Criteria	Curricular Aspects
Key Indicator 3.3	Research Publication and Awards
Metric No: 3.3.1	Number of papers published per teacher in the journal notified on website of UGC website during last five years

- Number of research papers in the Journals notified on UGC website during the last five years

Year	2022-23	2021-22	2020-21	2019-20	2018-19
Number	15	7	2	7	10

Formula- $\frac{\text{Number of publications in UGC notified journals during the last five years}}{\text{Average number of full-time teachers during the last five years}}$

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Key Indicator	3.3 Research Publication and Awards
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File Description	Any Additional Information

3.3.1	Number of papers published per teacher in the Journals notified on UGC website during the last five year
Sr. No	Details of documents
1.	Research publication of 2022-23
2.	Research publication of 2021-22
3.	Research publication of 2020-21
4.	Research publication of 2019-20
5.	Research publication of 2018-19

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Review > Metab Brain Dis. 2021 Jan;36(1):13-27. doi: 10.1007/s11011-020-00636-w. Epub 2020 Oct 22.

Current and emerging therapeutic targets for Parkinson's disease

Tanvi Pingale [# 1], Girdhari Lal Gupta [# 2 3]

Affiliations + expand

PMID: 33090348 DOI: 10.1007/s11011-020-00636-w

Abstract

Parkinson's disease (PD) is characterized by gradual neurodegeneration and forfeiture of dopamine neurons in substantia nigra pars compacta which ultimately leads to depletion of dopamine levels. PD patients not only display motor features such as rigidity, tremor, and bradykinesia but also non-motor features such as depression, anxiety, etc. Various treatments are available for PD patients such as dopamine replacement are well established but it is only partially or transiently effective. As these therapies not able to restore dopaminergic neurons and delay the development of Parkinson's disease, therefore, the need for an effective therapeutic approach is crucial. The present review discusses a comprehensive overview of current novel targets for PD which includes molecular chaperone, neuroinflammation, mitochondrial dysfunction, neuromelanin, Ubiquitin-proteasome system, protein Abelson, Synaptic vesicle glycoprotein 2C, and Cocaine-amphetamine-regulated transcript, etc. These approaches will help to identify new targets for the treatment of disease and may provide a ray of hope for PD patient treatment. Graphical abstract.

Keywords: CART; Chaperone; Kynurenine; Neuroinflammation; Neuromelanin.

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Article Detail

Simple New Colorimetric Method Development for the Estimation of Flupirtine Maleate in Bulk and in Pharmaceutical dosage Form

Author: , P.V.DUSE, K.G.BAHETI

Abstract: A simple, sensitive, accurate new analytical method based on colorimetric determination was developed and validated for the estimation of Flupirtine maleate in pure and pharmaceutical dosage forms. Flupirtine reacts with Sodium nitrite ($NaNO_2$) and Hydrochloric acid (HCl) to form a yellow-colored compound and colored complex which can be estimated by colorimetrically. The color of the solutions has measured the absorbance at ?max 411 nm. Beers law was followed in the range of 10-50µg/ml respectively. The correlation coefficient was found to be 0.9998. LOD and LOQ were determined and found to be 0.103 µg/ml and 0.318 µg/ml respectively. The recovery studies were performed. The percent recovery was found to be 99.4 ± 1. The method was conducted and validated as per ICH guidelines. The proposed method was found to be precise, accurate and successfully validated for the routine analysis of Flupirtine maleate in bulk drug and in the formulation.

Keyword: Flupirtine, Sodium nitrite, Hydrochloric acid, Visible spectrum
DOI: https://doi.org/10.31838/ijpr/2021.13.01.120

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STABILITY AND BIODISTRIBUTION STUDY OF QUININE HYDROCHLORIDE NIOSOMAL FORMULATION

Gajbhiye Sanghadeep* and Rakesh Kumar Jat
Institute of Pharmacy,
Shri Jagdishprasad Jhabarmal Tibrewala University (SJJTU), Rajasthan, India

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Received: 15th Jan. 2022; **Received** in revised form: 6th Feb. 2022; **Accepted:** 19th Feb. 2022; **Available online:** 27th Feb. 2022.

ABSTRACT

Niosomal formulation found to be one of the promising approach to treat the malaria. QH loaded niosomal formulation used for the targeting the liver stages of the parasite to treat early stage malaria. The biodistribution pattern says that the QH loaded niosomes minimized the toxicity associated with plain QH solution, as were at the liver for longer period of time. Longer circulation of the niosomes showed that, incorporation of QH into the niosomes helped to increase the stability of QH by preventing it from chemical and enzymatic degradation. Lyophilized niosomal formulation (Proniosomes) were stable when stored at both refrigerated and room temperature.

Keywords: Quinine hydrochloride, Niosomes, Biodistribution.

INTRODUCTION

In vivo animal study is a reliable tool to study the response of drugs directly in the biological system. Any novel formulation development intended for humans requires the demonstration of safety and efficacy in animal models. Healthy male albino rats models provide an important means of assessing liver targeting activity for new formulations of already established drugs. Drugs and their formulations are exposed to variable atmospheric conditions throughout their shelf life i.e. during storage, shipment and handling. In addition to this, diversity of conditions with respect to temperature and humidity, in various countries, also propelled us to investigate the stability of drugs and their formulation under influence of various storage conditions. The purpose of stability testing is to provide evidence on how the quality of a drug substance or drug product varies with time under the influence of a variety of environmental factors such as temperature, humidity and light and establish a shelf life for the drug product at recommended storage conditions. Stability studies wherein the drug product is exposed to normal storage conditions for a period of time sufficient to cover the proposed period is the long term stability testing, plus the drug product is subjected to accelerated conditions of temperature and humidity so as to determine the shelf life and storage conditions. The studies, designed to increase the rate of chemical degradation or physical change of a drug

***Corresponding Author:**
Gajbhiye Sanghadeep,
Institute of Pharmacy,
Jagdishprasad Jhabharmal Tibrewala,
University, Jhunjhunu, Rajasthan, India

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STABILITY AND BIODISTRIBUTION STUDY OF TARAXACUM OFFICINALE NIOSOMES

Gajbhiye Sanghadeep* and Rakesh Kumar Jat
Institute of Pharmacy,
Shri Jagdishprasad Jhabarmal Tibrewala University (SJJTU), Rajasthan, India

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Received: 14th March. 2022; **Received** in revised form: 12th April. 2022; **Accepted:** 22nd April 2022; **Available online:** 27th April 2022.

ABSTRACT

Recent progress in the study of such plants has resulted in isolation of about different phytoconstituents from plants. Among them 110 species of different plant families are mentioned their specific parts having protective action against certain liver disorder. Over the past several years, great advances have been made on development of novel drug delivery system (NDDS) for plant actives and extracts. The variety of novel herbal formulations like polymeric nanoparticles, microspheres, transferosomes and ethosomes has been reported using bioactive and plant extracts. The biodistribution pattern says that the dandelion loaded niosomes minimized the toxicity associated with plain dandelion solution. Vesicular systems not only help in targeting the drug the liver, but also help in providing controlled parenteral delivery by preventing metabolism of the drug from the enzymes present at the hepatic cells. Longer circulation of the niosomes showed that, incorporation of dandelion into the niosomes helped to increase the stability of dandelion by preventing it from chemical and enzymatic degradation. vesicles consisting of one or more surfactant bilayers enclosing aqueous spaces called niosomes have been considered of particular interest as they offer several advantages over liposomes with respect to chemical stability, lower cost and availability of materials. Lyophilized niosomal formulation (Proniosomes) were stable when stored at both refrigerated and room temperature.

Keywords: *Taraxacum officinale*, Niosomes, Evaluation, Proniosomes.

INTRODUCTION

Niosomes are one of the drug delivery system for targeting the specific site of the liver, brain etc. Literature revealed that the liver targeting agents like DMPC used in the vesicular formulation accumulate the maximum amount of drug in targeted cells, which would help in reducing the dose of the drug and it`s dose related toxicity. By incorporation of drug in small niosomes, the drug can be targeted directly to the site of action, thus enhancing its therapeutic efficacy. At the same time, drug entrapped in the aqueous interior can

***Corresponding Author:**
Gajbhiye Sanghadeep,
Institute of Pharmacy,
Jagdishprasad Jhabharmal Tibrewala,
University, Jhunjhunu, Rajasthan, India

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Evaluation and Safety Study of Taraxacum Officinale Niosomes

Gajbhiye Sanghadeep[1], Ogale Sunita[1], Priti Patil [1], Aate Jayshree[2]

[1] VIVA Institute of Pharmacy, Shirgaon, Virar (E)

[2] Hi- Tech College of Pharmacy, Morwa, Chandrapur

Conflicts of Interest: Nil

Corresponding author: Gajbhiye Sanghadeep

ABSTRACT

Phytosome process has been applied to many popular herbal extract including Gingko biloba, grape see, hawthorn, milk thistle, green tea and ginseng. The flavonoids and terpenoid components of these herbal extracts lend themselves quite well for the direct binding to Phosphatidylcholine. Phytosomes is produced by binding individual components of herbal extract to phosphatidylcholine, resulting in a dosage form that is better absorbed and thus, produces better result than the conventional herbal extract. Niosomes are one of the drug delivery system for targeting the specific site of the liver, brain etc. The objective of the present study was to encapsulate the drug in niosomal vesicles to reduce pulse entry of drug and to achieve sustained release when administered intravenously. The objective was further extended to target niosomes to liver schizontocidal stage of malarial parasites, thus to reduce dose related toxicity of the drug.

Literature revealed that the liver targeting agents like DMPC used in the vesicular formulation accumulate the maximum amount of drug in targeted cells, which would help in reducing the dose of the drug and it's dose related toxicity. Certain of the water-soluble phyto-molecules (mainly flavonoids and other polyphenols) can be converted into lipid-friendly complexes, by reacting herbal extract owing to their enhanced capacity to cross the lipid-rich biomembranes and finally, reach the blood. They have improved pharmacokinetic and pharmacological parameters which are advantageous in the treatment of acute disease as well as in pharmaceutical and cosmetic compositions.

Keywords: DMPC, Phytosomes, Niosomes

Introduction

Phytosome is a patented process developed by Indena, a leading supplier of nutraceutical ingredients, to incorporate phospholipids into standardized extract and so vastly improve their absorption and utilization. It's a one kind of Phytosome formulation to deliver the herbal extracts.

The phytosomes of dandelion (Taraxacum officinale) were prepared with phosphotidylcholine in 2:1 and 1:1 ratio. These phyotosome were prepared and evaluated for quality control test and clearly mentioned in the work.

