3.3.1 Number of research papers published per teacher in the Journals notified on UGC care list during the last five years.

A list of research papers published per teacher in the Journals notified on UGC care list during A. Y. 2023-24 followed by front pages of research papers are attached.

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Shreeyash Institute Of Pharmaceutical Education and Research
Chh.Sambhajinagar

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Research Publications 2023-24

Sr. No.	Title of paper	Name of the author/s	Name of journal	Link to article / paper / abstract of the article
1	Novel Pyrazole-Chalcone Hybrids: Synthesis and Computational Insights Against Breast Cancer	Sachin A. Dhawale Pratap S. Dabhade, Manjushri P. Dabhade, Lala S. Rathod,	Chemistry and biodiversity	https://onlinelibrary.wiley.com/doi/10.1002/cbdv.202400015
2	Molecular docking and molecular dynamic simulation-based phytoconstituents against SARS- CoV-2 with dual inhibition of the primary protease targets	Sachin A Dhawale Sadhana Mahajan, Madhuri Pandit, Sachin Gawale, Mangesh Ghodke, Ganesh Tapadiya	Natural Product Research	https://pubmed.ncbi.nlm.nih.gov/38517217/
3	Dual targeting in prostate cancer with phytoconstituents as a potent lead: a computational approach for novel drug discovery	Sachin A Dhawale	Journal of Biomolecular Structure and Dynamics	https://www.tandfonline.com/doi/full/10.1080/07391102.2023.2251059
4	Discovery of novel pyrimidine based small molecule inhibitors as VEGFR-2 inhibotors: Design, Synthesis and Anticancer studies	Sachin A Dhawale	Current Computer Aided Drug Design	https://europepmc.org/article/med/38185893
5	Molecular docking and molecular dynamic simulation-based phytoconstituents against SARS- CoV-2 with dual inhibition of the primary protease targets	Sachin A Dhawale Sadhana Mahajan, Madhuri Pandit, Sachin Gawale, Mangesh Ghodke, Ganesh Tapadiya	Natural Product Research	https://pubmed.ncbi.nlm.nih.gov/38517217/
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9	Development and evaluation of novel famotidine-loaded fast dissolving sublingual film using the quality-by-design approach	Ganesh Tapadiya	Journal of Drug Delivery Science and Technology	https://www.sciencedirect.com/science/article/abs/pii/S1773224723004331
10	Development and Validation of simplified RP-HPLC Method for quantification of Candisartan Cilexetil in commercial formulations	Rashmi Tambare	Der Pharma Chemica	https://www.derpharmachemica.com/abstract/development-and-validation-of-simplified-rphplc-method-for-quantification-of-candesartan-cilexetil-in-commercial-formula-104353.html
11	Dual targeting in prostate cancer with phytoconstituents as a potent lead: a computational approach for novel drug discovery	Geetanjali Patil	Journal of Biomolecular Structure and Dynamics	https://www.tandfonline.com/doi/full/10.1080/07391102.2023.2251059
12	Development and evaluation of novel famotidine-loaded fast dissolving sublingual film using the quality-by-design approach	Vishal C. Gurumukhi	Journal of Drug Delivery Science and Technology	https://www.sciencedirect.com/science/article/abs/pii/S1773224723004331

Novel Pyrazole-Chalcone Hybrids: Synthesis and Computational Insights Against Breast Cancer

Pratap S. Dabhade,[a, b] Manjushri P. Dabhade,[c] Lala S. Rathod,[a] Sachin A. Dhawale,[d] Shweta A. More,[e] Somdatta Y. Chaudhari,[f] and Santosh N. Mokale*[a]

More women die of breast cancer than of any other malignancy. The resistance and toxicity of traditional hormone therapy created an urgent need for potential molecules for treating breast cancer effectively. Novel biphenyl-substituted pyrazole chalcones linked to a pyrrolidine ring were designed by using a hybridization approach. The hybrids were assessed against MCF-7 and MDA-MB-231 cells by NRU assay. Among them, 8k, 8d, 8m, 8h, and 8f showed significantly potent IC_{50} values: 0.17, 5.48, 8.13, 20.51, and 23.61 μM) respectively, on MCF-7 cells compared to the positive control Raloxifene and Tamoxifen. Furthermore, most active compound **8k** [3-(3-(4-fluorophenyl)-1-phenyl-1H-pyrazol-4-yl)-1-(2-(2-(pyrrolidin-1-yl)-ethoxy)-phenyl)-chalcone] showed cell death induced through apoptosis, cell cycle arrest at the G2/M phase, and demonstrated decrease of ER-α protein in western blotting study. Docking studies of **8k** and **8d** established adequate interactions with estrogen receptor-α as required for SERM binding. The active hybrids exhibited good pharmacokinetic properties for oral bioavailability and drug-likeness. Whereas, RMSD, RMSF, and Rg values from Molecular dynamics studies stipulated stability of the complex formed between compound **8k** and receptor. All of these findings strongly indicate the antiproliferative potential of pyrazole-chalcone hybrids for the treatment of breast cancer.

1. Introduction

Cancer continues to be the world's primary cause of death even with advancements in preventative and therapeutic techniques. Addressing cancer, particularly metastases, remains a formidable challenge.[1] The imperative for new, potent, and safer anticancer agents, displaying enhanced cytotoxicity in cancerous cells, cannot be overstated.[2] The severity of the situation is illustrated by epidemiology statistics data, which predicts a remarkable of 12.8 percent rise in cases of new cancer in India by 2025.[3] The most prevalent cancer types worldwide, includes lung, breast, colorectal, prostate, skin, and stomach, which emphasizes the urgent need for novel therapeutic strategies.

Hormone-positive (HR+/Human epidermal growth factor receptor-2 (HER-2) negative breast cancers (BC) are by far the most common subtype among women in each racial/ethnic group.[4] However, the proportion of estrogen-positive (ER+) BC is increasing with overall rates of between 79% and 84% (with higher ER+ rates occurring in postmenopausal subpopulations).[5] The leading cause of death for women globally is the development of metastatic breast cancer.[6] Among the two isoforms of estrogen receptor (ER), estrogen receptor alpha (ER-α) overexpression leads to BC cell proliferation and metastasis.[7]

Currently, three major classes of endocrine therapy (ET) drugs are used to treat HR+/HER-2$^-$ BC. Aromatase inhibitors (AIs) suppress estrogen biosynthesis by blocking androgen to estrogen conversion catalyzing enzyme. Steroidal (exemestane) and non-steroidal (anastrozole and letrozole) AIs are more effective in preventing disease relapse compared to tamoxifen (TAM). The long duration of AI treatment limits its use due to unwanted side effects such as hot flashes, night sweats, menopause, arthralgia/myalgia, and osteoporosis.[8]

Selective estrogen receptor modulators (SERMs) compete with estrogen for ER binding and show mixed agonist/antagonist potentials in a tissue-specific fashion. Among the most commonly used SERMs (TAM) and toremifene (TOR) are used clinically to prevent, as an adjuvant, and in treatment of early and metastatic BC in postmenopausal women as a first-line ET.[9] The most conventional undesirable effects associated with TAM & TOR therapy are hot flashes, nausea, insomnia, and vaginal discharge. Whereas, more severe life-threatening effects include thromboembolic diseases. However, an increased risk of endometrial cancer is associated with TAM only. TOR has

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NATURAL PRODUCT RESEARCH
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Molecular docking and molecular dynamic simulation-based phytoconstituents against SARS-CoV-2 with dual inhibition of the primary protease targets

Sachin A. Dhawale[a], Sadhana Mahajan[b], Madhuri Pandit[a], Sachin Gawale[a], Mangesh Ghodke[a] and Ganesh Tapadiya[a]

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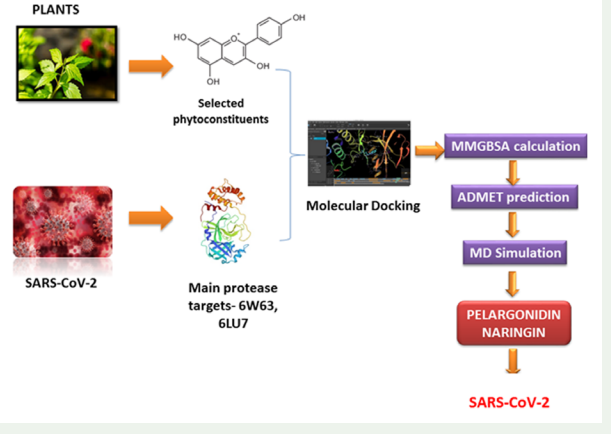
ABSTRACT

A novel coronavirus has caused major health problems and is spreading globally. The main protease enzyme plays a significant role in the number of copies of ss-RNA produced during the proteolytic cleavage of polypeptides. This work aims to find possible dual inhibitors of the 3-Chymotrypsin-like proteases PDB-6W63 and 6LU7 which increase efficiency and faster inhibition activity. By using an in-silico technique, polyphenols are molecularly docked against these targets to inhibit protease enzymes. Some polyphenols, such as pelargonidin and naringin, have significant dual inhibition characteristics with remarkable binding affinities with active scaffolds of both proteins, which have important ADMET parameters. These organic molecules are strongly bonded with amino acids of protein *via* mostly hydrogen bonding. These polyphenols also have outstanding docking scores and MMGBSA energies. The validity of the docking score was evaluated using a molecular dynamics simulation that assessed the stability of the complex. With the aid of computer-aided drug design, we hypothesise that the dual inhibition of compounds pelargonidin and naringin could effectively and potentially oppose SARS-CoV-2.



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Dual targeting in prostate cancer with phytoconstituents as a potent lead: a computational approach for novel drug discovery

Sachin A. Dhawale[a], Pallavi Bhosle[b], Sadhana Mahajan[c], Geetanjali Patil[a], Sachin Gawale[a], Mangesh Ghodke[a], Ganesh Tapadiya[a] and Azim Ansari[d]

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Communicated by Ramaswamy H. Sarma

ABSTRACT

Prostate Cancer (PCa) is an abnormal cell growth within the prostate. This condition is the second most widespread malignancy in elderly males and one of the most frequently diagnosed life-threatening conditions. The Androgen receptor signaling pathway played a crucial role in the initiation and spread to increase the risk of PCa. Hence, targeting the AR receptor signaling pathway is a key strategy for a therapeutic plan for PCa. Our study focuses on recognizing potential inhibitors for dual targeting in PCa by using the *in-silico* approach. In this study, we target the two enzymes that are CYP17A1 (3RUK) and 5α-reductase (3G1R) responsible for PCa, with the help of phytoconstituents. The natural plant contains various phytochemical types produced from secondary metabolites and used as a medical treatment. The *in-silico* investigation of phytoconstituents and enzymes was done by approaching molecular docking, ADMET analysis, and high-level molecular dynamic simulation used to assess the stability and binding affinities of the protein-ligand complex. Some phytoconstituents, such as Peonidin, Pelargonidin, Malvidin and Berberine show complex has good molecular interaction with protein. The reliability of the docking scores was examined using a molecular dynamic simulation, which revealed that the complex remained stable throughout the simulation, which ranged from 0 to 200 ns. The selected hits may be effective against CYP17A1 (3RUK) and 5α-reductase (3G1R) (PCa) using a computer-aided drug design (CADD) method, which further enables researchers for upcoming in-vivo and in-vitro research, according to our *in-silico* approach.

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RESEARCH ARTICLE

Discovery of Novel Pyrimidine Based Small Molecule Inhibitors as VEGFR-2 Inhibitors: Design, Synthesis, and Anti-Cancer Studies

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Abstract: Background: Receptor tyrosine kinases (RTKs) are potent oncoproteins in cancer that, when mutated or overexpressed, can cause uncontrolled growth of cells, angiogenesis, and metastasis, making them significant targets for cancer treatment. Vascular endothelial growth factor receptor 2 (VEGFR2), is a tyrosine kinase receptor that is produced in endothelial cells and is the most crucial regulator of angiogenic factors involved in tumor angiogenesis. So, a series of new substituted N-(4-((2-aminopyrimidin-5-yl)oxy)phenyl)-N-phenyl cyclopropane-1,1-dicarboxamide derivatives as VEGFR-2 inhibitors have been designed and synthesized.

Methods: Utilizing H-NMR, C13-NMR, and mass spectroscopy, the proposed derivatives were produced and assessed. HT-29 and COLO-205 cell lines were used for the cytotoxicity tests. The effective compound was investigated further for the Vegfr-2 kinase inhibition assay, cell cycle arrest, and apoptosis. A molecular docking examination was also carried out with the Maestro-12.5v of Schrodinger.

Results: In comparison to the reference drug Cabozantinib (IC_{50} = 9.10 and 10.66 μM), compound SP2 revealed promising cytotoxic activity (IC_{50} = 4.07 and 4.98 μM) against HT-29 and COLO-205, respectively. The synthesized compound SP2 showed VEGFR-2 kinase inhibition activity with (IC_{50} = 6.82 μM) against the reference drug, Cabozantinib (IC_{50} = 0.045 μM). Moreover, compound SP2 strongly induced apoptosis by arresting the cell cycle in the G1 phase. The new compounds' potent VEGFR-2 inhibitory effect was noted with key amino acids Asp1044, and Glu883, and the hydrophobic interaction was also observed in the pocket of the VEGFR-2 active site by using a docking study.

Conclusion: The results demonstrate that at the cellular and enzyme levels, the synthetic compounds SP2 are similarly effective as cabozantinib. The cell cycle and apoptosis data demonstrate the effectiveness of the suggested compounds. Based on the findings of docking studies, cytotoxic effects, *in vitro* VEGFR-2 inhibition, apoptosis, and cell cycle arrest, this research has given us identical or more effective VEGFR-2 inhibitors.

Keywords: Pyrimidine, molecular modeling, anti-proliferation, VEGFR-2 kinase, cell cycle, apoptosis.

