3. 3.2 Number of books and chapters in edited volumes/books published and papers published in national/ international conference proceedings per teacher during last five year

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Practical Handbook of Herbal Drug Technology

Dr. Nikhil S. Sakle
Mr. Pawan N. Karwa
Dr. Ganesh G. Tapadiya
Mr. Vivekanand M. Thorat

Practical Handbook of
Herbal Drug Technology

As per PCI Syllabus B. Pharm Sem VI

About the Book :

Introducing the book **"Cosmetic Science"** is something that fills me with an incredible amount of joy. The content of this book has been meticulously crafted to adhere to the curriculum for Bachelor of Pharmacy students that has been outlined by the Pharmacy Council of India. An effort has been made to investigate the topic using terminology that is as straightforward as possible in order to make it more simply digestible for pupils. The book has a number of illustrations, such as flowcharts and diagrams that make it simple for students to comprehend complex ideas. It is the author's honest desire that both students and academicians would take something helpful away from reading this book.

About the Authors :

Dr. Ram Kumar Choudhary is currently working as Principal in Government Pharmacy Institute, Agamkuan, Patna. He has completed his B. Pharm from Roland Institute of Pharmaceutical Sciences, Berhampur. M. Pharm. in Pharmaceutics from College of Pharmaceutical Sciences, Mithuda, Berhampur. He has completed his Ph.D degree from Jawaharlal Nehru Technological University Anantapur, Andhra Pradesh. He has also completed a certificate course in Diploma in Computer Application. He has attended many seminars and symposium. He has presented more than 10 Papers/Posters in Conferences. He is having more than 17 years in teaching and industrial experience. He has guided more than seven M. Pharm projects. He has published more than ten research article. He has published more than four books. He is having more than 5 patents. He is Life Time member of Association of Pharmaceutical Teachers of India & Indian Pharmaceutical Association. He has conducted National Level Seminar as organizing secretary.

Dr. Moizul Hasan has 18 years of Teaching and Research experience. He has guided and Co-guided about 22 M. Pharm students for their dissertation in the subject of Pharmaceutics, worked as a Research Executive in Formulation and Development with Medley Pharmaceuticals Pvt Ltd, Kachigam, Daman. Also worked as a visiting faculty at Lupin Ltd Aurangabad, M.S. India, (Affiliated to Yashwantrao Chavan Maharashtra Open University, Nasik). Dr. Moizul Hasan has two patents applications published, and about 12 research papers in per-reviewed national and international research journals of repute. He is the paper setter/ examiner/ inspection committee/ assessor, of Dr. BAMU University. Dr. Moizul Hasan is the member of Association of Pharmaceutical Teachers of India (APTI) and Association of Community Pharmacist of India. Dr. Moizul Hasan Participated in Clinical Research Studies sponsored by Wockhardt Research Centre. And also, in Faculty development Programmed organized by MIT, Aurangabad, on the topic "Outcome Based Education and NBA Process".

Prof. (Dr.) Varsha Deva completed her Ph. D. in Pharmacy from Abdul Kalam Technical University, Lucknow formerly Uttar Pradesh Technical University, Lucknow (U.P.). She is currently working as Professor in the Glocal University Pharmacy College, Behat, Saharanpur, Uttar Pradesh, India. She has almost 15 years of experience in the field of teaching and research. She conducted lectures, seminars, and practical sessions for undergraduate, graduate & post graduate students of Pharmacy & Pharmaceutical Sciences in various topics related to Pharmacy through different platforms, she has strong research skills, with experience in conducting and publishing research studies in reputed journals & books. She has more than 6 patents (National & International) and many research and review articles.

Dr. Syed Iftequar has 10 years of Teaching and Research experience. He has guided and co-guided about 20 B. Pharm students for their dissertation in Pharmaceutics worked as a Key Opinion Leader with Cipla Pharmaceuticals Pvt. Ltd., worked as a therapy Especilist With Ajanta Pharma Pvt. Ltd. Also worked as a Lecturer at U.S.K.K.T College of Pharmacy, Tal. Kannad, Dist. Aurangabad. Dr. Syed Iftequar has one book published, and about 12 Research Papers in peer-reviewed national and international research journals of repute. He is the Paper setter/ Examiner/ Assessor, of Dr. BAMU University. His area of research includes Formulation development, Novel Drug Delivery, Quality by design, Method Development, and Validation.

Prof. Kakasaheb. J. Kore is currently working in Rajgad Dnyanpeeth's College of Pharmacy,Bhor,Pune (Maharashtra). He has More than 28 years of teaching experience. He has completed her Graduation and Post-Graduation from Shivaji University Kolhapur. He has presented research papers in National and International Conference at Bhutan, Nepal and in India, also got Best paper presentation award. He has published and presented more than 40 research papers in National, International Journals & Conferences. He has attended more than 20 Conferences/ Seminars/ Workshops. He has guided a number of PG students. He has three patents and four books on his credit, and also delivered a number of guest lectures in different colleges. He has completed two research projects under BPUC, Pune. He has worked as a member of the staff selection committee. He is a life member of the Association of Pharmaceutical Teachers of India (APTI). He is actively engaged in Teaching, Research, Administration and service to his profession.

SHASHWAT PUBLICATION

A TEXTBOOK OF COSMETIC SCIENCE

Dr. Ram Kumar Choudhary | Dr. Moizul Hasan | Prof. (Dr.) Varsha Deva | Dr. Syed Iftequar | Prof. Kakasaheb. J. Kore

A Textbook of COSMETIC SCIENCE

Dr. Ram Kumar Choudhary
Dr. Moizul Hasan
Prof. (Dr.) Varsha Deva
Dr. Syed Iftequar
Prof. Kakasaheb. J. Kore

PHYTOCHEMICAL INVESTIGATION AND INVITRO ANTIMICROBIAL STUDY OF EUPHORBIA HIRTA.

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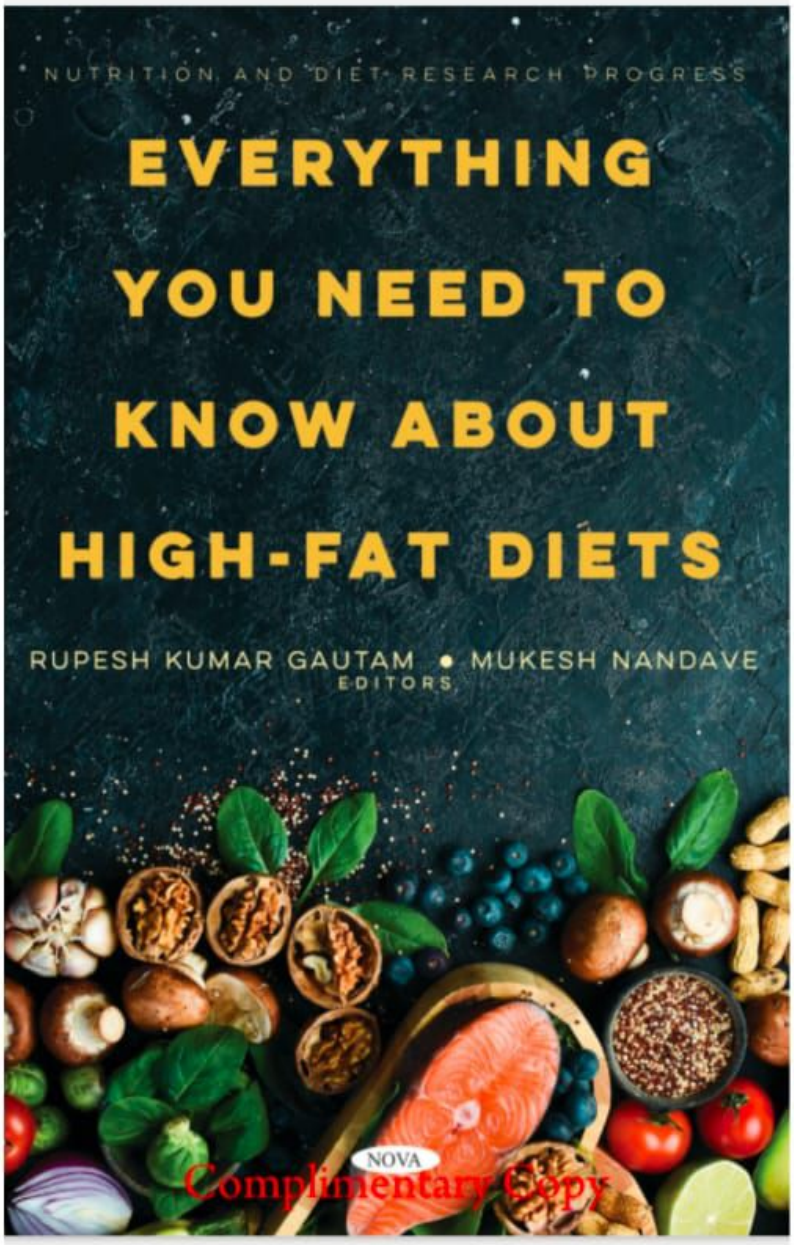
Abstract

The objective of our research work is to Explore the antimicrobial spectrum of ethanolic extract of *Euphorbia hirta* belonging to Euphorbiaceae family against gram positive and gram-negative pathogenic strains of bacteria by Cup plate diffusion antimicrobial activity. In this research work *Euphorbia hirta*plants were collected and then dried, verified and extraction method was done by cold maceration method and phytochemical test analysis of ethanolic extract was carried out and finally antimicrobial activity was done by cup-plate diffusion method. Phytochemical analysis of ethanolic extract shows positive effects in secondary metabolite identification tests like alkaloid, flavonoid, steroids etc. The present study was aimed at evaluating the antimicrobial spectrum of ethanolic extract of *Euphorbia hirta* against different pathogenic strains of bacteria by Cup plate diffusion antimicrobial method. The growth pattern of bacteria was studied by UV visible spectrophotometer which was used in the antimicrobial study. Ethanolic extract obtain of *Euphorbia hirta* shows antimicrobial activity against *Bacillus subtilis, Staphylococcus aureus, Escherichia coli and pseudomonas aeruginosa*, which was compared with standard chloramphenicol. The results of the present study indicate that the antimicrobial activity of *Euphorbia hirta*ethanolic extract serve as a potential source against gram positive and gram-negative microorganisms by observing the zone of inhibition in Petri plate which were compared with zone of inhibition of standard antibiotic chloramphenicol.