The phytosomes results were discussed in main experimental part of the thesis that are useful in liver detoxification as antioxidants. The herbal plant extract is generally used for heptic toxicity and phytosomes were formulated for detoxification of liver. The extract is used in skin disorders and treatment of cancer. Acne can be treated with plant extract phytosomes. The vesicular drug delivery system (phytosomes, ethiosomes, niosomes, microspheres and nano particles) are novel drug delivery system for many disorders. Phytosomes can better penetrate in lipid membrane and increase the availability of drug or phytoconstituents at particular site for

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Optimisation Study of Taraxacum Officinale Niosomes by Box-Behnken Design

Gajbhiye Sanghadeep[1] , Aate Jayshree[2]
VIVA Institute of Pharmacy, Shirgaon, Virar (E)
Hi- Tech College of Pharmacy, Morwa, Chandrapur

Abstract:- Phytosome process has been applied to many popular herbal extract including Gingko biloba, grape see, hawthorn, milk thistle, green tea and ginseng. The flavonoids and terpenoid components of these herbal extracts lend themselves quite well for the direct binding to Phosphatidylcholine. Phytosomes is produced by binding individual components of herbal extract to phosphatidylcholine, resulting in a dosage form that is better absorbed and thus, produces better result than the conventional herbal extract.

According to this research, design of experiments (DoE) is an efficient, elegant, and cost-effective statistical technique that delivers more knowledge with the least number of runs. Soya lecithin (X1), reaction temperature (X2), and reaction time (X3) were all significant parameters impacting phytosome response characteristics, according to standardised response surface plots, with p 0.05. The precision of the data was demonstrated by significant (p 0.05) model F-values and non-significant (p > 0.05) "lack of fit F-values" for response variables. R2 Adj (adjusted R-squared) and R2 Anticipated (expected R-squared) (R2 Pred) values indicated that the regression coefficients were fairly consistent. A lower PRESS value in regression models indicated a better match. The model discrimination was adequate, according to a high precision (AP) value. The normality of the response data was demonstrated using standard probability plots. Externally studentized residuals vs. expected values of the response parameters revealed the absence of constant error. The absence of lurking variables was investigated using a residual vs. run plot.

Keywords:- *Nisomes, Taraxacum officinale, Box-Behnken Design.*

I. INTRODUCTION

One of the major challenges that limit the direct objectification of factory bioactive constituents into foodstuffs, potables and ornamental and pharmaceutical products is their low water or canvas solubility. Composites with poor water solubility (e.g., carotenoids) can not readily be incorporated into waterless-based products whereas constituents with poor canvas solubility can not fluently be incorporated into canvas- grounded products.

Plants flowers are ornamental and used for worship and flavoring purposes. The leaves, stem, flowers and root all have bioactive pharmaceutical ingredients that can be used for treatment and therapy of certain types of ailments. Full factorial experimental design is one of the best tools to study the effect of different variables on the quality determinant parameters of any formulation. A statistical model was developed to optimize the Taraxacum offcinal loaded niosomal formulation, which is a very important aspect of formulation development, to understand the theoretical formulation and target processing parameters, as well as the range for each excipient and processing parameter. The aim of this study was to investigate the combined influence of 3 independent variables on the preparation of Taraxacum officinale loaded niosomes by the reverse evaporation method and thereby improve the entrapment efficiency and particle size of Taraxacum officinale loaded niosomes.

Fig 1: Flowering Plant of Dandelion (Taraxacum officinale)

II. OPTIMIZATION OF PHYTOSOMES

Box-Behnken Design (BBD) was used to optimise the formulation parameters of *Taraxacum officinale* phytosomes (LA-PHY) in order to increase yield, drug loading capacity, and particle size. We used Soya Lecithin (X1), reaction temperature (X2) and reaction time (X3) as independent variables to build an optimum carrier device since these three process parameters have a significant impact on the quality of the resulting product. Particle size (Y2), % Entrapment Efficiency (Y1), and cumulative drug content (Y3) were used to evaluate the final product (Y3). Response surface technique was used to design the screening in order to minimise the number of trials while still collecting as much information as possible about the product's characteristics. It was shown that individual responses could be predicted by doing experiments with 17 distinct combinations of

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A Review of Herbal Remedies for Candidiasis

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HUMAN

***Nishi Mishra, Aliya Chowdhery, Roshan Shrivastav, Archana Bele**

H.K College of Pharmacy, Jogeshwari, Mumbai, Maharashtra, India

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Keywords: Candidiasis, herbs, antifungal, chronic, remedies

ABSTRACT

The origins of Herbal remedies may be traced back to the Vedic era, and the Atharva Veda contains literature on health and sickness, which has been followed for the treatment. A review on the primary chronic fungal ailment Candidiasis, which is caused by the fungus *Candida albicans*, and its Herbal therapies. Allopathic treatment is likewise effective, but because of its expensive cost and numerous negative effects, herbal is chosen due to its diversity. Antifungal herbal drugs such as *Echinacea Angustifolia, Terminalia chebula, Morinda citrifolia, Cassia fistula, Embelia ribe, Azadirachta indica*, and others have been experimentally proven to have antifungal activity.

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Nano-Transferosomes of Aloe-Vera and Vitamin-E for Management of Psoriasis: An Archetype in Herbal Drug Technology

Author(s):

Mr Payaam vohra, Sushant Varekar, Vaishali Shah

Keywords:

Transferosomes, Aloe Vera, Psoriasis, Cubosomes, nano-formulation

Abstract

It is a known fact that over 60% of the world's population depends on herbal medicines and products for healthy living. The aim of the present cram was to investigate the potential of a transfer some formulation for Aloe Vera and Vitamin-E in the management of psoriasis. This article provides a general idea of the amalgamation of novel drug carrier and a phytoconstituent. Rather than novel formulations or discovering new moieties for the management of psoriasis, the current review emphasizes upon designing an NDDS encompassing a herbal phytoconstituent for enhanced therapeutic benefits. In the management of psoriasis, the current formulation revealed the skin compatibility of formulations that revealed the therapeutic efficacy of natural formulations in a sustainable, biodegradable, and biocompatible manner. The present study concludes that transferosome formed can prove to bring about a paradigm shift in the treatment of psoriasis.

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Russ J Bioorg Chem. 2023 May 11:1-7. doi: 10.1134/S106816202303007X. Online ahead of print.

Effective Drug Candidates against Global Pandemic of Novel Corona Virus (nCoV-2019): A Probability Check through Computational Approach for Public Health Emergency

C G Bonde [1], J Gawad [1], R P Bhole [2], S C Bonde [1], R V Chikhale [3]

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PMID: 37360794 PMCID: PMC10173906 DOI: 10.1134/S106816202303007X
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Abstract

The infection of a severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) started form Wuhan, Chinais a devastating and the incidence rate has increased worldwide. Due to the lack of effective treatment against SARS-CoV-2, various strategies are being tested in China and throughout the world, including drug repurposing. To identify the potent clinical antiretroviral drug candidate against pandemic nCov-19 through computational tools. In this study, we used molecular modelling tool (molecular modelling and molecular dynamics) to identify commercially available drugs that could act on protease proteins of SARS-CoV-2. The result showed that Saquinavir, an antiretroviral medication can be used as a first line agent to treat SARS-CoV-2 infection. Saquinavir showed promising binding to the protease active site compared to other possible antiviral agents such as Nelfinavir and Lopinavir. Structural flexibility is one of the important physical properties that affect protein conformation and function and taking this account we performed molecular dynamics studies. Molecular dynamics studies and free energy calculations suggest that Saquinavir binds better to the COVID-19 protease compared to other known antiretrovirals. Our studies clearly propose repurposing of known protease inhibitors for the treatment of COVID-19 infection. Previously ritonavir and lopinavir were proved an important analogues for SARS and MERS in supressing these viruses. In this study it was found that saquinavir has exhibited good G-score and E-model score compared to other analogues. So saquinavir would be prescribe to cure for nCov-2019 either single drug or maybe in combination with ritonavir.

Keywords: MERS; SARS; antiretrovirals; global pandemic; nCoV-2019; respiratory infections.

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Journal of Molecular Structure
Volume 1276, 15 March 2023, 134754

SERS spectra of a bioactive carboximidamide derivative at different concentrations: Experimental and DFT investigations

Jamelah S. Al-Otaibi [a], Y. Sheena Mary [b], Y. Shyma Mary [b], Martin Krátký [c], Jarmila Vinsova [c], Jineetkumar Gawad [d], Maria Cristina Gamberini [e]

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Abstract

In the current study (*Z*)-2-(2-oxoindolin-3-ylidene)hydrazine-1-carboximidamide hydrochloride's (OHC) Infrared (IR), Raman and surface-enhanced Raman scattering (SERS) spectra at various concentrations were presented experimentally and using density functional theory (DFT) simulations. Ag_6, OHC and OHC-Ag_6 systems' structures were initially optimized. The OHC-Ag_6 complex exhibits charge transfer interaction (CTI) between Ag and the OHC, according to frontier orbital molecular (FMO) analysis. The CTI under investigation was confirmed by molecular electrostatic potential (MEP) analysis. The molecule is chemisorbed on Ag_6 in a tilted manner through the lone pair of atoms, according to SERS investigation. With changes in concentration, the interaction of OHC with metal also changes, resulting in orientation changes. Additionally, there was a strong correlation between theoretical findings and experimental values. Therefore, the current discovery opens the door for engineering robust SERS active substrates useful for creating OHC-related biosensors.

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Journal of Molecular Liquids
Volume 381, 1 July 2023, 121855

The concentration dependent SERS studies of a bioactive 4-chlorobenzylidene derivative: Experimental and DFT investigations

Y. Sheena Mary [a b], Y. Shyma Mary [b], Martin Krátký [c], Jarmila Vinsova [c], Jineetkumar Gawad [d], Maria Cristina Gamberini [e]

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Abstract

In this work, the vibrational spectroscopy of the compound (*E*)-4-(*tert*-butyl)-N′-(4-chlorobenzylidene)benzyhydrazide (TCB), which was studied in conjunction with theoretical calculations, is applied for Surface Enhanced Raman Scattering (SERS) studies. The assignments of the vibrational modes were completed with Density Functional Theory (DFT)/B3LYP/6-311++G*. The information gathered is utilized to determine the chemical and electrical characteristics in addition to wavenumber measurements. The TCB molecule's chemisorbed status on colloidal nanoparticles is confirmed by acquired red shift and decreased intensity behavior in the UV–VIS spectrum analysis. Additionally, the SERS spectra at varied concentrations and the chemisorption of the molecule with metal cluster were recorded and examined. Additionally, a silver cluster-based theoretical SERS model is put forth. The findings presented here will help in the construction of more dependable SERS sensors by thoroughly describing the concentration-dependent profile of analyte orientation.

