OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Noscapine nanotherapeutics: An update

Ramesh Chandra

Dr. B.R Ambedkar Centre for Biomedical Research
University of Delhi, India

Since, the investigation of noscapine as an anticancer agent, we have provided sufficient evidences about the utility of this tubulin binding agent in various types of solid tumors like prostate, breast, lung, and brain cancer. Moreover, our group also reported several new analogues of noscapine which are 5 to 40-fold more potent than the parent compound. However, physicochemical and pharmacokinetic limitations of noscapine such as short biological half life, limited solubility, low oral bioavailability, high therapeutic dose and rapid elimination from tumor tissues hamper the development of commercial dosage from. Therefore, we have used nanoscale based drug delivery systems to augment the solubility, bioavailability and plasma half life of noscapine to scale up the technology. We have reported the molecular cycloencapsulation chemistry by using β-cyclodextrin to augment the solubility and bioavailability of drug. Cyclodextrin micelles of noscapine enhance the water solubility up to 10.3-fold and oral bioavailability up to 1.87-fold without affecting the half life of drug. Further, we reported the stealth gelatin based nanocarriers to improve the plasma half-life and intracellular concentration of noscapine in breast cancer cells. Our approach enhanced the plasma half-life of drug up to 10.4-fold and also improved the cellular uptake in breast cancer cells. Thus, we presented the viable nanotherapeutics of noscapine by using applications of nanotechnology in Cancer drug delivery science.

Biography

Prof. Ramesh Chandra is a distinguished scientist and an outstanding researcher in the field of Biomedical Sciences. He is the Founder Director of the Dr. B. R. Ambedkar Center for Biomedical Research at the University of Delhi and has been the Vice-Chancellor of the Bundelkhand University, Jhansi (1999-2005) as well as the President of the Indian Chemical Society (2004-06) and Member, Planning Commission, Government of U.P., India.

Professor Chandra shows deep commitment to the cause of higher education and research and posses in ample measure, quality of dynamic leadership and a vision required to build academic institutions. Professor Chandra started his research career at the University of Delhi. He went to the New York Hospital-Cornell University Medical Center and the Rockefeller University, New York and State University of New York at Stonybrook. He conducted advanced research at the Harvard University Medical School-Massachusetts General Hospital, jointly at MIT, Cambridge USA. Over the last 33 years, Professor Chandra has contributed largely in the field of Chemical Sciences and particularly in New Drug Discovery and Development as well as Drug Metabolism. His research work is being used in the development of drugs for physiological jaundice/ Neonatal Jaundice and development of compounds for the treatment of breast cancer, diabetes and hypertension. He has supervised 85 Ph. D., 10 M. Phil. and in all trained more than 100 research scholars, published nearly 220 original Scientific Research Papers including 12 Review Articles/ Monographs in International journals of repute.

acbrdu@hotmail.com

Nageswara Rao Jampani, J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Carnitine palmitoyltransferase 1 oxidizes fatty acids based on environmental cues

Nageswara Rao Jampani
University of Pennsylvania, USA

Carnitine palmitoyltransferase 1 (CPT1) is associated with type 2 diabetes and insulin resistance. Such diseases, along with many other health problems, cause free fatty acid (FFA) levels in humans to become elevated, fat to accumulate in skeletal muscle, and decrease the ability of muscles to oxidize fatty acids. CPT1 has been implicated in playing a critical role in these symptoms. CPT1 controls the rate-limiting step in fatty acid β-oxidation. Because of the enzyme's importance, CPT1A, one of the three isoforms of CPT1 found in several organs, presents a prime target for drug treatments. It is inhibited by malonyl-CoA, the first intermediate of fatty-acid synthesis and a signal for the short-term metabolic state of the mammal. We are at the University of Southern California, USA along with researchers in University of Warwick, U.K. showed that, depending on the malonyl-CoA concentration, membrane composition and curvature, CPT1A's N-terminal regulatory domain adopted one of two structural states called Nα and Nβ. Nα inhibited the enzyme's activity, but Nβ didn't have an inhibitory effect. Nα:Nβ ratio set the enzyme's sensitivity to malonyl-CoA.

Biography

Dr. Jampani is one of the pioneers in structural biology and drug discovery. Dr. Jampani is credited with a number of important contributions, including the structure determination of several proteins involved in the regulation of HIV-1 transcription. He also characterized the interaction of alph-synuclein with several aggregation inhibiting small molecules. Amyloid form of alpha synuclein is a pathological hallmark of Parkinson's disease. Dr. Jampani has successfully applied his expertise in structural biology to develop new strategies for treating neurogenerative diseases. Dr. Jampani also a scientific advisory board member, editorial board member and peer reviewer for many national and international journals.

njampani@mail.med.upenn.edu

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

A comparative Kinetic study of two novel nanosized radiolabeled analogues of methionine for SPECT tumor imaging

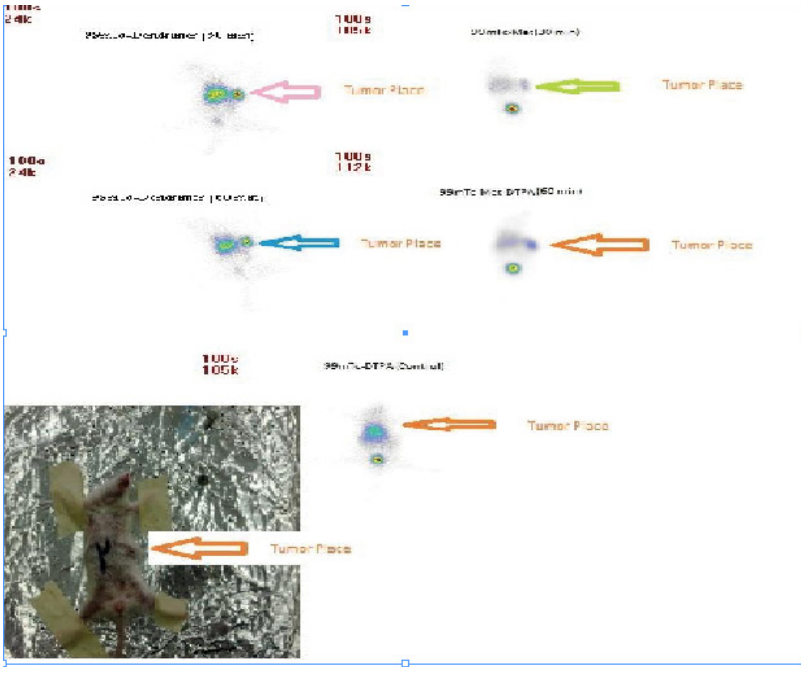
Abolfazl Ghafouri Khosroshahi[1], Seyed Esmaeil Sadat Ebrahimi[1*], Massoud Amanlou[1] , Masoud Ghorbani [2], Omid Sabzevari[3], Mohammad Reza Aghasadeghi[4], Fariba Johari[5], Seyed Davar Siadat[4], Mohammad Shafiee Alavidjeh[1], Hassan Namazi[4], Seyed Mehdi Sadat[4], Mohammad Hassan Pouriayevali[4], Ali Sharifat Salmani[4, 6], Leila Mousavi[7] and Mehdi Shafiee Ardestani[4*]

[1]Department of Medicinal Chemistry, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran
[2]R & D, Pasteur Institute of Iran, Tehran, Iran
[3]Department of Pharmacology and Toxicology, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran
[4]Department of Hepatitis and AIDs, Pasteur Institute of Iran, Tehran, Iran
[5]Faculty of Chemistry, Laboratory of Natural Carbohydrates and Biopolymer, University of Tabriz, Tabriz, Iran
[6]Islamic Azad University, Science and Research Branch, Department of Biology, Tehran, Iran
[7]Department of Pathology, School of Medicine, Hamadan University of Medical Sciences, Tehran, Iran

It has been reported that most tumor cells show an increased uptake of variety of amino acids specially methionine when compared with normal cells and amino acid transport is generally increased in malignant transformation. Based on the evidences, two novel nanosized analogues of methionine (Dendrimer G_2, a biodigredabale anionic linear globular-Methionin, and DTPA-Methionine1 conjugates) were synthesized and labeled with ^{99m}Tc and used in tumor imaging/ therapy in vitro and in vivo. The kinetic results showed marked tumor SPECT molecular imaging liabilities (Figure-1) for both compounds but with a better performance by administration of 99mTc-Dendrimer G2-Methionin. The results also showed a good anticancer activity for ^{99m}Tc-DTPA-Methionine. Based on the present study ^{99m}Tc-Dendrimer G_2-Methionin or 99mTc-DTPA-(Methionine)1 have potentials to be used in tumor molecular imaging as well as cancer therapy in future.

Keywords: tumor cells, nanosized, methionine, DTPA, Dendrimer G_2, SPECT

Figure-1: Illustration of tumor formation places both the complexes. It should be noted that 99mTc-Dendrimer-met showed higher resolution as compared to 99mTc-DTPA-met. 99mTc-DTPA(control group) did not show Tumor formation at all



shafieeardestani@gmail.com

Jan Torzewski, J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Road map to drug discovery and development inhibiting C-reactive protein for the treatment of cardiovascular disease – Way into clinical studies

Jan Torzewski

Cardiovascular Center Oberallgäu-Kempten, Germany Cardiovascular Center Oberallgäu-Kempten, Germany

Specific C-reactive protein (CRP) inhibition may be a novel approach for reducing cardiovascular mortality. Several expensive attempts to develop specific CRP-inhibitors, however, have not been successful. Recently, cardiac glycosides have been identified to potently inhibit CRP synthesis in the liver. Although the latter observation, with regards to patent law considerations, is of uncertain relevance, it may finally turn out to be very helpful in coming to a conclusion on the question whether CRP is causal in cardiovascular disease or not. Cardiac glycosides, for the treatment of cardiac insufficiency, have been in clinical use since the late 18th century, and much is known about their toxicity and side effects. Clinical studies with these long known drugs are ethically much easier to justify, and reformulation of established substance classes has become one of the leading strategies for drug development. Here, we outline our ongoing clinical pilot study on CRP synthesis inhibition by cardiac glycosides.

jan.torzewski@kliniken-oa.de

Lakshmi P. Kotra, J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Integrated product development: Structure based drug design integration of structure-based drug design in drug discovery

Lakshmi P. Kotra

Center for Molecular Design and Preformulations, University of Toronto and University Health Network, Canada

Availability of commercial chemical libraries, sophisticated tools in computer modeling, accurate predictable algorithms for docking, advances in synthetic tools and various physicochemical properties/toxicity predictions are more common in this day and age in the pharmaceutical industry. And yet, there are a variety of assumptions and unknowns that are a part of almost all computational tools employed in drug discovery lending the process itself to risk and unpredictable outcomes. At the Center for Molecular Design and Preformulations, computational and structure-based drug design tools are employed routinely to a variety of drug discovery projects to develop hits and lead compounds for preclinical studies. This talk will highlight the experiences in structure-based drug design for integrated drug discovery for potentially developable compounds.