1. INTRODUCTION

Developing effective and safe chemotherapeutic drugs for cancer treatment is an extraordinarily difficult field for medicinal chemists. These are precisely on a variety of various biological processes and the development of various cancer types [1-3]. Protein kinases serve as intermediates in the majority of signal transduction pathways, and aberrant kinase signaling can cause various solid tumours, including breast, colon, prostate, and gastric cancers [4, 5]. RTKs (Receptor Tyrosine Kinases) are crucial for controlling intracellular signal transmission [6]. Major ailments such as rheumatoid arthritis, diabetes, atherosclerosis, retinopathies, and cancer are related to abnormal regulation of angiogenesis [7–9]. Since tumour angiogenesis is an essential stage in the development and spread of cancer, it is a prerequisite for tumour growth [10-12]. To prevent tumor growth and spread, targeted suppression of tumor angiogenesis could be a feasible treatment approach. Numerous proangiogenic and antiangiogenic mediators, including PDGF ("Platelet-Derived Growth Factor"), FGF ("Fibroblast Growth Factor"), VEGF ("Vascular Endothelial Growth Factor)", and other cytokines, are formed by host and tumor cells and con-

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Molecular docking and molecular dynamic simulation-based phytoconstituents against SARS-CoV-2 with dual inhibition of the primary protease targets

Sachin A. Dhawale[a], Sadhana Mahajan[b], Madhuri Pandit[a], Sachin Gawale[a], Mangesh Ghodke[a] and Ganesh Tapadiya[a]

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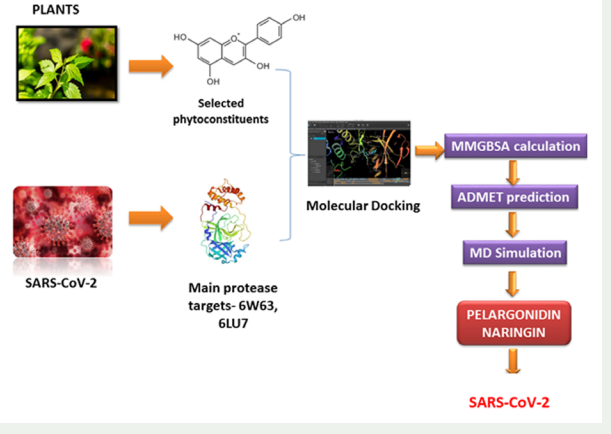
ABSTRACT

A novel coronavirus has caused major health problems and is spreading globally. The main protease enzyme plays a significant role in the number of copies of ss-RNA produced during the proteolytic cleavage of polypeptides. This work aims to find possible dual inhibitors of the 3-Chymotrypsin-like proteases PDB-6W63 and 6LU7 which increase efficiency and faster inhibition activity. By using an in-silico technique, polyphenols are molecularly docked against these targets to inhibit protease enzymes. Some polyphenols, such as pelargonidin and naringin, have significant dual inhibition characteristics with remarkable binding affinities with active scaffolds of both proteins, which have important ADMET parameters. These organic molecules are strongly bonded with amino acids of protein *via* mostly hydrogen bonding. These polyphenols also have outstanding docking scores and MMGBSA energies. The validity of the docking score was evaluated using a molecular dynamics simulation that assessed the stability of the complex. With the aid of computer-aided drug design, we hypothesise that the dual inhibition of compounds pelargonidin and naringin could effectively and potentially oppose SARS-CoV-2.



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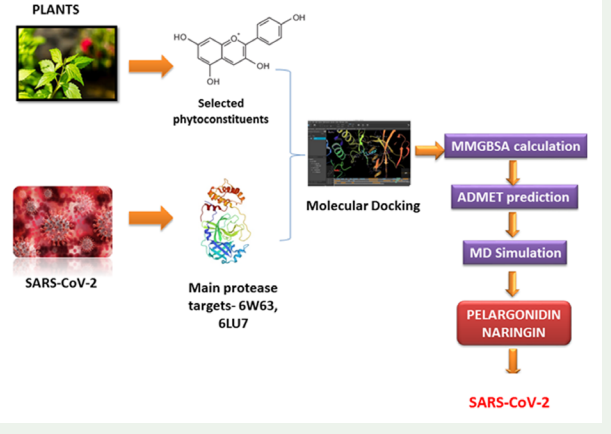

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Development and evaluation of novel famotidine-loaded fast dissolving sublingual film using the quality-by-design approach

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ARTICLE INFO

Keywords:
Famotidine
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ABSTRACT

The present study deals with the development and evaluation of a novel famotidine (FMD) loaded fast-dissolving sublingual film based on quality-by-design approach using a solvent casting technique. Initially, quality target product profile (QTPP) was set to build quality in patient-centric products. The risk assessment and risk management were performed using Ishikawa diagram and failure mode effect analysis (FMEA). A central composite design (CCD) was employed in order to assess the effect of the formulation variables such as HPMC K-15 and PEG-400 on their responses such as content uniformity, folding endurance, and thickness. The developed optimized sublingual film was characterized by Fourier-transform infrared spectroscopy (FTIR) and differential scanning calorimetry (DSC) for its intermolecular interactions. The morphology of the optimized film was studied by scanning electron microscopy (SEM) in which the drug particles appeared spherical. The developed film showed stability for 3 months according to the International Conference on Harmonization (ICH) Q1A (R2) guidelines. A dissolution study showed enhanced dissolution for the optimized sublingual film as compared to the buccal and oral film. The permeation study of the optimized film showed the highest permeation within 30 min. *In vivo* study using rabbit as model animal exhibited the improved bioavailability of the drug i.e. 2.05 folds. The drug reached systemic circulation within 15 min to improve bioavailability significantly. Thus, the fast dissolving sublingual film containing FMD potentially overcomes the biopharmaceutical challenges and can be a better alternative system for the administration of FMD for the treatment of peptic ulcers.

1. Introduction

Famotidine (FMD) is a H_2-receptor antagonist and potent histamine having low oral bioavailability [1]. It is classified as a class IV drug based on biopharmaceutical classification system (BCS), having poor aqueous solubility and low permeability. FMD is prescribed for the treatment of ulcers such as peptic ulcer, duodenal ulcers, Zollinger-Ellison syndrome, and gastroesophageal reflux disease. A daily FMD oral dose of 40 mg is suggested for the treatment of benign gastric and duodenal ulcers [2]. It is prescribed for the treatment and prevention of heartburn due to acid indigestion and sour stomach caused by eating or drinking certain foods or drinks [3].

FMD is more potent than other H_2 antagonists such as ranitidine and cimetidine, respectively [1,3]. It demonstrates the first pass effect and its bioavailability ranging from 40% to 50% after oral administration of FMD due to several factors such as poor aqueous solubility, and gastric degradation with a short biological half-life (2.5–3.5 h) [2]. FMD is converted into famotidine S-oxide in the liver metabolism after oral administration and exhibits an excellent tolerability profile with minimal side effects. The metabolite has no pharmacological activity on gastric acid secretion. It is eliminated by the renal route largely (65–70%) as an unchanged drug and metabolic route (30–35%) with an elimination half-life of 2.5–3.5 h limits its clinical applications [4].

Therefore, there is a necessity to develop an alternative dosage form that can bypass the first-pass metabolism to improve bioavailability. Various types of pharmaceutical thin films such as sublingual, buccal, and palatal administration have been developed which avoid first-pass metabolism and gastrointestinal (GI) absorption [5]. The sublingual

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Development and Validation of Simplified RP-HPLC Method for Quantification of Candesartan Cilexetil in Commercial Formulations

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ABSTRACT

In order to produce antihypertensive effects, Candesartan Cilexetil (CC), a candesartan inactive prodrug, was quickly converted into active candesartan after absorption in the Gastrointestinal (GI) tract. This research study describes the development and validation of an accurate, precise, repeatable, easy and speedy Reverse-Phase High-Performance Liquid Chromatography (RP-HPLC) approach for measuring CC in a formulation using reverse-phase HPLC. In this study, liquid chromatography was performed on a Zorbax SB C-18 analytical column with dimensions of 2504.6 mm, 5 m, using a mobile phase consisting of Acetonitrile (ACN) and 0.1 percent orthophosphoric acid (pH 2.5) in a ratio of 35:65 vol/vol as the mobile phase. Results were presented as mean Standard Deviation (SD). This experiment used an injection volume of 20 microliters to measure the sample at 258 nano liters per minute while using a flow rate of 1.5 microliters per minute. 4.2 minutes was determined to be the retention time for both the reference and sample drugs. There was no evidence of nonlinearity in the calibration curve for CC when the concentration ranged from 50 ppm to 160 ppm and the Regression coefficient (R^2) was determined to be 0.9996. When the percentage recovery of CC was achieved, it was in the range of 98.10 percent to 98.70 percent, indicating that the present approach was very accurate. Recovery trials using Percent Relative Standard Deviation (percent RSD) with intra and inter-day accuracy were found to be less than 2 percent, demonstrating that the established procedure is repeatable. The technique was verified in accordance with the International Conference on Harmonization (ICH) requirements and may be highly recommended for regular analysis of CC due to the fact that it is the most reliable and quick methodology currently available. Despite the fact that a great deal of research has been done on calculating CC in dosage forms, this study was determined to be under green chemistry conditions, as well as being quick and inexpensive. As a result, the statistical validation of the data revealed that the suggested approach may be used to estimate the CC in commercial formulations, which is encouraging.

Keywords: Candesartan cilexetil; Method validation; RP-HPLC; ICH

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Dual targeting in prostate cancer with phytoconstituents as a potent lead: a computational approach for novel drug discovery

Sachin A. Dhawale[a], Pallavi Bhosle[b], Sadhana Mahajan[c], Geetanjali Patil[a], Sachin Gawale[a], Mangesh Ghodke[a], Ganesh Tapadiya[a] and Azim Ansari[d]

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ABSTRACT

Prostate Cancer (PCa) is an abnormal cell growth within the prostate. This condition is the second most widespread malignancy in elderly males and one of the most frequently diagnosed life-threatening conditions. The Androgen receptor signaling pathway played a crucial role in the initiation and spread to increase the risk of PCa. Hence, targeting the AR receptor signaling pathway is a key strategy for a therapeutic plan for PCa. Our study focuses on recognizing potential inhibitors for dual targeting in PCa by using the *in-silico* approach. In this study, we target the two enzymes that are CYP17A1 (3RUK) and 5α-reductase (3G1R) responsible for PCa, with the help of phytoconstituents. The natural plant contains various phytochemical types produced from secondary metabolites and used as a medical treatment. The *in-silico* investigation of phytoconstituents and enzymes was done by approaching molecular docking, ADMET analysis, and high-level molecular dynamic simulation used to assess the stability and binding affinities of the protein-ligand complex. Some phytoconstituents, such as Peonidin, Pelargonidin, Malvidin and Berberine show complex has good molecular interaction with protein. The reliability of the docking scores was examined using a molecular dynamic simulation, which revealed that the complex remained stable throughout the simulation, which ranged from 0 to 200 ns. The selected hits may be effective against CYP17A1 (3RUK) and 5α-reductase (3G1R) (PCa) using a computer-aided drug design (CADD) method, which further enables researchers for upcoming in-vivo and in-vitro research, according to our *in-silico* approach.

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KEYWORDS
Prostate cancer; androgen receptor; molecular docking; MM-GBSA; ADMET; MD simulation

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Development and evaluation of novel famotidine-loaded fast dissolving sublingual film using the quality-by-design approach

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A list of research papers published per teacher in the Journals notified on UGC care list during A. Y. 2022-23 followed by front pages of research papers are attached.

SHREEYASH INSTITUTE OF PHARMACEUTICAL EDUCATION AND RESEARCH ★ AURANGABAD

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Shreeyash Institute Of Pharmaceutical Education And Research, Aurangabad

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Research Publications 2022-23

Sr. No.	Title of paper	Name of the author/s	Name of journal	Link to article / paper / abstract of the article
1	Quality-by-design based fabrication of febuxostat-loaded nanoemulsion: Statistical optimization, characterizations, permeability, and bioavailability studies	Vishal C. Gurumukhi	Heliyon	https://www.sciencedirect.com/science/article/pii/S2405844023026117
2	Quality-by-design based fabrication of febuxostat-loaded nanoemulsion: Statistical optimization, characterizations, permeability, and bioavailability studies	Ganesh Tapadiya	Heliyon	https://www.sciencedirect.com/science/article/pii/S2405844023026117
3	Crystal engineering of lansoprazole for solubility and bioavailability enhancement	Ganesh Tapadiya	International journal of creative Research Thought	https://ijcrt.org/papers/IJCRT2303556.pdf
4	LC-MS/MS Bioanalytical Posture for quantification of imatinib mesylate in rat Plasma: Development and Application to Pharmacokinetic study	Ganesh Tapadiya	Pharmaceutical Methods	https://www.phmethods.net/articles/lcmsms-bioanalytical-procedure-for-quantification-of-imatinib-mesylate-in-rat-plasma-development-and-application-to-phar.pdf
5	The Design, Synthesis, and Evaluation of Diaminopimelic Acid Derivatives as Potential dapF Inhibitors Preventing Lysine Biosynthesis for Antibacterial Activity	Dr.Ganesh Tapadiya	antibiotics	https://www.mdpi.com/2079-6382/12/1/47

6	In vitro Antimicrobial and Antioxidant Activity of the Crude Extracts of Pterospermum acerifolium Willd Leaves (Sterculiaceae)	Dr.Ganesh Tapadiya	African Journal of Pharmaceutical Sciences	https://www.svedbergopen.com/
7	Design, Synthesis, Molecular Docking, and Preliminary Pharmacological Screening of Some New Benzo[d]thiazol-2-ylamino Containing Chromen- 2-one Derivatives with Atypical Antipsychotic Profile	Ganesh Tapadiya	Current Computer-Aided Drug Design	https://pubmed.ncbi.nlm.nih.gov/36733206/
8	Exploring Potential of Indole Derivatives: A Brief Review	Mangesh Ghodke, Nikhil Khandale	International Journal of Pharmacy and Pharmaceutical Sciences	https://journals.innovareacademics.in/index.php/ijpps/article/download/46727/27755?inline=1
9	Crystal engineering of lansoprazole for solubility and bioavailability enhancement	Snehal Pawar	International journal of creative Research Thought	https://ijcrt.org/papers/IJCRT2303556.pdf
10	Prevalence of hypertension among sanitary workers in tertiary care center, Shahjahanpur, Uttar Pradesh A Cross-sectional study	Snehal Pawar	Europian Journal of molecular and Clinical medicine	https://ejmcm.com/issue-content/prevalence-of-hypertension-among-sanitary-workers-in-a-tertiary-care-center-shahjahanpur-uttar-pradesh-a-cross-sectional-study-2845
11	Crystal engineering of lansoprazole for solubility and bioavailability enhancement	Vivek Thorat	International journal of creative Research Thought	https://ijcrt.org/papers/IJCRT2303556.pdf
12	Crystal engineering of lansoprazole for solubility and	Sham rathod	International journal of creative	https://ijcrt.org/papers/IJCRT2303556.pdf

	bioavailability enhancement		Research Thought	
13	Crystal engineering of lansoprazole for solubility and bioavailability enhancement	Milind Kamble	International journal of creative Research Thought	https://ijcrt.org/papers/IJCRT2303556.pdf
14	LC-MS/MS Bioanalytical Posture for quantification of imatinib mesylate in rat Plasma: Development and Application to Pharmacokinetic study	Milind Kamble	Pharmaceutical Methods	https://www.phmethods.net/articles/lcmsms-bioanalytical-procedure-for-quantification-of-imatinib-mesylate-in-rat-plasma-development-and-application-to-phar.pdf
15	Discovery of New Quinazoline Derivative as VEGFR-2 Inhibitors: Design, Synthesis and Anti-proliferative studies	Sachin Ashok Dhawale	Anti Cancer agents in Medicinal Chemistry	https://pubmed.ncbi.nlm.nih.gov/37455449/
16	The Design, Synthesis, and Evaluation of Diaminopimelic Acid Derivatives as Potential dapF Inhibitors Preventing Lysine Biosynthesis for Antibacterial Activity	Sachin Ashok Dhawale	antibiotics	https://www.mdpi.com/2079-6382/12/1/47
17	Design, Synthesis, Molecular Docking, and Preliminary Pharmacological Screening of Some New Benzo[d]thiazol-2-ylamino Containing Chromen- 2-one Derivatives with Atypical Antipsychotic Profile	Sachin Ashok Dhawale	Current Computer-Aided Drug Design	https://pubmed.ncbi.nlm.nih.gov/36733206/