Keywords: Euphorbia hirta plant, Phytochemical test, cold maceration method, UV Spectrophotometer, Cup plate diffusion antimicrobial activity.



Chapter 3

High-Fat Diets: The Risks and Benefits in Chronic Diseases

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Aman B. Upaganlawar[2]
Hemant D. Une[1]
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Abstract

A high-fat diet includes dietary fats derived mainly from flora and fauna. Some fats were proven to offer considerable health implications, while others were related to harmful impacts on health. Saturated and trans fat potentially harm health; in contrast, monounsaturated and polyunsaturated fats benefit health. If the dietary intake of these components of fats is not balanced and optimum, higher consumption of unhealthy fats may lead to unwanted effects on the consumer. Intake of too much saturated and trans fat that, includes fatty meats, dairy products, and snacks such as potato chips, pizzas, margarine, etc., leads to an abnormal increase in blood cholesterol levels and low-density lipid (LDL) levels. Chronic disorders such as cardiovascular disease, obesity, diabetes, certain types of cancer, hormone imbalances, and so on are all linked to these factors. On the contrary, food items rich in mono or polyunsaturated fats like omega 3, including nuts, seeds, some omega 3-rich fish, etc., help to lessen the occurrence of various ailments and strengthen the body's different systems. In this chapter, the authors put forward the harmful and fruitful effects of different types of fat that are a part of a high-fat diet.

Keywords: cardiovascular diseases, diabetes, obesity, cancer, fats, omega 3

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1. Introduction

Dietary habits and proper nutrition are essential for fostering and sustaining healthy life (WHO and FAO 2003). For complete nutrition, vitamins, minerals, amino acids from protein, fatty acids from fat-containing foods, and caloric energy from carbohydrates, proteins, and fats must all be taken and absorbed. Eating practices, as well as choices, have an impact on quality of lifestyle, wellness, and longevity (Melina, Craig, and Levin 2016). Furthermore, over the last decade, the fast changes in dietary habits resulting from industrial, urban, and economic progress have intensified. It dramatically influences human health and nutrition, especially in developing and transitional nations (WHO and FAO 2003).

Additionally, there are transitions witnessed in the nutrition of the population. Fat overconsumption and meals with elevated sugar levels are increasing among emerging nations while cereal consumption is falling; consumption of fruits and vegetables remains unsatisfactory. These diets of poor virtue are attributed to the incidence of weight gain overeating, and nutriment-connected prolonged illnesses like heart problems, diabetes, and several malignancies (Hawkes 2006). Humans currently live in a dietary environment that is not the same as the one for which our genetic constitution was designed. According to investigations on the evolutionary elements of nutrition, significant changes in the human diet have occurred, specifically in the kind and amount of essential fatty acids and the antioxidant component of the diet (Simopoulos 2006). As a result, it's critical to understand the different types of fats and their association with chronic diseases and population health (Weisburger 1997).

2. Types of Nutrimental Fats

Chapter

Diagnosis in Medical Imaging

Emphasis on Photoacoustic Phenomena

By N. Jadhav, Jaiprakash Sangshetti, Rohidas B. Arote

Book Machine Learning Approaches and Applications in Applied Intelligence for Healthcare Data Analytics

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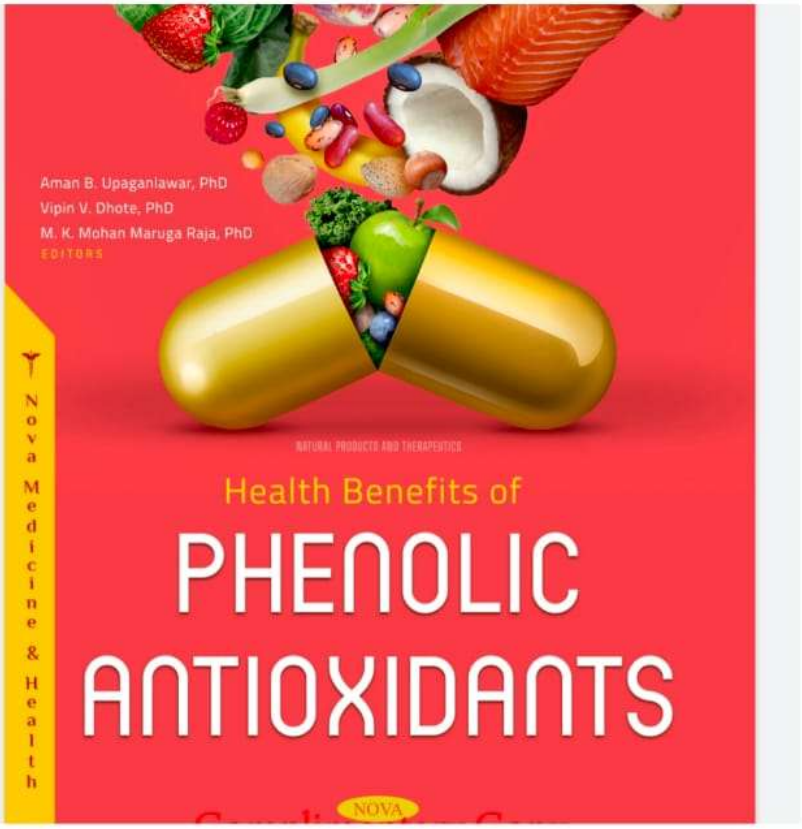
ABSTRACT

Photoacoustic imaging is a hybrid technique that shines laser light on tissue and measures optically induced ultrasound signals. There is growing interest within the clinical community in this new technique and its possible clinical applications. One of the most prominent features of photoacoustic imaging is its ability to characterise tissue, leveraging differences in the optical absorption of underlying tissue components such as haemoglobin, lipids, melanin, collagen and water among many others. In this review, the state-of-the-art photoacoustic imaging techniques and some of the key outcomes pertaining to different cancer applications in the clinic are presented.



Chapter 3

Oxidative Stress and Pharmacology of Phenolic Antioxidants

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Abstract

The pathophysiology underlying oxidative stress has been connected to several chronic diseases. It is characterized as an imbalance between a biological organism's free radical production and antioxidant defence mechanisms, resulting in cellular damage either directly or indirectly. Phenolic phytochemicals, which are found in abundance in plants, represent a significant part of the human diet and are of serious importance responsible for the antioxidant characteristics and possible health benefits. Consumption of a variety of phenolic compounds found in foods may reduce the risk of health problems due to their antioxidant action, according to growing data. Phenolic compounds possess actions against allergies, inflammation, mutation, carcinogens, and modulators of enzymatic activities; and are recognized to have a wide range of biological activities, including pharmacological actions against oxidative damage diseases such as inflammatory processes, cardiovascular disease, cerebrovascular disease, cancer, and age-related diseases too. Beneficial health effects through the inhibition of reactive oxygen species (ROS), binding of electron acceptors, initiation of safe enzymes, inhibition of lipid peroxidation, enhanced cell death rate, suppression of cell differentiation, and angiogenesis reduction are a few of the biologically relevant signalling pathways that are being investigated. Antioxidant activity is found in a variety of polyphenolic compounds isolated from medicinal and food plants, with substantial variability in activity based on their chemical structures and experimental methods. This chapter discusses the pharmacology of these phenolic antioxidants in diseases influenced by oxidative stress.

Keywords: antioxidant, chronic diseases, health problems, oxidative stress, phenolics, pharmacology

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Chapter 15
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Neuroprotective Potential of *Fragaria nubicola* Juice on Ischemia Reperfusion Induced Brain Injury in Rats

Purushottam B. Rakhunde [a], Syed Ayaz Ali [a*] and Subur W. Khan [b]

DOI: 10.9734/bpi/nvbs/v8/2357F

ABSTRACT

Without an effective treatment, brain stroke is one of the leading causes of death. Because of the presence of phenolic compounds, *Fragaria nubicola* has potential antioxidant activity and may be cytoprotective against ischemia-reperfusion brain injury. *Fragaria nubicola* is rich in ellagic acid and phenolic compounds. We investigated the effect of fresh fruit juice of *Fragaria nubicola* (10 ml/kg, p.o.) and vitamin E as a reference standard drug on 30 minutes of induced ischemia followed by reperfusion using neurobehavioral tests such as neurodeficit score, beam walk test, rota rod test, hanging wire test, and elevated plus maze. Nitric oxide, malondialdehyde, superoxide dismutase, and catalase were the biochemical parameters measured in the brains of control and treated rats. The fresh fruit juice of *Fragaria nubicola* treated groups improved neurobehavioral parameters such as motor performance [neurological status, significant increase in grasping ability ($P<0.05$), forelimb strength ($P<0.05$) improvement in balance and co-ordination ($P<0.05$)]. The biochemical parameters in rat brains revealed a significant increase in the activity of enzymatic antioxidants such as catalase ($P<0.01$), superoxide dismutase ($P<0.01$), significant reduction in the total nitrite ($P<0.01$) and lipid peroxidation ($P<0.01$). According to the findings, the juice of *F. nubicola* fruits (strawberry) has the most pronounced cytoprotective activity.

Keywords: Fragaria nubicola; brain ischemia-reperfusion; neuroprotection; oxidative stress.