Biography

Lakshmi Kotra is an Associate Professor of medicinal chemistry at the University of Toronto and a Scientist and Principal Investigator at University Health Network. Dr. Kotra is the founder and Director at the Center for Molecular Design and Preformulations (CMDP) at University Health Network. Dr. Kotra holds an adjunct professorship at BITS, Pilani (India). Dr. Kotra received his Ph.D. from the University of Georgia, USA and postdoctoral training at Wayne State University. Dr. Kotra authored/co-authored over 100 publications including peer-reviewed scientific papers, expert review articles and book chapters, seven patents/patent applications and more than seventy invited talks.

lkotra@uhnresearch.ca

Samit Kumar Nandi, J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Practical solutions to orthopaedic surgical challenges by evaluating pharmaceutical bioequivalence of materials in implementing such disorders

Samit Kumar Nandi

Department of Veterinary Surgery & Radiology, West Bengal University of Animal & Fishery Sciences, India

Biomaterials, regardless of whether they are permanent or biodegradable, naturally occurring or synthetic, need to be biocompatible, ideally osteoinductive, osteoconductive, integrative, porous and mechanically compatible with native bone to fulfill their desired role in bone tissue engineering. Currently, functional treatment of fracture non-unions, bone loss associated with trauma, cancer and revision total joint arthroplasty and osteomyelitis remain a significant challenge in the field of orthopaedic surgery. Although the most effective morphogens or the delivery system had been identified, it is clear that their use to heal or treat several skeletal defects as well as local drug delivery system in osteomyelitis will have enormous advantages over conventional treatments in clinical contexts.

Keeping view this in consideration, bioceramic like calcium hydroxyapatite (HAp), tricalcium phosphate (TCP), bioactive glasses and natural marine biomaterials like chitosan (alone and in combination with growth factors and snail extract) were synthesized in laboratory and porous struts were made thorough in vitro characterization and finally trialed in experimental animal model. Based on the radiological, histopathological, scanning electron microscopic, angiographic, fluorochrome labeling and push out test, the in vivo bone formation response of the implanting materials has been studied with impressive outcomes. Besides, different antibiotic impregnated ceramics like HAp, TCP and bioactive glasses were also evaluated as local drug delivery system in osteomyelitis model both in vitro and in vivo. After successful experimentation in animal model, the said treatment strategies have been used in chronic osteomyelitis patient in human subject with effective results. Further, bioceramic coated intramedullary pinning in surgically created defects in animal model showed superior "bone bonding" and osseointegration as compared to uncoated pinning presently invoke in orthopaedic surgery. Finally ceramic and bioactive glass coated dental implants have experimentally trialed in animal model and are now routinely used in human dental surgical cases.

Biography

Dr Samit Kumar Nandi, Associate Professor and Head, Department of Veterinary Surgery & Radiology, West Bengal University of Animal and Fishery Sciences, India has completed his Ph. D from the University for which he was conferred Jawaharlal Nehru Award by ICAR, Government of India. Further for his contribution to material science, he was awarded the outstanding National Bioscience Award for Career Development 2008 from Department of Biotechnology, Government of India. He is a prolific writer and has contributed more than 70 scientific articles in National and International journals of repute and is serving as Reviewer of number of journals all over the Globe.

samitnandi1967@yahoo.com

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Homeopathic medicines protect environment, health and development by controlling mulberry diseases

Subhas Chandra Datta[1*] and Rupa Datta[2]

[1*]Eco-club Research Unit, Kanchannagar D.N.Das High School, India
[2]Life Science Unit, Burdwan Model School, India

Plant diseases, caused by pathogens, significantly reduce food production particularly in the developing world where farmers have little knowledge of these pests. In sericulture, mulberry is an economical plants because silk production depends on the nutritive quality of the leaves which is hampered by various pathogen attack like nematodes, fungus, virus, bacteria and insects etc. Recently, synthetic- and chemical- pesticides are the most effective means of control, but they are both expensive and environmentally unfriendly. The "evils" of synthetic- and chemical- pesticides has been a major concern to environmentalists. The use of chemical pesticides may achieve a measure of control of those mulberry diseases but there remains the problems of residual toxicity in the treated plants and this toxicity results in reduced palatability of the leaves to the feeding silkworm larvae, reduction in growth of the larvae and also in silk production. These are serious issues which directly cause crises of financial losses, food productions, and climatic changes, but in combination, their impact could be catastrophic for the global economy. To move forward will require new and more efficient solutions, technologies and products. Climate change and resource productive economies are now universally recognized as a significant global environmental challenge.

To meet the challenge of the problems, a number of plant bio-nematicides though effective and easily biodegradable are not easily available in large quantities from natural sources and isolation of only a small quantity of an effective metabolites requires huge quantities of plant materials. This would result in rapid depletion of natural resources, particularly in tropical regions. Indiscriminate use of plant resources have already created problem of biodiversity conservation in the world. Bio-nematicides from animal origin (like nematode extract) reduce nematodes infestation in different plants and root callous by using their defence- response against nematode infection. But it remains some problems.

To conquer this situation, the only 'Homeopathy' can solve all the above mentioned problems. Here, Homeopathic medicines; Cina, prepared from the flowering meristems of Artemisia nilagirica (Clarke) pamp and Aakashmoni, prepared from the funicles of Acacia auriculiformis A. Cunn , mixed with distilled water @ 7.2 mg/ml, were applied by foliar spray once daily for 15 days @ 10ml/plant on mulberry (Morus alba L., cv. S1) are highly effective in ameliorating mulberry diseases; root-knot [Meloidogyne incognita (Kofoid & White) Chitwood], leaf spot [Cercosporam moricola (Cooke)], powdery mildew [Phyllactinia corylea (Pers.) Karst], mosaic disease (mosaic virus) and tukra disease [Maconellicoccus hirsutus (Green)]. Both the drugs also improve the plant growth effectively which directly increase photosynthesis rate and significantly reduce CO2 in the environment. Both the drugs also improve the growth of silkworms, shell weight, sex ratio percentage [SR%] and egg laying capacity of mother moth and also increase silk production and effective rate of silkworms rearing [ERR] commercially which directly enriches sericulture industry as well as agriculture sector. And these cost-effective homeopathic medicines easily available and biodegradable, non-phytotoxic and non-pollutant as well as conserve our biodiversity conservation which will contain "Sustainable Environment, Health and Development".

Keywords

Homeopathic medicines, Cina, Aakashmoni, Control, Mulberry disease, Sericulture, Environment.

Biography

Dr. Subhas Chandra Datta, Headmaster&Researcher, Eco-Club Research Unit, Kanchannagar D.N.Das High School, Kanchannagar, Burdwan-713102, West Bengal, India.

Abstracting the Published Paper: Indian Science Abstracts - NISCAIR, CAB International Abstracts, Indian Science Abstracts, NIH, Pub Med Central, Animal Research in Homeopathy and Homeoprophylaxis, BioMedTalk.com and different Medical Publisher etc. Teaching : 15 years teaching experience & State label different educational training. Research experience : 18 years evidence by publication. As a Reviewer: Three years experience.

dattasubhas@rediffmail.com

Sudhakar Akul Yakkanti, J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Mechanism of elastin mediated angiogenic signaling regulation by Hexastatin

Sudhakar Akul Yakkanti

Boys Town National Research Hospital, USA

Cancer is currently one of the most prevalent causes of human deaths in the world. Current therapeutic options aim only to slow the progression of cancer disease. Therefore, a renewed effort must be made to identify relevant endogenous cancer inhibitors that could be exploited as therapeutic drugs. We identified endogenous anti-cancer molecules, which are released from extracellular matrix (ECM) and were identified as angioinhibitors of tumor growth. These endogenous angioinhibitory proteins bind to the cell surface molecules and transduce the signaling & regulate angiogenesis. Thus, cell surface molecules serve as transmembrane linkers between the ECM and cytoskeleton for outside-in signaling. One such endogenous molecule, Hexastatin, a 26-kDa protein from the C-terminal non-collagenous domain of alpha6 type IV collagen was identified as an inhibitor of angiogenesis but is mediated signaling is not yet known. Our findings suggests that Hexastatin interacting with cell surface molecules and inhibiting elastin mediated angiogenesis by inhibiting phosphorylation of FAK/PI-3K/Akt/mTOR and prevents MT1-MMP expression that leads to endothelial cell migration and tube formation. Further, we also demonstrated that hexastatin inhibits hypoxia induced pro-inflammatory molecules via FAK/Akt pathway, leading to inhibition of tumor angiogenesis and tumor growth both in-vitro and in-vivo.

Biography

Sudhakar Akul Yakkanti is the founder Director of Cell Signaling, Retinal and Tumor Angiogenesis Laboratory at Boys Town National Research Hospital, Associate Professor at University of Nebraska Medical Center, Omaha, NE, USA. He did his postdoctoral training at Harvard Medical School, Boston, MA, USA (2003). He received President's fellowship (1992), GATE (1996) and CSIR (2007-2000) fellowships from Government of India. He received Mahindra & Mahindra Educational Award (2000) and Young Clinical Scientist Awards from Flight Attendant Medical Research Institute (FAMRI) in 2007 and 2010. He also received Bio-Bio Young Scientist Award from OMICS publishing group; Michael A. O'Connor Young Investigator Award; RO1 grant Award from NIH/NCI and Research Scholar Grant Award from ACS (2010). He is serving as AIBS/NIH-RO1 Grant reviewer for DT study section. He has published more than 40 research articles in several top journals including Science, Cancer Cell, JCI, Blood, PNAS, Gastroenterology, Cancer Research, JBC, IOVS, Mol Vision, J Clinical & Experimental ophthalmology, JCST etc. He is serving as an Executive Editor, Editor and Editorial board member of reputed journals and is serving as a reviewer for more than 20 scientific journals including JCI, Blood, Circulation, Circulation Research, Cancer research, Clinical Cancer research etc. He was honored by giving a position as Keynote Speaker, Chairman, Co-chairman and organizing committee member for several national and international conferences.

dattasubhas@rediffmail.com

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Synthesis of dibenzalacetone derivatives and evaluation of their antimycobacterial property

Vida Sedighi, Parisa Azerang and Soroush Sardari*

Drug Design and Bioinformatics Unit, Medical Biotechnology Department, Biotechnology Research Center, Pasteur Institute, Iran

A number of articles have already been published on the application of chalcone as a bioactive compound.1,2 In a recent survey it is reported that chalcones and flavanoids possess antimy-cobacterial activity and they are known to be effective against Mycobacterium tuberculosis H37Rv.[1] In addition, it is predicted that the chalcon structure can lead to rapid and continued progress in development of the new antimycobacterial agents and the discovery of new drug targets. Because the asymmetrical structure of chalcones, this compounds have synthesized symmetrical structure.