18	Design, synthesis, cytotoxicity, and molecular docking studies of 1-(4-methoxyphenyl)-N-substituted phenyl-1H-1,2,3-triazole-4-carboxamide derivatives	Sachin Ashok Dhawale	Synthetic Communications	https://www.tandfonline.com/doi/abs/10.1080/00397911.2022.2137681
19	LC-MS/MS Bioanalytical Posture for quantification of imatinib mesylate in rat Plasma: Development and Application to Pharmacokinetic study	Minal Y. Chaudhari	Pharmaceutical Methods	https://www.phmethods.net/articles/lcmsms-bioanalytical-procedure-for-quantification-of-imatinib-mesylate-in-rat-plasma-development-and-application-to-phar.pdf

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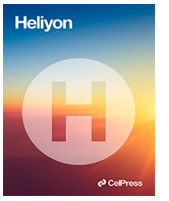

Research article

Quality-by-design based fabrication of febuxostat-loaded nanoemulsion: Statistical optimization, characterizations, permeability, and bioavailability studies

Vishal C. Gurumukhi [a], Vivek P. Sonawane [b], Ganesh G. Tapadiya [a], Sanjaykumar B. Bari [c], Sanjay J. Surana [d], Shailesh S. Chalikwar [d,*]

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ARTICLE INFO

Keywords:
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ABSTRACT

The present work deals with QbD-based development of FEB-loaded nanoemulsion (FEB-NE) in order to enhance bioavailability and permeability. In the beginning, the risk assessment was performed on different experimental variables using the Ishikawa diagram followed by FMEA study in order to find critical process parameter (CPP) and critical material attributes (CMAs). To build quality in nanoemulsion, the quality target product profiles (QTPP) and critical quality attributes (CQAs) were determined. The different batches of FEB-NE were produced by the microemulsification-probe sonication method. Effect of varying levels of independent variables such as oil concentration (X_1), S_{mix} concentration (X_3), and amplitude (X_3) on responses such as globule size (Y_1), zeta potential (Y_2), and entrapment efficiency (Y_3) were studied using Box-Behnken design (BDD). FEB-NE formulation was optimized using a graphical and numerical method. The optimized formulation concentrations and their responses (CQAs) were located as design space in an overlay plot. The spherical shapes of globules were visualized by surface morphology using AFM and TEM. *In vitro* dissolution study showed 93.32% drug release from the optimized FEB-NE formulation. The drug release mechanism followed by the formulation was the Higuchi-matrix kinetics with a regression coefficient of 0.9236 (R^2). FEB-NE showed enhanced permeability using PAMPA (artificial non-cell membrane) and everted gut sac model method. The developed optimized FEB-NE exhibited the enhancement of bioavailability by 2.48 fold as compared to FEB-suspension using Wistar rats suggesting improvement of solubility of a lipophilic drug. The optimized batch remained stable for 90 days at 4 °C and 25 °C. Thus, QbD-based development of FEB-NE can be useful for a better perspective on a commercial scale.

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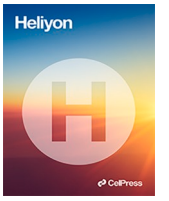

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Crystal Engineering of Lansoprazole for Solubility and Bioavailability Enhancement.

[1]Snehal N. Pawar, [2]Vivek M. Thorat, [3]Shyam S. Rathod, [3]Milind D. Kamble, [3]Ganesh G. Tapadiya

[1]Asistant Professor[2]Asistant Professor, [3]Asistant Professor, Associate Professor, Principal.

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Abstract: The existing work deals with the purposes of synthesis and characterization of lansoprazole co-crystals with various conformers. Nine conformers were selected under the study to prepare co-crystals of lansoprazole for enhancing its solubility, dissolution, and bioavailability. The formulated co-crystals were characterized by FTIR, DSC, PXRD, saturation solubility study, in vitro dissolution studies, and stability study. The conclusion of the study shows a major improvement in solubility with piperazine co-former. Lansoprazole and piperazine co-crystal 1:1M were formulated as tablets. The results show that solubility and dissolution of lansoprazole were enhanced by co-crystallization and it shows pharmaceutical stability.

Keywords: lansoprazole, Co-crystal, Solubility, Dissolution.

INTRODUCTION

Co-crystallization is the ability to convey the drug to the patient safely, effectively, and economically, depending largely on the physicochemical properties of the active pharmaceutical ingredient (API) in the solid state. This provides an important force for inventing new approaches to designing pharmaceutical solid materials with specific physicochemical properties. Co-crystallisation has gained increased importance in enhancing the physical properties and/or stability of solid dosage forms. The co-crystal formation involves a combination of a given active pharmaceutical ingredient with another pharmaceutically acceptable molecule in the crystal lattice. The resultant crystalline phase will maintain the intrinsic activity of the parent drug while possessing a different physicochemical profile. The benefits related to the co-crystallization approach are that it alters the properties of all types of drug molecules, including weakly ionizable and non-ionizable, to form co-crystals, and the being of numerous prospective counter-molecules, including food additives, preservatives, pharmaceutical excipients as well as other APIs, for co-crystal synthesis. Further esteemed advantages that co-crystal formation may offer for the pharmaceutical industry are the opportunity for intellectual property (IP) protection and the possibility of extending the life cycles of old APIs.[1]

Lansoprazole is a BCS class 2 drug .that inhibits gastric acid secretion. This is the treatment of active gastric ulcers and duodenal ulcers. It is also approved for the long-term treatment of healed reflux esophagitis, healed duodenal ulcers, and the treatment of hyper secretory conditions such as Zollinger-Ellison syndrome. Its mechanism of action is to selectively inhibit the membrane enzyme H+/K+ ATPase in gastric parietal cells. In clinical trials, lansoprazole is more effective than placebo or histamine (H2)-receptor antagonists in the treatment of reflux esophagitis.[2] Lansoprazole was selected as it has poor solubility, compressibility, and flow properties which might be set with the help of co-crystallization.[3] The objective of this present study was to check crystal stars with maximum solubility were selected and evaluated by DSC, FTIR, and PXRD.

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Research Article

LC-MS/MS Bioanalytical Procedure for Quantification of Imatinib Mesylate in Rat Plasma: Development and Application to Pharmacokinetic Study

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ABSTRACT

Introduction: In this research, a fit-for-purpose LC-MS/MS method for quantification of Imatinib Mesylate in rat plasma was developed and utilized for the pharmacokinetic study. Imatinib extraction was done and isolated from plasma samples using protein precipitation method. Imatinib quantification was done using Liquid Chromatography (LC) tandem Mass Spectrometry (MS) with Electro Spray Ionization (ESI) and Multiple Reaction Monitoring (MRM) in positive ionization mode.

Objective: A simple and fast fit for purpose LC-MS/MS method was developed and utilized for the quantification of Imatinib mesylate and was applied to a pharmacokinetic study.

Methods: All the sample preparation was acquired and accepted through protein precipitation. High performance chromatographic partition was achieved on a PURITAS PNCN, (100 x 4.6 mm, 5 µm) CHROMACHEMIE analytical column by using an isocratic elution. Pump A (40%): 0.1% Formic acid in 5 mM Ammonium Formate Solution Pump B (60%): 0.1% Formic acid in acetonitrile at a flow rate of 0.8 mL/min. for 5 mintues.

Results: The retention time of Imatinib mesylate and its internal standard, Verapamil was 3.21 ± 0.8 min and 3.64 ± 0.5 min, respectively. The total run time was 5.0 minutes. The elution detection was obtained with +ve electrospray ionization multiple reaction monitoring of the ion transitions at m/z 494.40 → 394.20 for Imatinib and second mass transitions were monitored: Imatinib at m/z 494.40 → 217.20 while internal standard Verapamil was selected for m/z 455.30 → 165.10. The method was developed and validated over the concentration range of 50-5000 ng/mL for Imatinib mesylate, with correlation coefficient greater than 0.9991. The extraction recovery was more than 105.37% and the matrix effect was not significant. The intra and inter-day precisions were below 4.65% and accuracies ranged from 91.7 to 102.0%. The quantification limit for Imatinib was 5.05 ng/mL. Imatinib mesylate was demonstrated to be stable in rat plasma under the tested conditions.

Conclusion: The developed LC-MS/MS fit-for purpose procedure for the quantification of Imatinib Mesylate in rat plasma can be used for pharmacokinetic studies in preclinical applications.

Keywords: Bioanalytical method, Imatinib Mesylate, Rat plasma, Verapamil, Pharmacokinetics.

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INTRODUCTION

The drug, Imatinib an oral tyrosine kinase inhibitor, first line standard treatment in patients with Chronic Myeloid Leukemia (CML) and recurrent Gastro-Intestinal Stromal Tumor (GIST). Imatinib strongly improved therapy outcomes, however it was reported in many research articles that drug has large inter-individual variability in plasma concentration when standard dose was administered [1]. This also provides a research space for many researchers to work on a simple, sensitive, accurate and precise method development for quantitative and qualitative analysis of such type of drugs.

Additionally, the fitness for purpose of analytical methods is of major concern in drugs quantitative analysis. Over the past decade, the drug development paradigm has shifted to where we are looking for cost effective drug discovery and development therefore the research work have been directed towards small scale. Validation of each analytical method is crucial step in all pharmaceutical analytical laboratories, However, there is always been a lack of clarity in methodology in order to decide that the method can be considered as valid and reproducible [2,3]. Secondly accurate method helps in absolute bioavailability measurement, the rate and extent to which the drug is absorbed and becomes available at the site of measurement, subsequently producing therapeutic effect. Absolute bioavailability estimation is an important component to evaluate during New Drug Application (NDA) so as to assess the safety and efficacy of a drug product [4]. The Ultra-sensitive Liquid Chromatography coupled to tandem Mass Spectrometry (LC-MS/MS) application in bioavailability measurement is advancing with newer approaches like micro dose of either radiolabeled drug or stable isotope labelled drug [5].

In the present investigation we describe the method development and validation of a highly sensitive LC-MS/MS for the quantification of the anti-blood cancer drug Imatinib in rat plasma. The bioavailability (drug exposure) of a drug was calculated by measuring various pharmacokinetic parameters, non-compartmental pharmacokinetic was the approach utilized in the study.

Imatinib (4-[(4-methylpiperazin-1-yl) methyl]-N-(4-methyl-

antibiotics

MDPI

Article

The Design, Synthesis, and Evaluation of Diaminopimelic Acid Derivatives as Potential *dap*F Inhibitors Preventing Lysine Biosynthesis for Antibacterial Activity

Mohd Sayeed Shaikh [1,*], Mayura A. Kale [2], V. Muralidharan [3], T. Venkatachalam [4], Syed Sarfaraz Ali [5], Fahadul Islam [6], Sharuk L. Khan [7], Falak A. Siddiqui [7], Humaira Urmee [8], Ganesh G. Tapadiya [9], Sachin A. Dhawale [9], Long Chiau Ming [10], Ibrahim Abdel Aziz Ibrahim [11], Abdullah R. Alzahrani [11], Md. Moklesur Rahman Sarker [12,13,*] and Mohd Fahami Nur Azlina [14,*]

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Abstract: We created thiazole and oxazole analogues of diaminopimelic acid (DAP) by replacing its carboxyl groups and substituting sulphur for the central carbon atom. Toxicity, ADME, molecular docking, and in vitro antimicrobial studies of the synthesized compounds were carried out. These compounds displayed significant antibacterial efficacy, with MICs of 70–80 µg/mL against all tested bacteria. Comparative values of the MIC, MBC, and ZOI of the synthesized compound were noticed when compared with ciprofloxacin. At 200 µg/mL, thio-DAP (1) had a ZOI of 22.67 ± 0.58, while ciprofloxacin had a ZOI of 23.67 ± 0.58. To synthesize thio-DAP (1) and oxa-DAP (2), l-cysteine was used as a precursor for the L-stereocenter (l-cysteine), which is recognized by the *dap*F enzyme's active site and selectively binds to the ligand's L-stereocenter. Docking studies of these compounds were carried out using the programme version 11.5 Schrodinger to reveal the hydrophobic and hydrophilic properties of these complexes. The docking scores of compounds one and two were −9.823 and −10.098 kcal/mol, respectively, as compared with LL-DAP (−9.426 kcal/mol.). This suggests that compounds one and two interact more precisely with *dap*F than LL-DAP. Chemicals one and two were synthesized via the SBDD (structure-based drug design) approach and these act as inhibitors of the *dap*F in the lysine pathway of bacterial cell wall synthesis.

Keywords: diaminopimelic acid; *dap*F inhibitors; structure-based drug design; heterocyclic; antibacterial; enzyme

check for updates

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In vitro Antimicrobial and Antioxidant Activity of the Crude Extracts of *Pterospermum acerifolium* Willd Leaves (Sterculiaceae)

S.S. Saboo[1*] and G.G.Tapadiya[2]

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Abstract

Different extracts of *Pterospermum acerifolium* Willd leaves were investigated for its antimicrobial activity on various microorganisms, i.e., 5 bacterial species and 3 fungal species. Among all extracts, water extract showed maximum antibacterial activity against all species. Present paper has also evaluated free radical scavenging property of acetone, ethanol, water extracts by different *in vitro* models, i.e., 1,1-diphenyl-2-picryl hydrazyl (DPPH), nitric oxide and reducing power. The ethanol extract found to have more free radical scavenging activity among all extracts.

Keywords: *Pterospermum acerifolium, Antimicrobial, Minimum inhibition concentration, Antioxidant, DPPH, Reducing power, Nitric oxide*

1. Introduction

Pterospermum acerifolium Willd (Sterculiaceae) having Muchkund as local Indian name, was evaluated for preliminary antimicrobial and antioxidant activity. *Pterospermum acerifolium* Willd., a large tree belonging to the Sterculiaceae, was widely distributed in India particularly in sub-Himalayan tract and outer Himalaya valleys. In the Konkan the flowers and bark are charred and mixed with Kamala and applied in suppurating small pox (Kirtikar and Basu, 1993).The flowers are sharply bitter, acrid, tonic, laxative anthelmentic removes cough (in Ayurveda). Also useful in leucorrhoea, inflammation, ulcer, leprosy. Leaves are used as haemostatic. Phytochemical review found that flavonoids like Kampferol, Kampferide, luteolin and steroids and triterpenoids like β-sitosterol, taraxerol, friedelin, sugars, fatty acids are reported in this plant (Asima and Satyesh, 1991; The Wealth of India, 2003).

