaining 30 were divided into 2 groups (Group-A & Group-B) based on demographics,

Microalbuminuria screening test. The average percentage reduction in urine albumin in Group-A was 8.64 % & Group-B was 44.7 %. Therefore the Incidence of Microalbuminuria in Type II DM patients was found to be **35 %.**

We concluded that the Incidence & risk of developing Microalbuminuria in type II DM patients was high, treatment with **ARB's (LOSARTAN)** showed better reduction in a minimum of 6 months.

Key words: Microalbuminuria, Type II DM, Urine dip stick, **ARB's LOSARTAN.**

FORMULATION AND EVALUATION OF PULSATILE RELEASE TABLETS OF MELOXICAM

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ABSTRACT

The aim of the present work is to develop a pH and time dependent pulsatile release tablets of Meloxicam for delivering the drug into colon. The system consists of a drug containing core, coated by a combination of natural polymer locust bean gum and hydroxy propyl methyl cellulose (HPMCK100M) in various proportions to control the onset of release. The whole system was coated with Methacrylic acid copolymers to prevent the drug release in stomach and also to prolong the lag time. Meloxicam was used as a model drug and varying combinations of locust bean gum and HPMCK100M were used to achieve the desired lag time before rapid and complete release of drug in colon takes place. It was observed that the lag time depends on the coating ratio of LBG and HPMC and also on press coating weight. The drug release was to be increased by 15-30% in the presence of colonic microbial flora. The present study demonstrates that the Meloxicam enteric coated tablets could be successfully formulated as a pulsatile drug delivery by the design of a time and P^H dependent Chronotherapeutic formulation.

Keywords: Meloxicam, pulsatile release, natural polymer, Chronotherapeutic.

A preliminary study on prevalence of cardiovascular diseases and associated risk factors in inpatient department of cardiac-care hospital at Tirupathi.

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ABSTRACT

Cardiovascular disorders (CVD's) are estimated to be the leading cause of mortality worldwide. A prospective observational study was carried out at inpatient department of cardiology in tertiary care hospital, Tirupathi from December 2012 – May 2013 during regular ward rounds. This study was aimed to identify the CVD prevalence, assessment various risk factors related to CVD. The demographic details of the patient, disease prevalence, risk factors and socioeconomic factors of 180 inpatients with CVD were collected in a specially designed proforma. The average age of study population was found to be 59.06±1.8 years. Subjects of age groups > 40 years (92.77%) were found to be more susceptible to CVD and majority of them were males 51.66%. Prevalence of coronary artery diseases (CAD's) was highest 73.33% among the CVD inpatients. Prevalence of CVD was more in urban population 60.66% than the rural population 33.33%. Prevalence of CVD was highest in illiterate 51.11% and occupation status of cooli and house wife patients 59.99 %. CVD were highest in patients of smoking associated with dietary habits of non-vegetarian 36.11%. Medium income status population is more prone to CVD 51.11%. CVD risk factors provided the basis for the prevention, our present study also observed the modifiable and non-modifiable risk factors in the patients. As it is a preliminary study, used as a basement for the further studies of prevalence in Indian population it may reduce the incidence of CVD morbidity and mortality.

Key words: Prevalence, CVD, risk factors, socioeconomic status.

Formulation and evaluation of polymer composite films of Levofloxacin for wound healing activity

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ABSTRACT

Last few decades research has been focused in the development of highly therapeutic techniques and cost effective treatment procedure for wound healing. In this current study, we have mainly focused on the advanced and effective treatment procedure for bacterial infected wounds. Chitosan and sodium alginate based biodegradable composite films have been developed by solvent casting method. The efficacy of the biodegradable films enhanced by incorporation of antimicrobial agent levofloxacin and aloe-vera as a wound healing accelerator in the film. The developed biodegradable films composite are analyzed by Fourier

transform infra red spectroscopy (FTIR) to confirm the ionic complexation between the polymers. Blank composite films are evaluated based on thickness, folding endurance, swelling index and water vapor penetration. In vitro diffusion study is carried out for drug loaded composite films followed by in vivo study (Both for blank and drug loaded composite films).

Keywords: Composite films, Chitosan, Aloe vera, levofloxacin and Wound healing activity

A study on Utilization of antibiotics in Paediatric In – patient department of SVRR Government general hospital, Tirupathi.