1. INTRODUCTION

Stroke is the third leading cause of death in most industrialised countries, trailing only cardiovascular disease and cancer, and its prevalence is expected to rise with the projected increase in the number of the aging population [1]. Reactive oxygen species have been implicated in the pathophysiology of cerebral ischemia. Vascular reperfusion after transient occlusion worsens damage if it occurs after a critical period of occlusion (i.e. ischemia). This so-called reperfusion injury is thought to be caused by free radicals. These oxy-free radicals cause lipid peroxidation and can harm cell macromolecular components [2,3].

However, during ischemia, xanthine dehydrogenase is converted to xanthine oxidase. Unlike xanthine dehydrogenase, which uses nicotinamide adenine dinucleotide as its substrate, xanthine oxidase uses oxygen and therefore, during ischemia, is unable to catalyze the conversion of hypoxanthine to xanthine, resulting in a build up of excess tissue levels of hypoxanthine. When oxygen is reintroduced during reperfusion, conversion of the excess hypoxanthine by xanthine oxidase results in the formation of toxic reactive oxygen species (ROS). Reperfusion of ischemic tissues results in the formation of toxic ROS, including superoxide anions (O_2^-), hydroxyl radicals (OH-), hypochlorous acid (HOCl), hydrogen peroxide (H_2O_2) and nitric oxide- derived peroxynitrite. Reactive oxygen species are potent oxidizing and reducing agents that directly damage cellular membranes by lipid peroxidation [4] Peroxynitrite [5] and hydroxyl radical [6] are reported to produce DNA nicking. ROS are also

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Journal of
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Abstract

Advanced co-crystallization of Dolutegravir by microwave, ultrasound and supercritical fluid technology for Solubility enhancement.

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Abstract:

Crystal engineering approach is recognized by pharmaceutical scientists as a way of improving and tailoring the physicochemical properties of active pharmaceutical ingredients (API). Co-crystallization provides advanced prospective for changing the API properties by using a much more extensive range of co-crystallizing molecules (co-formers). Co-crystals are crystalline form of substance composed of two or more compounds in the same crystal lattice Dolutegravir is a HIV integrase inhibitor, used in combination with other antiretroviral agents and is BCS-II drug. The major objective of research was to improve of solubility profile of Dolutegravir sodium by co-crystallization with suitable co-formers using microwave, ultrasound and supercritical fluid technology. Benzoic acid, Urea, Oxalic acid, Citric acid, L-asparagine were selected as co-formers on the basis Hansen solubility parameter and pKa difference method

The Co-crystals were evaluated and confirm by FTIR, DSC, SEM, XRD and Polarized light microscopy. Equilibrium aqueous solubility studies were performed for all co-crystals taking Dolutegravir as the control. Amongst various co-formers L-asparagine resulted in co-crystals with highest enhancement (22 folds) in solubility. The results reveals that Microwave assisted technique is more promising than, ultrasound and supercritical fluid technology.

Biography:

Dr Swaroop Lahoti, has completed his PhD in Pharmacy from Dr. BAM University, Aurangabad (MS) India. Presently he is working as Professor and Head, Department of Pharmaceutics, at Y.B. Chavan College of Pharmacy, Aurangabad (MS) India, one of the most reputed Pharmacy educational institutions in India. He has published 47 papers in reputed journals and delivered More than 25 expert talks in National seminars, AICTE sponsored seminars and FDP. He has guided More than 50 students for Masters in Pharmacy and 8 students for PhD. He has three patent applications in Process.

Publication of speakers:

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ENGINEERING CHEMISTRY

Dr. GARIMA PANDEY
Dr. REETA CHAUHAN
Dr. NIRANJAN KUMAR MANDAL
Mr. MOHAMMED IMRAN ANEES

FORMULATION AND EVALUATION OF ACOTIAMIDE HCL EFFERVESCENT TABLET USING NOVEL CO-PROCESSED EXCIPIENT

Swaroop Lahoti, Bin Hawail Manal Saleh

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Recently effervescent formulations are attracting the pharmaceutical industries because of its various advantages over conventional products. Major components of effervescent formulations are acidic and alkalizing components. Sodium bicarbonate is a widely used alkalizing agent in effervescent formulations. The main drawback of sodium bicarbonate is its high hygroscopicity and poor compressibility, which creates major formulation challenges and requires specialized production facilities like maintenance of RH, temperature and closed system which makes the product costlier. In the present work, effervescent tablets of Acotiamide HCl were prepared using novel co-processed excipient consisting of sodium bicarbonate andmannitol. Acotiamide HCl is recently introduced for upper abdominal bloating with functional dyspepsia. The co-processed excipient was developed using Probe type ultrasonication technique. It was evaluated for pre-compression parameters like angle of repose, bulk density, tapped density, Carr's index and Hausner's ratio found to be improved in comparison with its physical mixture. The kawakita analysis and powder flow testing (flow function and wall friction) showed superior compression and flow properties of developed co-processed excipient for tableting. The FTIR which indicates the absence of any chemical change during co-processing and SEM studies reveals changes in surface and particle characteristics. The water uptake studies confirm low hygroscopicity. The pertinency of developed excipient was studied by formulating effervescent tablet of Acotiamide HCl by direct compression using the above co-processed excipient and evaluated for pre-compression and post-compression parameter.Amount of CO2 released by tablet was measured by "Chittick Apparatus" fabricated in our laboratory.The in-vitro dissolution studies were carried out in 0.1 N HCl using modified method to simulate conditions which showed 100% dissolution in 5 min. The developed co-processed excipient exhibited promising results with potential for scale up and wide applicability in effervescent formulation.

SOLUBILITY ENHANCEMENT OF DOLUTEGRAVIR SODIUM BY MICROWAVE ASSISTED CO-CRYSTALIZATION

Swaroop Lahoti, Rutuja Natkar, Neha Varma

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Dolutegravir (DTG) is a HIV integrase inhibitor and belongs to BCS class II having poor oral bioavailability due to its poor water solubility. The major objective of research was to improve solubility profile of Dolutegravir sodium by co-crystallization with suitable co-formers using microwave technology. Benzoic acid, Urea, Oxalic acid, Citric acid, L-asparagine were selected as co-formers on the basis of Hansen solubility parameter and pKa difference method, as all exhibiting □pKa values within 0-3 and HSPs value $< 7MPa^{0.5}$.Various molar ratios of DTG and Co-formers were subjected to microwave irradiation in 30 ml capacity glass tube. The target temperature was set at 800C withhold time of 60 s. All the developed co-crystals were evaluated by FT-IR Spectrometry, Polarized light microscopy, Differential Scanning Calorimetry (DSC) and X-Ray Diffraction (XRD) studies. Saturation solubility studies indicated that all the co-crystals have higher solubility as compared to the pure drug. Highest enhancement of solubility (22 folds) resulted with L-asparagine co-crystals. The current study proved that co-crystallization can be a better way to enhance the solubility of the poorly water-soluble drug.

FORMULATION AND EVALUATION OF MICELLAR GEL LOADED WITH AZITHROMYCIN

Samra Khan, Maria Saifee, Reshma Toshniwal
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Polymeric micelles are a promising tool for research in the field of drug delivery and drug targeting. Polymeric micelles are self-assembled nano-sized colloid particles made up of amphiphilic block copolymers. Due to their excellent biocompatibility, low toxicity, enhanced blood circulation time, and ability to solubilize large quantities of drugs in their micellar core the polymeric micelles have been widely used. Polymeric micelles in topical drug delivery to treat conditions like acne, eczema rashes sunburns,etc are gaining a highlight. Acne vulgaris is an inflammatory disorder triggered by Cutibacterium acnes. Asians and Africans tend to develop severe acne and mild acne is common in the white population. This work aimed to optimize polymeric nano-sized micellar carriers of the anti-acne compound azithromycin to treat skin conditions i.e acne vulgaris. Azithromycin-loaded polymeric micelles composed of poloxamer

LIQUISOLID TECHNOLOGY: A PROMISING APPROACH TO ENHANCE SOLUBILITY OF BCS CLASS-II DRUGS

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Solubility is the phenomenon of dissolution of solid in liquid phase and is one of the important parameters to achieve desired concentration of drug in systemic circulation for pharmacological response. About 40-50% drugs available marketed as water insoluble drugs. Various techniques are used for the improvement of poor aqueous solubility, dissolution rate & bioavailability of poorly water-soluble drugs including micronization, chemical modification, pH Adjustment, solid dispersion etc. Among which liquisolid technology is one of the recent techniques which can increase dissolution of API. In this technique the insoluble drug dissolved or dispersed into non-volatile solvents with surface active properties and adsorb on suitable carrier which may further converted into solid dosage form like tablets or pellets. Commonly used solvents include PEG, polysorbates, propylene glycol & carrier material such as various grades of MCC, silica, fujicalin, neusilin etc. It increases solubility by increasing surface area, wettability, & interfacial tension. The liquisolid system can be evaluated by using DSC, XRD, SEM, flow properties & compressibility studies. Liquisolid approach is recent technique which can be scalable for industrial applicability.

FORMULATION AND EVALUATION OF COLON TARGETED DRUG DELIVERY SYSTEM USING POLYSACCHARIDE FROM AEGLE MARMELOS

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This study aims to develop a Colon-targeted drug delivery system of Mesalamine using Aegle Marmelos polysaccharide to improve the bioavailability by targeting the drug to the colon for the treatment of ulcerative colitis. Materials and Methods: Matrix tablets were prepared by wet granulation technique by applying 32 full factorial designs for optimization. The independent variables used were the amount of Aegle Marmelose, and amount of starch paste, each at three different levels and dependent variables was hardness, percent cumulative drug release (%CDR) study. and time required for 90% of drug release (T90%). The prepared matrix tablets were coated with Eudragit S-100. Result and Discussion: The tablets were characterized for physical parameters, In-vitro drug release (with and without 2% rat caecal contents) and stability on storage. The optimized formulation consisting of Aegle Marmelose (20% w/w) and starch paste (15% w/w) released a negligible amount of drug at pH 1.2 and pH 7.4 whereas the maximum amount of drug release was observed at pH 6.8 in the presence of 2% rat caecal contents. Conclusion: The enteric coated Aegle Marmelose based matrix tablets of Mesalamine is a potential system to target the drug release in the colon for better treatment of ulcerative colitis.