In this study, synthesis and evaluation of in vitro antimycobacterial activity against Mycobacterium bovis (BCG) of dibenzylideneacetones derivatives have been reported. Dibenzylideneacetones derivatives were synthesized with aldol reaction.

The compounds were purified by re-crystallization from acetic acid. The reaction was carried out at room temperature. The success of aldol reaction was assessed by ^{1}H_NMR.

The products giving high yields of desired adducts at ambient conditions and the activity expressed as the minimum inhibitory concentration (MIC) in µg/mL. The in vitro activity of Dibenzylideneacetones derivatives showed 30 to 80 µmol range.

Keyword: dibenzalacetone, antiantimycobacterial, aldol reaction.

v.sedighi@hotmail.com

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Method validation: Partial validation and complete validation

Vinay P. Shedbalkar

Pharma Edge Centre (I) Pvt. Ltd, India

Bioanalytical methods are used for the quantitation of drugs and their metabolites in biological matrices. Since the drugs are getting more and more potent and the dose is getting smaller and smaller, highly sensitive and selective methods are required to quantify drugs in matrices such as blood, plasma, serum, saliva, or urine. In addition to small molecules, number of biological agents such as recombinant proteins, monoclonal antibodies, vaccines requires to refine our understanding of method development and validation of bioanalytical methods for the quantification of these therapeutics in biological matrices. These methods are generally useful in quantitative assays during evaluation of pharmacokinetic and toxicokinetic parameters of the therapeutic agents. The methods that are primarily used in these experiments are ligand binding assays where the specificity and selectivity of the assays depend on the interactions of other biological molecules, such as receptors, antibodies against the therapeutic candidates. The basis of the observed response in these methods is indirect and is an enzymatic or radiochemical response tied to a variety of binding interactions. There is no direct physicochemical property of a macromolecule, unlike small molecules, that can be used in this determination. Because of the nature of these binding interactions, the dynamic range of the standard curves is narrow as well as nonlinear or even sigmoidal. A properly developed method either for small molecules or large molecules has to be properly validated to establish that it will provide accurate, precise, and reproducible data during study-sample analysis. In short, method validation is a process that demonstrates that the method will successfully meet the regulatory requirements for accuracy, precision, selectivity, sensitivity, reproducibility, and stability for any kind of molecules. This presentation will discuss key aspects of method partial and complete method validation.

vinayshedbalkar@pharmaedge.co.in

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Comparative in vitro dissolution and in vivo bioequivalence of two diclofenac enteric coated formulations

Parvin Zakeri-Milani

Tabriz University of Medical Sciences, Iran

The aim of this study was the comparison of in vitro dissolution and in vivo bioavailability of two different brands of diclofenac sodium (CAS 15307-86-5) enteric coated tablets in healthy male Iranian volunteers in a single-dose, randomized, open-label, blind study, which was conducted according to a crossover design in healthy volunteers. A washout interval of two weeks was selected between administrations to each subject in this study. Serial venous blood samples over 10 hours after each administration to measure diclofenac sodium concentration in serum were obtained, and placed into tubes containing sodium heparin. Then the plasma was separated and kept frozen at -20 °C for subsequent analysis with a modified HPLC method with UV detection. In addition, the in vitro dissolution study was performed on the brands. For the test and reference formulation, mean C_{max} values were 2257.3 (ng/ml) and 2156 (ng/ml) respectively. The mean and were 5726.1 (ng.h/ml) and 5917.8 (ng.h/ml) for the test and 5689.9 (ng.h/ml) and 5967.4 (ng.h/ml) for the reference formulation respectively. Results show that the 90% confidence intervals for the ratio of test and reference products in Cmax (101.4-114.9%), (96.3-109.1%) and (94.7-107.3 %) were all within the 80–125% interval proposed by the FDA and EMA. Both formulations released > 80% of drug within 30 minutes in buffer pH=6.8 medium. Therefore the diclofenac sodium enteric coated tablets of the test and reference formulations are bioequivalent in terms of rate and extent of absorption.

Biography

Parvin Zakeri-Milani has completed his Ph.D at the age of 31 years from Tabriz University of Medical sciences and. She is the associate professor at faculty of pharmacy. She has published more than 40 papers in reputed journals and has written a number of books and book chapters in the field of biopharmaceutics.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Synthesis and characterization of cyano ligand cobaloximes and their antimicrobial activity

Bakheit Mustafa[1], Nazar Gabra and S. Satyanarayana[1*]

[1]Department of Chemistry, Osmania University, Hyderabad, Andhra Pradesh, India

Cobaloximes of the type $[CNCo(DH)_2(L)]$; where, DH = dimethyl glyoximate, L = thiourea, acetamide, formamide, semicarbazide, pyrazole and aniline were prepared, characterized by the usual spectroscopic techniques, The IR spectra reveal the coordination from nitrogen of ligand to central cobalt atom and intramolecular hydrogen bonding. ^{1}H NMR data of dimethylglyoxime and its Co(III) complexes are in agreement with the trans structure. The ^{13}C NMR spectra of the complexes show a sharp signal for carbon atom in the methyl group. So the four methyl groups in the Co(III) complexes are equivalent and situated in a plane. The thermal decomposition studies indicate the absence of water of crystallization. This fact is supported by IR measurement. The loss of heterocyclic nitrogen donor ligands does not take place up to 215°C.The last product of decomposition is Co_3O_4 or CoO. All of these complexes were screened against microorganism for antimicrobial activity with ciprofloxacin as standard. The cobaloximes were found to be active against most of the microbes. The cobaloxime containing pyrazole as the axial ligand showed potential inhibition; whereas, the complex $[CNCo(DH)_2(AC)$ showed the least microbial growth.

Influence of food on the bioavailability of drugs

Ranjan Kumar Giri

Institute of Pharmacy and Technology Salipur, Cuttack, Odisha, India

Food intake exerts a complex influence on the bioavailability of drugs. It may interfere not only with tablet disintegration, drug dissolution and drug transit through the gastrointestinal tract, but may also affect the metabolic transformation of drugs. Different food components can have different effects, and food may interact in opposite ways. Therefore, the net effect of food on drug bioavailability can be predicted only by direct clinical studies of the drug in question. As judged mainly from single meal, single dose studies, food intake enhances the bioavailability of several different drugs, such as propranolol, metoprolol, hydrallazine, hydrochlorothiazide, nitrofurantoin, erythromycin , dicoumarol, phenytoin and carbamazepine, but reduces that of drugs such as isoniazid, rifampicin, tetracycline, penicillin and ampicillin. For some drugs such as digoxin and paracetamol, the rate but not the extent of absorption is reduced. The food induced enhancement of bioavailability of propranolol, metoprolol and hydrallazine is probably due to reduced first pass metabolism of these drugs, while food induced improvement of drug dissolution may explain the enhanced bioavailability of carbamazepine, canrenone, dicoumarol and phenytoin. An increased gastrointestinal pH may be in part the cause of the food induced reduction of the bioavailability of drugs such as isoniazid and tetracycline. In addition to single meal effects, repeated intake of protein-rich meals enhance, while carbohydrate-rich meals reduce, the rate of oxidation of antipyrine and theophylline. Thus, food and its components may have both short and long term effects on both the absorptive and biotransformation processes influencing systemic availability of drugs.

Liquisolid technique as a tool for dissolution enhancement of Piroxicam

P. Manasa, P. Ramya, L. Srinivas and P. Suresh

GITAM Institute of Pharmacy, GITAM University, Rushikonda, Visakhapatnam, India

The potential of liquisolid systems to improve the dissolution properties of a water-insoluble agent (piroxicam) was investigated. In this study, different formulations of liquisolid tablets using different co-solvents (non-volatile solvents) were prepared and studied for improvement of dissolution. Polyethylene glycol 400 (PEG 400), Plurol olieique, Labrofil, Labrosol (Gattefosse) were used as non- volatile water-miscible liquid vehicles. Several liquisolid tablets were prepared using microcrystalline cellulose (Avicel® pH-101) and fumed silica (Cab-O-Sil® M-5) as the carrier and coating materials, respectively. The liquid loading factors for such liquid vehicles were calculated to obtain the optimum amounts of carrier and coating materials necessary to produce acceptable flowing and compactible powder admixtures viable to produce compacts. To evaluate any interaction between piroxicam and the other components in liquisolid formulations, Fourier Transformed Infrared Spectroscopy (FTIR), X-ray powder diffraction (XPD) and differential scanning calorimetry (DSC) were used. Promising results indicated the usefulness of liquisolid technique as a potential tool in improvement of dissolution of piroxicam.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Liposomes as delivery systems for the poorly water soluble antioxidant resveratrol

K.Vanaja[1,2], M. Wahl[3], L.Bukarica[4] and H.Heinle[2]

[1]Visveswarapura Institute of Pharmaceutical Sciences, Bangalore, India
[2]Institute of Physiology, University of Tuebingen, Germany
[3]Institute of Pharmacy, University of Tuebingen, Germany
[4]Department of Pharmacology, University of Belgrade, Serbia

The current study focusses on evaluation of liposomes as drug carriers for anti-oxidant (resveratrol) during oxidative stress. The oral bio-availability and initial half life (8-14 min) of resveratrol are poor, leading to an irrelevant in-vivo effect by oral administration compared to its powerful in-vitro efficacy. Liposomes containing resveratrol were formulated by thin film hydration using Phospholipon 90H : Cholesterol : Diacetyl phosphate. Liposomal systems containing 100 µM, 150 µM and 200 µM resveratrol, respectively, were formulated and characterized for suitable vesicle properties. Percent resveratrol entrapment was found to be 75-80%. The Z-average size was in the range of 100-130 nm with polydispersity index between 0.213 to 0.285. Mean zeta potential values measuring vesicle surface charge varied between -40mV to -50mV. Antioxidative properties were determined, on one hand,by measuring luminol-enhanced chemi-luminescence (CL) of spontaneously formed free radicals from 2,2'–Azobis (2-amidino propane) dihydrochloride (AAPH) showing that free resveratrol exhibited 74. % reduction in CL, whereas resveratrol containing liposomes (RL) reached 86% quenching in CL. On the other hand, fluorescence activated cell sorter (FACS) analysis of reactive oxygen species (ROS) using buffy coat cells from human blood ,and 2',7'dichlorofluorescein diacetate (DCF) as indicator demonstrated percentage gated values of 56 % for the negative control ; 28% for RL and geometric means of 195 for the negative control ; and 91 for RL. Since cellular DCF fluoroscence reflects mainly intracellular accumulation of ROS, this result indicates that ROS production was reduced in presence of liposomal drug carrier systems confirming the improved efficacy of liposomal resveratrol during oxidative stress.