Plants are potent biochemists and have been components of phytomedicine since times immemorial. Many plant species have been utilized as traditional medicines but it is necessary to establish the scientific basis for the therapeutic actions of traditional plant medicines as these may serve as the source for the development of more effective drugs. In view of that the different extracts of *Pterospermum acerifolium* Willd were screened for potential antibacterial activity againstsome medically important bacterial strain and for different antioxidant methods (Varshney *et al.*, 1972).

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RESEARCH ARTICLE

Design, Synthesis, Molecular Docking, and Preliminary Pharmacological Screening of Some New Benzo[*d*]thiazol-2-ylamino Containing Chromen-2-one Derivatives with Atypical Antipsychotic Profile

Ashish A. Gawai[1], K. R. Biyani[1], Sanjib Das[2], Ganesh Tapadiya[3], Santosh Mokale[4] and Sachin A. Dhawale[3,*]

[1]*Department of Pharmaceutical Chemistry, Anuradha College of Pharmacy, Chikhali, Buldhana, Maharashtra, India;* [2]*Department of Pharmaceutical Sciences, Assam University, Silchar, Assam, India;* [3]*Department of Pharmaceutical Chemistry, Shreeyash Institute of Pharmaceutical, Education and Research, Aurangabad, Maharashtra, India;* [4]*Department of Pharmaceutical Chemistry, YB Chavan College of Pharmacy, Aurangabad, Maharashtra, India*

Abstract: ***Introduction*:** Mental disorders are very serious complicated disorders. Schizophrenia is one of the most baffling mental disorders. The new series 7-(2-(benzo[*d*]thiazol-2-ylamino)ethoxy)-4-methyl-2*H*-chromen-2- synthesized in search of newer compounds for Schizophrenia.

***Methods*:** Synthesis is done by refluxing in dry pyridine with various substituted 2-amino benzothiazoles derivatives (3a-3k) and 7-(2-Chloroethoxy)-4-methyl-2H-chromen-2-one(2). The molecular docking approach was used to screen these generated derivatives. Chem Bio Draw Ultra 12 was used to draw the compounds, which were then exposed to all potential conformations of compounds interacting with receptors. The Glide 7.6, Schrodinger 2017 Maestro 11.3 was used to achieve molecular docking. The Dopamine receptor 6CM4 serotonin 5TUD PDBs were acquired from the database of Brookhaven Protein. Using the OPLS 2005 force field, the ligand-protein hydrogen-bond network was acquired, along with the overall energy reduced. A glide score was used to rate the docking poses.

***Results*:** The produced compounds have been identified with the use of analytical and spectral data. All of the produced substances were tested and analyzed for serotonin 5HT2 antagonistic and dopamine D2 activity, which can be considered as a measure of typical antipsychotic properties.

***Conclusion*:** Compounds 4b, 4c, 4e, 4g & 4i have demonstrated promising pharmacological action in preliminary studies. According to the preceding findings, compounds with electron-withdrawing substitutions, such as 4e & 4b, have a good atypical profile of antipsychotics.

ARTICLE HISTORY

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Keywords: Coumarin, anti-psychotics, schizophrenia, molecular docking.

1. INTRODUCTION

Several neurological illnesses like depression, anxiety, Parkinson's, and schizophrenia developed due to imperfections in the operation of neural pathways. Schizophrenia is a chronic mental illness caused mainly by the overactivity of Dopamine and Serotonin [1, 2]. Typical antipsychotics are the medication to treat schizophrenia initially as drugs antagonizing central dopaminergic receptors [3]. Extrapyramidal side effects are the major disadvantages of this treatment, and it is often not able to control negative symptoms. This is supposed to be happened due to a mesocorticolimbic dopaminergic pathway blockage [4, 5]. According to this, the pharmacological potency of the most commonly prescribed anti-psychotics is linked to their affinity for D2 receptors [6]. In the last few decades, the affinity, specificity, and potential therapeutic application with the discovery of the multiplicity of serotonin 5-HT receptors [7-9] and several 5-HT ligands have been studied extensively. We have synthesized these novel derivatives as an extension to our past work that was to design and synthesize new "2-(4-methyl-2-oxo-2H-chromen-7-yloxy)-N-(benzo[*d*]thiazol-2-yl)" acetamide derivatives [10, 11]. These novel derivatives have shown good antipsychotic activity with serotoninergic 5HT and dopaminergic D2 receptor activity. Agents from the second generation, like the model drug clozapine, have a decreased affinity for dopamine D2 receptors. It is believed that clozapine's

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Review Article

EXPLORING POTENTIAL OF INDOLE DERIVATIVES: A BRIEF REVIEW

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ABSTRACT

In general, heterocyclic compounds are rich in pharmacologically active chemicals. Among them are anti-inflammatory, antitubercular, anti-HIV, antimalarial, antidiabetic, anticonvulsants, analgesics, antihypertensive, antifungal, anticancer, antidepressant, antioxidant, and antimicrobial compounds. Due to their wide range of activity in the fields of drug design, Heterocycles occupy a salient place in chemistry. One of the most hopeful heterocycles found in natural and synthetic sources is the indole scaffold which possesses variety of biological activity, including anti-inflammatory, antitubercular, anti-HIV, antimalarial, antidiabetic, anticonvulsants, analgesics, antihypertensive, antifungal, anticancer, antidepressant, antioxidant, and antimicrobial, etc. This review aimed to highlight the synthetic perspective on the development of indole-based analogs. This study aimed to offer clear information on the current development of indoles as anticonvulsant, anticancer, and anti-inflammatory agents.

Keywords: Indole, Antiviral, Anticonvulsant, Anti-inflammatory, Analgesic, Antimicrobial and anticancer

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INTRODUCTION

In recent years Nitrogen-containing heterocyclic compounds analogues and derivatives are presented in numerous drug molecules due to their useful biological and pharmacological properties. Indole and its derivatives are used in organic synthesis. They are used in evaluating a new product that possesses different physical activities such as anticonvulsant [1], anti-HIV [2], anti-tubercular [3], antidiabetic [4], antimalarial [5], antimicrobial [6-9], anticancer [10-13], antioxidant [14], antifungal [15], anti-inflammatory [16] etc.

Having molecular formula of C_8H_7N, indole is an aromatic heterocyclic organic compound in which benzene ring is fused with pyrrole ring having a variety of biological applications in medicinal chemistry (fig. 1)

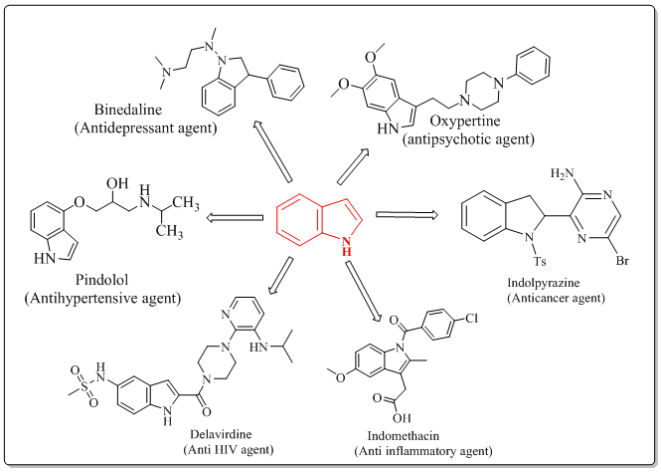

Fig. 1: Biological application of Indole nucleus in medicinal chemistry

So far, very few review reports presented synthetic, medicinal perspectives and structural activity relationship (SAR) of indole analogues evaluated for anti-inflammatory, anti-HIV, antitubercular, antimalarial, anticonvulsant, antidiabetic, antihypertensive, analgesics, and antidepressant, etc. Therefore, in this review, we emphasized the various synthetic methods of indole-based analogues along with techniques used in synthesis and any special catalyst used synthetically. We hope this review will provide substantial guidance to carry out further research on this scaffold to mitigate numerous diseases. We aimed to compile the information on various indole derivatives by collecting the various research journals published from different scientific resources (e. g., Science Direct, Google Scholar).

Synthetic strategy for anticonvulsant agent synthesis

Rajarshi Nath and co-workers synthesised indoline derivatives of functionalized aryloxadiazole amine and benzothiazole acetamide and evaluated for anticonvulsant activity [17]. A series of *N*-(substituted benzothiazole-2-yl)-2-(2,3-dioxoindolin-1-yl)acetamide (4a-i) and substituted-[3-((5-phenyl-1,3,4-oxadiazole-2-yl)imino)

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INTERNATIONAL JOURNAL OF CREATIVE RESEARCH THOUGHTS (IJCRT)

An International Open Access, Peer-reviewed, Refereed Journal

Crystal Engineering of Lansoprazole for Solubility and Bioavailability Enhancement.

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Abstract: The existing work deals with the purposes of synthesis and characterization of lansoprazole co-crystals with various conformers. Nine conformers were selected under the study to prepare co-crystals of lansoprazole for enhancing its solubility, dissolution, and bioavailability. The formulated co-crystals were characterized by FTIR, DSC, PXRD, saturation solubility study, in vitro dissolution studies, and stability study. The conclusion of the study shows a major improvement in solubility with piperazine co-former. Lansoprazole and piperazine co-crystal 1:1M were formulated as tablets. The results show that solubility and dissolution of lansoprazole were enhanced by co-crystallization and it shows pharmaceutical stability.

Keywords: lansoprazole, Co-crystal, Solubility, Dissolution.

INTRODUCTION

Co-crystallization is the ability to convey the drug to the patient safely, effectively, and economically, depending largely on the physicochemical properties of the active pharmaceutical ingredient (API) in the solid state. This provides an important force for inventing new approaches to designing pharmaceutical solid materials with specific physicochemical properties. Co-crystallisation has gained increased importance in enhancing the physical properties and/or stability of solid dosage forms. The co-crystal formation involves a combination of a given active pharmaceutical ingredient with another pharmaceutically acceptable molecule in the crystal lattice. The resultant crystalline phase will maintain the intrinsic activity of the parent drug while possessing a different physicochemical profile. The benefits related to the co-crystallization approach are that it alters the properties of all types of drug molecules, including weakly ionizable and non-ionizable, to form co-crystals, and the being of numerous prospective counter-molecules, including food additives, preservatives, pharmaceutical excipients as well as other APIs, for co-crystal synthesis. Further esteemed advantages that co-crystal formation may offer for the pharmaceutical industry are the opportunity for intellectual property (IP) protection and the possibility of extending the life cycles of old APIs.[1]

Lansoprazole is a BCS class 2 drug .that inhibits gastric acid secretion. This is the treatment of active gastric ulcers and duodenal ulcers. It is also approved for the long-term treatment of healed reflux esophagitis, healed duodenal ulcers, and the treatment of hyper secretory conditions such as Zollinger-Ellison syndrome. Its mechanism of action is to selectively inhibit the membrane enzyme H+/K+ ATPase in gastric parietal cells. In clinical trials, lansoprazole is more effective than placebo or histamine (H2)-receptor antagonists in the treatment of reflux esophagitis.[2] Lansoprazole was selected as it has poor solubility, compressibility, and flow properties which might be set with the help of co-crystallization.[3] The objective of this present study was to check crystal stars with maximum solubility were selected and evaluated by DSC, FTIR, and PXRD.

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ORIGINAL RESEARCH

Prevalence of hypertension among sanitary workers in a tertiary care center, Shahjahanpur, Uttar Pradesh: A cross-sectional study

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ABSTRACT

Background: Hypertension is an important public health problem and it has no obvious signs or symptoms making the persons unaware of condition. World Health Organization (WHO) has stated that around 1.3 billion people around the world suffers from hypertension and less than one in five have their blood pressure under control Methods: A cross sectional study, Study setting: Community medicine department of Tertiary care center. Study population: The study population included all the sanitary workers in tertiary care center. Study duration 2 months. Sample size: 311 Results: Only 10.7% of the workers were current tobacco users, while almost 15% were current alcohol users. More than three fourth of the study participants were physically active. More than 50% of the participants were obese (≥ 25.00 kg/m^2). Abdominal obesity was present in about 35% of the participants. Prevalence of hypertension among the sanitary workers was 36.6% (95% CI: 31.3-41.3%). Only 34 (10.9%) participants were aware of their hypertension status and 80 (25.7%) of the participants were newly diagnosed to have hypertension. Prehypertension was present in 114 out of 277 participants (41.1%; 95% CI: 35.3-47.2%) without any known history of hypertension. Among the 34 patients with known history of hypertension, only 12 (35.3%) belonged to controlled status category. Current tobacco users had 1.61 times higher prevalence of hypertension when compared to those who are not current users and this was statistically significant (*P* = 0.003). Current alcohol users had significant association with hypertension (aPR-1.25; *P* = 0.02). Conclusions: The current study found that more than one-third of the sanitary workers had hypertension. However, almost three-fourth of the hypertensives were not aware about their status.

Keywords: Hypertension, awareness, risk factors

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INTRODUCTION

Hypertension is an important public health problem and it has no obvious signs or symptoms making the persons unaware of condition. World Health Organization (WHO) has stated that

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Crystal Engineering of Lansoprazole for Solubility and Bioavailability Enhancement.

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Abstract: The existing work deals with the purposes of synthesis and characterization of lansoprazole co-crystals with various conformers. Nine conformers were selected under the study to prepare co-crystals of lansoprazole for enhancing its solubility, dissolution, and bioavailability. The formulated co-crystals were characterized by FTIR, DSC, PXRD, saturation solubility study, in vitro dissolution studies, and stability study. The conclusion of the study shows a major improvement in solubility with piperazine co-former. Lansoprazole and piperazine co-crystal 1:1M were formulated as tablets. The results show that solubility and dissolution of lansoprazole were enhanced by co-crystallization and it shows pharmaceutical stability.

Keywords: lansoprazole, Co-crystal, Solubility, Dissolution.

INTRODUCTION

Co-crystallization is the ability to convey the drug to the patient safely, effectively, and economically, depending largely on the physicochemical properties of the active pharmaceutical ingredient (API) in the solid state. This provides an important force for inventing new approaches to designing pharmaceutical solid materials with specific physicochemical properties. Co-crystallisation has gained increased importance in enhancing the physical properties and/or stability of solid dosage forms. The co-crystal formation involves a combination of a given active pharmaceutical ingredient with another pharmaceutically acceptable molecule in the crystal lattice. The resultant crystalline phase will maintain the intrinsic activity of the parent drug while possessing a different physicochemical profile. The benefits related to the co-crystallization approach are that it alters the properties of all types of drug molecules, including weakly ionizable and non-ionizable, to form co-crystals, and the being of numerous prospective counter-molecules, including food additives, preservatives, pharmaceutical excipients as well as other APIs, for co-crystal synthesis. Further esteemed advantages that co-crystal formation may offer for the pharmaceutical industry are the opportunity for intellectual property (IP) protection and the possibility of extending the life cycles of old APIs.[1]

Lansoprazole is a BCS class 2 drug .that inhibits gastric acid secretion. This is the treatment of active gastric ulcers and duodenal ulcers. It is also approved for the long-term treatment of healed reflux esophagitis, healed duodenal ulcers, and the treatment of hyper secretory conditions such as Zollinger-Ellison syndrome. Its mechanism of action is to selectively inhibit the membrane enzyme H+/K+ ATPase in gastric parietal cells. In clinical trials, lansoprazole is more effective than placebo or histamine (H2)-receptor antagonists in the treatment of reflux esophagitis.[2] Lansoprazole was selected as it has poor solubility, compressibility, and flow properties which might be set with the help of co-crystallization.[3] The objective of this present study was to check crystal stars with maximum solubility were selected and evaluated by DSC, FTIR, and PXRD.