DESIGN AND DEVELOPMENT OF CO-AMORPHOUS SYSTEM OF CIPROFLOXACIN HYDROCHLORIDE

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Co-amorphous is one of the best method for delivery of poor soluble drugs via oral route. Oral route has been most popular and successfully used for delivery of drugs. There are many techniques that have commonly used to improve solubility and bioavailability of poorly water soluble drugs. But the Co-amorphous technique- Improve the solubility of poorly water soluble drug (Ciprofloxacin Hcl), Increase dissolution properties of poorly soluble drug and Enhance/retains stability of drug. Characterization of drug-amino acid and best co-amorphous blends by FTIR, DSC and PXRD have been studied. There are several methods used for preparation of co-amorphous system such as, milling, solvent evaporation and thermal method. Also study, preparation of Drug-Amino acid co-amorphouse blend by using Ball milling with sonication. This article aims to design and development of co-amorphous system of by enhancing solubility of drug. Solubility is one the major problem associated with most of the chemical entities and this resulting in poor and variable oral absorption, low bioavailability and less therapeutic efficacy. To overcome these problem one succeeded approach is the transformation of the crystalline state to an amorphous state. To stabilize the amorphous form, low molecular weight co-formers with APIs at molecular level has been developed as an alternative approach to stabilize the amorphous form and enhanced the dissolution profiles of poorly water soluble drugs. Finally it was concluded that, to enhance the solubility of poorly aqueous soluble drugs co-amorphous is the promising approach.

OPTIMIZATION AND EVALUATION OF COLON-SPECIFIC MATRIX TABLET OF PIROXICAM FOR INFLAMATORY BOWEL DISEASE.

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Present study is intended to formulate and evaluate the piroxicam (PXM) colon-specific enteric-coated matrix tablets using time-dependent polymers hydroxypropyl methylcellulose K4M and PH-sensitive Eudragit S100 that delays the release of drug (PXM) in the upper gastrointestinal system and also helps in the continous release of PXM in colonarea in inflammatory bowel disease (IBD). Enteric-coated tablets containing a combination of the above polymers can prevent PXM from entering the upper gastrointestinal system (i.e. stomach and small intestine). A promising system for delivering PXM to the colon was found in the in-vitro drug release studies with formulation F10. The zero-order model was best fitted for the release pattern of the above formulations. The mechanism involved in drug release was a non-fickian (super case-II) transport system. There was no interaction found in the FTIR spectral studies between the PXM and the excipients, concluding the development of HPMC K4M-Eudrgit S100 enteric-coated tablet as a viable strategy for treating inflammatory bowel disease by targeting the PXM in colon.

FORMULATION AND EVALUATION OF PH RESPONSIVE CROSSLINK HYDROGEL FILM USING BIODEGRADABLE BIO-POLYMERS FOR CONTROLLED DRUG DELIVERY SYSTEM

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Primary need to build up the medication conveyance framework is to protect an active therapeutic molecule from premature degradation, improve its efficacy and reduce unwanted effects. Controlled discharge framework can meet these criteria. The objective behind this study was to fabricate silane cross linked pH responsive crosslink hydrogel film using biodegradable biopolymers chitosan, guar gum and PEG (CGP) for controlled drug release using ciprofloxacin HCl as model drug. Novel characteristics of these biopolymers have essential abilities for chemical modification and can be crosslinked by Tetraethoxysilane (TEOS). Five different formulation batches of hydrogel film were developed by solvent casting method using guar gum, chitosan, PEG with the different concentration of TEOS and was evaluated for DSC, FTIR, swelling analysis, drug release and antimicrobial analysis. DSC showed both drug and biopolymers were compatible with each other. FTIR confirms structural components of hydrogel with different concentration of TEOS. Swelling analysis reflects maximum swelling of hydrogels in acidic pH while minimum swelling in neutral or basic pH. This pH-dependent swelling response of hydrogels can be exploited for drug release studies with no cross linker showed 77% drug release in 150 minutes while control release behaviour was observed in CGP 20, CGP 40 which was nearly in accordance with USP. Anti-microbial activity shows greater zone of inhibition which confirms the stability for the bacterial growth inhibition by hydrogel. Greater zone of inhibition was observed in positive control mode with crosslinker. This novel biopolymers can be employed for controlled release of Ciprofloxacin Hcl.

B-28

DOCKING ANALYSIS, SYNTHESIS, AND EVALUATION OF VARIOUS BASIC SIDE CHAINS WITH SOME HETEROCYCLES AS NOVEL ANTI-BREAST CANCER AGENTS.

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Still, breast cancer is the second leading cause of cancer deaths in women worldwide after lung cancer. Estrogens are well known to play a significant role in the emergence of breast cancer. ER- α is well characterized as a mediator of cell proliferation in breast cancer cells. The development of safe, powerful, tissue-specific anti-breast cancer medicines with novel modes

of action is required due to the emergence of treatment resistance, undesirable side effects, relapses, and recurrences of cancer. We have chosen to use the hybridization process to create anti-breast cancer drugs in the current effort. Following a thorough review of the literature, some core heterocycles were chosen and coupled with the fundamental polar side chains found in the commercially available SERMs. The designed molecules passed through Swiss ADMET screening were docked with (PDB: 1ERR) by using Maestro 11.6. The result shows that some molecules have more G score then the standard structures. That positive interaction with the given protein may help to predict efficacy and selectivity towards ER-α. All the synthesized molecules were evaluated through MTT assay for antiproliferative activity in MDA-MB-231 and MCF-7 breast cancer cell lines.

SYNTHESIS AND EVALUATION OF NOVEL DISUBSTITUTED BENZOTHIAZOL DERIVATIVE FOR ANTI INFLAMMATORY ACTIVITY

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The basic benzothiazole nucleus poses various biological activities and still create interest in the scientific community. In the present study the novel compounds containing benzothiazole with COX inhibitory activity where designed and basic core consists of benzothiazole fuse with pyrimidine nucleus. The target compounds, 2,3-disubstituted-4H-pyrimido[2,1-b]benzothiazole-4-one were synthesized by reacting at reflux condition with 4H-pyrimido[2,1-b]benzothiazole-8-sulfonamide-2-thiomethyl-3-cyano-4-ones with various amine and phenol in DMF. The product obtained were characterized by IR, NMR and Mass spectroscopy. The compound were evaluated for anti-inflammatory activity using mice and found that few compound exhibit excellent activity when compared with Diclofenac sodium.

1. Basic core consists of Benzothiazole fuse with Pyrimidine nucleus.
2. The synthesized compounds were characterized by IR, NMR and Mass spectroscopy
3. The compound were evaluated for anti-inflammatory activity using mice
4. Few compound exhibit excellent activity when compared with diclofenac sodium.

RATIONAL DESIGN, SYNTHES

DESIGN, SYNTHESIS, & MOLECULAR DOCKING OF NOVELPYRAZINE CONTAINING TETRA SUBSTITUTED IMIDAZOLE DERIVATIVES TARGETING INSULIN RECEPTOR

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Diabetes is one of the most common disorders that substantially contribute to an increase in global health burden. As a metabolic disorder, diabetes is associated with various medical conditions and diseases such as obesity, hypertension, cardiovascular diseases, and atherosclerosis. The present work report an efficient, one pot multi-component series of new pyrazine derivatives, the scheme were designed and synthesized. All the synthesized compounds were confirmed through TLC, melting point and by spectral analysis. Synthesized compounds were tested for insulin receptor in silico docking studies, the molecular docking study gives an insight of anti-diabetic potential of synthesized compounds and it has been seen that most of the synthesized derivatives have shown excellent anti-diabetic potential. The Auto Dock Tools 1.5.4 (ADT) was used to prepare the input files for docking. In silico Molecular docking of synthesized compounds 5f, 5b, 5c and 5e implies that they could act as potential templates to get more efficient anti-diabetic agents. Result of the study indicated that the pyrazine containing tetra substituted imidazole hybrids had an anti-hyperglycemic activity. Further detailed work could be required to determine the precise mode of action of the anti-diabetic behavior of hybrids.

SYNTHESIS AND APPLICATIONS OF COPPER NANOPARTICLES USING SILICA SUPPORT

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The major therapies for the cancer include chemotherapy and radiation therapy and both pose

serious side effects on the patient's during the treatment. Similarly, the antimicrobial agents pose the problem of drug resistance results in the ineffective of therapy. Hence the Nano-particles incorporating copper on silica support were synthesized by in-situ polymerization method& evaluated for activities viz. cell line and antimicrobial activities. As copper is essential metal required for human body which possesses antibacterial and cytotoxic activities. The Copper Nano($Cu\text{-}SiO_2$)particle size found to be between 20 to 50nm. These particles were characterized and confirmed. Uniqueness/distinctive of the solution include Particle size of nanoparticles was 20 to 50nm, $Cu\text{-}SiO_2$ nano-particles exhibit in vitro activities against breast cancer cell lines (MDA-MB-468) and produced GI50 value 35.1 ug/ml, MIC of 30μg/ml was observed for antibacterial activity., Copper nanoparticles were nontoxic at the dose 2000 mg/kg in acute toxicity study in rats, Blood biochemical assay study was found satisfactory, Synthetic application of $Cu\text{-}SiO_2$ nano-particles include preparation of Efficient catalyst for chemical reactions and synthesis of Biphenyl, N-benzylbenzamine, Diphenylether

PHARMACOGNOSTIC, PHYSICOCHEMICAL AND PHYTOCHEMICAL STANDARDIZATION OF MANGIFERA INDICA L LEAVES.