Graphical abstract

VIVA Technical Campus, At. Post Shirgaon, Virar (East),
Dist. Palghar - 401 305. Tel. :7875905000 Website: www.vivapharmacy.org
E-mail :pharmacy@vivacollege.org / principal@vivapharmacy.org

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Journal of Molecular Structure
Volume 1285, 5 August 2023, 135541

Insights on adsorption properties of a DNA base, guanine on nano metal cages ($Ag_{24}/Au_{24}/Cu_{24}$): DFT, SERS, NCI and solvent effects

Jamelah S. Al-Otaibi [a], Y. Sheena Mary [b], Y. Shyma Mary [b], Asmita Mondal [c], Nivedita Acharjee [c], Jineetkumar Gawad [d]

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Abstract

A detailed theoretical study was implemented on 2-amino-1,7-dihydropurin-6-one's (ADO). Density functional theory (DFT) and time-dependent density functional theory (TD-DFT) simulations were accomplished to explain the adsorption performance of the ADO drug molecule on metal nanocages. Adsorption energy og ADO was calculated to be -53.90, -50.67 and -63.56kcal/mol for Ag, Au and Cu cages, respectively. ADO interacts with Ag/Cu through the N_5, N_4 and N_6 atoms with a perpendicular orientation and Au-ADO, the interaction is through O, N_3—H_{13} and NH_2 groups with a perpendicular orientation. Reactivity computations were used to find the electron density in NMC-containing ADO complexes. The intermolecular interaction forces were found by the establishment of numerous bond critical point, monitored by ring critical points at the midpoint of interaction state. The ADO molecule will also be examined as part of the computational study to ascertain its bioactivity and docking studies. We can get accurate estimates of the atomic contact energies for drug delivery using the docking process.

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Research Articles

Acute and sub-acute toxicity study reveals no dentrimental effect of formononetin in mice upon repeated *i.p.* dosing

Tanvi Dayanand Pingale & Girdhari Lal Gupta

Pages 688-697 | Received 05 Mar 2023, Accepted 03 Jul 2023, Published online: 11 Jul 2023

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Abstract

Aim

Formononetin is a phytoestrogen which possess different pharmacological activities. The intraperitoneal route permits the identification of target organs involved in toxicity without compromising the molecule's bioavailability. The current study investigated the safety profile of intraperitoneal formononetin in Swiss albino mice.

Material and methods

For acute toxicity study, formononetin administered intraperitoneally to mice at the doses of 5, 50, 100, 150, 200, and 300 mg/kg for 14 days. For the subacute toxicity study, mice were intraperitoneally administered with formononetin (12.5, 25, and 50 mg/kg) daily for 28 days.

Results

During the acute study, no deteriorating effect was observed on body weight, food and water intake, no behavioral changes were observed in animals. The lethal dose 50% (LD_{50}) of formononetin was determined to be 103.6 mg/kg of BW, with a no observed adverse effect level (NOAEL) of 50 mg/kg of BW. Mortality was observed in the 300 mg/kg dose group and histopathological changes such as a mild degree of diffuse granular degeneration in the liver but for rest all doses did not have any adverse effect. In subacute study, no signs of adverse effects, mortality, no changes in body weight, food and water intake, and hematological and biochemical parameters were observed. Histopathology of subacute study indicates, formononetin did not have any noxious effect on organs.

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COMPARATIVE STUDY OF GARLIC AND CURCUMIN FOR ITS CARDIOPROTECTIVE PROPERTY

Jayshree R. Aate*, Parag S. Chawre, Shubhangi M. Kaurase, Minakshi P. Sathe and Satish B. Kosalge

Hi-Tech College of Pharmacy, Padoli Phata, Chandrapur-Nagpur Road, Morwa, Pin-442406, Maharashtra, India

ABSTRACT

The combination of garlic and curcumin showed a significant increase in total protein value as compared to cadmium control, thus showing decrease in oxidation of total protein. Garlic and curcumin combination also showed significant reduction in serum enzymes i.e SGOT, SGPT, as compared to other antioxidants and combination. Current study has shown that exposure to cadmium had significant effects on rats blood parameters. In our present study treatment of garlic and curcumin in combination decreased the toxic effects of Cadmium on the hematological values and had a protective role in anaemia induced by cadmium as compared to other antioxidants. The combination of garlic and curcumin was found to be most significantly effective in the treatment of cadmium induced oxidative stress. In current study garlic and curcumin in combination decreased the activity of SOD and Catalase significantly as compared to other treatment groups.

Keywords: SGOT, SGPT, Cadmium, Serum Protein, Antioxidants, Garlic, Curcumin, Cardioprotective.

INTRODUCTION

Antioxidants are the compounds Prevents the transfer of electron from O_2 to organic molecules which Stabilizes free radicals and terminates free radical reactions. The contractile function of the heart dictates its high metabolic demand. This, in turn, requires the heart be equipped with a rich supply of mitochondria. The mitochondrial respiratory chain is the primary energy-releasing system in the cell. A series of oxidation-reduction reactions are involved in the energy generation. special concern for oxidative heart injury is the potential formation of highly reactive oxygen species during electron transport. Accumulation of these toxic oxygen species can result in exacerbation of damage to the heart. Cadmium (Cd) is an industrial and environmental pollutant, arising primarily from battery electroplating, plastic, fertilizer industries, and cigarette smoke. A variety of experiments have suggested that Cd causes oxidative damage to cells. Cadmium has been demonstrated to stimulate free radical production, resulting in oxidative deterioration of lipids, proteins and DNA, and initiating various pathological conditions in

*Corresponding Author:
Jayshree R. Aate,
Hi-Tech College of Pharmacy,
Morwa, Pin-442406, Maharashtra, India

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Sorafenib tosylate novel drug delivery systems: Implications of nanotechnology in both approved and unapproved indications

Hrushikesh Raut [a], Chetana Jadhav [a], Karishma Shetty [a], Neha Laxane [a], Harsh P. Nijhawan [a], GSN Koteswara Rao [b], Rajasekhar Reddy Alavala [a], Garima Joshi [c], Ch. Niranjan Patro [d], Govind Soni [e], Khushwant S. Yadav [a,*]

[a] Shobhaben Pratapbhai Patel School of Pharmacy & Technology Management, SVKM'S NMIMS (Deemed to be University), Mumbai, India
[b] Department of Pharmacy, School of Medical and Allied Sciences, Galgotias University, Greater Noida, Uttar Pradesh, India
[c] Department of Pharmaceutical Sciences, Mohanlal Sukhadia University, Udaipur Rajasthan, India
[d] Roland Institute of Pharmaceutical Sciences, Khodasingi, Ganjam, Berhampur, Odisha, India
[e] Oriental College of Pharmacy and Research, Oriental University, Indore, India

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ABSTRACT

The USFDA has approved sorafenib tosylate for the treatment of thyroid cancer, kidney cancer, and hepatocellular carcinoma. Conventional formulations of sorafenib tosylate have challenges of solubility, permeability, side effects, and drug resistance across cancer cells. Targeted cancer therapies based on nanotechnology have proven to be effective and have the potential to become a useful tool in these approved cancer indications. In addition, Sorafenib tosylate's novel formulations are also being investigated for the unapproved indications like hepatocellular cancer, renal cancer, and cholangiocarcinoma with promising outcomes. Nanotechnology-based formulations have shown considerable gains in bioavailability, absorption, and increased anticancer efficacy. The article reviews some of the relevant patents and clinical trials in this field. The article also assesses challenges associated and future prospects in designing such clinical ready novel formulations of sorafenib tosylate.

1. Introduction

Cancer is one of the diseases with the highest fatality rate in the world, coming in second only to cardiovascular disease. A cancer cell is an aberrant cell with a disrupted life cycle, which is caused by anomalies in the signal transduction pathway of the cell [1]. A common feature of a cancer cell is the deregulation of signaling pathways; in non-cancer cells these signals are highly controlled; while in cancer cells these signals are uncontrolled and due to which the cancer cells continuously send the signals for cellular proliferation. Some of the common causes of cancer are smoking, exposure to harmful radiations e.g., ultraviolet light, while some patients are diagnosed with cancer due to hereditary issues.

Surgery, chemotherapy, and radiation therapy are some of the treatments available for treating cancer. In surgery, the tumor tissues are removed from the cancer site. One of the downsides of surgery is that a little portion of the tissue may remain after it has been removed which might develop and cause the cancer to recur. Chemotherapy, another form of treatment, is a medication that uses powerful chemicals to eliminate quickly developing cancer cells in your body. Anti-cancer agents are classified as alkylating agents,

* Corresponding author.
E-mail addresses: Khush.Yadav@gmail.com, Khushwant.Yadav@nmims.edu (K.S. Yadav).

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VIVA Technical Campus, At. Post Shirgaon, Virar (East),
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E-mail :pharmacy@vivacollege.org / principal@vivapharmacy.org

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Review
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OXFORD

Review

Novel therapeutic approaches for Parkinson's disease by targeting brain cholesterol homeostasis

Tanvi Dayanand Pingale[†] and **Girdhari Lal Gupta**[*,†]

Department of Pharmacology, School of Pharmacy and Technology Management, SVKM'S NMIMS, Shirpur, Maharashtra, India

*Correspondence: Girdhari Lal Gupta, Department of Pharmacology, School of Pharmacy & Technology Management, SVKM'S NMIMS, Shirpur Campus, Shirpur 425405, India. Tel: +91-8894118541; Email: drglguptanmims@rediffmail.com; girdharilal.gupta@nmims.edu

[†]Both authors contributed equally to this work.

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Abstract

Objectives Human brain is composed of 25% of the cholesterol & any dysfunction in brain cholesterol homeostasis contributes to neurodegenerative disorders such as Parkinson, Alzheimer's, Huntington's disease, etc. A growing literature indicates that alteration in neurotransmission & brain cholesterol metabolism takes place in the early stage of the disease. The current paper summarizes the role of cholesterol & its homeostasis in the pathophysiology of Parkinson's disease.
Key findings Literature findings suggest the possible role of lipids such as oxysterols, lipoproteins, etc. in Parkinson's disease pathophysiology. Cholesterol performs a diverse role in the brain but any deviation in its levels leads to neurodegeneration. Dysregulation of lipid caused by oxidative stress & inflammation leads to α-synuclein trafficking which contributes to Parkinson's disease progression. Also, α-synuclein by binding to membrane lipid forms lipid-protein complex & results in its aggregation. Different targets such as Phospholipase A2, Stearoyl-CoA desaturase enzyme, proprotein convertase subtilisin/kexin type 9, etc. have been identified as a potential novel approach for Parkinson's disease treatment.
Summary In the current review, we have discussed the possible molecular role of cholesterol homeostasis in Parkinson's disease progression. We also identified potential therapeutic targets that need to be evaluated clinically for the development of Parkinson's treatment.