Formulation and evaluation of Phenytoin sodium sustained release tablet

N. Madhavi*, K. Mohan, B. Sudhakar, P.V. Ravikanth and K.V.R. Murthy

A.U.College of Pharmaceutical Sciences, Andhra University, Visakhapatnam Andhra Pradesh, India

Epilepsy is a very common disorder, characterised by seizures, which take various forms and result from episodic neuronal discharges, the form of the seizure depending on the part of the brain affected. There is no recognitional cause, although it may develop after brain damage, such as trauma, infection or trauma, and other kinds of neurological diseases. Epilepsy is treated mainly with drugs, though brain surgery may be used for severe cases. Sodium channel blockers are generally used in the treatment of seizures. Eg: phenytoin, carbamazepine, valproate.

The aim of this study is to develop sustained release matrix tablet of phenytoin sodium using hydroxypropyl methylcellulose (HPMC) as release controlling factor and to evaluate drug release parameters as per various release kinetic models. The formulated tablets were also characterized by physical and chemical parameters and results were found in acceptable limits. Different dissolution models were applied to drug release data in order to evaluate release mechanisms and kinetics. Criteria for selecting the most appropriate model were based on linearity (coefficient of correlation). Based on "n" value (0.168) the drug release was follows Fickian diffusion. Also the drug release mechanism was best explained by Higuchi order (correlation value is o.9063) by using this polymer.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Evaluation of anti ulcer activity of allium cepa on tnbs induced ulcerative colitis in sprague dwaley rats

Chitturi Latha Saranya T. Devi, G. Suhasin and P. Suresh

GITAM Institute of Pharmacy, GITAM University, India

Ulcerative colitis (UC) is an acute and chronic inflammatory disease of the large bowel and is one of the two main forms of inflammatory bowel disease (IBD). The etiology of IBD is unknown, but animal models have shown that resident intestinal bacteria play an important role in the pathogenesis of this disease.

Ulcerative colitis occurs worldwide. It is considered common in most of Europe and North America and uncommon in most of the developing Asian countries. The incidence/prevalence of ulcerative colitis varies not only according to geographical region but also with race and ethnicity. Symptoms associated with both CD and UC typically begin when the individual is young, most commonly diagnosed in the second and third decades of life.

The rat has become an accepted model for the study of colitis-induced adverse effects in the large bowel. The 2, 4, 6-trinitrobenzene sulfonic acid (TNBS)-induced colitis represents an experimental model for human Ulcerative colitis.

Enhancement of bioavailability of etodolac using solid dispersion technique

Dua Kamal[1*], D.K. Agrawal[2], Sharma V.K.[2] and Pabreja Kavita[1]

[1]Department of Pharmaceutical Technology, School of Pharmacy & Health Sciences, International Medical University, Kuala Lumpur, Malaysia
[2]Dr. K.N. Modi Institute of Pharmaceutical Education and Research, Modinagar, U.P., India

Etodolac is a poorly water-soluble, new generational non-steroidal anti-inflammatory drug. The aim of the present work was to investigate and compare the effect of PVP K-30 and PVP/VA 64 as carriers on in vitro dissolution characteristics of etodolac. Etodolac solid dispersions were prepared by fusion method.

All the prepared solid dispersions exhibited appropriate yield, average particle size, drug content, wetting time and moisture content. Scanning electron microscopy indicated the amorphous nature of the drug in the prepared formulation. The carriers did not show any incompatibility when tested using Fourier transform infrared spectroscopy and differential scanning calorimetry. A higher release in both, 0.1 N HCl, pH 1.2 and phosphate buffer, pH 7.4 was observed as compared to pure drug and their corresponding physical mixtures.

With perspective of the dissolution media, the phosphate buffer, pH 7.4 showed higher dissolution as compared to 0.1 N HCl, pH 1.2. The highest improvement in dissolution was found with PVP K-30 as carrier. The in vitro release from all the formulations was best described by first order kinetics (R^2= 0.9321 and 0.9248 in 0.1N HCl and phosphate buffer, respectively) followed by Higuchi release model (R^2 = 0.9132 and 0.9532 in 0.1N HCl and phosphate buffer, respectively) with better intestinalabsorption,analgesic and anti-inflammatory activity ($p< 0.05$). The intestinal absorption followed the first order kinetics (R^2 = 0.9234). With enhanced solubility and dissolution, it is expected that etodolac in solid dispersions will demonstrate improved bioavailability.

Study the effect of excipients in enhancing the solubility of nateglinide by solid dispersion

S. Deepthi*, M. Kranthi Kumar Reddy, J. Ravi Verma, KDRC Verma and K.V. Ramanamurty

AU College of Pharmaceutical Science, Andhra University, Andhra Pradesh, India

Nateglinide is a novel anti diabetic drug that lowers blood glucose levels by stimulating insulin secretion from the pancreas. This action is dependent upon functioning beta-cells in the pancreatic islets. One of the major problems with this drug is its low solubility in biological fluids, which results into poor bioavailability after oral administration. Therefore, solid dispersions (SDs) of nateglinide were prepared using lactose, mannitol and urea to increase its aqueous solubility. Nateglinide SDS was prepared in 1:1, 1:2, and 1:3 ratios of the drug to polymer (by weight). In vitro release profiles of all SDs (F-1 to F-9) were comparatively evaluated and also studied against pure Nateglinide. Faster dissolution was exhibited by solid dispersion containing 1:3 ratio of drug: mannitol. The increase in dissolution rate of the drug may be due to increase in wettability, hydrophilic nature of the carrier and due to reduction in drug crystallinity. The prepared solid dispersion was subjected for % practical yield, drug content and infrared (IR) spectroscopic studies. Absence of significant drug-carrier interaction was confirmed by infrared spectroscopic (IR) data.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

PPAR-γ2 Pro12Ala polymorphism in metabolic syndrome without type 2 diabetes mellitus

Sudhakar Pemminati and Ashok K Shenoy

Department of Pharmacology, Manipal University, Kasturba Medical College, Mangalore, India

To identify PPAR-γ2 Pro12Ala polymorphism in metabolic syndrome without type 2 diabetes mellitus. Fifty control subjects without metabolic syndrome (MetS) with type 2 diabetes mellitus (T2DM) and fifty patients with MetS using IDF 2005 criteria without type 2 diabetes were identified.MetS was diagnosed on the presence of waist circumference (WC) (men≥ 90cm, women ≥ 80 cm) plus any two of the following four factors; (I) triglycerides (TG) >150mg/dl (1.7mmol/l),(II) HDL-cholesterol (HDL-C) < 40 mg/dl(1.0mmol/l) for men, < 50 mg/dl(1.3mmol/l) for women, (III) fasting plasma glucose (FPG) ≥ 100mg/dl (6.1mmol/l) and (IV)blood pressure (BP) ≥ 130/85mm of Hg. Genotyping for PPAR-γ2 Pro12Ala polymorphism was done by polymerase chain reaction and restriction fragment length polymorphism (PCR-RFLP) method. In the study group (50 patients with MetS and without T2DM) the wild type (normal) Pro/Pro in PPAR-γ2 was present in 59.4%; the heterozygous mutant Pro/Ala was present in 40.6%. In the control group (50 controls without MetS and T2DM) the wild type (normal) Pro/Pro in PPAR-γ2 was present in 60.5%; the heterozygous mutant Pro/Ala was present in 39.5%. (P>0.05, NS).PPAR-γ2 Pro12Ala polymorphism may not be a predictor of metabolic syndrome without type 2 diabetes mellitus in a significant manner. Studies in larger populations and correlations with data of other studies is required.

Ibuprofen loaded topical ethosomes: An approach for effective pain relief

Sravya Kudamala[1], Beeravelli Sudhakar[1], A.P.Pawar[2] and K.V.Ramana Murthy[1]

[1]Department of Pharmaceutics, A.U.College of Pharmaceutical Sciences, Andhra University, Visakhapatnam, Andhra Pradesh, India
[2]Department of Pharmaceutics, Bharathi Vidhyapeeth University, Poona College of Pharmacy, Erandwane,Pune, Maharashtra, India

Ibuprofen is a non-steroidal anti-inflammatory drug which is believed to work through the inhibition of cyclooxygenage thus inhibiting prostaglandin synthesis, which is responsible for inducing pain. Clinically ibuprofen has a very low bioavailability, short half life and hepatic metabolism and common adverse effects like nausea, ulceration, bleeding and dizziness. To reduce such adverse effects, it would clearly be preferable to administer ibuprofen topically. The present work focuses on developing novel ethosomes for dermal delivery of ibuprofen for relieving pain and exploring possible mechanism of better skin penetration of ethosomal carrier. Ibuprofen loaded ethosomes were prepared by film hydration technique and characterized for vesicular shape and surface morphology, vesicular size, entrapment efficiency, stability, surface charge (zeta potential) and compatibility studies (FTIR). Studies done using scanning electron microscope, fluorescent microscope and Malvern particle size analyzer defined ethosomes as spherical, unilamellar structures in micrometric size range (1μ). Entrapment efficiency of ibuprofen in ethsomal carrier was found to be 62.06% ±1.4. Zetapotential studies explain that ethosomes exhibited a negative charge of -12.3 mv and stability profile of ethosomes after 6 weeks showed that 51.45% of drug was retained in the system. In vitro skin retention studies of ibuprofen loaded ethosomes performed using excised rat skin showed 87.32% of retention. So finally all these properties have led to a conclusion that dermal delivery of ibuprofen loaded topical ethosomes is a suitable approach for relieving pain with negligible side effects.