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Mangifera Indica Linn, (family Anacardiaceae) is one of the most widely used species in Ayurveda. The objective of present work was to investigate Pharmacognostic, physiochemical and phytochemical properties of leaves of Mangifera Indica. The microscopic character shows presence of cuticle, upper epidermis, lower epidermis, mesophyll, palisade parenchyma, spongy parenchyma, vascular bundle. The Physicochemical analyses reveals values for moisture content (9.5 %), foreign organic matter (0.218%) ,total ash (14.67 %), acid insoluble ash (4.22%), water soluble ash (2.15%) ethanol soluble ash (8.3%), alcohol soluble extractive (12.5 %), water soluble extractive (18.4%) and fluorescence analysis useful for standardization of plant. Phytochemical analysis shows the presence of active phytoconstituents phenolic acids, xanthones, benzophenones, tannins, terpenoids, and flavonoids. Information obtained from these studies can be used as markers in the identification and standardization of this plant as herbal remedy and also towards monograph development on the plant

C-499

NANOSIZED TRIPHALA PHYTOSOME-BASED GEL: A NOVEL HERBAL DOSAGE FORM

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Traditional herbal medicines are growing as a choice of treatment but there exist a limitation of bioavailability when applied in any dosage form. This can be overcome by novel delivery system known as Phytosomes. This provides a balance between hydrophilicity and hydrophobicity of extracts forming complex with phospholipids. This connects the novel and conventional delivery system. In the present study, Triphalaphytosomes were prepared and characterized for increasing their efficiency. Concept of green chemistry was applied in preparation of Phytosomes using micro-synthesis assembly in which solvent used was collected and its wastage and mixing in environment was prevented. Providing ecofriendly green phytosomes of Triphala extract. Phytosomal Gel as a novel dosage form for the same was prepared and evaluated. Its activity against few common microorganisms and in vitro anti-inflammatory activity was studied.

D-90

EFFECT OF DROXIDOPA IN COMBINATION WITH ALPRAZOLAM OR SAPONINS OBTAINED FROM N-BUTANOLIC FRACTION OF MORINGA OLIFERA LAM LEAVES IN STRESS INDUCE ANXIETY AND DEPRESSION

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Stress is one of the major contributing factor in the development of neuropsychiatric disorders such as anxiety, depression in both human and animal. As current drug treatment has many side effect and complications, combination of synthetic and Herbal drug is attempt to overcome this problem. The Present study was designed to evaluate the effect of Droxidopa (DROXI) in combination with Alprazolam (APLZ) or saponins rich n-butanolic fraction of Moringa olifera Lam leaves (BFMO) in Stress induced Anxiety and Depression in mice. The treatment is assigned into seven groups. Two control groups, one is normal control and another is stressed control. The control groups were received normal saline (0.1 ml; ip). The treatment group were treated either with APLZ (0.125, 0.25 or 0.5 mg/kg; i.p) or DROXI(25 mg/kg; i.p) or BFMO(25, 50 mg/kg; p.o.) or combination of APLZ (0.125, 0.25 mg/kg) + DROXI (25 mg/kg) or BFMO (25 mg/kg) + DROXI (25 mg/kg). The stress was given to each animal separately for 21 days using deferent techniques. Anxiety and Depression was evaluated using elevated plus-maze, light and dark box, forced swim and tail suspension tests. At the end of the study brain tissue and blood samples were collected for analysis of norepinephrine (NE) and cortisol level respectively. The result of the present study shows that combination of DROXI with APLZ or BFMO has significant reduction in Cortisol level in blood samples as well as NE level in stress induces mice. Hence it is concluded that combination of DROXI with APLZ or saponin obtained from BFMO Lam leaves possesses anxiolytic and antidepressant activity which might be due to the suppression of Hypothalamus-Pituitary-Adrenal axis (HPA-axis).

TO STUDY THE ROLE OF RELAXIN 3 IN NEURODEGENERATIVE DISEASE AND ITS RELATION IN PSYCHOLOGICAL DISTURBANCES USING EXPERIMENTAL ANIMALS

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Relaxin-3 has been proposed to modulate emotional–behavioural functions such as arousal and behavioural activation, appetite regulation, stress responses, anxiety, memory, sleep and circadian rhythm. The nucleus incertus (NI), in the midline tegmentum close to the fourth ventricle, projects widely throughout the brain and is the primary site of relaxin-3 neurons. Over recent years, a number of preclinical studies have explored the function of the NI and relaxin-3 signalling, including reports of mRNA or peptide expression changes in the NI in response to behavioural or pharmacological manipulations, effects of lesions or electrical or pharmacological manipulations of the NI, effects of central microinfusions of relaxin-3 or related agonist or antagonist on experimental animals. The research proposed is based on preclinical studies to investigate the effects of relaxin-3/RXFP3 signaling in neurodegenerative disease and psychiatric illness. This Proposed research aims to draw attention to provide insights into the therapeutic potential of the relaxin-3/RXFP3system in neurodegenerative disease and in its associated psychological symptoms using experimental models in experimental animals. These maps provide foundation for pharmacological and physiological studies to elucidate the neurobiological nature of relaxin-3/RXFP3 signalling in vivo.

pharmacotherapy.

D-434

IN SILICO DRUG REPURPOSING OF CALCIUM CHANNEL BLOCKERS AGAINST DIABETES: MOLECULAR DOCKING AND CELL LINE STUDIES

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Pancreatic -cell loss and destruction are crucial in the development and progression of diabetes, with a higher likelihood of creating micro and macro vascular complications. The current

therapy has a major issue of side effects of the drugs and long term management of the disease, as it's a chronic disorder. One well strategy is drug repurposing, which entails discovering new therapeutic benefits for existing drugs. In accordance with this paradigm, we present a study that looked into the promising inhibitory potential of calcium channel blockers from the dihydropyridine subclass against diabetes, specifically targeting Calmodulin and TRPV1 receptors. To examine the binding affinity of the functional groups within the active sites of Calmodulin and TRPV1, molecular docking computations were carried out on dihydropyridines. The most promising binding candidate was chosen for cell line studies. Nifedipine has shown comparatively better affinity on both the targets, hence used for further studies. MTT Assay, Glucose uptake assay and lipid estimation assay were performed on RIN-5F cell lines. The studies have shown that Nifedipine have a good capacity to keep cell viable, have a significant expression of 2-NBDG and significant lipid accumulation/release into surroundings similar to Metformin. In line with the findings reported herein, we recommend that further in-vivo investigations are to be carried out to shed light on the possible mechanism of pharmacological action of the proposed drug.

F-123

FORMULATION OF METFORMIN HCI TABLETS WITH MULTIPURPOSE EXCIPIENT TRIGONELLA FOENUM-GRAECUM POSSESSING SYNERGISTIC ANTI-DIABETIC EFFECT.

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Diabetes mellitus is estimated to affected more than 537 million people worldwide. Metformin is the drug of choice to treat type II diabetes. But Metformin is also having side effects that cannot be overlooked, such as Diarrhea, Stomach ache, Loss of appetite, metallic taste in the mouth and can cause vitamin B12 deficiency as well. There is a need of the hour to overcome or minimize these side effects, and one of the solutions is to lower the Metformin dose. Trigonella foenum-graecum is having appreciable blood glucose lowering effects with no side effects but possesses. Hence Metformin HCL and Trigonella foenum-graecum combination tablets were prepared. Trigonella foenum-graecum offered dual property of blood glucose lowering effect and improved formulation process parameters of Metformin HCL. The prepared formulation was optimized by QbD i.e. 32 factorial design. The optimized formulation having Metformin and Trigonella foenum-graecum in 1:1 ratio gave 99.60% dissolution within 45 minutes, Angle of repose 30, Hausner's ratio of 1.10 and Carr's Index of 9.25 and exhibited blood glucose profile of 329, 276, 193, 121, 87.62 mg/dl on days 0, 7, 14, 21, 28 respectively in STZ induced diabetic rats. The blood glucose profile of the Metformin HCL plain tablets and Metformin HCL Trigonella foenum-graecum combination tablets is approximately similar.

QUALITY BY DESIGN (QBD) APPROACH IN HPLC METHOD DEVELOPMENT FOR PIDOTIMOD

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A HPLC method for Pidotimod is developed using quality by design approach. QbD is the systemic approach for the developing high- quality pharmaceutical products for patients benefit and to meet regulatory needs. It also provides consistent quality and in qbD there is reduction of wastages. foremost target profile is determined and then qualification of instrument is done prior to initiation of actual study. Chromatographic separation was achieved on a grace -18 column (4.6×150mm;5 um). The mobile phase use ACN and potassium phosphate of Ph 7.2 in a ratio of 30:70 and 70:30 respectively. The sample is scan using UV spectrometer in the range of 200 to 400 nm. The absorbance maximum was obtained at 245nm. The method development for Pidotimod using HPLC is found to be robust, accurate, precise and USP tailing factor is less the 2 and analytical time is less than 10 min as per QbD norms a robust method should be developed with help of visualized a design space.

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ABSTRACT BOOK

A022

In-silico Investigational Approach of Selected Phytochemicals Against Acetylcholinesterase, a Pesticide Target Protein: As an Ecopharmacovigilance Aid

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According to the WHO, "Ecopharmacovigilance is the research and actions related to the detection, evaluation, understanding, and avoidance of hazardous effects of pharmaceuticals in the environment". In the Aurangabad district of Marathwada, white flies, small caterpillars, aphids, spider mites, and nematodes are frequent pests that harm cotton, sugarcane, and papaya crops. On average, insect pests diminish the yield of essential food crops and cash crops by 15% to 20%. Carbamates and organophosphates were found to be commonly utilized conventional pesticides. We can see that, despite prohibitions and restrictions on the use of chemical pesticides, the use of organophosphates and carbamates continues. Moreover, medicinal herbs being therapeutically used also possess significant toxic, lethal, repellant, antifeedant, fumigant, growth control, and oviposition deterrent effects. Thus, new pest management strategies must be developed in order to prevent damage, save the environment, and enhance public health. As a consequence, a phytochemical database was used to choose the phytoconstituents and plants for the current study. After that, three phytochemicals, scoparone, ascorbic acid, and niacin, along with three botanicals, *Citrus limon*, *Acacia farnesiana*, and *Aspalathus linearis*, were chosen to be studied. Acetylcholinesterase Inhibitor (AChEI) pesticides constitute the majority of dangerous pesticides; thus, using phytochemical database and *in-silico* tools, an attempt has been made to detect action on this receptor and the desired impact, similar to that of traditional pesticides has been achieved. Conclusively, the plants *Citrus limon*, *Acacia farnesiana* and *Aspalathus linearis* that are rich in scoparone, ascorbic acid and niacin can emerge as promising pesticides.