Keywords: Parkinson's disease, cholesterol, oxysterol, apolipoprotein, stearoyl-CoA desaturase, biomarker

Introduction

Neurodegenerative diseases are a varied group of disorders, characterized by progressive loss of structure and function of neurons in the brain. It affects a large population worldwide. Neurodegenerative disorders are separated into two subfamilies: demyelinating neurodegenerative diseases like multiple sclerosis (MS) and non-demyelinating neurodegenerative diseases like Alzheimer's disease (AD), Parkinson's disease (PD), Huntington's disease (HD), amyotrophic lateral sclerosis (ALS), etc.[1] PD is the second most age-related neurodegenerative disease after AD which may affect around 9 million people worldwide by 2030.[2] PD is characterized by movement disorders with motor and non-motor features. It was first described by James Parkinson's in 1817 as "Shaking Palsy".[3] The motor symptoms of PD are

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Bioanalytical Method Development and Validation for the Determination of Favipiravir in Spiked Human Plasma by using RP-HPLC

Pallavi V. Duse * ✉
Y. B. Chavan College of Pharmacy, Rauza Bagh, Aurangabad-431003, Maharashtra, India.

Kamalkishor G. Baheti
Department of Pharmaceutical Chemistry, Y. B. Chavan College of Pharmacy, Rauza Bagh, Aurangabad-431003, Maharashtra, India.

*Author to whom correspondence should be addressed.

Abstract

A precise, simple and reproducible reverse phase liquid chromatography (RP-HPLC) method was developed and validated for determination of Favipiravir by using Carbamazepine as internal standard in spiked human plasma. A chromatographic separation was accomplished with Cromasil C18 (250mm x 4.6ID, Particle size: 5 micron) column using mobile phase consists of methanol: water in the ratio (35:65, %v/v), at pH 3.0 with binary gradient system-maintained flow rate at 0.8ml/min. The detection wavelength of drug sample was at 225 nm. Extraction was done by using ethyl acetate as extracting solvent. The retention time of Favipiravir was found to be 6.62 min. The method was found to be linear in the concentration range of 0.2-3.2 µg/ml. Limit of quantitation (LOQ) value was found to be 0.72. The intra- and inter day precision and accuracy lies within the specified range. The recovery studies were found to be in the range of 97.6 to 100.2%. %Relative standard deviation (RSD) was found to be in the range of 0.07-2.80%. All parameters were found to be validated from spiked human plasma. The proposed RP-HPLC method is highly accurate and rapid for the determination of favipiravir in human plasma and can be applied for pharmacokinetic studies and Therapeutic drug monitoring.

Keywords: Favipiravir, RP-HPLC, human plasma, validation, bioanalytical, method development

Review Article | Published: 22 October 2020

Current and emerging therapeutic targets for Parkinson's disease

Tanvi Pingale & Girdhari Lal Gupta

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Abstract

Parkinson's disease (PD) is characterized by gradual neurodegeneration and forfeiture of dopamine neurons in substantia nigra pars compacta which ultimately leads to depletion of dopamine levels. PD patients not only display motor features such as rigidity, tremor, and bradykinesia but also non-motor features such as depression, anxiety, etc. Various treatments are available for PD patients such as dopamine replacement are well established but it is only partially or transiently effective. As these therapies not able to restore dopaminergic neurons and delay the development of Parkinson's disease, therefore, the need for an effective therapeutic approach is crucial. The present review discusses a comprehensive overview of current novel targets for PD which includes molecular chaperone, neuroinflammation, mitochondrial dysfunction, neuromelanin, Ubiquitin-proteasome system, protein Abelson, Synaptic vesicle glycoprotein 2C, and Cocaine-amphetamine-regulated transcript, etc. These approaches will help to identify new targets for the treatment of disease and may provide a ray of hope for PD patient treatment.

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Research Paper

Development and Standardization of Polyherbal Formulation for Management of Tuberculosis

M. H. Sadhwani and Vaishali Shah[1*]

APMC College of Pharmaceutical Education and Research, Motipura, Himatnagar, Sabarkantha, Gujarat 383001 [1]VIVA institute of Pharmacy, Shirgaon, Virar (East), Vasai, Thane, Maharashtra 401303, India

*Corresponding Aut... Vaishali Shah
VIVA institute of Pharmacy, Shirgaon, Virar (East), Vasai, Thane, Maharashtra 401303, India
E-mail: vnshah02@gmail.com

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Abstract

Tuberculosis is the second most common cause of death from infectious disease. Current antituberculosis treatments consist of long course of combination of antibiotics and toxic side effects and lead to poor patient compliance. So, the present research work has been undertaken for the development and standardization of polyherbal formulation for tuberculosis. In the present study polyherbal formulation was developed using powder of plants of *Ocimum sanctum* Linn, *Tinospora cordifolia* (Willd.) Miers, *Alstonia scholaris* (L.) R.Br and Trikatu churna. The phytochemical screening was performed for presence of various phytoconstituents. Thin Layer Chromatography densitometric methods were developed using High-Performance Thin-Layer Chromatography for the quantifications of gallic acid and piperine in formulation and found to be 0.049 % and 0.051 % respectively in formulation. *In vitro* antituberculosis activity was performed using Lowenstein Jensen Medium and percentage inhibition at 50 µg/ml, 500 µg/ml and 1000 µg/ml was found to be 11.71 %, 74.15 % and 94.11 % respectively. Results showed dose dependant *in vitro* antituberculosis activity. These activities may be due to the presence of various phytoconstituents like flavonoids, tannins and phenoilc in formulations. Above results also support the rational use of above plants as antituberculosis.

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Bioanalytical Method Development and Validation for the Determination of Favipiravir in Spiked Human Plasma by using RP-HPLC

Pallavi V. Duse[1*] and Kamalkishor G. Baheti[2]

[1]Y. B. Chavan College of Pharmacy, Rauza Bagh, Aurangabad-431003, Maharashtra, India.
[2]Department of Pharmaceutical Chemistry, Y. B. Chavan College of Pharmacy, Rauza Bagh, Aurangabad-431003, Maharashtra, India.

Authors' contributions

This work was carried out in collaboration between both authors. Both authors read and approved the final manuscript.

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ABSTRACT

A precise, simple and reproducible reverse phase liquid chromatography (RP-HPLC) method was developed and validated for determination of Favipiravir by using Carbamazepine as internal standard in spiked human plasma. A chromatographic separation was accomplished with Cromasil C18 (250mm x 4.6ID, Particle size: 5 micron) column using mobile phase consists of methanol: water in the ratio (35:65, %v/v), at pH 3.0 with binary gradient system-maintained flow rate at 0.8ml/min. The detection wavelength of drug sample was at 225 nm. Extraction was done by using ethyl acetate as extracting solvent. The retention time of Favipiravir was found to be 6.62 min. The method was found to be linear in the concentration range of 0.2-3.2 µg/ml. Limit of quantitation (LOQ) value was found to be 0.72. The intra- and inter day precision and accuracy lies within the specified range. The recovery studies were found to be in the range of 97.6 to 100.2%. %Relative standard deviation (RSD) was found to be in the range of 0.07-2.80%. All parameters were found to be validated from spiked human plasma. The proposed RP-HPLC method is highly accurate and rapid for the determination of favipiravir in human plasma and can be applied for pharmacokinetic studies and Therapeutic drug monitoring.

Keywords: Favipiravir; RP-HPLC; human plasma; validation; bioanalytical; method development.

**Corresponding author: E-mail: palaskarpallavi@gmail.com;*

SUNITA CHANDRASHEKHAR OGALE
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VIVA Technical Campus, At. Post Shirgaon, Virar (East),
Dist. Palghar - 401 305. Tel. :7875905000 Website: www.vivapharmacy.org
E-mail :pharmacy@vivacollege.org / principal@vivapharmacy.org

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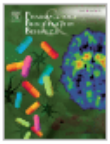

Review

Classic and evolving animal models in Parkinson's disease

Tanvi Pingale [a 1], Girdhari Lal Gupta [a b 1]

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Abstract

Parkinson's disease (PD) is a progressive neurodegenerative disease with motor and non-motor symptoms. PD is characterized by the degeneration of dopaminergic neurons in the substantia nigra pars compacta (SNpc) and deficiency of dopamine in the striatal region. The primary objective in PD research is to understand the pathogenesis, targets, and development of therapeutic interventions to control the progress of the disease. The anatomical and physiological resemblances between humans and animals gathered the researcher's attention towards the use of animals in PD research. Due to varying age of onset, symptoms, and progression rate, PD becomes heterogeneous which demands the variety of animal models to study diverse features of the disease. Parkinson is a multifactorial disorder, selection of models become important as not a single model shows all the biochemical features of the disease. Currently, conventional pharmacological, neurotoxin-induced, genetically modified and cellular models are available for PD research, but none of them recapitulate all the biochemical characteristics of the disease. In this review, we included the updated knowledge on the main features of currently available in vivo and in vitro models as well as their strengths and weaknesses.

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Correspondence and manuscripts should be addressed to
The Editor, Scientific Section, 'The Indian Pharmacist',
F-8, Hauz Khas Enclave, New Delhi-110 016, India.

DESIGN AND DEVELOPMENT OF A TOPICAL HYDROGEL OF ANTI-INFLAMMATORY DRUG FOR TREATMENT OF ARTHRITIS

Sushruta Mulay and Dharmik Mehta

A. Abstract:

Arthritis is a condition with very high prevalence but still without any specific cure or effective treatment that halts or reverses disease progression. While current pharmacologic treatments act by providing symptomatic relief, such pain-relieving effect do not exert a clear clinical evidence on arthritis disease prevention or modification. Curcumin, a naturally derived substance is proved to be effective in the treatment of both osteo-arthritis and Rheumatoid Arthritis (RA) owing to its anti-inflammatory activity. A research has shown that it works without destroying the cartilages.

The present study aims at the design of the transdermal delivery of curcumin using rate retarding polymer (Carbopol-934) based hydrogel formulation by modifying the release of formulation, minimizing the frequency of dosing, while maintaining therapeutic rationale directly on the affected site. Low solubility and low permeability issues were resolved with Propylene Glycol (PG) and oleic acid as solubilizer and permeation enhancer, respectively.

Formulations (CG1 to CG9) were prepared by varying quantities of ingredients on the basis of prior knowledge and trial & error method. All formulations were evaluated for physicochemical properties and *invitro* dissolution study. Formulation CG3 with 0.5% carbopol showed good spreadability and optimum pH with drug content of 99%.The *invitro* drug release performed using modified dissolution test apparatus using donor compartment of Franz diffusion cell was 87.38% after 8 hours with this formulation indicating maximum flux of drug with good hydrogel properties.