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Crystal Engineering of Lansoprazole for Solubility and Bioavailability Enhancement.

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Research Article

LC-MS/MS Bioanalytical Procedure for Quantification of Imatinib Mesylate in Rat Plasma: Development and Application to Pharmacokinetic Study

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ABSTRACT

Introduction: In this research, a fit-for-purpose LC-MS/MS method for quantification of Imatinib Mesylate in rat plasma was developed and utilized for the pharmacokinetic study. Imatinib extraction was done and isolated from plasma samples using protein precipitation method. Imatinib quantification was done using Liquid Chromatography (LC) tandem Mass Spectrometry (MS) with Electro Spray Ionization (ESI) and Multiple Reaction Monitoring (MRM) in positive ionization mode.

Objective: A simple and fast fit for purpose LC-MS/MS method was developed and utilized for the quantification of Imatinib mesylate and was applied to a pharmacokinetic study.

Methods: All the sample preparation was acquired and accepted through protein precipitation. High performance chromatographic partition was achieved on a PURITAS PNCN, (100 × 4.6 mm, 5 µm) CHROMACHEMIE analytical column by using an isocratic elution. Pump A (40%): 0.1% Formic acid in 5 mM Ammonium Formate Solution Pump B (60%): 0.1% Formic acid in acetonitrile at a flow rate of 0.8 mL/min. for 5 mintues.

Results: The retention time of Imatinib mesylate and its internal standard, Verapamil was 3.21 ± 0.8 min and 3.64 ± 0.5 min, respectively. The total run time was 5.0 minutes. The elution detection was obtained with +ve electrospray ionization multiple reaction monitoring of the ion transitions at m/z 494.40 → 394.20 for Imatinib and second mass transitions were monitored: Imatinib at m/z 494.40 → 217.20 while internal standard Verapamil was selected for m/z 455.30 → 165.10. The method was developed and validated over the concentration range of 50-5000 ng/mL for Imatinib mesylate, with correlation coefficient greater than 0.9991. The extraction recovery was more than 105.37% and the matrix effect was not significant. The intra and inter-day precisions were below 4.65% and accuracies ranged from 91.7 to 102.0%. The quantification limit for Imatinib was 5.05 ng/mL. Imatinib mesylate was demonstrated to be stable in rat plasma under the tested conditions.

Conclusion: The developed LC-MS/MS fit-for purpose procedure for the quantification of Imatinib Mesylate in rat plasma can be used for pharmacokinetic studies in preclinical applications.

Keywords: Bioanalytical method, Imatinib Mesylate, Rat plasma, Verapamil, Pharmacokinetics.

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INTRODUCTION

The drug, Imatinib an oral tyrosine kinase inhibitor, first line standard treatment in patients with Chronic Myeloid Leukemia (CML) and recurrent Gastro-Intestinal Stromal Tumor (GIST). Imatinib strongly improved therapy outcomes, however it was reported in many research articles that drug has large inter-individual variability in plasma concentration when standard dose was administered [1]. This also provides a research space for many researchers to work on a simple, sensitive, accurate and precise method development for quantitative and qualitative analysis of such type of drugs.

Additionally, the fitness for purpose of analytical methods is of major concern in drugs quantitative analysis. Over the past decade, the drug development paradigm has shifted to where we are looking for cost effective drug discovery and development therefore the research work have been directed towards small scale. Validation of each analytical method is crucial step in all pharmaceutical analytical laboratories, However, there is always been a lack of clarity in methodology in order to decide that the method can be considered as valid and reproducible [2,3]. Secondly accurate method helps in absolute bioavailability measurement, the rate and extent to which the drug is absorbed and becomes available at the site of measurement, subsequently producing therapeutic effect. Absolute bioavailability estimation is an important component to evaluate during New Drug Application (NDA) so as to assess the safety and efficacy of a drug product [4]. The Ultra-sensitive Liquid Chromatography coupled to tandem Mass Spectrometry (LC-MS/MS) application in bioavailability measurement is advancing with newer approaches like micro dose of either radiolabeled drug or stable isotope labelled drug [5].

In the present investigation we describe the method development and validation of a highly sensitive LC-MS/MS for the quantification of the anti-blood cancer drug Imatinib in rat plasma. The bioavailability (drug exposure) of a drug was calculated by measuring various pharmacokinetic parameters, non-compartmental pharmacokinetic was the approach utilized in the study.

Imatinib (4-[(4-methylpiperazin-1-yl) methyl]-N-(4-methyl-

Anti-Cancer Agents in Medicinal Chemistry, 2023, *23*, 2042-2055

RESEARCH ARTICLE

Discovery of New Quinazoline Derivatives as VEGFR-2 Inhibitors: Design, Synthesis, and Anti-proliferative Studies

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Abstract: ***Background*:** In cancer, Receptor tyrosine kinases (RTKs) are powerful oncoproteins that can lead to uncontrolled cell proliferation, angiogenesis, and metastasis when mutated or overexpressed, making them crucial targets for cancer treatment. In endothelial cells, one of them is vascular endothelial growth factor receptor 2 (VEGFR2), a tyrosine kinase receptor that is produced and is the most essential regulator of angiogenic factors involved in tumor angiogenesis. So, a series of new N-(4-(4-amino-6,7-dimethoxyquinazolin-2-yloxy)phenyl)-N-phenyl cyclopropane-1,1-dicarboxamide derivatives as VEGFR-2 inhibitors have been designed and synthesized.

***Methods*:** The designed derivatives were synthesized and evaluated using H-NMR, C13-NMR, and Mass spectroscopy. The cytotoxicity was done with HT-29 and COLO-205 cell lines. The potent compound was further studied for Vegfr-2 kinase inhibition assay. Furthermore, the highest activity compound was tested for cell cycle arrest and apoptosis. The molecular docking investigation was also done with the help of the Glide-7.6 program interfaced with Maestro-11.3 of Schrodinger 2017. The molecular dynamics simulation was performed on the Desmond module of Schrodinger.

***Results*:** Compound SQ2 was observed to have promising cytotoxic activity (IC_{50} = 3.38 and 10.55 µM) in comparison to the reference drug Cabozantinib (IC_{50} = 9.10 and 10.66 µM) against HT-29 and COLO-205, respectively. The synthesized compound SQ2 showed VEGFR-2 kinase inhibition activity (IC_{50} = 0.014 µM) compared to the reference drug, Cabozantinib (IC_{50} = 0.0045 µM). Moreover, compound SQ2 strongly induced apoptosis by arresting the cell cycle in the G1 and G2/M phases. The docking study was performed to understand the binding pattern of the new compounds to the VEGFR-2 active site. Docking results attributed the potent VEGFR-2 inhibitory effect of the new compounds as they bound to the key amino acids in the active site, Asp1044, and Glu883, as well as their hydrophobic interaction with the receptor's hydrophobic pocket. The advanced computational study was also done with the help of molecular dynamics simulation.

***Conclusion*:** The findings show that the developed derivatives SQ2 and SQ4 are equally powerful as cabozantinib at cellular and enzymatic levels. The apoptosis and cell cycle results show that the proposed compounds are potent. This research has provided us with identical or more potent VEGFR-2 inhibitors supported by the results of docking studies, molecular dynamics simulation, cytotoxic actions, *in vitro* VEGFR-2 inhibition, apoptosis, and cell cycle arrest.

ARTICLE HISTORY

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1. INTRODUCTION

Cancer is a serious global health issue and a potential cause of death in the future [1, 2]. Furthermore, it was anticipated that by 2030, there might be 22 million new instances of cancer worldwide [3, 4]. Despite cancer prevention and treatment advancements, it continues to be the second most common cause of death worldwide [5-7]. The process of cancer angiogenesis is essential to the development of tumors [8]. The formation of new capillaries from existing blood capillaries enables the delivery of oxygen and nutrients to divide cells, which may aid in cancer growth, survival, and metastasis [9, 10].

Recently, the development of more precise chemotherapeutics and the identification of novel biological targets have emerged as major research priorities [11]. Receptor tyrosine kinases (RTKs) are crucial for controlling intracellular signal transduction pathways and numerous cellular activities [12]. RTKs are powerful oncoproteins that can lead to uncontrolled cell proliferation, angiogenesis, and metastasis when mutated or overexpressed, making them crucial targets for cancer treatment. RTK inhibitors have potent antitumor effects that have been proven, and some of them are now being investigated in clinical studies or have previously received approval [13, 14]. Numerous factors stimulate cancer angiogenesis [15]. In endothelial cells, one of them is vascular endothelial growth factor receptor 2 (VEGFR2), a tyrosine kinase receptor that is produced and is the most essential regulator of angiogenic factors involved in tumor angiogenesis [5, 16, 17]. By binding to VEGF and stimulating subsequent signaling cascades and specific endothelial responses, such as enhanced endothelial cell proliferation and improved vascular permeability, VEGFR-2 can promote angiogenesis. The VEGFR receptor underwent a conformational change after binding VEGF, which was followed by phosphorylation and dimerization. Thus, inhibiting VEGF and VEGFR-2 is an effective

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antibiotics

MDPI

Article

The Design, Synthesis, and Evaluation of Diaminopimelic Acid Derivatives as Potential *dap*F Inhibitors Preventing Lysine Biosynthesis for Antibacterial Activity

Mohd Sayeed Shaikh [1,*], Mayura A. Kale [2], V. Muralidharan [3], T. Venkatachalam [4], Syed Sarfaraz Ali [5], Fahadul Islam [6], Sharuk L. Khan [7], Falak A. Siddiqui [7], Humaira Urmee [8], Ganesh G. Tapadiya [9], Sachin A. Dhawale [9], Long Chiau Ming [10], Ibrahim Abdel Aziz Ibrahim [11], Abdullah R. Alzahrani [11], Md. Moklesur Rahman Sarker [12,13,*] and Mohd Fahami Nur Azlina [14,*]

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Abstract: We created thiazole and oxazole analogues of diaminopimelic acid (DAP) by replacing its carboxyl groups and substituting sulphur for the central carbon atom. Toxicity, ADME, molecular docking, and in vitro antimicrobial studies of the synthesized compounds were carried out. These compounds displayed significant antibacterial efficacy, with MICs of 70–80 µg/mL against all tested bacteria. Comparative values of the MIC, MBC, and ZOI of the synthesized compound were noticed when compared with ciprofloxacin. At 200 µg/mL, thio-DAP (1) had a ZOI of 22.67 ± 0.58, while ciprofloxacin had a ZOI of 23.67 ± 0.58. To synthesize thio-DAP (1) and oxa-DAP (2), l-cysteine was used as a precursor for the L-stereocenter (l-cysteine), which is recognized by the *dap*F enzyme's active site and selectively binds to the ligand's L-stereocenter. Docking studies of these compounds were carried out using the programme version 11.5 Schrodinger to reveal the hydrophobic and hydrophilic properties of these complexes. The docking scores of compounds one and two were −9.823 and −10.098 kcal/mol, respectively, as compared with LL-DAP (−9.426 kcal/mol.). This suggests that compounds one and two interact more precisely with *dap*F than LL-DAP. Chemicals one and two were synthesized via the SBDD (structure-based drug design) approach and these act as inhibitors of the *dap*F in the lysine pathway of bacterial cell wall synthesis.

Keywords: diaminopimelic acid; *dap*F inhibitors; structure-based drug design; heterocyclic; antibacterial; enzyme

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RESEARCH ARTICLE

Design, Synthesis, Molecular Docking, and Preliminary Pharmacological Screening of Some New Benzo[*d*]thiazol-2-ylamino Containing Chromen-2-one Derivatives with Atypical Antipsychotic Profile

Ashish A. Gawai[1], Kailash R. Biyani[1], Sanjib Das[2], Ganesh G. Tapadiya[3], Santosh N. Mokale[4] and Sachin A. Dhawale[3,*]

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Abstract: ***Introduction*:** Mental disorders are very serious complicated disorders. Schizophrenia is one of the most baffling mental disorders. The new series 7-(2-(benzo[*d*]thiazol-2-ylamino)ethoxy)-4-methyl-2*H*-chromen-2- synthesized in search of newer compounds for Schizophrenia.

***Methods*:** Synthesis is done by refluxing in dry pyridine with various substituted 2-amino benzothiazoles derivatives (**3a**-**3k**) and 7-(2-Chloroethoxy)-4-methyl-2H-chromen-2-one (2). The molecular docking approach was used to screen these generated derivatives. Chem Bio Draw Ultra 12 was used to draw the compounds, which were then exposed to all potential conformations of compounds interacting with receptors. The Glide 7.6, Schrodinger 2017 Maestro 11.3 was used to achieve molecular docking. The Dopamine receptor 6CM4 serotonin 5TUD PDBs were acquired from the database of Brookhaven Protein. Using the OPLS 2005 force field, the ligand-protein hydrogen-bond network was acquired, along with the overall energy reduced. A glide score was used to rate the docking poses.

***Results*:** The produced compounds have been identified with the use of analytical and spectral data. All of the produced substances were tested and analyzed for serotonin 5HT2 antagonistic and dopamine D2 activity, which can be considered as a measure of typical antipsychotic properties.

***Conclusion*:** Compounds **4b**, **4c**, **4e**, **4g** & **4i** have demonstrated promising pharmacological action in preliminary studies. According to the preceding findings, compounds with electron-withdrawing substitutions, such as **4e** & **4b**, have a good atypical profile of antipsychotics.