Prashant M. Pawar · Babruvahan P. Ronge · Ranjitsinha R. Gidde · Meenakshi M. Pawar · Nitin D. Misal · Anupama S. Budhewar · Vrunal V. More · P. Venkata Reddy *Editors*

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Evaluation of Ondansetron Hydrochloride Interactions with Mannitol

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Abstract The present study is to evaluate Ondansetron Hydrochloride (OND) interaction with Mannitol. Attempt has been made to prepare physical mixtures of OND with Mannitolin different proportions. The mixture was subjected to room temperature and accelerated temperature as per ICH guideline 1996. The interaction was studied by IR, UV Absorbance, TLC, Dissolution and DSC. From the study it was clear that C = O is the major site for interaction and more interaction is observed in case of accelerated condition than the room temperature. From the data obtained, it is observed that Mannitol showed the interaction with OND Mannitol cause significant reduction in dissolution of OND.

Keywords OND · Stability · DSC

1 Introduction

To build quality, safety and efficacy in the drug formulation stability testing of pharmaceutical products is required. Capacity of drug substance or drug product to maintain its identity, quality, strength, and purity throughout the expiration or retest period is called as stability [1]. Stability testing is complex procedures involving scientific expertise, considerable time and cost. During the dug development process stability testing is most essential step [2]. Stability testing assures the identity, potency and purity of ingredients during all stages of drug developments [3]. As per WHO the stability of pharmaceutical products depends on humidity, light, ambient temperature, physical and chemical properties of active substance, excipient, diluents, composition of dosage form, manufacturing process, packaging material, container closure system etc. [4]. OND is a 5-HT3 receptor antagonist used to prevent post and preoperative

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12

HEPATITIS B: A REVIEW OF EFFECTIVE AYURVEDIC REMEDIES

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ABSTRACT

As per the World Health Organization, Liver disease is the tenth most common cause of death in India, out of which Hepatitis B is the main suspect of liver related morbidity and mortality. It is rising as an arduous task in the series of global health problems after HIV. Hepatitis B is a potentially deadly liver infection caused by the hepatitis B virus (HBV). Majorly there are 5 kinds of Hepatitis: Hepatitis A, B, C, D and E. The clinical manifestations such as; Yellow discoloration of urine, sclera, mucous membrane and skin, nausea, extreme tiredness is comparable to the clinical manifestation of "Kamala" as described in Ayurvedic lexicon [1]. Kamala is caused due to rise in Pitta Dosha. Ayurvedic management of Kamala includes samshodhan and samshaman treatment which leads to disruption of samprapti of the disease and hence offers extensive remedy. In this review article an attempt has been made to compile all the available, safe and effective Ayurvedic remedies for the treatment of Hepatitis B.

INTRODUCTION

Presently, Hepatitis B is the common global health issue, caused by Hepato tropic virus B of Hepadanavirideae family. It has a double stranded DNA and it replicates by reverse transcription (Fig. 12.1). It is marked by parenchymal liver cell damage. Hepatitis is nothing but an inflammatory condition of the liver, which can be triggered by viral and non-viral infection, drugs, metabolic disorders, ischemia and toxins. Nearly 2 billion people are infected with Hepatitis B virus (HBV), among which approximately 350 million people are chronic carriers globally, and of these more than 250,000 die from liver related disease yearly [2]. Hepatitis B is the most common and important cause of cirrhosis and hepatocellular carcinoma in Korea, accounting for nearly 70% of the causes [3]. Hepatitis B is a disease that causes both acute and chronic damaging effects on the liver. It is considered as an acute disease when it lasts for less than 6 months, and if it persists for a longer time period it is considered chronic. Ayurvedic preparations are mostly used for hepatitis, hepatitis generally manifested as yellow discoloration of urine, sclera, mucous membrane and skin is recognized as "jaundice". In Ayurvedic lexicon the same clinical features are cited in the context of Kamala. So, on the basis of clinical features Kamala can be linked with jaundice. Kamala is a combination of two words (kam+la) meaning lust or desire. The person will lose the desire to eat and drink in Kamala. An individual suffers from extreme Arochaka (loss of taste), Avipaka

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CERTIFICATE of PARTICIPATION

This is to certify that

Rajendra K. Surawase, Kamalkishor G. Baheti* of

Y. B. Chavan College of Pharmacy, Aurangabad

participated in Oral Presentation Competition and presented a paper entitled

Solubility and Dissolution Rate Enhancement of Paliperidone by Fluidized Bed Technology

in Three Days International e-Conference on *"Pharmaceutical Research and Innovation to Tackle Future Health-care Arena"* held on 27th to 29th September 2021.

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Determination of Solid Dispersion by Fluidized Bed Processing: A Platform for Enhancement of Solubility and Dissolution

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Abstract

This survey article covers the Fluidized bed processing as a novel methodology of solubility enhancement for inadequately water-soluble medications. The main objective of this work was to study the solubility and dissolution kinetics of poorly water-soluble drugs simvastatin from its solid dispersion with different carriers by using fluidized bed processing technique. One of the significant boundaries to accomplish wanted convergence of medication in blood is drug solubility. Among all recently and existing medication up-and-comer around 40% drugs are lipophilic in nature and neglects to arrive at helpful reach because of poor solubility and at last it shows slow dissolution and low bioavailability. Choice of solubility upgrade procedure relies upon drug properties, site of ingestion and required dose structure attributes. Simvastatin is BCS class- II i. e. low soluble and high permeable, which reduces its bioavailability, to overcome this problem, a solid dispersion is formed using various techniques with polymeric carrier to potentially enhance the solubility and dissolution rate such as fluidized bed processing, it will extend drug absorption, therefore the objectives were to make a comparative evaluation among different solid dispersions and to assess the effect of various carriers in solid dispersions on the drug release profile and solubility behaviours. For solid dispersion, Gelucire® 44/14, PVP- K30

Chapter 32 Local Anesthetics

Areeg Anwer Ali and Syed Ayaz Ali

PH 1.17: Describe the mechanism/s of action, types, doses, side effects, indications, and contraindications of local anesthetics.

Learning Objectives

- Mechanism of action of local anesthetics.
- Pharmacokinetics and duration of action.
- Classification of local anesthetics.
- Local and systemic effects, including adverse effects, of local anesthetics.
- Techniques for local anesthesia.

Local Anesthetics

Local anesthetics (LAs) are drugs that cause reversible sensory-motor block and loss of pain sensation upon topical application or local injection in particular body area. They block nerve impulse generation and interrupt neural conduction at any part of the neuron by binding to a specific receptor site within the pore of the sodium (Na^+) channels in nerves, which results in muscular paralysis and loss of autonomic nervous system (ANS) regulation. LAs can also be combined with general anesthesia to decrease the concentration of general anesthetics and to improve postoperative analgesia. Their duration of action and dose-dependent adverse effects on the cardiovascular system (CVS) and central nervous system (CNS) restrict their use.

Differences between General and Local Anesthetics

Anesthesia is usually administered via different techniques to keep patients pain-free during surgical and medical procedures or tests. There are few major key differences between general and local anesthesia that depend on many factors such as the type of surgical procedure and health status and preference of patients. **Table 32.1** summarizes the major differences between general and local anesthesia.

Mechanism of Action

Nerve signals are transmitted as action potentials. Neurons produce and send these signals to the target tissues. When a stimulus causes the membrane potential to change to the value of the threshold potential (between −50 and −55 mV), an action potential is produced. The action potential consists of a rapid depolarization (the upstroke), followed by repolarization back to the resting membrane potential. After generating one action potential, neurons become refractory to stimuli for a period of time during which they are unable to produce another action potential. During the upstroke of an action potential, there is an influx of Na^+ ions to the cell through the channels or ionophores located within neuronal membranes as a result of electrochemical potential gradient. These channels are normally in a resting state, preventing Na^+ ions from entering. The channel becomes activated or open when the neuron is stimulated, allowing sodium ions to diffuse into the cell and cause depolarization.

The LAs bind to a receptor inside the voltage-sensitive Na^+ channel, specifically to the D4–S6 region of the α-subunit of neural sodium channels. They have a stronger affinity for Na^+ channel receptors in their active and inactivated states than in their resting states. Their binding to the receptor stabilizes the channel in the inactivated state. They interfere with the neural conduction by blocking Na^+ ions from entering neuronal membranes via the channels or ionophores, thereby increasing the duration of refractory period and reducing the probability of channel opening by increasing the channel's opening threshold. The Na^+ channel becomes inactivated after a sudden shift in membrane voltage, preventing further influx while active transport mechanisms return Na^+ ions to the exterior. The effect is concentration dependent and the administered volume of LA solution more easily blocks the required number of Na^+ channels and disrupts impulse transmission, causing impulses to slow down and then completely stop. Therefore, smaller and faster-firing neural fibers such as autonomic fibers are more sensitive to LA action, followed by sensory fibers, and finally somatic motor fibers. The channel then returns to its natural resting state after this repolarization. LAs can also inhibit potassium (K^+) channels. Other mechanisms by which LAs function depend on their interaction with G protein–coupled receptors, endothelial nitric oxide, and muscarinic receptors. The following is the order in which a loss of nerve function occurs in clinical practice: pain, temperature, touch, proprioception, and skeletal muscle tone.