These results indicate the feasibility of the topical gel formulation of curcumin in transdermal delivery to

SUSHRUTA MULAY, Assistant Professor, Department of Pharmaceutics, Viva Institute of Pharmacy, Virar, Dist. Palghar, Maharashtra, India. DHARMIK MEHTA, Associate Professor, School of Pharmacy, R.K. University, Rajkot, Gujarat, India.

Address correspondence to MS SUSHRUTA MULAY (a Research Scholar at School of Pharmacy, R.K. University, Rajkot, Gujarat) is an Assistant Professor, Department of Pharmaceutics, Viva Institute of Pharmacy, Virar, Dist. Palghar, Maharashtra, India (Email: rsushruta613@rku.ac.in).

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Dist. Palghar - 401 305. Tel. :7875905000 Website: www.vivapharmacy.org
E-mail :pharmacy@vivacollege.org / principal@vivapharmacy.org

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Original Article

Antibacterial and Antifungal Approaches of *Ficus racemosa*

Tanvi Pingale[1,*], Pallavi Duse[2], Sunita Ogale[3]

ABSTRACT

Ficus racemosa also called as Ficus glomerata Roxb. is a species of plant in the family Moraceae. Popularly known as the Audumbar, cluster fig tree, Indian fig tree or goolar (gular). Different parts of plant shows Antibacterial, Antitussive, Anthelmintic, Antidiarrhoeal, Anti-cancer, Anti-inflammatory activities etc. on various extracts. Latest and previous studies have concluded the beneficial aspects of fruit of the plant shows Antimicrobial, Antibacterial and Antifungal activity using different cultures and extracts. **Materials and Methods:** The method was adopted for preparation of plant extracts. The media used for antibacterial test was Nutrient agar/broth. The culture medium was inoculated with the microorganism separately suspended in nutrient broth. The antibacterial activity was evaluated by measuring the diameter of zone of inhibition observed. The broth dilution method was adopted for determination of MIC value against the pathogens. **Results and Discussion:** The ethanoic and ethyl acetate extract showed more promising antimicrobial activity as compared to Water, Hexane and Chloroform extract. In well diffusion method, the ethyl acetate extract had showed significant bactericidal activity.

Key words: *Ficus racemosa*, Moraceae, Soyabean casein digest agar, Anthraquinone glycosides.

Tanvi Pingale[1]*, Pallavi Duse[2], Sunita Ogale[3]

[1]*Assistant Professor in Pharmacology, VIVA Institute of Pharmacy, Virar, Maharashtra, INDIA.*
[2]*Assistant Professor in Quality Assurance, VIVA Institute of Pharmacy, Virar, Maharashtra, INDIA.*
[3]*VIVA Institute of Pharmacy, Virar, Maharashtra, INDIA.*

Correspondence

Prof. Tanvi Pingale

Assistant Professor in Pharmacology, VIVA Institute of Pharmacy, Virar, Maharashtra, INDIA.

Phone no : 9960102418

E-mail: tanvi.pingale@gmail.com

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INTRODUCTION

Ficus racemose popularly known as the Audumbar, cluster fig tree, Indian fig tree or goolar (gular) which is also called as Ficus glomerata Roxb, is a plant species belongs the family Moraceae. In India, the tree fruits are called gular. Gluanol acetate was the major component of fruits. The other components were lupeol acetate, friedelin, glucanol, tiglic acid, taraxasterol and hydrocarbons.[1] The leaves the plant is rich in triterpenoids (basically lanosterol), flavonoids, alkaloids and tannins. Gluanol acetate and racemosic acid are the new triterpenes.[2] The bark of tree is said to have healing power. The major component of the stem bark was Bergenin which is a flavonoid. The other major components of the stem bark were glycosides sterols (β-sitosterol, stigmasterol, α-amyrin acetate, lupeol and lupeol acetate), (leucocyanidin-3-O-β-D-glucopyrancoside, leucopelargonidin-3-O-β-D-glucopyranoside, leucopelargonidin-3-O-β-D-glucopyranoside and leucopelargonidin-3-O-α-L-rhamnopyranoside); and tannins (ellagic acid).[3] The trunk bark contains various types of sterols like β-sitosterol, lupenol and stigmasterol.[2] The latex rich in various types of steroids such as isoeuphorbol, β-sitosterol, euphol, 4-deoxyphorbol, cycloartenol and cycloeuphordenol.[1]

Different parts of Ficus racemose shows Antibacterial, Hepatoprotective , Antitussive, Antiulcer, Wound healing, Anthelmintic, Antidiuretic effect, Antidiarrheal, Chemo preventive effect on the nephron, Anticancer, Anti-inflammatory activities etc. on various extracts.[4] Antibacterial means anything to destroy bacteria or suppresses their growth.[5] Antimicrobial activity is the process of inhibiting or killing the disease caused due to microbes while Antifungal activity is destroy fungi or inhibiting fungal growth.[6] Latest and previous studies have concluded the beneficial aspects of fruit of the plant shows Antimicrobial, Antibacterial and Antifungal activity using different cultures comparing various extracts.[7-8]

MATERIALS AND METHODS

Experimental Section

All the chemicals and reagents used were from Bhavichem.

The media and broth used for microbial culture were from Hi-Media Pvt. Limited, Bombay, India.

Plant material

The authenticated sample was collected from local market of Mumbai

Preparation of plant extracts

The method was adopted for preparation of plant extracts with little modifications. Briefly

Five 10 g portions of each of the powdered plant material of the fruit of the tree were soaked separately in 100 ml of water, chloroform, ethyl acetate, hexane and ethanol for 72 h. Each mixture was stirred after every 12 h using a sterile glass rod. At the end of extraction, each extract was passed through Whatmann filter paper no1.[9]

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Date: 2023.11.16 11:44:29 +05'30'

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Correspondence and manuscripts should be addressed to The Editor, Scientific Section, 'The Indian Pharmacist', F-8, Hauz Khas Enclave, New Delhi-110 016, India.

DESIGN, DEVELOPMENT AND EVALUATION OF NUTRACEUTICAL FORMULATION OF NEEMALOVA

Mulay S., Ogale S., Bhadvia D., Gala P., Salunkhe S. and Tate M.

A. Abstract:

Nutraceutical formulations are becoming popular in the Indian market as they provide physiological benefits and provide protection against chronic diseases and essential micro-elements, thus, reducing the risk of diseases such as cancer, osteoporosis, arthritis, etc.

Many aloevera formulations as nutraceutical supplements are available in the Indian market. Most of the preparations of neem and aloevera are used externally.

In the present research work, an attempt was made to make formulations that can be used internally and will improve immunity. The word 'Neemalova' stands for amalgam of neem and aloevera, which are active ingredients of the present formulation.

The health drinks containing aloevera juice are consumed for many nutraceutical as-well-as medicinal supplementary benefits. In the present research work, an attempt was made to formulate a combination product of aloevera as a fortified formulation. A quantitative evaluation of active ingredient present in aloevera inner leaf juice was performed and was well within limits as per International Aloe Science Council (IASC), i.e. Not Less Than (NLT) 5% w/v. The semi-solid formulation had improved energy value. The research work,

Photo 1: Product – Neemalova

MULAY S., OGALE S., BHADVIA D., GALA P., SALUNKHE S. and TATE M., Viva Institute of Pharmacy, Virar (East), Dist. Palghar, Maharashtra, India.

Address correspondence to MS. SUSHRUTA MULAY, Viva Institute of Pharmacy, Virar (East), Dist. Palghar, Maharashtra, India (Email: shushrutamuley@vivapharmacy.org).

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FORMULATION AND EVALUATION OF IVERMECTIN EMULGEL - PRODUCT IN PRODUCT PHENOMENON

Mulay Sushruta, Ogale S., Priyanka Gala, Deepak Bhadvia and Gayatri Saini

A. Abstract:

An animal's lice is an emerging problem, not only in economically poor countries but also in practically all other countries, especially in rural areas. Ivermectin emulgel is a veterinary product, which is used as an anti-parasitic drug against ticks and lice.

Emulgel are defined as "semisolid system in which a liquid phase is constrained within a polymeric matrix in which a high degree of physical and chemical cross-linking is introduced." Currently, soft gelatin capsules manufacturing units make use of gelatin to make *insitu* shells of soft gelatin capsules. After forming capsules, a 'perforated sheet of gelatin' remains which is within microbiological limits. This sheet is a by-product which can be used to make an 'Emulgel'. Ivermectin is used to treat wounds caused by infestation with small mites that live under the skin.

In the present study, Ivermectin was used in the emulgel formulation as a drug which can kill parasite infestation and subsequent wounds of the animals & soft gelatin showed stypic effect simultaneously.

Emulgel (o/w type) was prepared by using gelatin in aqueous dispersion medium and Ivermectin (poorly soluble in water) was dissolved in liquid paraffin (dispersed phase). From different trial batches, one batch was selected which passed all the evaluation parameters.

B. Introduction:

Ivermectin was first introduced as a veterinary parasiticide in 1981. Scabies is a parasitic infestation of

MULAY SUSHRUTA, OGALE S., PRIYANKA GALA, DEEPAK BHADVIA AND GAYATRI SAINI, Viva Institute of Pharmacy, Virar (East), Mumbai, Maharashtra, India.

Address correspondence to MS. SUSHRUTA MULAY, Viva Institute of Pharmacy, Virar (East), Mumbai, Maharashtra, India (Email: shushrutamuley@vivapharmacy.org).

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Original Article

INVESTIGATION OF THE NEUROPROTECTIVE EFFECT OF LINAGLIPTIN AND CELIPROLOL IN RESERPINE-INDUCED OROFACIAL DYSKINESIA AND ROTENONE-INDUCED NEURODEGENERATION IN RATS

VANDANA S. NADE[1], VISHAL V. MARDHEKAR[2*], UNNATI R. BHOYE[1], LAXMAN A. KAWALE[1]

[1]Department of Pharmacology, M. V. P. S. College of Pharmacy, Nashik 422002, [2]Department of Pharmaceutical Sciences, RTMNU, Nagpur 40001
Email: vishalmardhekar143@gmail.com

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ABSTRACT

Objective: Linagliptin, an anti-diabetic agent, proven to play an important role in regulating neuronal plasticity and reduce apoptosis and neuroinflammation by activating downstream AMPK/Sirt 1 pathway, which protects mitochondrial function and suppresses intracellular ROS accumulation and shows antioxidant action. Celiprolol, a β-1selective adrenoceptor blocker used as an anti-hypertensive agent, possesses a direct scavenging activity on oxygen radicals with antioxidant properties. The current study was designed to investigate the combined neuroprotective effect of linagliptin and celiprolol.