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ABSTRACT

Antibiotics are the most commonly prescribed drugs in paediatrics and can be a biggest threat of growing resistance in children. It is always preferable to choose a single drug with a narrowest spectrum effective for pathogen. Combination antibiotic therapy may provide synergistic effect but there is a chance of getting drug resistance particularly in paediatrics. Paediatrics, a specialized population is at a significant risk for drug related problems in particular when they exposed to multiple drug therapy and complex illness. Monitoring and control of antibiotic usage and detailed knowledge of antibiotic prescribing practice is important now-a-days. The aim of our study was to analyse the Utilisation of antibiotics in paediatric department of Sri Venkata Ramnaraine Ruia Government General Hospital (SVRRGGH), Tirupathi. A Prospective observational study was carried out for 3 months using patient data collection proforma and clinical significance of 120 individual cases were recorded. The data were analysed by descriptive statistics. The utilization of antibiotics in emergency ward, general ward and ICU were observed. More number of prescriptions was observed in the emergency ward (53.33 %). Most of the paediatric patients receiving parenteral preparations (81.8 %) and 55.62 % of prescriptions were based on empirical therapy. In our study 66.66 % of paediatric patients were on single antibiotic. Cephalosporins (42.2 %) were the most commonly prescribed antibiotics in three departments followed by Pencillins (22.7 %) and least prescribed group was Fluroquinolones (2.13 %). This information was helpful to analyse the clinical judgement on selection of antibiotics in paediatrics of SVRRGGH.

Key words: Paediatrics, antibiotics, resistance, emergency ward, general ward, ICU.

Nutraceuticals as therapeutic agents: A review

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ABSTRACT

Nutraceuticals have received considerable interest because of their presumed safety and potential nutritional and therapeutic effects. Nutraceutical are considered as a food or its part which, in addition to its normal nutritional value, provides health benefits including prevention of disease or promotion of health. The neutraceutical are associated with the prevention or treatment of four major diseases like heart disease, cancer, hypertension and diabetes. The other diseases related to role of nutraceuticals are osteoporosis, arthritis and neural tube defects. The food products used as nutraceuticals contain antioxidants, prebiotics, probiotics, omega-3-fatty acids and certain dietary fibers. Except probiotics, all these components are present in fruits, vegetables and different type of herbal foods. The increasing interest and popularity of the health food products in Asia, Latin America, Africa and India has opened a new era of international trade in alternative system of medicine.

Key words: Nutraceuticals, Prebiotics, Hypertension, Cancer, Antioxidants.

Recent developments in Ayurveda

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ABSTRACT

Ayurveda an ancient science of life originated through the Vedas which mainly emphasized on the maintenance of health and prevention of the diseases. According to literature 80% of the people in India use some form of traditional medicines a category which includes ayurveda. The current scenario of ayurveda mainly depend on ayurvedic pharmacy education, drug discovery, formulations in ayurvedic industry, standardization, quality control and maintain the GMP standards in ayurvedic industry. It leads to a revolutionary step in the present situation in progress in prosperity of the growing industries. The growing demand of ayurvedic formulations in the national and international market needs more input of raw materials with quality and consistency. So many ayurvedic industries are producing medicines with high therapeutic range by the incorporation of modern technology.

Key words: Ayurveda**,** Vedas**,** GMP, Standardization,

A COMPRESENSIVE REVIEW ON ANTIDIABETIC POTENTIAL HERBAL PLANTS

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ABSTRACT

In the last few years, there has been an gaining popularity and exponential growth in the field of herbal medicine in the countries because of their natural origin and less side effects. A comprehensive review was done to pile up information about medicinal plants used for the treatment of diabetes mellitus. The present review has presented comprehensive details of antidiabetic plants used in the treatment of diabetes mellitus. It is a metabolic disorder of the endocrine system and affecting nearly 10% of the population all over the world also the number of those affected is increasing day by day. Some of these plant derived medicines, however, offer potential for cost effective management of diabetes through dietary interventions, nutrient supplementation, and combination therapies with synthetic drugs in the short term and as the sole medication from natural sources over the long term. The profiles presented include information about the scientific and family name, plant parts and test model used, the degree of hypoglycemic activity, and the active chemical agents. The large number of plants described in this review (108 plant species belonging to 56 families) clearly demonstrated the importance of herbal plants in the treatment of diabetes. The effects of the plants may delay the development of diabetic complications and correct the metabolic abnormalities. This review may stimulate the researchers for further research on the potential use of medicinal plants having antidiabetic potential. Medicinal plants play an important role in the management of diabetes mellitus especially in developing countries where resources are meager. Herbal medicines have been the highly esteemed source of medicine throughout human history. Some of these herbal plants and their active chemical constituents which have a role in the management of diabetes mellitus are compiled here and discussed in this review.