True resistance to LAs, although rare, is hard to identify. Despite adequate technical administration, the failure of LA to achieve anesthesia is frequently thought to be due to technical and medication failure or local infection. However, atypical responses to LAs might be caused by mutations in sodium channels. If the condition is not recognized, it may result in toxicity from the administration of excessive amounts of LAs, especially in the case of epidural and spinal anesthesia, which require intrusive procedures to provide LAs.

Anesthetic Potency and Onset of Action

At physiological pH, LAs exist in ionized (water-soluble and lipid-insoluble) and unionized (lipid-soluble and

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4 Nanocatalyzed Synthesis of Bioactive Pyrrole, Indole, Furan, and Benzofuran Derived Heterocycles

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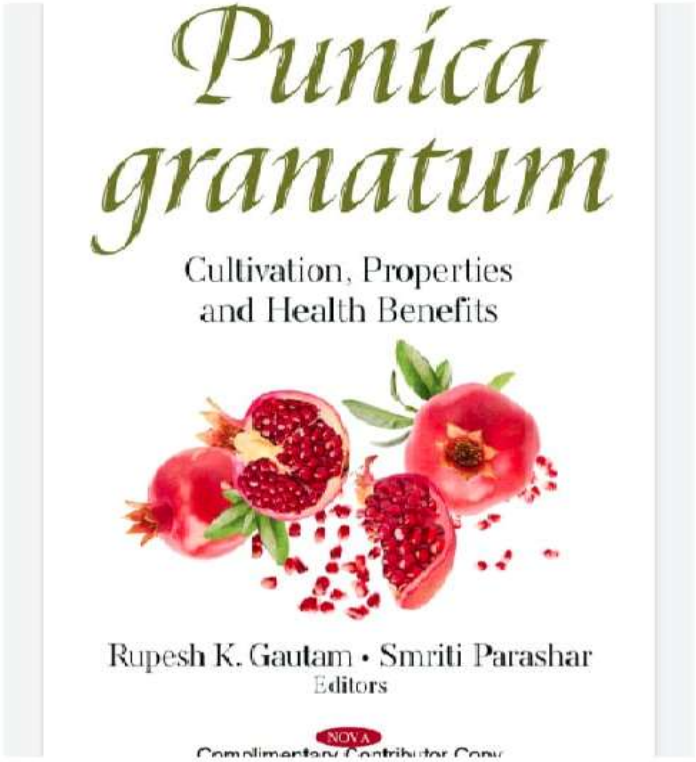
4.1 INTRODUCTION

This chapter describes nanocatalyst and their application in the organic transformation of biological activated heterocyclic compounds, such as pyrrole, indole, furan, and benzofuran derivatives. Recently, nanotechnology is a branch of science and engineering that is growing into one of the most attractive research areas. The catalytic action of nanoparticles (NPs) is due to very high surface-to-volume ratios.

Today, nanocatalysts have a vital role in green chemistry. The advantages of nanocatalysts are the required small quantity, decreased size of the nanocatalyst, more surface area, exposure to the reactant, and non-toxic. Using a nanocatalyst, a minimum time is required for the formation of the desired product. Researchers in the pharmaceutical industry are continually searching for highly efficient, active, and stable catalysts.

This chapter will compile a literature survey on nanocatalyst and their applications in the organic synthesis of bioactive heterocyclics, such as pyrrole, indole, furan, and benzofuran. A recently updated literature survey is very significant for researchers, chemists, and scientists that work in this field to reveal important information about the synthesis of bioactive heterocyclic compounds that use various nanocatalysts.



Chapter 6

HEALTH BENEFITS OF *PUNICA GRANATUM* AGAINST DIABETES AND ASSOCIATED COMPLICATIONS

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ABSTRACT

More than 415 million individuals globally have diabetes mellitus in 2015, as per the International Diabetic Federation (IDF), and it is projected to grow to 642 million in 2040 except as urgent preventive steps are undertaken. Unless one of the life-threatening complications develops, diabetes mellitus may go undiagnosed. Chronic hyperglycemia leads to the development of secondary complications such as nephropathy, neuropathy, retinopathy, etc. which leads to alteration in a person's life. *Punica granatum*, popularly called as pomegranate, grenade, granats, and punica apple, is part of the Punicaceae family. In several nations, *Punica granatum* has been commonly used as a traditional medicine to treat dysentery, diarrhea, helminthiasis, acidosis, hemorrhage, and respiratory pathologies. Extracts of all parts of the fruit appear to have therapeutic properties. Recent research tends to suggest that ellagic acid ellagitannins (including punicalagins), punicic acid, flavonoids, anthocyanidins, anthocyanins, and estrogenic flavonols and flavones are the key constituents of the *Punica granatum* tree and fruit are the most therapeutically beneficial pomegranate constituents. The beneficial health effects of *Punica granatum* is studies in a various model of diabetic and its complication. In this chapter, the authors tried to

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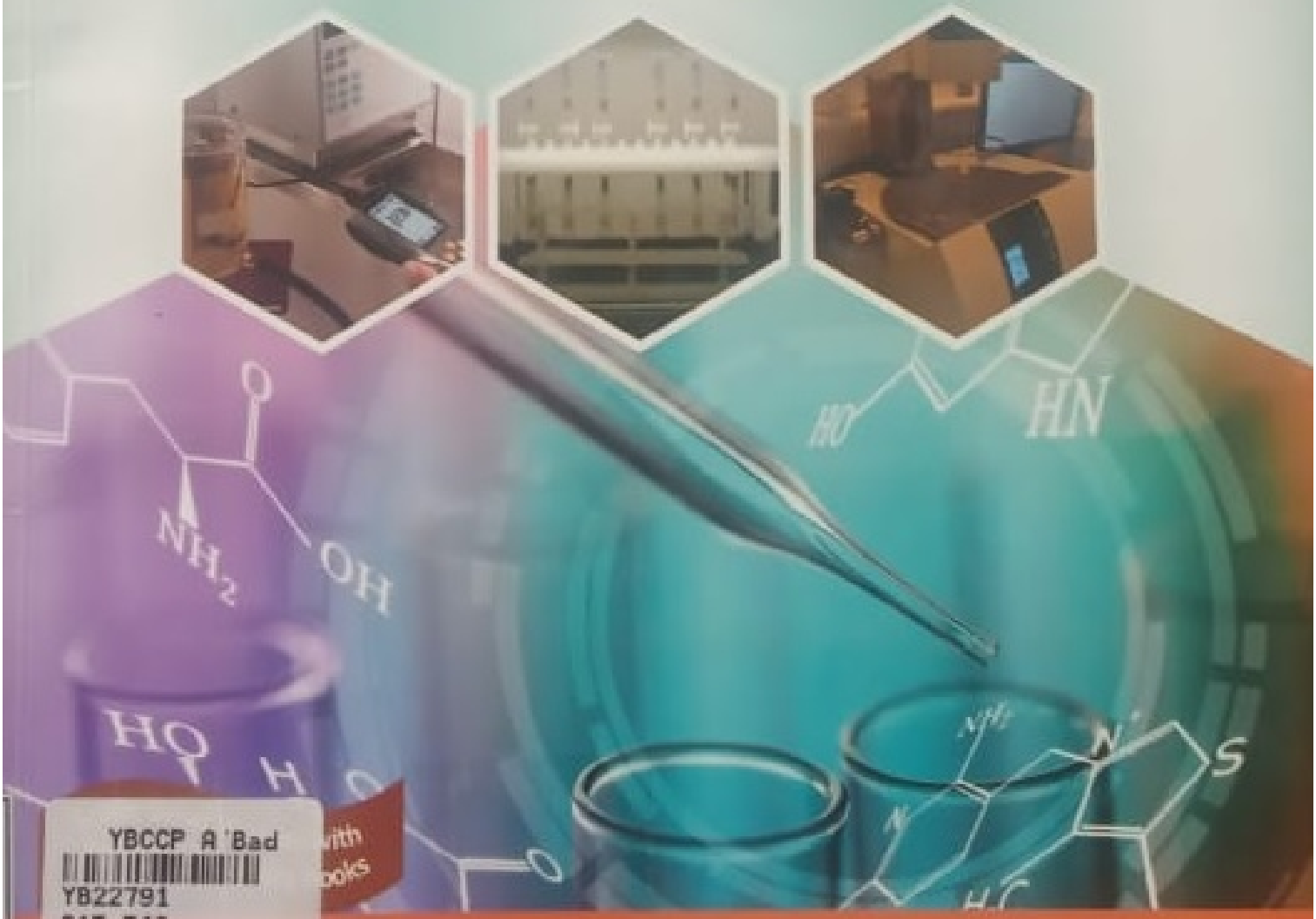

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Multifunctional cyclodextrin nanoparticles: A promising theranostic tool for strategic targeting of cancer

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18.1 Introduction

A tiny tumor is featured in top 10 deadly diseases list and cancer mortality rate is continuously rising despite of advancement in its treatment. A magnificent history of the study of cancer began worldwide in this century and it is considered as the second most leading cause of death having an impact on the health of human life (Nagai and Kim, 2017). Moreover, statistical reports were also shown the ongoing leading cause of death is cancer. One of the most dreaded diseases in the world is cancer (Thun et al., 2010). Every year, over 11 lakh people are pretentious by cancer in India and 10 million worldwide (Roy and Saikia, 2016). It is projected that there will be 13.1 million deaths in year 2030 (approximately a 70% increase; Bray et al., 2018).