Methods: Wistar rats of either sex were divided into different groups (n = 6). Eight groups each for Reserpine induced orofacial dyskinesia model and Rotenone induced neurodegeneration model to mimic Parkinson's like conditions and treated or not with different doses of linagliptin and celiprolol. 24 h after the last dose, animals were subjected to behavioral, biochemical and histopathological evaluations. The data were analyzed by ANOVA and Bonferroni multiple comparison test.

Results: Reserpine treatment increased VCMs, tongue protrusion and decreased locomotor activity. Rotenone treatment decreases the motor activity and exploratory ability of the animals. Reserpine as well as rotenone treatments decrease catalase, GSH, SOD and increase the LPO levels as compared to sham group animals. Reserpine and rotenone also showed the presence of ghost cells and vacuolated cytoplasm. Linagliptin and celiprolol alone as well as in combination normalized the behavioral, biochemical and histopathological complications.

Conclusion: Linagliptin and Celiprolol showed neuroprotection by antioxidant activity as well as improved reserpine and rotenone-induced behavioral deficits. Both drugs have tenacious potential and can be used clinically with some further investigations.

Keywords: Linagliptin, Celiprolol, Antioxidant, Neuroprotection, Parkinson's disease

DOI: http://dx.doi.org/10.22159/ijcpr.2020v12i1.36833. Journal homepage: https://innovareacademics.in/journals/index.php/ijcpr

Possible Benefits of Considering Glutamate with Melatonin or Orexin or Oxytocin as a Combination Approach in the Treatment of Anxiety

Neuropharmacology (R Pi, Section Editor) | Published: 06 December 2019 | 6,1–7 (2020)

Ruchita Ravindra Dhangar, Pravin Popatrao Kale, Pramod Kerunath Kadu & Kedar Prabhavalkar

Abstract

Purpose of review

Anxiety is a common neurological disorder with high prevalence and important cause of functional impairment. Related higher cost, experience of complete remission, and intolerant response to the ongoing treatment suggest an unmet need to develop novel therapeutic strategies for the treatment of anxiety. The present review has focused on the discussion of targeting of glutamate system with melatonin or orexin or oxytocin receptors as combination approach in the treatment of anxiety.

Recent findings

Available evidences suggest a strong correlation between glutamate system and anxiety. Melatonin, orexin, and oxytocin receptors also showed similar correlation. Recent reports suggested the functional association between melatonin and glutamate or orexin and glutamate or oxytocin and glutamate.

Summary

The novel approaches discussed in present review may avail us an efficacious and safe treatment option which can be a better or alternative option for the available anxiolytic drugs. There is a need to consider combination approach targeting melatonin or orexin or oxytocin with glutamate-related receptors in different experimental settings.

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VIVA Technical Campus, At. Post Shirgaon, Virar (East),
Dist. Palghar - 401 305. Tel. :7875905000 Website: www.vivapharmacy.org
E-mail :pharmacy@vivacollege.org / principal@vivapharmacy.org

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ANTIOXIDANT ACTIVITY AND PHYTOCHEMICAL EVALUATION OF TRIBULUS TERRESTRIS FRUIT

Pallavi Duse*, Tanvi Pingale*, Vipul Duse and Dr. Arti Jagtap

Assistant Professor, VIVA Institute of Pharmacy, Virar (E.), Maharashtra.

***Corresponding Author: Pallavi Duse**
Assistant Professor, VIVA Institute of Pharmacy, Virar (E.), Maharashtra.

Article Received on 04/12/2018 **Article Revised on 25/12/2018** **Article Accepted on 15/01/2019**

ABSTRACT
Reactive oxygen species (ROS) are thought to underline the process of ageing and the pathogenicity of various diseases, such as cardiovascular diseases. The use of traditional medicine is widespread and plants still present a large source of natural antioxidants that might serve as leads for the development of novel drugs. The purpose of our study is to investigate the antioxidant activity and phytochemical evaluation of *Tribulus terrestris* fruit. (Tanvi Pingale and Kedar Prabhavalkar, 2016). In the present study, DPPH assay was used to estimate the antioxidant activity of ATT and it showed IC 50 at 400µg/ml. It suggests that ATT has good free radical scavenging activity, as lower the IC 50 value higher is the free radical scavenging activity, another method used for the estimation of ATT antioxidant potential was total reducing capacity. This method is based on the conversion of ferric (Fe 3+) – ferricyanide complex to ferrous (Fe 2+) form by ATT. Higher the total reducing capacity greater is the antioxidant activity of plant extract. (Yerra Rajeshwar et. al 2005). ATT has shown good total reducing capacity.

KEYWORDS: *Tribulus terrestris,* DPPH, HPTLC fingerprint, *Zygophillaceae*, ferricyanide.

INTRODUCTION

Traditional herbal remedies are found to have better therapeutic effects and lesser side effects as compared to modern medicines (Saied Kianbakht and Fereshteh Jahaniani, 2003). Plants reported to have antioxidant property and proved to be protective against DXR induced cardiotoxicity are: *Terminalia arjuna* (Gurvinder Singh, Anu T. Singh et.al 2008), *Nigella sativa* (Mahmoudn. Nagi and Mahmoudn et. al 2000), *Silybum marinum* (milk thistle) (Nagla A. El-Shitany et. al 2008), *Zingiber officinale* (ginger) (T A Ajith et. al 2008). Another traditional herb which is well known for its medicinal uses in Ayurveda is *Tribulus terrestris* (TT) (*Zygophillaceae*). TT is commonly known as "Gokshura" in Sanskrit. Literature revealed the beneficial effects of TT on cardiovascular system.

Body produces free radicals, Reactive Oxygen Species (ROS) like hydroxyl, hydrogen peroxide etc. DPPH which is a stable free radical provides the information about preliminary antioxidant activity. These studies indicate that ATT has certain antioxidant compounds which can effectively scavenge free radicals like ROS under in vitro conditions.

Thus the present study was carried out to evaluate antioxidant and phytochemical potential of aqueous extract of TT (ATT). In this article the antioxidant activity and phytochemical evaluation of ATT was evaluated using DPPH free radical scavenging activity and total reducing capacity and various Phytochemical screening tests respectively. Also the Phytochemical investigation of ATT such as HPTLC and various chemical tests evaluated in this article.

MATERIAL AND METHODS

Plant Material

Fruits of *Tribulus terrestris* were collected and taxonomically identified and authenticated by Dr. Aashish Phadke M.D. (Ayur), an Ayurvedic consultant Mumbai. The sample specimen was preserved in our laboratory for future reference.

Plant Processing

The Fruits of *Tribulus terrestris* were dried under shade; then pulverized by a mechanical grinder, passed through a 40- mesh sieve, and stored in a well closed container for future use.

Preparation of Extracts (Muneer Al-Ali et.al, 2003)

250 gm of the dried powder of the fruits of TT was extracted for 4-5 hours with 1 litre of distilled water at 80 ^{0} c with occasional shaking. The extract then filtered and solvent was evaporated at room temperature to get the dry crude extract. The extract was stored in refrigerator for further use.

$$\text{Percentage yield} = \frac{\text{Weight of the extract}}{\text{Weight of the dried root powder}} \times 100$$

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Design, synthesis and biological evaluation of some 2-(6-nitrobenzo[d]thiazol-2-ylthio)-N-benzyl-N-(6-nitrobenzo[d]thiazol-2-yl)acetamide derivatives as selective DprE1 inhibitors

Jineetkumar Gawad & Chandrakant Bonde

Pages 2696-2708 | Received 19 Apr 2019, Published online: 01 Aug 2019

Cite this article | https://doi.org/10.1080/00397911.2019.1639756 | Check for updates

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Abstract

Tuberculosis (TB) is an infectious disease and caused by various strains of mycobacteria. In the present study, pharmacophore model was developed using single ligand by ligand-based drug discovery approach. The key features responsible for DprE1 inhibitory activity were taken into consideration for developing pharmacophore. After the virtual screening, top 1000 hits were further subjected to docking study using GLIDE module, Schrödinger. Docking studies have shown promising interaction with amino residues with better glide score. Ligand-based drug design approach yielded a series of 15, 2-(6-nitrobenzo[d]thiazol-2-ylthio)-N-benzyl-N-(6-nitrobenzo[d]thiazol-2-yl)acetamide derivatives. All synthesized derivatives were characterized using NMR, mass, CHN analysis. The synthesized compounds were screened for *In vitro* antitubercular activity against *Mycobacterium tuberculosis* ($H_{37}Rv$). Four compounds, **5g** (MIC-1.01 µM); **5i** (MIC-0.91 µM); **5k** (MIC-0.82 µM); and **5o** (MIC-1.04 µM) has shown promising activity compared to MIC of standard isoniazid (INH) and DprE1 enzyme inhibition was compared to BTZ043. Two halogen-substituted compounds have exhibited drastic enzyme inhibition.

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Enhancement of Antimicrobial Activity of Curcuma longa with the Combination of Ocimum sanctum and Gingiber officinale and Development and Evaluation of Topical Formulations

01-Oct-2018 *Research* *2018 : October - December*

S A Choudhari, N S Laxane, P V Duse, T P Pingle, S Muley*

The present investigation was designed to check antimicrobial activity of combination of three extracts of Curcuma longa (CL), Ocimum santum (OS) and Gingiber officinale (GO) together and the development of suitable dosage form. Crude samples of CL, OS and GO were collected, air dried, powdered and extracted with 50% ethanol by maceration method and subjected for phytochemical analysis. Antimicrobial activity of individual extracts of CL, OS and GO CL, OS, GO and combined extract was carried out by the agar well diffusion method and tube dilution method. The extracts were tested on clinical isolates include aerobic, facultative bacteria namely Stapyhlococcus aureus ATCC 25921, Escherichia coli ATCC 25922, Bacillus subtilis and fungus Aspergillus niger. The combined extract showed greater antimicrobial activity than individual hydroalcoholic extracts. The minimum inhibitory concentration (MIC) of extracts varied from species of microorganisms, it was found to be 1 to 1.2 mg/ml. Further combined extract was used for preparation of topical formulations such as gel (1%) and ointment (1%). The further antimicrobial study of gel and ointment was compared which showed no loss of antimicrobial activity of extracts after six months. Then both the formulations were evaluated for physical characteristics and stability study.

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PARIPEX - INDIAN JOURNAL OF RESEARCH Volume-7 | Issue-6 | June-2018 | PRINT ISSN No 2250-1991

ORIGINAL RESEARCH PAPER

Pharmacy

SIMULTANEOUS SPECTROPHOTOMETRIC ESTIMATION OF ABACAVIR SULFATE AND LAMIVUDINE IN TABLET DOSAGE FORM

KEY WORDS:

P. V. Duse* Viva Institute Of Pharmacy, Virar (E) , Shirgaon -401303.
S. N. Mokale Viva Institute Of Pharmacy, Virar (E) , Shirgaon -401303.
T. D. Pingale Viva Institute Of Pharmacy, Virar (E) , Shirgaon -401303.