Development of a validated HPLC method for the determination of B-complex vitamins in pharmaceuticals

Supriya G.N*, Padmarao M.V and Rao Srinivasa Kareti

Roland Institute of Pharmaceutical Sciences, India

A simple and sensitive reversed-phase HPLC method was developed and validated for the simultaneous determination of three water-soluble vitamins, viz. Riboflavine, Folic Acid, Cyanocobalomine, in multivitamin pharmaceuticals. RP-HPLC analysis was performed with Waters Separation Module 2695 HPLC system, equipped with Waters 2696 PDA detector. Separation was achieved at ambient temperature on a Zorbax SB-C8 (5μm, 4.6 mm X 150 mm) analytical column. Isocratic elution was performed using 50mM Sodium Phosphate buffer pH 2.5 and Methanol (90: 10 %v/v) composition, at a flow rate of 1.0 mL/min. Detection was performed with a photodiode array detector at 245 nm. Spectral comparison was used for peak identification in real samples. Detection limits were in the range of 1.6–3.4 ng, per 20-μL injection, while linearity held up to 25 ng/μL. Accuracy, intra-day repeatability (n = 6), and inter-day precision (n = 7) were found to be satisfactory.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

High-performance liquid chromatography method for the simultaneous determination of Ascorbic Acid, Thiamine mononitrate, Niacinamide, Calcium Pantothenate and Pyridoxine in pharmaceutical formulations

Padmaja Gulla*, Sateesh Kumar kanugula, Rao Srinivasa Kareti

Roland Institute of Pharmaceutical Sciences, India

Vitamins are essential nutrients for proper functioning of the human body. The present work describes the simultaneous determination of Ascorbic Acid, Thiamine mononitrate, Niacinamide, Calcium Pantothenate and Pyridoxine in multivitamin pharmaceuticals by high-performance liquid chromatography (HPLC). RP-HPLC analysis was performed with Waters Separation Module 2695 HPLC system, equipped with Waters 2696 PDA detector. The retention time of vitamins was repeatedly determined by isocratic elution using 25mM KH2PO4 buffer (pH 3.0): Acetonitrile (97:3) as mobile phase with the Prevail C_{18-} 150 X 4.6 mm, 5 µm column. The specificity of the method was demonstrated by the retention characteristics. The method was characterized also by wide concentration range, high sensitivity and good accuracy. The repeatability of the method was evaluated at different level of concentration of vitamins and the relative standard deviation was below 2%. The developed method was compared with United States Pharmacopoeia (USP) methods in which these vitamins are separated singly on different columns by different methods, some involving complex ion-pair reagents. The present method was successfully applied for the quantification of Ascorbic Acid, Thiamine mononitrate, Niacinamide and Calcium Pantothenate in a variety of commercial products, including multivitamins and various soft drinks.

Stress degradation studies of carvedilol by a validated reversed phase liquid chromatographic method

Baby Sahu*, Dharmendra Singh Sikarwar, B.V.V.Ravi Kumar and Sagar Suman Panda

Department of Pharmaceutical Analysis and Quality Assurance, Roland Institute of Pharmaceutical Sciences, Berhampur, Orissa, India

A new, simple and rapid RP-HPLC method was developed for the routine determination of Carvedilol, in bulk and tablet dosage form. The method was developed on an isocratic mode Waters 2695 Alliance RP-HPLC. Chromatographic separation was performed using a Hypersil ODS Symmetry;C_{18} (50 x 4.6 mm, 5µm) column with mobile phase containing phosphate buffer(pH adjusted to 2.0 with ortho phosphoric acid):acetonitrile(65:35, v/v) with flow rate 1.0 ml/min. and the run time was 5 min.The UV detection was carried out at 232nm. In the range of 2.5-50 µg/ml, the linearity of Carvedilol shows a correlation co-efficient of 0.999.The developed method was validated statistically for linearity, accuracy, precision and system suitability parameters. The developed method was evaluated for stability and specificity. Specificity of the method was ascertained by subjecting the drug to different stress conditions like acidic, alkaline, oxidative, thermal and photolytic degradation. The ruggedness and robustness studies were also studied. The present method is simple, rapid, precise and accurate and can be successfully applied for the routine estimation of Carvedilol in both bulk and tablet dosage forms.

Determination of Voriconazole by RP-HPLC method in bulk and pharmaceutical dosage form

Lipsa Rani Patro*, Subhadip Roy, B.V.V. Ravi Kumar and Sagar Suman Panda

Department of Pharmaceutical Analysis and Quality Assurance, Roland Institute of Pharmaceutical Sciences, Berhampur, Orissa, India

A simple, rapid,precise and accurate RP-HPLC method was developed for the determination of Voriconazole, in bulk and pharmaceutical dosage form. The method was developed on two isocratic mode HPLC with UV detectors (LC-2010 CHT version 2.11, Shimadzu Class VP:Version 6.14 SPI and LC-2010 CHT Version 3.10,Shimadzu LC Solution:Version 1.22 SPI). Chromatographic separation was performed using an Inertsil ODS C_{18} (250 x 4.6 mm, 5µm) column with mobile phase containing acetonitrile: TEMED solution (pH adjusted to 7.0 with ortho phosphoric acid) ;(65:35, v/v) with flow rate 1.0 ml/min. and the run time was 6 min.UV detection was carried out at 255nm. In the range of 35-65 µg/ml, the linearity of Voriconazole shows a correlation co-efficient of 0.997.The developed method was validated statistically for linearity, accuracy, precision, robustness and ruggedness. The present method is simple, rapid, precise and accurate and can be applied for the determination of Voriconazole in both bulk and pharmaceutical dosage forms.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Regulatory and economical aspects in bioavailability and bioequivalence

Krishna Kishore. V

Roland College of Pharmaceutical Sciences, Odhisa, India

In vivo and/or in vitro bioequivalence (BE) testing is required for most generic drug products submitted for marketing approval. A proposed generic drug product must be compared in vivo and/or in vitro to the officially designated reference drug product. Harmonized BE criteria for the interchangeability of pharmaceutical products address the issue of waivers for in vivo trials, which are expensive and as recently concluded, not always discriminating enough to form the sole basis of approval of interchangeability. As discussed below, the worldwide requirements to demonstrate BE vary widely, mostly as a result of the ability of the regulatory authorities to enforce such requirements, both from an economic as well as ethical perspective. Waiver for BE testing therefore becomes a topic of great interest worldwide. Several consortiums have debated this topic for years and a consensus has begun to develop on this topic. A large number of policy documents address this topic and include the published Food and Drug Administration (FDA) and International Conference on Harmonization (ICH) guidelines; Health Canada's Guideline on Preparation of Drug Identification Number (DIN) Submissions; the World Health Organization (WHO) document (1999) entitled "Marketing Authorization of Pharmaceutical Products with Special Reference to Multisource (Generic) Products: A Manual for Drug Regulatory Authorities; Multisource (Generic)

Determination of Dihydroartemisinin by RP-HPLC method in tablet dosage form

Sunita Sahoo*, Subhadip Roy, B.V.V. Ravi Kumar and Sagar Suman Panda

Department of Pharmaceutical Analysis and Quality Assurance, Roland Institute of Pharmaceutical Sciences, Berhampur, Orissa, India

A rapid, precise and accurate RP-HPLC method was developed for the determination of Dihydroartemisinin in tablet dosage form. The method was developed on two isocratic mode HPLC with UV detectors (LC-2010 CHT version 2.11, Shimadzu Class VP:Version 6.14 SPI and LC-2010 CHT Version 3.10,Shimadzu LC Solution:Version 1.22 SPI). Chromatographic separation was performed using an Inertsil ODS C18 (150 x 4.6 mm, 5µm) column with mobile phase containing acetonitrile: phosphate buffer (pH adjusted to 3.0 with ortho phosphoric acid and TEA): methanol ;(55:35:10, v/v/v) with flow rate 0.8 ml/min. and the run time was 10 min.UV detection was carried out at 210nm. In the range of 700-1300 µg/ml, the linearity of Dihydroartemisinin shows a correlation co-efficient of 0.998.The developed method was validated statistically for linearity, accuracy, precision, robustness and ruggedness. The present method is rapid, precise and accurate and can be applied for the determination of Dihydroartemisinin in tablet dosage forms.

Design development and in-vitro evaluation of mouth dissolving tablet of Granisetron hydrochloride by using Novel superdisintegrant

Swapnil P. Mahajan and S. B. Patil

SNJB's S.S.D.J. College of Pharmacy, Nashik, India

Methods to improve patient's compliance have always attracted scientists towards the development of fancy oral drug delivery systems. Among them, mouth dissolving drug delivery systems have acquired an important position in the market by overcoming previously encountered administration problems. The main aim of present study is to develop a novel mouth dissolving tablet of Granisetron hydrochloride. It is an antiemetic drug used in both chemotherapy and radiotherapy induced emesis and belongs to BCS Class III which has oral bioavailability of 60% due to hepatic first pass metabolism. To overcome this drawback, the study was carried out to formulate and evaluate mouth dissolving tablet. The tablets were prepared by direct compression method using Emcosoy (soy-polysaccharide) as a novel superdisintegrant, microcrystalline cellulose as a diluent, talc as lubricant and aspartame as a sweetener. Drug-excipient interactions were investigated by DSC, FT-IR and isothermal stress testing. The results showed that there is no interaction. Satisfactory results were obtained when subjected to physico-chemical tests such as hardness, weight variation, thickness, surface pH, friability, drug content. Tablets were also subjected to in vitro drug release studies by using modified dissolution apparatus. The dissolution profile and disintegrating time were found to be satisfactory. It was found that the tablet disintegrates within 6 seconds. Hence it is concluded that the mouth dissolving tablet of Granisetron hydrochloride is the promising formulation that could improve the bioavailability of the drug and also provide immediate relief from emesis.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Development and in vitro evaluation of in situ gel of Salbutamol Sulphate for nasal administration

Sneha R. Salunkea and S. B. Patil

SNJB's S.S.D.J. College of Pharmacy, Nashik, India

The main aim of present study is to develop a novel in situ mucoadhesive gel of Salbutamol sulphate using gellan gum and hydroxyl propyl methyl cellulose (HPMC) for intranasal administration. Salbutamol Sulphate, β2 agonist is a powerful antiasthamatic drug belongs to BCS Class III which has oral bioavailability of 50% due to hepatic first pass metabolism. Formulations were modulated so as to have gelation at physiological ion content after intranasal administration. These formulations offer advantages like ease of preparation and administration, accuracy of dosing, improve bioavailability, decrease nasal mucociliary clearance, avoid first pass metabolism and improve patient compliance. Drug-excipient interactions were investigated by DSC, FT-IR and isothermal stress testing. Tween 80 (1% w/v) was used as penetration enhancer. Developed formulations were evaluated for in vitro gelation, viscosity, gel strength, pH, drug content uniformity, in vitro mucoadhesion, in vitro diffusion study, ex vivo permeation. Gellan gum was showed satisfactory gelation with simulated nasal fluid. Formulations showed pH in the range of nasal cavity and optimum viscosity for nasal administration. The mucoadhesive force in terms of detachment stress depends upon concentration of HPMC (0.2 %w/v) and drug release was found to be 98.92% in 11 h. Hence, in situ gel system may be a promising approach for intranasal delivery of Salbutamol sulphate for therapeutic improvement.