Keywords: Comprehensive review, medicinal plant, antidiabetic potential.

Formulation and evaluation of TAMSULOSIN HCl Capsules

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ABSTRACT

Tamsulosin HCl is used for the treatment of benign prostatic hyperplasia (BPH).As it acts as an antagonist of alpha1A adrenoceptors in the prostate. Its plasma half life is 2-4 hours. The present investigation is to formulate the sustained release Tamsulosin Hcl pellets loaded capsules using Ethylcellulose N45 as a sustained release polymer and Eudragit L100-55 as an enteric coating polymer in different formulations and poly vinyl pyrollidine used as a pore former, Tri ethyl citrate as a plasticizer, Iso propyl alcohol and purified water used as solvents in solution layering technique by Fluidized bed coating technology. The

prepared Tamsulosin HCl pellets were characterized by *In-vitro* dissolution studies and compare with the innovator product drug release profile and further perform the stability data for selected or optimized formulation. The work was aimed to develop the sustained release Tamsulosin HCl pellets loaded capsules which release the drug equivalent to the innovator product.

Keywords: Tamsulosin, adrenoceptors, Ethylcellulose, plasticizer.

MYIASIS – A REVIEW

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ABSTRACT

Myiasis is defined as the infestation of live vertebrates (humans and/or animals) with dipterous larvae. In animals (including humans) , dipterous larvae can feed on the hosts living on dead tissue , liquid body substance , on ingested food and cause a broad range of infestations , depending on the body location and the relationship of the larvae with the host. In this review, we deeply discuss myiasis as a worldwide infestation with different agents and with its broad scenario of clinical manifestations as well as diagnosis techniques and treatment.

Keywords: Myiasis , Scenario, dipterous larvae, infestations.

Early Drug Discovery: A Review

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ABSTRACT

Developing a new drug from original idea to the launch of a finished product is a complex process which can take 12–15 years and cost in excess of $1 billion. The idea for a target can come from a variety of sources including academic and clinical research and from the commercial sector. It may take many years to build up a body of supporting evidence before selecting a target for a costly drug discovery programme. Once a target has been chosen, the pharmaceutical industry and more recently some academic centers have streamlined a number of early processes to identify molecules which possess suitable characteristics to make acceptable drugs. This review will look at key preclinical stages of the drug discovery process, from initial target identification and validation, through assay development, high throughput screening, hit identification, lead optimization and finally the selection of a candidate molecule for clinical development.

Keywords: drug discovery; high throughput screening; target identification; target validation; hit series.

Stem cell Preservation

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ABSTRACT

Adult stem cells (hematopoietic and mesenchymal) have demonstrated tremendous human therapeutic potential. Currently, human embryonic stem cells are used principally for understanding development and disease progression but also hold tremendous therapeutic potential. The ability to preserve stem cells is critical for their use in clinical and research applications. Preservation of cells permits the transportation of cells between sites, as well as completion of safety and quality control testing. Preservation also permits the development of a 'manufacturing paradigm' for cell therapies, thereby maximizing the number of products that can be produced at a given facility. in this article, we will review modes of preservation and the current status of preservation of hematopoietic, mesenchymal and human embryonic stem cells. Current and emerging issues in the area of stem cell preservation will also be described.

Keywords: cryopreservation, stem cells, cell therapy, preservation, stabilization.

Drug-drug interactions on Pharmacokinetics-A Review

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ABSTRACT

Drug-drug interaction (DDIs) are one of the commonest causes of the medication error in developed countries, particularly in the elderly due to poly-therapy, with a prevalence of 20-40%.in particularly, poly-therapy increases the complexity of therapeutic management and their by the risk of clinically important DDIS, which can both induce the development of adverse drug reaction or reduce the clinical efficiency.DDIS can be classify into two main groups: pharmacokinetics and pharmacodynamics.

Keywords: absorption, adverse drug reaction, distribution, drug-drug interaction, excretion, metabolism, poly-therapy.