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1. INTRODUCTION

Several neurological illnesses like depression, anxiety, Parkinson's, and schizophrenia developed due to imperfections in the operation of neural pathways. Schizophrenia is a chronic mental illness caused mainly by the overactivity of Dopamine and Serotonin [1, 2]. Typical antipsychotics are the medication to treat schizophrenia initially as drugs antagonizing central dopaminergic receptors [3]. Extrapyramidal side effects are the major disadvantages of this treatment, and it is often not able to control negative symptoms. This is supposed to be happened due to a mesocorticolimbic dopaminergic pathway blockage [4, 5]. According to this, the pharmacological potency of the most commonly prescribed anti-psychotics is linked to their affinity for D2 receptors [6]. In the last few decades, the affinity, specificity, and potential therapeutic application with the discovery of the multiplicity of serotonin 5-HT receptors [7-9] and several 5-HT ligands have been studied extensively. We have synthesized these novel derivatives as an extension to our past work that was to design and synthesize new "2-(4-methyl-2-oxo-2H-chromen-7-yloxy)-N-(benzo[*d*]thiazol-2-yl)" acetamide derivatives [10, 11]. These novel derivatives have shown good antipsychotic activity with serotoninergic 5HT and dopaminergic D2 receptor activity. Agents from the second generation, like the model drug clozapine, have a decreased affinity for dopamine D2 receptors. It is believed that clozapine's

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Design, Synthesis, Cytotoxicity and Molecular docking studies of 1-(4-methoxyphenyl)-N-substituted phenyl-1H-1,2,3-triazole-4-carboxamide derivatives

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Research Article

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Research Article

LC-MS/MS Bioanalytical Procedure for Quantification of Imatinib Mesylate in Rat Plasma: Development and Application to Pharmacokinetic Study

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ABSTRACT

Introduction: In this research, a fit-for-purpose LC-MS/MS method for quantification of Imatinib Mesylate in rat plasma was developed and utilized for the pharmacokinetic study. Imatinib extraction was done and isolated from plasma samples using protein precipitation method. Imatinib quantification was done using Liquid Chromatography (LC) tandem Mass Spectrometry (MS) with Electro Spray Ionization (ESI) and Multiple Reaction Monitoring (MRM) in positive ionization mode.

Objective: A simple and fast fit for purpose LC-MS/MS method was developed and utilized for the quantification of Imatinib mesylate and was applied to a pharmacokinetic study.

Methods: All the sample preparation was acquired and accepted through protein precipitation. High performance chromatographic partition was achieved on a PURITAS PNCN, (100 × 4.6 mm, 5 µm) CHROMACHEMIE analytical column by using an isocratic elution. Pump A (40%): 0.1% Formic acid in 5 mM Ammonium Formate Solution Pump B (60%): 0.1% Formic acid in acetonitrile at a flow rate of 0.8 mL/min. for 5 mintues.

Results: The retention time of Imatinib mesylate and its internal standard, Verapamil was 3.21 ± 0.8 min and 3.64 ± 0.5 min, respectively. The total run time was 5.0 minutes. The elution detection was obtained with +ve electrospray ionization multiple reaction monitoring of the ion transitions at m/z 494.40 → 394.20 for Imatinib and second mass transitions were monitored: Imatinib at m/z 494.40 → 217.20 while internal standard Verapamil was selected for m/z 455.30 → 165.10. The method was developed and validated over the concentration range of 50-5000 ng/mL for Imatinib mesylate, with correlation coefficient greater than 0.9991. The extraction recovery was more than 105.37% and the matrix effect was not significant. The intra and inter-day precisions were below 4.65% and accuracies ranged from 91.7 to 102.0%. The quantification limit for Imatinib was 5.05 ng/mL. Imatinib mesylate was demonstrated to be stable in rat plasma under the tested conditions.

Conclusion: The developed LC-MS/MS fit-for purpose procedure for the quantification of Imatinib Mesylate in rat plasma can be used for pharmacokinetic studies in preclinical applications.

Keywords: Bioanalytical method, Imatinib Mesylate, Rat plasma, Verapamil, Pharmacokinetics.

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INTRODUCTION

The drug, Imatinib an oral tyrosine kinase inhibitor, first line standard treatment in patients with Chronic Myeloid Leukemia (CML) and recurrent Gastro-Intestinal Stromal Tumor (GIST). Imatinib strongly improved therapy outcomes, however it was reported in many research articles that drug has large inter-individual variability in plasma concentration when standard dose was administered [1]. This also provides a research space for many researchers to work on a simple, sensitive, accurate and precise method development for quantitative and qualitative analysis of such type of drugs.

Additionally, the fitness for purpose of analytical methods is of major concern in drugs quantitative analysis. Over the past decade, the drug development paradigm has shifted to where we are looking for cost effective drug discovery and development therefore the research work have been directed towards small scale. Validation of each analytical method is crucial step in all pharmaceutical analytical laboratories, However, there is always been a lack of clarity in methodology in order to decide that the method can be considered as valid and reproducible [2,3]. Secondly accurate method helps in absolute bioavailability measurement, the rate and extent to which the drug is absorbed and becomes available at the site of measurement, subsequently producing therapeutic effect. Absolute bioavailability estimation is an important component to evaluate during New Drug Application (NDA) so as to assess the safety and efficacy of a drug product [4]. The Ultra-sensitive Liquid Chromatography coupled to tandem Mass Spectrometry (LC-MS/MS) application in bioavailability measurement is advancing with newer approaches like micro dose of either radiolabeled drug or stable isotope labelled drug [5].

In the present investigation we describe the method development and validation of a highly sensitive LC-MS/MS for the quantification of the anti-blood cancer drug Imatinib in rat plasma. The bioavailability (drug exposure) of a drug was calculated by measuring various pharmacokinetic parameters, non-compartmental pharmacokinetic was the approach utilized in the study.

Imatinib (4-[(4-methylpiperazin-1-yl) methyl]-N-(4-methyl-

A list of research papers published per teacher in the Journals notified on UGC care list during A. Y. 2021-22 followed by front pages of research papers are attached.

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PRINCIPAL
Shreeyash Institute Of Pharmaceutical Education And Research, Aurangabad

A list of research papers published per teacher in the Journals notified on UGC care list during A. Y. 2021-22 followed by front pages of research papers are attached.

Research Publications 2021-22

Sr. No.	Title of paper	Name of the author/s	Name of journal	Link to article / paper / abstract of the article
1	An effect of onion peel water on various plant disease and plant growth	Dr. Ganesh Tapadiya	International Journal of Scientific Development and Research (IJSDR)	https://www.ijsdr.org/papers/IJSDR2201052.pdf
2	Phytochemicals Profile of Methanolic Extract of Withania somnifera (L.) Dunal roots by Validated HPLC Technique	Dr. Ganesh Tapadiya	Research Journal of Pharmaceutical, Biological and Chemical Sciences	https://www.rjpbcs.com/pdf/2022_13(2)/[11].pdf
3	Survey on ABO blood group possible risk of covid-19"	Dr. Ganesh Tapadiya	International Journal of Scientific Development and Research (IJSDR)	https://www.ijsdr.org/papers/IJSDR2201053.pdf
4	Formulation and Evaluation of fast Dissolving Tablets of Accelofenac by Direct Compression Using Novel Co-Processed Granulating Technique	Dr. Ganesh Tapadiya	International Journal of Research in Engineering and Science (URES)	https://www.ijres.org/papers/Volume-9/Issue-10/Ser-2/N09108186.pdf
5	Formulation and Evaluation of Controlled Release Matrix Tablets of Cefixime Rehydrate	Dr. Ganesh Tapadiya	International Journal of All Research Education and Scientific Methods.(UARESM)	https://www.ijaresm.com/formulation-and-evaluation-of-controlled-release-matrix-tablets-of-cefixime-trihydrate
6	Rationale drug Design Synthesis and Molecular Docking and in vitro Biological Evaluation of some novel N-(2-arylaminophenyl)-2,3-diphenyl quinoxaline-6-sulphonamides as potential Antimalarial, Antifungal and Antibacterial Agents"	Dr. Ganesh Tapadiya	Digital Chinese Medicine	https://www.sciencedirect.com/science/article/pii/S2589377721000483

7	Chocolate Formulation As A Drug Delivery System For Thrombocytopenia	Dr. Ganesh Tapadiya	International Journal of All Research Education and Scientific Methods (IJARESM),	https://www.ijaresm.com/uploaded_files/document_file/Arundhati_DeokarOSza.pdf
8	Potential Epha2 Receptor Blockers Involved in Cerebral Malaria from Taraxacum officinale, Tinospora cordifolia, Rosmarinus officinalis and Ocimum basilicum: A Computational Approach	Dr. Ganesh Tapadiya	Pathogens	https://www.mdpi.com/2076-0817/11/11/1296
9	An effect of onion peel water on various plant disease and plant growth	Sneha khandale	International Journal of Scientific Development and Research (IJSDR)	https://www.ijsdr.org/papers/IJSDR2201052.pdf
10	"Survey on ABO blood group possible risk of covid-19"	Sneha khandale	International Journal of Scientific Development and Research (IJSDR)	https://www.ijsdr.org/papers/IJSDR2201053.pdf
11	Phytochemicals Profile of Methanolic Extract of Withania somnifera (L.) Dunal roots by Validated HPLC Technique	Hujeb Pathan	Research Journal of Pharmaceutical, Biological and Chemical Sciences	https://www.rjpbcs.com/pdf/2022_13(2)/[11].pdf
12	A Complete Review of Claustrophobia Disorder	Pathan Hujeb Afsar Khan	World journal of pharmacy and Pharmaceutical sciences	https://www.wjpps.com/Wjpps_controller/abstract_id/16114
13	Survey on ABO blood group possible risk of covid-19"	Minal Y. Chaudhari	International Journal of Scientific Development and Research (IJSDR)	https://www.ijsdr.org/papers/IJSDR2201053.pdf
14	Formulation and evaluation of Anti-Ulcer Cream from the leaves extract of Jasminum and its comparative study with marketed product	Minal Y. Chaudhari	International Journal of Scientific Progress and Research (IJSPR)	https://www.ijspr.com/citations/v79n8/IJSPR_7908_30846.pdf

15	Development and validation of UV spectrophotometric method for the simultaneous estimation of Clinidipine and Telmisartan in bulk drugs and pharmaceutical dosage forms	Minal Y. Chaudhari	European journal of biomedical and pharmaceutical science	https://www.ejbps.com/ejbps/abstract_id/8007
16	Phytochemicals Profile of Methanolic Extract of Withania somnifera (L.) Dunal roots by Validated HPLC Technique	Pallavi Bhosle	Research Journal of Pharmaceutical, Biological and Chemical Sciences	https://www.rjpbcs.com/pdf/2022_13(2)/[11].pdf
17	Phytochemical and Spectral analysis of Argoclavine; A potent Psychoactive substance present in the seeds of Argyreia nervosa plant	Pallavi Bhosle	Research Journal of Pharmacognosy and Phytochemistry	https://rjpponline.org/AbstractView.aspx?PID=2021-13-3-1
18	Chyawanprash: A Nutraceutical in the Treatment of Calcium Oxalate Kidney Stones: Let Food Be Your Medicine	Pallavi Bhosle	International Journal of Health Sciences and Research	https://www.academia.edu/96694234/Chyawanprash_A_Nutraceutical_in_the_Treatment_of_Calcium_Oxalate_Kidney_Stones_Let_Food_Be_Your_Medicine?uc-sb-sw=53577083
19	A Complete Review of Claustrophobia Disorder	Shruti Dake	World journal of pharmacy and Pharmaceutical sciences	https://www.wjpps.com/Wjpps_controller/abstract_id/16114
20	Formulation and Evaluation of Controlled Release Matrix Tablets of Cefixime Trihydrate	Rashmi shivaji Tambare	International Journal of All Research Education and Scientific Methods.(UARESM)	https://www.ijaresm.com/formulation-and-evaluation-of-controlled-release-matrix-tablets-of-cefixime-trihydrate

21	Formulation and Evaluation of fast Dissolving Tablets of Acceclofenac by Direct Compression Using Novel Co-Processed Granulating Technique	Rashmi shivaji Tambare	International Journal of Research in Enginnering and Science (URES)	https://www.ijres.org/papers/Volume-9/Issue-10/Ser-2/N09108186.pdf
22	Rationale drug Design Synthesis and Molecular Docking and in vitro Biological Evaluation of some novel N-(2-arylaminophenyl)-2,3-diphenylquinoxaline-6-sulphonamides as potential Antimalarial, Antifungal and Antibacterial Agents"	Shaikh Mohd Sayeed	Digital Chinese Medicine	https://www.sciencedirect.com/science/article/pii/S2589377721000483
23	Potential Epha2 Receptor Blockers Involved in Cerebral Malaria from Taraxacum officinale, Tinospora cordifolia, Rosmarinus officinalis and Ocimum basilicum: A Computational Approach	Shaikh Mohd Sayeed	Pathogens	https://www.mdpi.com/2076-0817/11/11/1296
24	Phytochemical and Spectral analysis of Argoclavine; A potent Psychoactive substance present in the seeds of Argyreia nervosa plant	Arundhati Deokar	Research Journal of Pharmacognosy and Phytochemistry	https://rjpponline.org/AbstractView.aspx?PID=2021-13-3-1
25	Chocolate Formulation As A Drug Delivery System For Thrombocytopenia	Arundhati Deokar	International Journal of All Research Education and Scientific Methods (IJARESM),	https://www.ijaresm.com/uploaded_files/document_file/Arundhati_DeokarOSza.pdf

26	Chyawanprash: A Nutraceutical in the Treatment of Calcium Oxalate Kidney Stones: Let Food Be Your Medicine	Arundhati Deokar	International Journal of Health Sciences and Research	https://www.academia.edu/96694234/Chyawanprash_A_Nutraceutical_in_the_Treatment_of_Calcium_Oxalate_Kidney_Stones_Let_Food_Be_Your_Medicine?uc-sb-sw=53577083

An Effect of Onion Peel Water on Various Plant Disease and Plant Growth

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***Abstract*: The Bulb onion [Allium Cepa] is another name for the onion [Allium Cepa]. It is a member of the Plantae Kingdom. The most common Biennial or Perineal plant is the onion. Antioxidants, antibiotics, and a variety of other activities are all found in onions. The onion can also be used as a fertilizer. According to several research, the fleshy or edible section of the onion contains a variety of functions that any fertilizer would demonstrate.**

The main goal of this research is to investigate the effect of onion peel water as a fertilizer for making plants disease resistant, increasing soil fertility, and promoting plant growth. This research on onion peels, also known as external scales, contributes to the agricultural field. This research aids in the enhancement and improvement of domestic gardening skills.

INTRODUCTION

As we know that human and living beings need the food and nutrition to stay healthy the same is with the plants which need various nutrients to Grow properly. Fertilizers are the substance or compound which are added or introduced to the soil to improve plants growth and yields. Fertilizers can also be applied on plants for the purpose of growth. The Role of Fertilizers is to enhance the fertility of soil, and Treat the various plants diseases and many more.

In Various food Industries and restaurants, the Onions are used on wide range. So here the dry onion peels are considered as the waste and it is been discarded. So, if this waste is not discarded properly then it can cause harm to environment. So, we can reuse that peel of onions as fertilizers instead of discarding it. The Onion Peels contains a greater number of specific phytochemicals as compare to fleshy and edible part.

Figure 1:-FERTILIZERS

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Phytochemicals Profile of Methanolic Extract of *Withania somnifera* (L.) Dunal roots by Validated HPLC Technique.