Development, optimization and In-Vitro evaluation of mucoadhesive microspheres of sertraline HCl for nasal delivery

J. J. Desale and S. B. Patil

SNJB's S.S.D.J. College of Pharmacy, Nashik, India

The aim of the present study was development, optimization and characterization of chitosan mucoadhesive microspheres of Sertraline hydrochloride for nasal delivery to improve bioavailability for treatment of depression. The microspheres were prepared by emulsification-crosslinking method. A 23 factorial design was employed with drug: polymer ratio, volume of glutaraldehyde and cross-linking time as independent variables while particle size of the microspheres, drug release and in vitro mucoadhesion were the dependent variables. Regression analysis was performed to identify the best formulation conditions. The microspheres were evaluated for morphology, particle size, entrapment efficiency, in vitro mucoadhesion, ex vivo permeation and in vitro drug release. The results showed that the microspheres were spherical in shape with smooth surfaces. The particle size of microspheres was found to be in the range of 17–40 μm, which is favourable for intranasal absorption. The percentage encapsulation efficiency was found to be in the range between 90-99%. In vitro mucoadhesion was performed by adhesion number using goat nasal mucosa and it was found satisfactory. In vitro release performed in Acetate buffer pH 5.5 and the microspheres released around 80% of drug in 12 hr. The volume of glutaraldehyde and crosslinking time had very slight effect on drug release. This investigation has concluded that the chitosan microspheres could be used to deliver Sertraline hydrochloride by nasal administration to avoid first pass metabolism and improve the bioavailability.

Nanorobots

Sarvani.B and Asha.G

M.Pharmacy (Pharmaceutics Dept.), Gitam Institute of Pharmacy, India

The technology of creating nanomachines or robots at the scale of nanometers is called as "Nanorobotics" technology. These nanorobots can be used as medical devices that move through the human body to seek out and destroy small clusters of cancerous cells before they can spread. Nanorobots are also called as "nanoids" or "nanites" These type of tools help in interacting at the cellular and molecular level. Nanomachines are largely in the research-and-development phase,] but some primitive molecular machines have been tested. An example is a sensor having a switch approximately 1.5 nanometers across, capable of counting specific molecules in a chemical sample. The first useful applications of nanomachines might be in medical technology, which could be used to identify and destroy cancer cells. Another potential application is the detection of toxic chemicals, and the measurement of their concentrations, in the environment. These are also used to remove obstructions in the circulatory system. The approaches to this system are biochip, nubots and bacteria based. Another useful application of nanorobots is assisting in the repair of tissue cells alongside white blood cells.Hence, nanorobots if optimized properly have bright future in this aspect.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Effects of natural disintegrant and central composite design for optimization of famotidine fast disintegrating tablets

Saurabh Kumar*, Chandrasekhar Patro and Bibhu Prasad Panda

Department of Pharmaceutics, Roland Institute of Pharmaceutical Sciences, Berhampur, Odisha, India

Traditional experimental methods in formulation of fast disintegrating tablets involve significant amount of time and efforts to get an optimized dosage form. It is very much desirable as per industrial perspective to obtain suitable, optimized and stable formulation with minimum amount of time and effort. The purpose of this experiment was to study the effect of natural disintegrant and central composite design in optimization of oral fast disintegrating tablets of famotidine. In this experimentation central composite design was applied to study the effect of the independent variables i.e. mucilage of plantago ovata (X1), a natural disintegrant and direct compressible vehicle lactose (X2). Famotidine fast disintegrating tablets were prepared by direct compression method on cadmech single punch machine using flat 8mm punches and characterized for the dependent variables like disintegration time (Y_1), and hardness (Y_2). The multiple linear regression analysis revealed that the synergetic effect of both independent variables have negative impact on the disintegration time. Concentration of lactose had a positive impact on the hardness, where as mucilage of plantago ovata had no significant impact on hardness. The contour plots and 3D plots present the effect of the independent variables on the disintegration time and hardness. A checkpoint formulation was prepared to prove the validity of the evolved mathematical model. It is concluded from this investigation, that natural disintegrant and systematic experimental design approach can be exploited and commercialized in optimization of oral fast disintegrating tablets.

Analysis and bioavalibilty of Paracetamol and Ibuprofen in single and combination dosage in experimental rabbit

N. Sravya*, Senthil Kumar and V. Ramya

Sri Adi Chunchanagiri College of Pharmacy, B.G.Nagara, Karanataka, India

To Study the bioavalibity of ibuprofen and paracetamol when given in combination to rabbits. Single oral dose of 46.0 mmg/kg ibuprofen and 56.0mg/kg of paracetamol where administered separately to two groups of animals and a combination of the same doses was given orally to a third group. Serum level of the drug in all the three groups was measured spectrophotomertically. The serum peak concentration and rate of elimination of paracetamol is significantly reduced when given with ibuprofen. No such alteration was noticed in serum ibuprofen with paracetamol. Lower elimination rate of paracetamol may increase the effectiveness for a longer time.

Evaluation of wound healing activity of ethanol extract of Salix tetrasperma Roxb. leaves

Nagarjuna Masna*, Kiran Kumar Ande and N. L. Gowri Shankar

Swami Vivekananda Institute of Pharmaceutical Sciences, Vangapally, Yadagirigutta, Nalgonda, A.P, India

Salix tetrasperma (Roxb) of family: Salicaceae widely distributed in India, Sumatra and Java[1]. In India, it was found in Karnataka, Andhra Pradesh, Maharashtra and Punjab. This plant was widely used in treating dermatopathies, and in Ayurveda as an anti pyretic and anti inflammatory agent[2]. This prompted us to undertake a study to examine the wound healing property of S. tetrasperma, Roxb. Two models, namely excision, incision selected for assessing the wound healing activity in rats. Nitrofurazone ointment was used as a standard against ethanol extract ointment. The parameters studied were wound closure and time of epithelialization, skin breaking strength. The extract in the form of an ointment was used for evaluating the wound healing potential in excision wound model. In the incision wound model, the extract was administered orally in graded doses of 200 mg/kg b.w. Salix tetrasperma leaf extract demonstrated higher percentage of wound contraction in the excision wound model compared to the standard Nitrofurazone ointment and the control. In both excision and incision wound models, wound surface protein increased and wound surface microbial load decreased after days. The healing or wound contraction elicited by Salix tetrasperma leaf extract in this investigation following topical and oral administration strongly support the verbal claim of traditional doctors on the use of this plant.

Key words: Salix tetrasperma, Nitrofurazone ointment, wound closure, skin breaking strength.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Solid self-microemulsifying drug delivery system of cinnarizine

Shubham Rai

Department of Pharmaceutical Sciences, ITS Paramedical Pharmacy College, Ghaziabad, India

Cinnarizine (CNZ), a piperazine derivative with anti-histaminic activity and high affinity to H1 receptors. It is a poorly water-soluble Class II drug, the oral delivery is precluded due to variable dissolution and low bioavailability. Self-microemulsifying drug delivery systems (SMEDDS) are class of emulsion that have received particular attention as a means of enhancing oral bioavailability of poorly absorbed drugs. Formulating liquid medications into solid compacts has been the interest of many studies by adsorbing it onto powder.

The aim of the present study was to develop and evaluate Solid Self-Microemulsifying Drug Delivery System of Cinnarizine to improve its oral bioavailability. Our results suggested that this solid SMEDDS could be used as an effective oral solid dosage form to improve the bioavailability of poorly water-soluble drug cinnarizine.

"Development of UV spectroscopic method for artemether and lumefantrine by simultaneous equation method"

K. Gautami

CMR College of Pharmacy, Kandlakoya Medchal, Ranga Reddy, India

A precise and economical spectrophotometric method of analysis for Lumefantrine and Artemether, both in bulk drug and oral formulations was developed and validated by UV using simultaneous equation method. The solvent used to develop the method was 1N methanolic hydrochloricacid. The optimum condition for the analysis of the drugs was established. Artemether and Lumefantrine exhibiting absorption at 255nm and 235nm respectively.The linear regression analysis data for the calibration plots obeyed Beer-Lamberts law and showed linear relationship in the concentration range of 2-16µg/ml and 4-36µg/ml respectively for Artemether and Lumefantrine. The method was validated for precision andaccuracy.

Key words: Methanolic hydrochloric acid, Lumefantrine, Artemether.

Formulation, In-Vitro evaluation and bioavailability studies of orodispersible tablets of carbamazepine employing starch maleate – A novel superdisintegrant

M. Srinivasa Varma, R. Naveen Kumar and R. Santosh Kumar

Srinivasa Rao College of Pharmacy, P.M. Palem, Visakhapatnam, A.P, India

Carbamazepine is an anti-convulsant drug widely used in the treatment of simple and complex seizures, trigeminal neuralgia and bipolar affective disorder. The drug is practically insoluble in water and its absorption is dissolution rate limited. The dissolution rate of carbamazepine can be increased by formulating it into orodispersible tablets, as these dosage forms disintegrate very rapidly into fine suspension of drug particles resulting in higher surface area of drug. Though, several disintegrants are available, there is continuous need to develop newer disintegrants to have more disintegration and dissolution efficiency. The present research work involves preparation, characterization, In-Vitro evaluation and bioavailabilty studies of orodispersible tablets of carbamazepine employing starch maleate as a superdisintegrant. The prepared starch maleate was found to be free flowing and amorphous.SEM studies have revealed the amorphous nature of starch maleate and FT-IR revealed the formation of ester, when starch and maleic anhydrite acid were reacted with DMSO in the presence of ethanol. The disintegrating nature of starch maleate (15%) was found to be very less (2sec), when compared to sodium starch glycolate. In-vitro release of carbamazepine was also found to be greater i.e., 99.99% in 10 minutes in the formulations employing starch maleate as superdisintegrant. Pharmacokinetic evaluation was done on orodispersible tablets of carbamazepine employing starch maleate as a superdisintegrant in comparison to carbamazepine pure drug with a view to evaluate the enhancement of dissolution rate efficiency of starch maleate in vivo. The pharmacokinetic evaluation, indicated that the carbamazepine from the orodispersible tablets formulated employing starch maleate as a superdisntegrant was released fastly and absorbed fastly in a short period of time in vivo. Therefore, starch maleate a new modified starch was found to be a promising superdisintegrant in the formulation of orodispersible tablets of poorly soluble drugs.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Simultaneous determination of Aspirin, Hydrochlorothiazide, Atenolol, Ramipril and Simvastatin by isocratic RP-HPLC in bulk and pharmaceutical formulations (PolyCap)

ABN. Nageswara Rao*[1], JVLN. Seshagiri Rao[1], V. Jayathirtha Rao[2] and KB. Rajesh Babu[1]

[1]University College of Pharmaceutical Sciences, Andhra University, Visakhapatnam, India
[2]Indian Institute of Chemical Technology, Tarnaka, Hyderabad, India

A simple reverse-phase high-performance liquid chromatographic (RP-HPLC) method has been developed and validated for simultaneous quantification of aspirin(ASP), Hydrochlorothiazide(HCT), Atenolol(ATN), Ramipril(RAM) and Simvastatin(SIM) in pure and capsules. The drugs were separated on an analytical column, Lichrosphere RP-18 reverse phase C_{18} column (250x4.6mm I.D: particle size 5 µm). The mobile phase employed was acetonitrile:methanol:buffer(57:17:26), buffer was prepared by adding 0.1% OPA and 0.1% TEA in water. UV detection was performed at 220 nm. The retention times of ASP, HCT, ATN, RAM, SIM were 2.133, 4.125, and 5.375, 8.342 and 17.0 min, respectively. Calibration plots were linear over the concentration ranges 12.5-250 µg mL,$^{-1}$100-2000 µg mL,$^{-1}$ 50-1000 µg mL,$^{-1}$ 5-100 µg mL,$^{-1}$ 20-40 µg mL,$^{-1}$ for ASP, HCT, ATN, RAM and SIM respectively. The method was validated for accuracy, precision, specificity, linearity, robustness. The developed method was successfully used for quantitative analysis of these five drugs simultaneously in pure and Polycap capsules dosage form.