Cancer is a common name for a cluster of more than hundred diseases involving the abnormal and unregulated proliferation of cells with the potential to invade or spread to other organs of the body. These atypical strange cells are referred as cancerous cells, malignant, or tumor cells. These atypical malignant cells can create their own blood supply (angiogenesis), spreading away from the source organ, making transit through the blood vessels and lymphatic system, and seeding into other organs of the body where they can again repeat the uncontrolled growth cycle. Thus, this cancerous phase when malignant cells leaving one particular area and grow up in another part of

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Boric Acid: A Versatile Catalyst in Organic Synthesis

Shahebaaz K. Pathan, Paresh Mahaparale, Satish Deshmukh, Hemant Une, Rohidas Arote, and Jaiprakash Sangshetti

Contents

1 Introduction 458
2 Synthetic Application of Boric Acid 458
2.1 Amidation Reactions 458
2.2 Esterification Reactions 459
2.3 Michael Addition Reactions 460
2.4 Condensation Reactions 462
2.5 Transamidation Reactions 464
2.6 Decarboxylation Reactions 465
2.7 Friedel-Crafts Reactions 465
2.8 Protection and Deprotection Reactions 466
2.9 Tishchenko Reactions 468
2.10 Multicomponent Reactions 469
2.11 Development of Nitrogen Heterocycles 471
2.12 Development of Oxygen Heterocycles 474
2.13 Synthesis of Isoxazolinones 476
2.14 Bromination Reactions 476
2.15 Ipso Substitution Reactions 477
2.16 Synthesis of 1-Amidoalkyl-2-naphthols 477
2.17 Synthesis of α-Aminophosphonates and α-Aminonitriles 477
2.18 Synthesis of 3,4-Dihydropyrimidin-2(1H)-ones 478
2.19 Synthesis of 2-Amino-4,6-diarylnicotinonitrile 479
3 Conclusions 480
References 480

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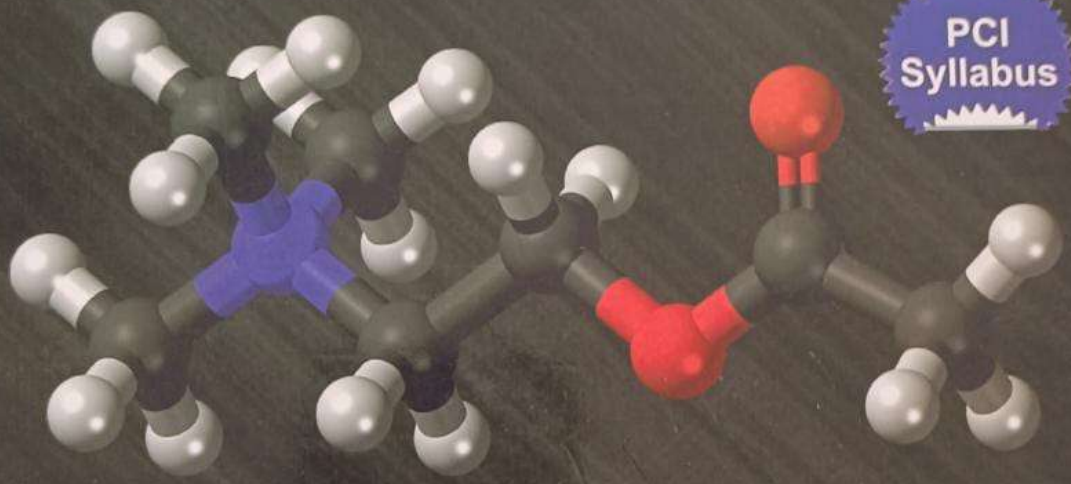

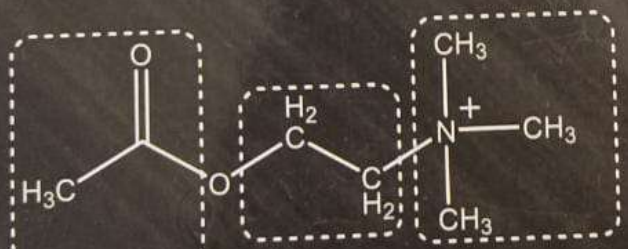

Acyl group | Ethylene bridge | Onium group

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Spilanthes paniculata Flower Extracts Attenuates Paracetamol Induced Liver Damage by Antioxidant Mechanism

Syed Ayaz Ali[1*], Shukla Mahanand[1] and Subur W. Khan[2]

DOI: 10.9734/bpi/tprd/v1

ABSTRACT

The present study was undertaken to investigate the antioxidant and hepatoprotective effect of *Spilanthes paniculata* Wall. ex DC flower extracts against paracetamol-induced liver damage. The study was conducted in 36 male Wistar rats of either sex, and six groups were established. While the first group was maintained as normal control (NC, distilled water), Groups 2 to 6 were administered 3 g/kg Paracetamol (PAR) for 2 day, 100 mg/kg Silymarin (SMR), 500 mg/kg Methanolic extract (MESP), Petroleum ether extract (PEESP), Ethyl acetate extract of *S. paniculata* (EAESP) suspended in 0.5% tween 80 plus PAR, respectively. PAR was administered in the same schedule as in group 2, the treatment with silymarin and extracts was given for 10 days orally, respectively. It was observed that PAR significantly increased serum Alanine transaminase (ALT), Aspartate transaminase (AST), Alkaline phosphatase (ALP) activity liver MDA levels ($P<0.01$) and significantly decreased liver Glutathione (GSH), catalase (CAT), superoxide dismutase (SOD) activity ($P<0.01$), when compared with the normal control group (NC). On the other hand, statistically significant ($P<0.01$) changes were observed in the biochemical parameters of the group which was administered SMR, PEESP and EAESP. Compared with the pathological changes observed in the liver in the form of congested sinusoids and centrilobular necrosis, in the group which was administered paracetamol alone (PAR), lesions were determined to be less severe particularly in the group (PEESP and EAESP). The study shows that administration of PEESP and EAESP offered a therapeutic potential for the treatment of hepatotoxicity induced by paracetamol via regulation of endogenous antioxidant system in liver.

Keywords: Spilanthes paniculata; paracetamol; biochemical parameters; oxidative stress; rats.

1. INTRODUCTION

Liver diseases have become one of the major causes of morbidity and mortality all over world. Among them, drug induced liver injury (DILI) is one of the most common causative factor that poses a major clinical and regulatory challenge [1]. The manifestations of drug-induced hepatotoxicity are highly variable, ranging from asymptomatic elevation of liver enzymes to fulminant hepatic failure. Paracetamol (PAR) also known as Acetaminophen, taken in overdose can cause severe hepatotoxicity and nephrotoxicity [2]. PAR is activated and converted by cytochrome P450 enzymes to toxic metabolite N-acetyl-p-benzoquinoneimine (NAPQI) that causes oxidative stress and glutathione (GSH) depletion [2,3]. In spite of tremendous advances in modem medicine, there are hardly any reliable drugs that protect the liver from damage and/or help in regeneration of hepatic cell. Many active plant extracts are frequently utilized to treat a wide variety of clinical diseases including liver disease [4]. Therefore, searching for effective and safe drugs for liver disorders is still considered as an area of interest.

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ID 14. Microwave-assisted Grafting of Locust Bean Gum for Sustained Release Drug Delivery System: Process Optimization and Product Evaluation

S.R Lahoti Sana Kausar, S.N Mokale, and Y.B Chavan

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Introduction: Modification of natural materials by graft copolymerization using various monomers offers the opportunities to tailor their physical as well as chemical properties yielding functional macromolecules that may find a wide range of applications. In the literature, many conventional and traditional methods of grafting are reported. However, these conventional methods are having many limitations like slow reaction, low yield, require high amounts of reactants and non-environmental friendly. In order to overcome these limitations, we used optimized microwave assisted technique with reaction time less than 5 minutes and more than 95% yield. **Objectives:** The first objective is to optimize the process of microwave-assisted grafting of locust bean gum using Design of Experiment, with respect to various critical process parameters whilst the second objective is to characterize/evaluate the effectiveness and safety of the product as sustained release matrix former. **Materials and Methods:** It involved microwave assisted synthesis of polyacrylamide-grafted-locust bean gum using ceric ammonium sulphate as an initiator and optimization of process using 2^3 factorial design. The grafted polymer was evaluated by FTIR, NMR, SEM, XRD, DSC, elemental analysis, acute toxicity studies followed by histopathological evaluation, biodegradability and hemolytic potential studies. **Results:** The grafted polymer was found to be non-toxic and biodegradable with sustained release potential over a period of 12hourswith matrix release model. The safety was confirmed by acute toxicity studies followed by histopathological evaluation. The grafted gum was found to be biodegradable and non-toxic. **Conclusion:** The resulted polymer was having tailor-made properties (depending upon degree of grafting), which is very useful in formulation and development of sustained release dosage form of many API molecules.

KEYWORDS:*Grafting, locust bean gum*

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CHAPTER 4

Antibacterial and Antifungal Drugs from Natural Source: A Review of Clinical Development

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Abstract: Plants have been an integral part of the ancient culture of India, China and Egypt as a medicine, and their importance even dates back to the Neanderthal period. Historically, a majority of new drug is generated from compounds derived from natural

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Biodegradable Polymeric Nanocarrier-Based Immunotherapy in Hepatitis Vaccination

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Abstract

Various commercial vaccines are used for immunization against hepatitis B. However, these immunotherapeutic vaccines require invasive administration, which can induce side effects, and require multiple shots to elicit an immune response, limiting their efficacy. Compared to traditional hepatitis B vaccines, polymer nanoparticles have more advantageous inherent properties as vaccine delivery carriers, providing increased stability of encapsulated antigen, the possibility of single-shot immunotherapy, and the capability of mucosal administration, which allows various routes of vaccination. In this review, we present up-to-date information on the potential of a biodegradable nanoparticle-based delivery system in treating hepatitis B. We also discuss the application of nanoparticles in various vaccines and highlighted strategies for eliciting an appropriate immune response.

Keywords

Nanoparticles Vaccine delivery Hepatitis B vaccine Immunotherapy