Two simple, accurate and economic methods Area under curve and Multicomponent have been described for the simultaneous estimation of Abacavir and Lamivudine in tablet dosage form. Abacavir shows absorption maximum at 284.0nm and Lamivudine shows absorption maximum at 270.0nm in distilled water. Beers law was obeyed in the concentration range of 5-30 µg/ml for Both Abacavir and Lamivudine. The coefficient correlations were found to be 0.9995 for LAM and 0.9992 for ABAC respectively. The method allows rapid analysis of binary pharmaceutical formulation with accuracy. Results of Tablet analysis by Multicomponent method was found to be 99.99% for ABAC and 100.03% for LAM while by AUC method it was found to be 99.99% for ABAC and 99.97% for LAM respectively. Results of analysis of two methods were validated statistically and by recovery studies and were found satisfactory.

Abacavir sulfate is [(1S, 4R)-4-[2-Amino-6-cyclopropyl amino) 9H-Purin-9yl) cyclopent-2-enyl) methanol sulfate. It works by preventing HIV from infecting new cells and taking them over. Abacavir is converted by cellular enzymes to the active metabolite, carbovir triphosphate (CBV-TP), CBV-TP inhibits the activity of HIV-1 reverse transcriptase (RT) by its incorporation into viral DNA.

Lamivudine Chemically it is (2R, 5S)-4-Amino1 [2-(Hydroxy methyl)-1, 3-oxathiolan-5yl)-2(1H)-Pyrimidinedione. It is used in HIV infection. Lamivudine is phosphorylated to its active 5'-triphosphate metabolite, lamivudine triphosphate (3TC-TP). The principal mode of action of 3TC-TP inhibition of RT via DNA chain termination after incorporation of the nucleotide analogue. Both Abacavir sulfate (ABAC) and Lamivudine (LAM) are official in IP[1]. Both the Drugs are marketed as combined dose tablet formulation and the Ratio is 300:600 mg LAM: ABAC. Literature survey revealed that a number of methods have been reported for estimation of Abacavir sulfate individually or in combination with other drugs and Lamivudine or in combination with other drugs[2-10]. Present work describes two simple, accurate, reproducible, rapid and economical methods for simultaneous estimation of ABAC and LAM in tablet formulation.

A Jasco UV-VIS spectrophotometer model UV V-630 spectrophotometer was employed (spectral bandwidth 2 nm) with a pair of 1 m quartz cell for the method of AUC and UV 1800 shimadzu (UV /Vis spectrophotometer) spectral bandwidth 2 nm with a pair of 1 m quartz cell employed for the method of Multicomponent mode. Standard gift sample of Abacavir sulfate and Lamivudine were provided by Aurobindo Ltd., Hyderabad. Distilled water used as a solvent. Stock solution (100µg/ml) of ABAC and LAM prepared by dissolving 10mg of drug in 100ml double distilled water. The maximum absorbance of ABAC and LAM obtained at 284.0nm and 270.0nm respectively. ABAC and LAM shows their respective linearity in the concentration range of 5-30µg/ml at their respective wavelength maxima.

For all two methods, same mixed standard in the linearity range for each drug from 5-30 µg/ml of ABAC and LAM were prepared by diluting appropriate volumes of standard stock solutions. The scanning of solution of ABAC and LAM was carried out in the range of 400nm-200nm.

For Multicomponent analysis using inbuilt software instrument, two sampling wavelength 284.0nm and 270.0nm were selected for the estimation of two drugs. The concentrations of mixed standard solution were entered in the Multicomponent mode. The absorbance spectra of mixed standard and sample solutions were measured at selected wavelength as shown in fig.1. the instrument gives individual concentration of drug present in the sample solution directly.

In the simultaneous equation using AUC method, the 'X' values of each of two drugs were determined at the selected wavelength ranges 256.0-276.0 nm and 271.0-293.0nm. the 'X' values determined as Area under curve of component (from 256.0-276.0nm or 271.0-293.0nm) / concentration of component in g/l ------ (1) A set of two simultaneous equation framed using this 'X' values are as follows:

$A_1 = 677.7C_1 + 277.372C_2$ ---------- (2)

$A_2 = 850.16C_1 + 1043.0533C_2$ ---- (3) Where C_1 and C_2 are the concentrations of LAM and ABAC respectively in g/l in sample solution; A_1 and A_2 are the area under curve of sample solution at wavelength range 256.0-276.0nm and 271.0-293.0nm respectively; 677.7 and 277.372 are the 'X' values at wavelength range 256.0-276.0nm of LAM and ABAC respectively; while 850.16 and 1043.0533 are the 'X' values at wavelength range 271.0-293.0nm of LAM and ABAC respectively. The 'X' values reported are the mean of six independent determinations. By applying cramer's rule and matrices in equation (2) and (3), concentrations C_1 and C_2 can be obtained. The absorbance spectra of standard solutions were measured at selected wavelength range as shown in fig.2.

$$C_{LAM} = \frac{X_{LAM\,271.293} \times AUC_{256.276} - X_{ABAC\,256.276} \times AUC_{271.293}}{X_{LAM\,271.293} \times X_{ABAC\,256.276} - X_{ABAC\,256.276} \times X_{LAM\,271.293}} \quad \text{---------- (4)}$$

$$C_{ABAC} = \frac{X_{ABAC\,256.276} \times AUC_{271.293} - X_{LAM\,271.293} \times AUC_{256.276}}{X_{LAM\,271.293} \times X_{ABAC\,256.276} - X_{ABAC\,256.276} \times X_{LAM\,271.293}} \quad \text{---------- (5)}$$

Where AUC 256-276 and AUC 271-293 are the area under curves of solution at wavelength range between 256-276 nm (LAM) and 271-293 nm (ABAC) respectively.

Twenty tablets of LAM and ABAC in combination were weighed; their average weight was determined and finally crushed to powder sample. from the triturate, tablet powder equivalent to 300mg of LAM and 600 mg of ABAC was weighed and transferred to 100 ml volumetric flask and dissolve in 50 ml water and the content was kept in ultrasonicator for 30 min. finally the volume was made up to the mark with water. The solution was filtered through Whatman filter paper No.41.

This tablet solution was further diluted to obtain 15 µg/ml of LAM and 30µg/ml of ABAC. The mixed sample solution were scanned using proposed methods as discussed above and the results were obtained and reported in table 1. recovery studies were carried out at 80%, 100% and 120% level of the label claim. The percentage recovery of ABAC and LAM in the sample mixture were determined and reported in table 1.

The coefficient correlations were found to be 0.9995 for LAM and 0.9992 for ABAC. The results of tablet analysis and recovery

VIVA Technical Campus, At. Post Shirgaon, Virar (East),
Dist. Palghar - 401 305. Tel. :7875905000 Website: www.vivapharmacy.org
E-mail :pharmacy@vivacollege.org / principal@vivapharmacy.org

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Date: 2023.11.16 11:46:44 +05'30'

Principal
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Research Article

Quality Control Study in Various Nutraceutical Aloe vera Formulations

Sushruta Mulay* and Sunita Ogale

VIVA Institute of Pharmacy, Maharashtra, India

*Corresponding Author: Sushruta Mulay, VIVA Institute of Pharmacy, Maharashtra, India.

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Abstract

Many *aloe vera* formulations as nutraceutical supplements are available in Indian market. However they differ in the quality control parameters. Hence it is of prime importance in order to get uniformity in the products. The lack of available information on the quality and composition of *aloe vera* has been a major obstacle to scientific studies. In present research we tried to establish quality control parameters of formulations in market and compared for their therapeutic and safe use as per IASC (International Aloe science Council).

Keywords: Acemannan; Anthraquinones; Maltodextrins.

Introduction

Standardization of herbal formulations is an important step of a consistent biological activity establishment, chemical profiling or simply a quality assurance programme [1]. WHO specifies guidelines for the assessment of safety, efficacy and quality of herbal medicines as a prerequisite for global harmonization.

International Aloe Science Council (IASC) has developed a set of identity, purity and quality standards for *aloe vera* juice products. Products certified by IASC are specifically prepared in a manner that limits the total amount of anthraquinones in raw materials and finished products, ensures presence of polysaccharides at or above a minimum level and includes assays to ensure the absence of specific adulterants.

Materials and Methods

STD barbaloin was a benevolent gift from ICT Mumbai, Reagents used were of IP grade.

Seven marketed products of *aloe vera* were labelled as A1, A2, A3, A4, A5 A6 and A7. A comparative study was performed on organoleptic characters, physical nature, chemical profiling and presence of adulterants if any in the product as per IASC guidelines.

Experimental

Compound	Certification Requirement
Acetylated mannan	≥ 5% by dry weight
Glucose	Present
Aloin	≤ 10 ppm
Maltodextrins	Must be listed on label and analysis must meet label claims
Solids	≥ 1.0%

Table 1: IASC Certification Requirement for *Aloe Vera* Inner Leaf Juice [2].

Organoleptic Parameters	Certification Requirement
Colour	Colourless to caramel coloured
Taste	Tasteless to slightly bitter
Aroma	Odourless to mildly vegetative

Table 2: Organoleptic Characterization as per IASC.

Note: The organoleptic characteristics of products of aloe vera leaf juice can vary considerably depending upon the processing techniques and additives used.

Formulation	Composition	Dosage	Indications
A1	*Aloe vera* Extract 99%	30 ml twice a day	Multipurpose
A2	*Aloe vera* Fibrous Juice	20 - 30 ml dilute with equal volume of water	Dietary supplement
A3	*Aloe vera* Juice	30 ml once a day	Useful in intestinal problems, Constipation and gastric disorders
A4	*Aloe vera* Juice	15 - 25 ml twice a day	Dietary supplement
A5	98% Pure *Aloe vera* Juice	30 ml once a day	Nutritional storehouse, antioxidant and immune booster
A6	*Aloe vera* Extract 100%	5 caps	Cures internally intestinal problems
A7	98% Pure *Aloe vera* Juice	30 ml once a day	Dietary supplement

Table 3: Label Claims of Marketed Formulations.

Citation: Sushruta Mulay and Sunita Ogale. "Quality Control Study in Various Nutraceutical *Aloe vera* Formulations". *Acta Scientific Pharmaceutical Sciences* 2.8 (2018): 03-09.

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EXCLI J. 2018 Jun 27:17:598-607. doi: 10.17179/excli2018-1325. eCollection 2018.