Key words: Aspirin(ASP), Hydrochlorothiazide(HCT), Atenolol(ATN), Ramipril(RAM), Simvastatin(SIM), RP-HPLC

Hydrogels as controlled drug delivery systems

Swetha.K*, Priya.S, Bhagyadeepthi.K, Aparna.S and Nitya.T

Malla Reddy College of Pharmacy, Dhulapally, Secunderabad, India

Hydrogels are presently under investigation as a delivery system for bioactive molecules, because of their similar physical properties as that of living tissue, which is due to their high water content, soft and rubbery consistency, and low interfacial tension with water or biological fluids. Anionic Hydrogels are used in the design of intelligent controlled release devices for site-specific drug delivery of therapeutic proteins to the large intestine, where the biological activity of the proteins are prolonged, and cationic Hydrogels are studied for the development of self-regulated insulin delivery system, which releases the insulin in response to changing glucose concentration. The different methods of preparation of Hydrogels, novel methods of cross linking used in the preparation of Hydrogels, the mechanism of water transport through the ionic Hydrogels, and the release mechanism of the solute from the hydrogels, are under study

A rapid and robust liquid chromatography/tandem mass spectrometry method for the estimation of anti-tuberculosis drug - Ethambutol in human plasma

Ravikumar.R

The Dr. M.G.R Medical University, Chennai, Tamilnadu, India

Ethambutol is the firstline antituberculosis drug. The main aim is to standardize an Ultra Flow liquid chromatography-tandem mass spectrometry (LC-MS/MS) method for the determination of Ethambutol in human plasma. Here we report a rapid and robust ultra fast LC/MS/MS method for the determination of this drug in human plasma. Human plasma sample, together with the internal standard were extracted using protein precipitation, and then separated on a Hypurity Advance C18, 50 x 4.6 mm, 5µm and detected with mass spectrometry. The mobile phase composition is Methanol: Phosphate Buffer: trifluoroacetic (90:9.9:0.1 % v/v) (Binary pump). Addition of trifluoroacetic acid in the mobile phase was found to be able to improve peak shape as well as to increase the retention of Ethambutol, thus being able to analyze the drug at the same time with both drug and internal standard having decent peak shape and enough retention on a C18 column. An atmospheric pressure ESI Positive ion spray ionization mode was used for enhance the ionisation from the sample matrix components and to provide the increased sensitivity. The linearity was found to between 99.635 ng/mL and 6100.102 ng/mL for 25 mL of plasma sample volume. Thus, this method has the short run time of 1.50 minutes. Both intra-day and inter-day accuracy and precision data showed good reproducibility. Thus, the method developed is well suited for the pharmacokinetic studies.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Formulation, optimization & evaluation of Mucoadhesive gel for nasal delivery of sumatriptan

Sunil Panda

School of Pharmaceutical Sciences, RGPV, Bhopal, India

The present study deals with formulation of polycarbophil & cyclodextrin based Sumatriptan gel for Nasal drug delivery. Sumatriptan, a selective agonist at 5-HT[1] receptor is in use for treatment of migraine. Its oral bioavailability is 14%. Migraine-associated nausea or vomiting and gastric disturbances demands alternative route of drug delivery. Hence, Nasal gel of Sumatriptan can prove effective.

The objective was to investigate the effects of different permeation enhancers on the cumulative amount of drug permeated through sheep nasal mucosa using KC diffusion cell & selection of gelling agent in accordance with mucoadhesive performance characteristics. Optimization of gelling agent & permeation enhancer was done.

In the first phase of study, effect of gelling agent on the mucoadhesion time, ex-vivo mucoadhesive measurement and swelling ratio was determined. Polycarbophil was found best having maximum bioadhesion time and ex-vivo mucoadhesive measurement.

In the second phase of study, optimisation was done by considering 3*3 factorial design. 3 factors: (a). Type of penetration enhancer (b). Conc. penetration enhancer(%) & (c). Conc. of gelling agent (%) & 3 levels: Low, Medium & High levels are studied & their effects are evaluated.

The optimal nasal gels were subsequently characterized in terms of surface pH, cutaneous irritancy and Histopathology of control and treated nasal mucosa. Highest values of Steady State Flux J, Enhancement Factor ER & Cumulative amount of drug permeated through sheep nasal mucosa per unit area Q; found with Sumatriptan gel containing 1% Polycarbophill & 5% Cyclodextrin .

Design and evaluation of gastroretentive floating drug delivery system of Atenolol

V Jayanth* and M Bhagavan Raju

CM College of Pharmacy, MRGI, Hyderabad, India

Gastroretentive floating drug delivery systems (GFDDS) of atenolol, an antihypertensive drug, with an oral bioavailability of only 50% (because of its poor absorption from lower gastrointestinal tract) have been designed and optimized using 3^2 full factorial design. Hydroxypropyl methyl cellulose of different viscosity grades (K4M and 50 cps) were used as the polymers and sodium bicarbonate as gas generating agent to reduce floating lag time. The tablets were prepared by direct compression method. Estimation of atenolol in the prepared tablet formulations was carried out by extracting the drug with methanol and measuring the absorbance at 225.3 nm. The prepared formulations were further evaluated for hardness, friability, weight variation, drug content uniformity, swelling index, in vitro drug release pattern, short-term stability and drug excipient interactions. Majority of the designed formulations displayed nearly first order release kientics, releasing more than 80% drug in 10 hours and remained buoyant more than 24 hours. The optimized formulation containing atenolol 50 mg, HPMC (50 cps) 100 mg and sodium bicarbonate 30 mg has displayed almost zero order release kinetics with a floating lag time of only 2.9 minutes. This formulation released more than 90% drug in 9 hours. This study proves that GFDDS of atenolol can be designed using HPMC 50 cps as matrix polymer, which provides nearly zero order release kinetics and thus possible enhancement of oral bioavailability of the drug.

Keywords: Atenolol; Gastroretentive floating drug delivery systems; Hydrodynamically balanced systems; Hydroxypropyl methyl cellulose; 3^2 factorial design.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Physicochemical & spectrophotometric stability study of GAIRIC and its interpretation for bioavailability

Swapnil Borse[1], Gaurav A. Rai[2] Bhagyashree Kamble [3], Jagdish Jagtap[4] and Dada Patil[1]

[1]Symbiosis School of Biomedical Sciences, Symbiosis International University, Pune, India
[2]Serida Pharmaceuticals India Pvt. Ltd., Sedia House, Parel Mumbai, India
[3]J.S.S College of Pharmacy, Ootacamund(Ooty), Tamil Nadu, India
[4]Emcure Pharmaceutical Limited, Pune, India

Gairic is an Ayurvedic formulation, commonly prescribed as an anti-anemic, anti-inflammatory, antacid and used as base for many ayurvedic formulations. It is prepared by frying of Red ocher with the help of Cow's ghee in the ratio of 10:1. The study was carried out to evaluate the changes taking place in the sample when kept for 6 months at the room temperature for the ageing procedure while the fresh sample where prepared just before the analysis. Analysis of Gairic as per Pharmacopoeial standards for the oils and fats were carried out to know the physicochemical properties of the finished product. Tests carried out for the standardization of raw material i.e. Red ocher are organoleptic properties, LOD, flow properties, density's, cars index, particle size, total ash, pH. & for Cow's ghee organoleptic properties, viscosity, particle size LOD, acid value, sap value, iodine value, HLB value, copper content, unsaponificable matter. While for fresh and old sample of Gairic organoleptic properties, LOD, flow properties, density's, cars index, particle size, total ash, pH, acid value, sap value, iodine value, HLB value has been carried out. IR studies for Red ocher, fresh sample and old sample where carried on Jasco FT I.R. 4100. From the interpretation & correlation of physicochemical & spectrophotometric stability study with bioavailability we recommend that fresh Gairic should be used.

Keywords: Red ocher, Cow's ghee, Stability, Iron, Ayurveda.

Design, development and optimization of orlistat loaded self-micro emulsifying drug delivery system

Jagruti L. Desai*[1], Nirav I. Khatri[1], Sachin P. Chauhan[2] and Chintan J. Aundhia[2]

[1]Pharmacy Department, The Maharaja Sayajirao University of Baroda, Vadodara, Gujarat, India
[2]Department of Pharmacy, Sumandeep Vidyapeeth, Piparia, Vadodara, Gujarat, India

The present work was aimed at formulating a SMEDDS (self-microemulsifying drug delivery system) containing orlistat. The solubility of orlistat was determined in various vehicles. Pseudoternary phase diagrams were used to evaluate the microemulsification existence area. SMEDDS formulations were tested for microemulsifying properties and the resultant micro-emulsions were evaluated for particle size, zeta potential and dispersibility test. Formulation development and screening was done based on results obtained from phase diagrams and characteristics of resultant micro-emulsions. The release rate of orlistat was investigated using in-vitro dissolution test and results were compared with the plain drug and marketed formulation in-vitro drug release data. Formulations (OS-A, OS-B, OS-C and OS-D) were subjected to thermodynamic stability studies like centrifugation test and freeze thaw cycle. No significant variations were observed in thermodynamic studies. Dispersibility test with deionized water and 0.1 N HCl indicated that OS-B and OS-C are stable formulations as compared to OS-A and OS-D. Formulations OS-B and OS-C were subjected to stability studies as per International Conference on Harmonization (ICH) guidelines. OS-B formulation was found to be unstable while there were no significant variations observed in formulation OS-C over 12 months period. Thus, the study confirmed that SMEDDS formulation can be used as an alternative to conventional formulation to increase the bioavailability of orlistat.