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ABSTRACT

To performs phytochemicals profile of methanolic extract of *Withania somnifera* (L.) Dunal roots by validated HPLC technique. Methanolic Extract was subjected to HPLC investigation, the Phenomenex Luna C18 column (250 mm *4.6 mm, 5 m) was used, with a flow rate of 1.5 ml/min and a UV Wavelength of 227. This Chromatographic study revealed the major Bio active Phytochemicals has found with Retention time of Withanoside IV (14.78), Physagulin D (15.96), 27-Hydroxywithanone (17.22), Withanoside V and Withanoside VI (19.43), Withaferin A (19.85), Withastramonolide (21.17), Withanolide A (22.04), Withanone (22.28), Withanolide B (25.36) are found in Retention Time range between 14.78 to 25.36. linearity range was plot between 10 to 30 mg/ml , LOD was found to be approximately 0.36 ppm and LOQ is 1.18 ppm, Intermediate Precision intraday shows 0.9 %, Interday is 0.25 %, Average Recovery is 94.38 % when planed at 3 different concentration level 50 %, 100 % and 150 %. The result obtained from these studies shows the present HPLC method was accurate, Precise and Validate for Phytochemicals analysis and this approach is recommended for routine quality control analysis of Active Phytochemicals in a wide variety of herbal plants.

Keywords: HPLC, *Withania somnifera* (L.) Dunal, Withanoside, Withanolide, Withaferin.

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Survey on ABO blood group possible risk of covid-19

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***Abstract*: A dataset in this study gave survey data on covid -19 in various blood types and especially which gender is more susceptible to covid in the people of Maharashtra in response to the current worldwide challenge caused by covid-19. Blood type may play a role in determining disease severity in COVID-19 patients. People of blood type O appear to be protected from severe disease, according to genetic research of COVID-19 patients. Those with blood type A, on the other hand, may develop difficulties as a result of the viral infection.**

This survey includes information from roughly 300 participants and is distributed via a Google form of questionaries. Some of the data was obtained virbally by assessing the covid-19 in all blood groups and genders. According to the findings, type A blood is more susceptible to covid-19 than other blood kinds, and males are the most impacted. We received approximately 300 responses from a wide range of sources. Members of the project team looked over the survey results.

INTRODUCTION

The COVID-19 pandemic's global expansion has had an unintended negative influence on people's lives. Coronavirus illness (severe acute respiratory syndrome) is an communicable diseases disease caused by coronavirus 2. (SARS-CoV-2). In December of this year, the first known case was discovered in Wuhan, China. The disease has since spread around the world, resulting in a pandemic. In a matter of days, this disease grew into a global threat, and the World Health Organization (WHO) declared it a pandemic on March 11, 2020. Since then, the disease has impacted more than 1.5 crore people globally, with 3.04 crore persons in India as of June 29, 2021. The disease's origins have been traced back to bats, albeit the exact point of contact between the two species is unknown. Respiratory droplets and infected surfaces transmit the disease.

Fever, cough, headache, exhaustion, breathing difficulty, and loss of smell and taste are some of the common symptoms of COVID-19. Symptoms can be appear anywhere from one to fourteen days after being exposed to the virus. At least one-third of those who are afflicted do not show any signs or symptoms. The sickness behaviour of patients infected with severe acute respiratory syndrome coronavirus 2 differs significantly.

Both symptomatic and asymptomatic people can spread the virus by respiratory droplets when they come into close contact (within 6 feet). Transmission by aerosols and potentially contact with fomites is also possible, though this is not regarded to be the predominant route. COVID-19-related mortality is very varied and is linked to age, illness severity, and comorbidities. Mortality is estimated to be 0.7 percent to 2% for all patients, 10% for hospitalised patients, 30% to 50% for patients admitted to the intensive care unit, and 37 to 88 % for patients requiring invasive mechanical ventilation or extracorporeal membrane oxygenation (ECMO).

We conducted this survey since the Covid-19 now has its Variants, which is a severe problem for the patients. Multiple varieties of SARS-CoV-2 are produced as a result of the virus's continual mutation, although the majority of them share the same basic characteristics. However, because of the virus's continual mutation, new variants with significant differences in the virus's spreading characteristics, fatality rates, and other features may emerge, which will be referred to as new variants below. Throughout the COVID-19 pandemic, SARS-CoV-2 genetic variations have emerged and circulated over the world. Covid-19 variant delta, which was first discovered in India, is quickly becoming the disease's most common form worldwide. The number of COVID-19 cases and deaths in India has increased dramatically, and a SARS-CoV-2 variant, B.1.617, is suspected of being responsible for many of these cases.

Although the pathophysiology of severe COVID-19 and the resulting respiratory failure is unknown, older age and male gender are consistently linked to a higher risk.

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Formulation and Evaluation of Fast Dissolving Tablets of Aceclofenac by Direct Compression Using Novel Co-Processed Granulating Technique

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Abstract
Fast dissolving drug delivery is rapidly gaining acceptance as an important new drug delivery technology. Aceclofenac is a nonsteroidal agent with marked anti-inflammatory and analgesic properties having poor water solubility leading to variable dissolution rate. Fast dissolving tablet is an approach to increase the dissolution rate faster and gives quick onset of action of poorly soluble drug. The purpose of this study was to formulate and evaluate fast dissolving tablets of famotidine using sodium carboxy methyl cellulose, pregelatinized starch and sodium starch glycolate as superdisintegrants. Tablets were prepared by direct compression technique. The granules were evaluated for pre-compression parameters such as bulk density, compressibility, angle of repose etc. The prepared batches of tablets were evaluated for hardness, weight variation, friability, drug content, In-vitro disintegration time. % drug release from the In-vitro dissolution profile for the prepared formulations was 98.89% and for the marketed conventional formulation was 75.45% at the end of 20 minutes. The present study demonstrated potentials for faster dissolution, rapid absorption, improved bioavailability, effective therapy and patient compliance

Keywords: *Aceclofenac, Superdisintegrants, Fast dissolving tablets.*

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I. INTRODUCTION

The fast dissolving drug delivery system is rapidly gaining acceptance as an important novel drug delivery system. This delivery system offers better patient compliance than conventional tablet dosage form [1]. Difficulties with and resistance to tablet-taking are common in all patient groups and can exacerbate compliance problems and undermine treatment efficacy. Physical problems with swallowing (dysphagia) can occur at any age but are particularly prevalent in the elderly and those with dementia, whereas refusal to swallow is often encountered in geriatric, pediatric, and psychiatric patients. Nonetheless, oral dosing remains the preferred mode of administration for many types of medication due to its simplicity, versatility, convenience, and patient acceptability. In recent years, rapid-dissolving oral drug formulations have been developed to overcome problems related to swallowing difficulties [2].

Fast dissolving tablets (FDTs) are prepared by various techniques, mainly direct compression, lyophilization, spray drying, freeze drying and moulding. FDTs disintegrate and/or dissolve rapidly in the saliva without the need for water, releasing the drug immediately. Some drugs are absorbed from the mouth, pharynx and esophagus as the saliva passes down into the stomach. In such cases, bioavailability of drug is significantly greater than those observed from conventional tablet dosageform [3,4]. The simplicity and cost effectiveness of the direct compression process have positioned this technique as an attractive alternate to traditional granulation technologies [5]. Usually superdisintegrants are added to a drug formulation to facilitate the break-up or disintegration of tablet into smaller particles that can dissolve more rapidly than in absence of disintegrants [6].

Aceclofenac is a nonsteroidal agent with marked anti-inflammatory and analgesic properties. The mode of action of Aceclofenac is largely based on the inhibition of prostaglandin synthesis, a decrease in the expression of several cytokines including interleukin and tumor necrosis factor [7]. It is specially used for osteoarthritis, rheumatoid arthritis, spondylitis, dental pain, postoperative pain, post-traumatic pain, low back pain, and gynecological pain [8]. As a result, it could be concluded that aceclofenac may be a better option for the management of pain. Therefore, it was chosen as a model drug for preparation of the fast dissolving tablets dosage form.

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Formulation and Evaluation of Controlled Release Matrix Tablets of Cefixime Trihydrate

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ABSTRACT

The main objective of the present work was to develop sustained release matrix tablets of Cefixime Trihydrate were prepared by direct compression techniques and evaluates the effect of formulation variables such as lubricant, binder, polymer content and viscosity grades of HPMC on the behavior of Cefixime Trihydrate release. The prepared tablets were evaluated for various physico-chemical parameters. *In vitro* release profile was check to evaluate the sustained release matrix tablet of Cefixime Trihydrate. The drug release from the optimized formulation was found to follow zero order kinetics. Thus the phenomenon of drug release showed that the release of optimized formulation is controlled by diffusion. Administration of Cefixime Trihydrate in a sustained release dosage would be more desirable for bacterial infections effects by maintaining the plasma concentrations of the drug well above the therapeutic concentration. From *In vitro* dissolution profile, Formulation S3 was prepared with Hydroxypropyl methylcellulose (K15M) combination where drug release was about 99.14% at the end of 24 hrs and followed zero order with non-Fickian diffusion method. It is selected as the best formulation.

Key words: Matrix tablets, Direct compression, Release behavior, Cefixime Trihydrate

INTRODUCTION

Matrix tablet is one of the most convenient approaches for the preparation of the sustained release dosage forms[1]. Among the different strategies to prolong the drug action, formulation of matrix tablet has gained immense popularity now a days because it has the advantage of simple processing and a low cost of fabrication Matrix technologies have often proven popular among the oral controlled drug delivery technologies because of their simplicity, ease in manufacturing, high level of reproducibility, stability of the raw materials and dosage form, and ease of scale-up and process validation. This is reflected by the large number of patents filed each year and by the commercial success of a number of novel drug delivery systems based on matrix technologies[2]. In matrix devices, the drug is homogeneously dispersed in either a hydrophobic or hydrophilic polymer matrix. The release rate from matrix systems remains unaffected by thin spots, pinholes, and other similar defects, which can be a serious problem with reservoir systems[3].

The design of sustained release delivery system is subject to several variables of considerable importance. Among these, route of drug delivery, the type of delivery system, the disease being treated, the patient, length of therapy and the properties of the drug. The drug should be stable in the gastro-intestinal tract as the sustained release systems release their contents over entire length of gastrointestinal tract. Therefore, drugs degraded by the acid environment of the stomach or degraded by the basic environment of intestine are unsuitable for formulation into sustained release dosage forms.

Sustained release matrix tablet can be prepared in two ways, one is direct compression of the powder blend containing the drug, polymer and other additives, and another one involves granulation prior to compression. Selection of the proper method depends on the properties of the drug, polymer and other ingredients. The matrix tablet by direct compression has attracted much attention due to its technological simplicity in comparison with other controlled release systems. It required fewer unit operations, less machinery, reduced number of personnel and processing time, increased product stability and production rate[4]. HPMC, a semi synthetic derivative of cellulose, is a swellable and hydrophilic polymer. Some research groups have worked on the usage of swellable HPMC as the retarding polymer to sustain the release of different drugs[5-6]. It is very suitable to use as a retardant material in controlled release matrix tablets, as it is nontoxic and easy to handle[7]. Matrix tablets prepared using HPMC on contact with aqueous fluids gets hydrated to form a viscous gel layer through which drug will be released bydiffusion and/or by erosion of the matrix[8].

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Rational drug design, synthesis, and biological evaluation of novel N-(2-arylaminophenyl)-2,3-diphenylquinoxaline-6-sulfonamides as potential antimalarial, antifungal, and antibacterial agents

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ABSTRACT

Objective Sulfanilamide, sulfadiazine, and dapsone were the first sulfonamides to be used to treat malaria by disrupting the folate biosynthesis process, which is essential for parasite survival. Therefore, we aimed to synthesize novel N-(2-arylaminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide derivatives through a rational drug design approach.

Methods All compounds were synthesized by the conventional method, and the products were characterized by spectral analysis (^{1}H NMR and mass spectrometry). The progression of the reaction was monitored using thin-layer chromatography (TLC). All the derivatives were analyzed for their effective binding mode in the allosteric site of the plasmodium cysteine protease falcipain-2. Antibacterial and antifungal activities were determined using the broth dilution method.

Results S6 *(N-(2-thiazol-4yl)-acetyl-aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* and S9 *(N-(1H-benzo[d]imidazol-2-yl)aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* formed five hydrogen bonds; S8 *(N-(2-1H-imidazol-2yl)aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* and S10 *(N-(1H-benzo[d]imidazol-5-yl)aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* formed four hydrogen bonds with the allosteric site of the enzyme. Considering the docking scores and formation of hydrogen bonds with the target enzyme, the novel derivatives were processed for wet lab synthesis. All the newly synthesized derivatives were subjected to *in vitro* antimalarial, antifungal, and antibacterial activities. All the derivatives exhibited sufficient sensitivity

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Chocolate Formulation As A Drug Delivery System For Thrombocytopenia

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ABSTRACT

Life style dramatically changed in recent years, unhealthy lifestyle choices, eating habits including smoking and lack of exercise, along with emotional stressors like social isolation and interpersonal conflicts are important risk factors for developing infections. According to WHO,60% of related factors to individual health and quality of life are correlated to lifestyle, which leads to decreased immunity, illness, disability and even death. Decreased immunity results in attack of opportunistic infection which may be caused due to virus, bacteria, fungi along with number of illeffects on body. Currently world is suffering from life-threatening viral infection. When a virus infect a person(host),it invades the cells of its host in order to survive and replicate.Platelets play a much bigger role in our immune system than previously thought, according to researchers in addition to their role in coagulation and healing, platelets also act as the immune system's first responders when any microorganisms or allergen enters the blood stream.When we suffer from any kind of viral infection, non immune thrombocytopenia is observed or thrombocytopenia may be assign of infection. Study reported that consumption of papaya, spinach leaf, vitamin C containing fruits, beetroots, carrots results in increased platelets count.Hence in current research work attempt was taken to overcome such ill effect with formulation of cost effective, edible herbal chocolates using natural plant phytoconstituents, which are further evaluated by study of their organoleptic characteristic, preliminary phytochemical screening, determination of PH, stability testing, blooming effect.