Targeting PPAR-γ to design and synthesize antidiabetic thiazolidines

Ramesh L Sawant [1], Jyoti B Wadekar [2], Santosh B Kharat [1], Hitakshi S Makasare [3]

Affiliations + expand

PMID: 30108464 PMCID: PMC6088216 DOI: 10.17179/excli2018-1325

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Abstract

A series of thiazolidine derivatives were designed by docking into PPAR-γ active site. The structure of target was obtained from the protein data bank (PDB ID P37231). A library of 200 molecules was prepared on random basis. Molecular docking studies were performed using VLife MDS 4.3 software. After molecular docking studies, the 4-substituted-6-methyl-2-thioxo-1,2,3,4-tetrahydropyrimidine-5-carboxylic acid N-[4-(2,4-dioxo-thiazolidin-5-ylidenemethyl)-phenyl]-hydrazides (**4a-4h**) were selected for synthesis. The progress of reaction and purity of the synthesized compounds were monitored by TLC and melting point. Structures of title compounds were confirmed by elemental analysis, IR, ^{1}H NMR and mass spectral data. The antidiabetic activity of title compounds was performed using the Wistar rats by alloxan-induced method. The compounds have shown antidiabetic activity comparable with the standard drug pioglitazone. These findings suggest that potent antidiabetics can be generated by substituting nonpolar, electron withdrawing substituents at the fourth position of pyrimidine skeleton and hydrogen bond acceptor at the nitrogen of the thiazolidine nucleus, to inhibit peroxisome proliferator-activated receptor-γ.

Keywords: PPAR-gamma; alloxan; antidiabetic; docking; thiazolidine.

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Review > Indian J Tuberc. 2018 Jan;65(1):15-22. doi: 10.1016/j.ijtb.2017.08.011. Epub 2017 Aug 14.

Current Affairs, Future Perspectives of Tuberculosis and Antitubercular Agents

Jineetkumar Gawad [1], Chandrakant Bonde [2]

Affiliations + expand

PMID: 29332642 DOI: 10.1016/j.ijtb.2017.08.011

Abstract

Tuberculosis (TB) is the major threat for humans from past several decades. Even after advent of several antitubercular drugs, researchers are still struggling for the mycobacterial infections in humans are TB and leprosy. Chronic infections caused by Mycobacterium tuberculosis and Mycobacterium leprae. A particular problem with both of these organisms is that they can survive inside macrophages after phagocytosis, unless these cells are activated by cytokines produced by T-lymphocytes, because of this researchers are not yet succeeded in finding effective treatment on TB. In recent years TB has spread globally and became the major issue for world healthcare organizations. Some compounds like benzothiazinones shown promising activity against mycobacterium, few compounds are in pipeline which may exhibit improved pharmacological effect. Decaprenylphosphoryl-d-ribose 2'-epimerase (DprE1) is the vulnerable target for antitubercular drug discovery. DprE1 is a flavoprotein that along with decaprenylphosphoryl-2-keto-ribose reductase catalyses epimerization of decaprenylphosphoryl-d-ribose to decaprenylphosphoryl-d-arabinose through an intermediate formation of decaprenylphosphoryl-2-keto-ribose. This conversion makes DprE1 a potential drug target. Further research requires to tackle the biggest hurdles in Tuberculosis treatment, i.e. multi drug and extensively drug resistance.

SUNITA CHANDRASHEKHAR OGALE
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Principal
VIVA INSTITUTE OF PHARMACY

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Decaprenyl-phosphoryl-ribose 2'-epimerase (DprE1): challenging target for antitubercular drug discovery

Jineetkumar Gawad [1], Chandrakant Bonde [2]

Affiliations + expand

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Abstract

Tuberculosis has proved harmful to the entire history of mankind from past several decades. Decaprenyl-phosphoryl-ribose 2'-epimerase (DprE1) is a recent target which was identified in 2009 but unfortunately it is neither explored nor crossed phase II. In past several decades few targets were identified for effective antitubercular drug discovery. Resistance is the major problem for effective antitubercular drug discovery. Arabinose is constituent of mycobacterium cell wall. Biosynthesis of arabinose is FAD dependant two step epimerisation reaction which is catalysed by DprE1 and DprE2 flavoprotein enzymes. The current review is mainly emphases on DprE1 as a perspective challenge for further research.

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Synthesis, biological evaluation and molecular docking studies of 6-(4-nitrophenoxy)-1*H*-imidazo[4,5-b] pyridine derivatives as novel antitubercular agents: future DprE1 inhibitors

Jineetkumar Gawad* and Chandrakant Bonde

Abstract

Tuberculosis is an air-borne disease, mostly affecting young adults in their productive years. Here, Ligand-based drug design approach yielded a series of 23 novel 6-(4-nitrophenoxy)-1*H*-imidazo[4,5-b]pyridine derivatives. The required building block of imidazopyridine was synthesized from commercially available 5,5-diaminopyridine-3-ol followed by four step sequence. Derivatives were prepared using various substituted aromatic aldehydes. All the synthesized analogues were characterized using NMR, Mass analysis and also screened for in vitro antitubercular activity against *Mycobacterium tuberculosis* ($H_{37}Rv$). Four compounds, **5c** (MIC-0.6 µmol/L); **5g** (MIC-0.5 µmol/L); **5i** (MIC-0.8 µmol/L); and **5u** (MIC-0.7 µmol/L) were identified as potent analogues. Drug receptor interactions were studied with the help of ligand docking using maestro molecular modeling interphase, Schrodinger. Here, computational studies showed promising interaction with other residues with good score, which is novel finding than previously reported. So, these compounds may exhibit in vivo DprE1 inhibitory activity.

Keywords: Tuberculosis, Imidazopyridine derivatives, DprE1 inhibitors, Antitubercular activity

Introduction

Tuberculosis is major threat for mankind from past several decades. Tuberculosis is the leading cause of death from infectious diseases [1]. Although the number of tuberculosis cases decreased during the twentieth century, the emergence of HIV and the incidence of multiple-drug resistance (MDR) have increased the difficulty of treating many new cases. Despite of the efforts taken to improve the outcome of tuberculosis care, the discovery of new antibiotics against the causative agent is not in a race of expected progress [2, 3]. With this, new and more effective molecules with novel mechanism of action are required to discover which may shorten the treatment, improve patient adherence, and reduce the appearance of resistance [4].

Furthermore, *Mycobacterium tuberculosis* (*M. tuberculosis*) has also proven one of the world's most dreadful human pathogen because of its ability to persist inside humans for longer time period in a clinically inactive state. Roughly 95% of the general population who infected (33% of the worldwide population) built up an inert infection [5, 6]. The current available vaccine, *Mycobacterium bovis* Bacillus Calmette–Guerin (BCG). *M. tuberculosis* stimulates a solid response, however it has ability to oppose the body's activities to kill it and regardless of the possibility of underlying disease is effectively controlled. The discovery of drugs with novel mechanism of action is required because of the expanding number of MDR, which are strains of *M. tuberculosis* that are resistant to both isoniazid and rifampicin (first line therapy), with or without protection from different medications,

*Correspondence: jineetkumar.gawad@nmims.edu
Department of Pharmaceutical Chemistry, School of Pharmacy & Technology Management, SVKM's NMIMS, Shirpur Campus, Dhule 425 405, India

SUNITA CHANDRASHEKHAR OGALE
Digitally signed by SUNITA CHANDRASHEKHAR OGALE
Date: 2023.11.16 11:47:54 +05'30'

Principal
VIVA INSTITUTE OF PHARMACY

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Design, Development and Evaluation of Herbal Transdermal Patches for Anti-Inflammatory Activity

Manjunath Setty M[1], Surendra Swarnkar[1], Neha Laxane[2], Sumit Laxane[1*]

[1]*Department of Pharmacognosy, Manipal College of Pharmaceutical Sciences, Manipal, India*
[2]*VIVA Institute of Pharmacy, Shirgaon Campus, Virar, India*

***Corresponding author e-mail: sumit.laxane@gmail.com**

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ABSTRACT

In this study, various transdermal matrix patches containing Commiphora mukul of variable combination of ethyl cellulose/polyethylene glycol with enhancer (menthol: limonene) were prepared. The prepared patches were studied with respect to physicochemical characters, drug-excipient interaction, dissolution, skin permeation, stability and *in vivo* anti-inflammatory studies. The combination of ethyl cellulose and polyethylene glycol produces smooth flexible films. Dissolution and *in vitro* skin permeation studies revealed that the cumulative amount of drug permeated was decreased as the polyethylene content of the film increased. The film containing enhancer shows greater release as compared to film containing no enhancer. Based on *in vitro* skin permeation studies, CM4 [PEG/EC, 1:5, menthol (*36 ug*): limonene (*36 ul*)], was found to be better formulation as it released a maximum amount of drug. In stability studies, all the formulations were stable with respect to physical properties up to 45 days.

Keywords: Transdermal patches, Commiphora mukul, Ethyl cellulose/polyethylene glycol, Enhancer.

INTRODUTION

Discovering a new medicine is a very expensive and time-consuming undertaking. However, re-designing the modules and means to transport medicine into the body is a less demanding and more lucrative task. The design of dosage form, whether a tablet, an injection or a patch; to deliver the right amount of medicine at the right time to the right target site becomes complicated if each medication were to be delivered in an optimal and preferred manner to the individual patient. The medication may not be absorbed if it is released too slowly. If it is delivered too rapidly, the patient may suffer untoward effects and its desired effects may not last if needed. If patient is expected to take the medicine more than two times a day, compliance will be adversely affected. One of the solutions developed is transdermal drug delivery system which can deliver medicines via the skin portal to systemic circulation at a predetermined rate and maintain clinically effective concentration over a prolonged period. This route of drug administration avoids the hazards and discomfort associated with parental therapy and improves patient compliance, as it is easy to apply a patch [1].

Despite the small number of drugs currently delivered via this route, it is estimated that worldwide market revenues for transdermal products are US $ 3B, shared between the USA at 56%, Europe 32% and Japan at 7%. In a recent market report, it was suggested that the growth rate for transdermal delivery system will increase 12% annually (Benson AE, 2005) [2]. Transdermal products for cardiovascular disease, Parkinson's

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Abstract

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Tuberculosis has proved harmful to the entire history of mankind from past several decades. Decaprenyl-phosphoryl-ribose 2′-epimerase (DprE1) is a recent target which was identified in 2009 but unfortunately it is neither explored nor crossed phase II. In past several decades few targets were identified for effective antitubercular drug discovery. Resistance is the major problem for effective antitubercular drug discovery. Arabinose is constituent of mycobacterium cell wall. Biosynthesis of arabinose is FAD dependant two step epimerisation reaction which is catalysed by DprE1 and DprE2 flavoprotein enzymes. The current review is mainly emphases on DprE1 as a perspective challenge for further research.

Keywords: DprE1, Antitubercular agents, Covalent and non covalent inhibitors, Future needs

Introduction

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