Key words: Orlistat; microemulsion; Pancreatic lipase inhibitor; SMEDDS.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Pharmaceutical and regulatory requirements for waiving bioequivalence studies – US and EU perspective

Mithun Raja

Manipal College of Pharmaceutical Sciences, Manipal University, India

Bioavailability is the rate and extent to which active ingredient is absorbed from a drug product and becomes available at the site of action which is an estimate of the relative fraction of the orally administered dose that is absorbed into the systemic circulation. Bioequivalence is the absence of a significant difference in the rate and extent to which the active ingredient in pharmaceutical equivalents or alternatives becomes available at the site of action when administered at the same molar dose under similar conditions. Person submitting a full or abbreviated NDA, or a supplemental application proposing any changes, may request FDA or EMEA to waive the requirement for the submission of evidence measuring the in vivo bioavailability or bioequivalence of the drug product which include parenterals, inhalation or orals solutions etc. Waiver consideration based on the BCS approach is currently applicable to IR products only not to "narrow Therapeutic Range drug products designed to be absorbed in the oral cavity. A correlation between in vitro and in vivo data is another approach often used during pharmaceutical development in order to reduce development time and optimize the formulation. General Biowaiver requirements for European submissions include Pharmaceutical products manufactured by same manufacturing process, same qualitative composition in different strengths or by showing appropriate in-vitro dissolution data for waiving additional in-vivo bioequivalence testing. U.S and EU accepts the biowaiver for a drug substance and extended release drug products mainly based on BCS and IVIVC respectively. Recent developments led to extend the scope of application of the biowaiver by WHO to BCS-II and BCS-III drug substance also with specific acceptance criteria.

UV-Visible spectrophotmetric analysis of felodipine in bulk and formulation

M M Pandey, T Laxmiharika Yadav, Ashish Gajja, Rahul Malik, Kumar Amit, Manoj Parwani and Shrikant Charde

Birla Institute of Technology & Science, Pilani, Rajasthan, India

Felodipine (FLD) is a calcium channel antagonist widely used in the treatment of hypertension. By acting at peripheral arterioles, it lowers systemic vascular resistance and thereby produces substantial decreases in blood pressure and increases in cardiac output.

Felodipine is practically insoluble in water and freely soluble in methanol, ethanol and dichloromethane.

Simple, accurate and sensitive spectrophotometric method is developed for the estimation of felodipine (FLD) in bulk, in-vitro release samples of various formulations. Various solvent systems were investigated to develop a suitable UV-spectrophotometric method for analysis of the drug. The criteria employed were stability of the drug, solubility of the drug, sensitivity of the method and cost of the solvents in the order of priority. The media finally selected was methanol and phosphate buffer (pH-6.8) in 50:50 ratio. The absorbance of the samples was determined at a wavelength of 363 nm. Robustness of the developed method was determined by changing operator and by changing concentration of methanol by ± 1%. The method was validated as per ICH and other regulatory guidelines. Linearity range observed of spectrophotometric method was 10-35 µg/ml. The LOD and LOQ values for spectrophotometric method were 0.79 and 2.15 µg/ml respectively. The developed method was found to be accurate and precise with good reproducibility. The developed method was successfully applied for the estimation of felodipine in marketed tablets and the results indicating specificity and selectivity of the developed method.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Bioequivalence study of metformin hydrochloride (SR) 500 mg tablet formulations in healthy human subjects

Ashish Kumar

Birla Institute of Technology & Science, India

Abstract: Introduction: India has huge potential for generic drugs. That's why, BABE studies have become an important part of the clinical research in India. Bioequivalence studies are performed to prove that, different formulations of a drug product are similar to each other in terms of safety and efficacy.

Objective: The present study was carried out to assess the safety and efficacy of two Metformin Hydrochloride (SR) 500 mg tablet formulations. The test formulation was Metformin Hydrochloride (SR) 500 mg tablet of Vapi Care Pvt. Ltd., India, and the reference standard was Dibeta SR tablet [containing Metformin Hydrochloride (SR) 500 mg] of Torrent Pharmaceuticals Ltd., India.

Methodology: The present study was an open label, balanced, randomized, two treatment, two period, two sequence, single dose, cross over bioequivalence study under fasting condition; conducted at Auriga Research Ltd., New Delhi, India. Metformin Hydrochloride (SR) 500 mg tablet of Vapi Care Pvt. Ltd., India, was the Test formulation and Dibeta SR tablet [containing Metformin Hydrochloride (SR) 500 mg] of Torrent Pharmaceuticals Ltd., India, was the Reference standard. Hippocrates Independent Ethics Committee (HIEC), New Delhi, India was the ethical committee for this study. There was no dropout/ withdrawal of subjects from this study. Subjects were randomly assigned to receive a single oral dose of the test and the reference formulation under fasting condition, with a washout period of 07 days. Blood samples were drawn as per the approved study protocol. Drug concentration in the plasma samples were measured by using validated LC/MS/MS method. Win Nonlin Version 5.2 software was used for statistical calculations.

Results and Discussion: The 90% confidence interval for ln-transformed pharmacokinetic parameters $C_{max,}$ AUC_{0-t} and $AUC_{0-\infty}$ of the test formulation was 89.13%, 87.46% and 88.29%, respectively. The 90% confidence interval for ln-transformed pharmacokinetic parameters $C_{max,}$ AUC_{0-t} and $AUC_{0-\infty}$ of the reference standard was 112.44%, 123.85% and 123.87%, respectively. The mean test/reference ratio for ln-transformed $C_{max,}$ AUC_{0-t} and $AUC_{0-\infty}$ were 100.110%, 104.080% and 104.580%, respectively.

Conclusion: Ln-transformed pharmacokinetic parameters $C_{max,}$ AUC_{0-t} and $AUC_{0-\infty}$ of the test formulation and reference standard, are within the acceptable range of 80–125% (to prove bioequivalence between two drugs). So, the present study concludes that the test formulation Metformin Hydrochloride (SR) 500 mg of Vapi Care Pvt. Ltd., India is bioequivalent to the reference standard Dibeta SR tablet [containing Metformin Hydrochloride (SR) 500 mg] of Torrent Pharmaceuticals Ltd., India.

Formulation and evaluation of beclomethasone bio-emulgel using bioemlsifier from secale cereale as biopolymer

N.V. Satheesh Madhav, Nesha fersuwan and Ramesh Chand*

DIT-Faculty of Pharmacy, Dehradun, Uttarakhand, India

The aim of current research work is to economically isolate bio-polymer from secale cercale belonging femaly poaceae. and to formulate bio-emulgel using beclomethason dipropenoate used topically as an anti-inflametory agent. Physiochemical properties of isolated biopolymer was investigate eg: color,order,tast,solubility,color changing point & chemical tests. Four different formulation(SE1-SE4) were prepared using different ratio of drug & bi- polymer (1:1 , 1:2 , 1:4 , 1:6) .drugs using beclomethason dipropenate by trituration technique. Bio-polymer used as a release retardant. The bio-emulgel was evaluated various parameter globule size, freezing and thawing cycle , heating and cooling cycle , stability on storage and in-vitro drug release study profile. out of the four formulation SE4 was the best one having t30 – t50 , 1.02 & 3.05 hr respectively .following fickian diffusion (higuchi-matrix)with ph at 6.6 and viscosity of 20.3 cps.Finally conclusion was drawn that the biomaterial posses a novel property and it can serve as a good retardant for the formulation of bio-emulgel.

keywords: bio-emulgel, release retardent, beclomethason dipropenoite, secale cerca.

J Bioequiv Availab, 4.3
doi: http://dx.doi.org/10.4172/0975-0851.S1.12

OMICS Group Conferences
Accelerating Scientific Discovery

3rd World Congress on
Bioavailability & Bioequivalence

March 26-28, 2012 Marriott Hotel & Convention Centre, Hyderabad, India

Preformulation studies: Strategies toward predicting solubility and compatibility through computed molecular descriptors

Lokesh Pathak, Malay Shah and Yadvendra Agrawal

Gujarat Forensic Sciences University, Institute of Research & Development, Gujarat, India

Background: Solubility is one of the major challenges in early stages of drug discovery and formulation development. Solubility has played an essential role from the drug discovery to formulation processing and bioavailability of the therapeutic substances. Any attempt to predict the solubility is quite important in drug discovery investigations and preformulation studies. In one of our in-house project to formulate solid lipid nanoparticles of hydrophilic drugs we felt that solubility and compatibility studies of such drugs in various lipids and solvents are often highly tedious and time consuming. On industrial scale this process becomes more tedious, time consuming, costly and controversial to the regulations of the REACH and Green Chemistry. In our quest to find out alternative to such experimental methods we believed development of highly predictive Quantitative Structure Property Relationship (QSPR) and other theoretical approaches would help to sort out the mentioned problem.

Results: Solubility and compatibility of the hydrophilic drugs in various solvents and lipids were predicted by employing various theoretical methods (Hansen, Van Krevelen and Hoftyzer, Hoy). In addition QSPR models involving various multivariate analysis techniques were developed using different software packages. Models were subjected to stringent validation tools and found to be robust and highly predictive. Descriptors in the QSPR equations were orthogonal and gave insight into structural properties of molecules responsible for their solubility and compatibility behaviour.

Conclusion: It is noteworthy that the concepts presented here have not only developed toward predicting solubility that requires high affinity between solvent and solute but also for predicting affinities between different lipids and drug, leading to compatibility and affinity to surfaces in turn improving dispersion and adhesion.

HPLC method development for naringenin and its Glycoside in rat serum and their bioavailibilty studies

Varsha Gupta[1], Anil Kumar Dwivedi[1*] and Rakesh Maurya[2]

[1]Division of Pharmaceutics, [2]Medicinal and Process Chemistry Division, Central Drug Research Institute, Lucknow, India

Naringenin (N) and its analogs have been implicated in bone health for their oestrogen-'like' effects but low bioavailability impedes clinical potential. This study was aimed at finding a potent derivative of N with osteogenic action. In CDRI, we have isolated one C-glycoside of N. This was found more active than N. In this paper we are reporting a bioanalytical HPLC method for the pharmacokinetic studies.

In this method, separation was achieved on a Lichrosphere Lichrocart C_{18} column (250mm, 4mm, 5 µm, Merck). The mobile phase was a mixture of 0.5% phosphoric acid in triple distilled water & acetonitrile (75:25) at a flow rate of 1.5 ml/minute. Column effluent was monitored at 290 nm and 325 nm. HPLC analysis indicated that naringenin C-glycoside had retention time 2.6 min, whereas naringenin eluted at 17.4 min. There is no interfernce of impurities at these retention times. Validation parameters were checked for the method and were found within limits. After rats receiving a single dose of naringenin (5 mg/kg) significant concentration of naringenin was recorded in serum. The highest serum concentrations of naringenin (Cmax) were recorded at 4 h after dosing and reached 1584±439 ng/ml, followed by a marked decrease between 6 and 24 h. In case of naringenin glycoside (5 mg/kg) dose highest concentration 738±300 ng/ml was found at 3 hours (Cmax). The kinetics of absorption of naringenin and naringenin C-glycoside were similar. These data indicate that naringenin and naringenin C-glucoside are efficiently absorbed after feeding to rats and that their bioavailability is related to the glycosidic moiety.