KEYWORDS: Viral infection, platelets, herbal chocolates

INTRODUCTION

In case of any kind of illness or disability we consult a doctor and after diagnosis of illness doctor prescribe some medicines which may be solid, liquid or injectables type of dosage form,which need to be administered at regular intervals due to which patient feels uncomfortable along with difficulty in swallowing specially in case of pediatric and geriatric patients. Apart from these organoleptic properties of the drug should be considered to improve patient compliance To overcome such type of side effects, idea of preparation of innovative dosage form was thought to deliver active pharmaceutical ingredient in an attractive form which results in reduced rejection / psychological inhibition towards dosage forms. So that patients of any age can administer various drugs with increased patient compliance. Keeping this in view a new attractive and highly acceptable form of formulation i.e chocolate formulation as drug delivery system is developed.When we suffer from any kind of viral infection, non immune thrombocytopenia is observed or thrombocytopenia may be assign of infection. Study reported that consumption of papaya leaf(1,2,3,4,5,6) (Carica Papaya), spinach leaf (Spinacia oleracea), orange (Citrus sinensis) and carrots (Daucus carota) results in increased platelets count(7,8,9). Hence in current research work attempt was taken to overcome such ill effect with formulation of cost effective, edible herbal chocolates using natural plant phytoconstituents.In the present study chocolates were prepared by using Orange, spinach, Carrot , Cocoa powder, Sugar ,Cocoa butter, vanilla, soya lecithin(10,11). Prepared chocolates were evaluated for physical appearance, blooming effect, stability and pH. All the formulations were stable for a period of month and concentration of sugar played a role in the taste of chocolate and its acceptance(12,13)

EXPERIMENTAL WORK

Material and method

Plant material collection

Orange fruit, spinach, Carrot , Cocoa powder, Sugar ,Cocoa butter, vanilla, soya lecithin were purchased from Local market, Aurangabad where as mature leafs of papaya were collected from agri field of Aurangabad area.

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Potential Epha2 Receptor Blockers Involved in Cerebral Malaria from *Taraxacum officinale*, *Tinospora cordifolia*, *Rosmarinus officinalis* and *Ocimum basilicum*: A Computational Approach

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Abstract: Cerebral malaria (CM) is a severe manifestation of parasite infection caused by *Plasmodium* species. In 2018, there were approximately 228 million malaria cases worldwide, resulting in about 405,000 deaths. Survivors of CM may live with lifelong post-CM consequences apart from an increased risk of childhood neurodisability. EphA2 receptors have been linked to several neurological disorders and have a vital role in the CM-associated breakdown of the blood–brain barrier. Molecular docking (MD) studies of phytochemicals from *Taraxacum officinale*, *Tinospora cordifolia*, *Rosmarinus officinalis*, *Ocimum basilicum*, and the native ligand ephrin-A were conducted to identify the potential blockers of the EphA2 receptor. The software program Autodock Vina 1.1.2 in PyRx-Virtual Screening Tool and BIOVIA Discovery Studio visualizer was used for this MD study. The present work showed that blocking the EphA2 receptor by these phytochemicals prevents endothelial cell apoptosis by averting ephrin-A ligand-expressing CD8+ T cell bioadhesion. These phytochemicals showed excellent docking scores and binding affinity, demonstrating hydrogen bond, electrostatic, Pi-sigma, and pi alkyl hydrophobic binding interactions when compared with native ligands at the EphA2 receptor. The comparative MD study using two PDB IDs showed that isocolumbin, carnosol, luteolin, and taraxasterol have better binding affinities (viz. −9.3, −9.0, −9.5, and −9.2 kcal/mol, respectively). *Ocimum basilicum* phytochemicals showed a lower docking score but more binding interactions than native ligands at the EphA2 receptor for both PDB IDs. This suggests that these phytochemicals may serve as potential drug candidates in the management of CM. We consider that the present MD study provides leads in drug development by targeting the EphA2 receptor in managing CM. The approach is innovative because a role for EphA2 receptors in CM has never been highlighted.

Keywords: cerebral malaria; EphA2 receptor; Tinospora cordifolia; Taraxacum officinale; Rosmarinus officinalis; docking

1. Introduction

Cerebral malaria (CM) is a severe manifestation of a parasitic infection caused by the *Plasmodium* species. *P. falciparum* and *P. vivax* are the species responsible for most of the complicated forms of CM in humans. In 2018, there were an estimated approximately 228 million cases of malaria worldwide, resulting in about 405,000 deaths [1]. Approximately 20% of children admitted to the hospital with CM have died [2]. Of these, 67%

An Effect of Onion Peel Water on Various Plant Disease and Plant Growth

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***Abstract*: The Bulb onion [Allium Cepa] is another name for the onion [Allium Cepa]. It is a member of the Plantae Kingdom. The most common Biennial or Perineal plant is the onion. Antioxidants, antibiotics, and a variety of other activities are all found in onions. The onion can also be used as a fertilizer. According to several research, the fleshy or edible section of the onion contains a variety of functions that any fertilizer would demonstrate.**

The main goal of this research is to investigate the effect of onion peel water as a fertilizer for making plants disease resistant, increasing soil fertility, and promoting plant growth. This research on onion peels, also known as external scales, contributes to the agricultural field. This research aids in the enhancement and improvement of domestic gardening skills.

INTRODUCTION

As we know that human and living beings need the food and nutrition to stay healthy the same is with the plants which need various nutrients to Grow properly. Fertilizers are the substance or compound which are added or introduced to the soil to improve plants growth and yields. Fertilizers can also be applied on plants for the purpose of growth. The Role of Fertilizers is to enhance the fertility of soil, and Treat the various plants diseases and many more.

In Various food Industries and restaurants, the Onions are used on wide range. So here the dry onion peels are considered as the waste and it is been discarded. So, if this waste is not discarded properly then it can cause harm to environment. So, we can reuse that peel of onions as fertilizers instead of discarding it. The Onion Peels contains a greater number of specific phytochemicals as compare to fleshy and edible part.

Figure 1:-FERTILIZERS

Survey on ABO blood group possible risk of covid-19

Minal Y. Chaudhari[a], Sneha Khandale*, Shradha V. Nalawade*, Rupesh B. Khalse*, Ganesh G. Tapadiya*

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***Abstract*: A dataset in this study gave survey data on covid -19 in various blood types and especially which gender is more susceptible to covid in the people of Maharashtra in response to the current worldwide challenge caused by covid-19. Blood type may play a role in determining disease severity in COVID-19 patients. People of blood type O appear to be protected from severe disease, according to genetic research of COVID-19 patients. Those with blood type A, on the other hand, may develop difficulties as a result of the viral infection.**

This survey includes information from roughly 300 participants and is distributed via a Google form of questionaries. Some of the data was obtained virbally by assessing the covid-19 in all blood groups and genders. According to the findings, type A blood is more susceptible to covid-19 than other blood kinds, and males are the most impacted. We received approximately 300 responses from a wide range of sources. Members of the project team looked over the survey results.

INTRODUCTION

The COVID-19 pandemic's global expansion has had an unintended negative influence on people's lives. Coronavirus illness (severe acute respiratory syndrome) is an communicable diseases disease caused by coronavirus 2. (SARS-CoV-2). In December of this year, the first known case was discovered in Wuhan, China. The disease has since spread around the world, resulting in a pandemic. In a matter of days, this disease grew into a global threat, and the World Health Organization (WHO) declared it a pandemic on March 11, 2020. Since then, the disease has impacted more than 1.5 crore people globally, with 3.04 crore persons in India as of June 29, 2021. The disease's origins have been traced back to bats, albeit the exact point of contact between the two species is unknown. Respiratory droplets and infected surfaces transmit the disease.

Fever, cough, headache, exhaustion, breathing difficulty, and loss of smell and taste are some of the common symptoms of COVID-19. Symptoms can be appear anywhere from one to fourteen days after being exposed to the virus. At least one-third of those who are afflicted do not show any signs or symptoms. The sickness behaviour of patients infected with severe acute respiratory syndrome coronavirus 2 differs significantly.

Both symptomatic and asymptomatic people can spread the virus by respiratory droplets when they come into close contact (within 6 feet). Transmission by aerosols and potentially contact with fomites is also possible, though this is not regarded to be the predominant route. COVID-19-related mortality is very varied and is linked to age, illness severity, and comorbidities. Mortality is estimated to be 0.7 percent to 2% for all patients, 10% for hospitalised patients, 30% to 50% for patients admitted to the intensive care unit, and 37 to 88 % for patients requiring invasive mechanical ventilation or extracorporeal membrane oxygenation (ECMO).

We conducted this survey since the Covid-19 now has its Variants, which is a severe problem for the patients. Multiple varieties of SARS-CoV-2 are produced as a result of the virus's continual mutation, although the majority of them share the same basic characteristics. However, because of the virus's continual mutation, new variants with significant differences in the virus's spreading characteristics, fatality rates, and other features may emerge, which will be referred to as new variants below. Throughout the COVID-19 pandemic, SARS-CoV-2 genetic variations have emerged and circulated over the world. Covid-19 variant delta, which was first discovered in India, is quickly becoming the disease's most common form worldwide. The number of COVID-19 cases and deaths in India has increased dramatically, and a SARS-CoV-2 variant, B.1.617, is suspected of being responsible for many of these cases.

Although the pathophysiology of severe COVID-19 and the resulting respiratory failure is unknown, older age and male gender are consistently linked to a higher risk.

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Phytochemicals Profile of Methanolic Extract of *Withania somnifera* (L.) Dunal roots by Validated HPLC Technique.

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ABSTRACT

To performs phytochemicals profile of methanolic extract of *Withania somnifera* (L.) Dunal roots by validated HPLC technique. Methanolic Extract was subjected to HPLC investigation, the Phenomenex Luna C18 column (250 mm *4.6 mm, 5 m) was used, with a flow rate of 1.5 ml/min and a UV Wavelength of 227. This Chromatographic study revealed the major Bio active Phytochemicals has found with Retention time of Withanoside IV (14.78), Physagulin D (15.96), 27-Hydroxywithanone (17.22), Withanoside V and Withanoside VI (19.43), Withaferin A (19.85), Withastramonolide (21.17), Withanolide A (22.04), Withanone (22.28), Withanolide B (25.36) are found in Retention Time range between 14.78 to 25.36. linearity range was plot between 10 to 30 mg/ml , LOD was found to be approximately 0.36 ppm and LOQ is 1.18 ppm, Intermediate Precision intraday shows 0.9 %, Interday is 0.25 %, Average Recovery is 94.38 % when planed at 3 different concentration level 50 %, 100 % and 150 %. The result obtained from these studies shows the present HPLC method was accurate, Precise and Validate for Phytochemicals analysis and this approach is recommended for routine quality control analysis of Active Phytochemicals in a wide variety of herbal plants.

Keywords: HPLC, *Withania somnifera* (L.) Dunal, Withanoside, Withanolide, Withaferin.

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A COMPLETE REVIEW OF CLAUSTROPHOBIA DISORDER

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ABSTRACT

Phobia is a fear that significantly impairs a person's ability to function normally. Claustrophobia is a sort of specialised phobia in which a person has an irrational fear of being in enclosed areas or shut in confined areas. Which is affects 15% to 37% of the world's population. In this article, we review existing literature about extremely beneficial for understanding of comprehending the idea, diagnosis, case study, and Psychotherapy of claustrophobia and associated illnesses. Claustrophobia can be triggered by many situations or stimuli, including elevators, especially when crowded to capacity, airplanes, trains, caves, changing rooms and hotel rooms with closed doors and sealed windows. It's usually categorised as an anxiety disorder, and it frequently leads to panic episodes. Claustrophobia associated with magnetic resonance imaging (MRI) scan is a well-recognized problem all over the world. A large amount of research was accumulated on the efficacy and effectiveness of cognitive-behavioral therapy (CBT), also in vivo testing and interoceptive stimulation for Psychotherapy of Claustrophobia and this brief literature of diagnostic and treatments methods will an influence on reducing anxiety and claustrophobia associated with MRI.

Survey on ABO blood group possible risk of covid-19

Minal Y. Chaudhari[a], Sneha Khandale*, Shradha V. Nalawade*, Rupesh B. Khalse*, Ganesh G. Tapadiya*

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***Abstract*: A dataset in this study gave survey data on covid -19 in various blood types and especially which gender is more susceptible to covid in the people of Maharashtra in response to the current worldwide challenge caused by covid-19. Blood type may play a role in determining disease severity in COVID-19 patients. People of blood type O appear to be protected from severe disease, according to genetic research of COVID-19 patients. Those with blood type A, on the other hand, may develop difficulties as a result of the viral infection.**

This survey includes information from roughly 300 participants and is distributed via a Google form of questionaries. Some of the data was obtained virbally by assessing the covid-19 in all blood groups and genders. According to the findings, type A blood is more susceptible to covid-19 than other blood kinds, and males are the most impacted. We received approximately 300 responses from a wide range of sources. Members of the project team looked over the survey results.

INTRODUCTION

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Both symptomatic and asymptomatic people can spread the virus by respiratory droplets when they come into close contact (within 6 feet). Transmission by aerosols and potentially contact with fomites is also possible, though this is not regarded to be the predominant route. COVID-19-related mortality is very varied and is linked to age, illness severity, and comorbidities. Mortality is estimated to be 0.7 percent to 2% for all patients, 10% for hospitalised patients, 30% to 50% for patients admitted to the intensive care unit, and 37 to 88 % for patients requiring invasive mechanical ventilation or extracorporeal membrane oxygenation (ECMO).

We conducted this survey since the Covid-19 now has its Variants, which is a severe problem for the patients. Multiple varieties of SARS-CoV-2 are produced as a result of the virus's continual mutation, although the majority of them share the same basic characteristics. However, because of the virus's continual mutation, new variants with significant differences in the virus's spreading characteristics, fatality rates, and other features may emerge, which will be referred to as new variants below. Throughout the COVID-19 pandemic, SARS-CoV-2 genetic variations have emerged and circulated over the world. Covid-19 variant delta, which was first discovered in India, is quickly becoming the disease's most common form worldwide. The number of COVID-19 cases and deaths in India has increased dramatically, and a SARS-CoV-2 variant, B.1.617, is suspected of being responsible for many of these cases.

Although the pathophysiology of severe COVID-19 and the resulting respiratory failure is unknown, older age and male gender are consistently linked to a higher risk.

Formulation and Evaluation of Antiulcer Cream from the Leaves Extract of Jasminum [Jasmine Leaves] and Its Comparative Study with Marketed Product

Minal Chaudhari, Anjali R Bobade, Jayshree M Sharma, Pallavi S Bhukele, Sampada S Madghe, Mayuri S Borbane

Abstract - Mouth ulcers are very frequent condition observed globally, it can be seen due to various reasons. Mouth ulcers also known as canker sores- are normally small, painful lesions that develop in mouth and they can make eating, drinking, and talking uncomfortable. The world health organization has estimated that 75% of the earth and 6 million inhabitants relay only upon traditional medicine. For their primary health care need. Major part of the treatment involves usages of plant extract as it is. The use of plant-based medication is gradually becoming popular through the world. The objective of present article represents investigation and formulation and evaluation of herbal gel for mouth ulcers using different ingredients like plant extract, different types of preservative, and gelling agents. In this particular study we have been used Jasminum leaves extract, the phytochemicals present in Jasminum are alkaloids, coumarins, flavonoids, tannins, terpenoids, glycosides, essential oils saponins.Jasminum leaves shows potent antimicrobial, antifungal, antioxidant, antifertility, anti-inflammatory,antiseptic, astringent and various dermatological effects which can helps to cure mouth ulcers in very short period of time. In the traditional use of Jasminum leaves people were used to chew the plant leaves directly to treat mouth ulcers. In the present study we have evaluated our product using different parameter like ph, Spreadability, viscosity, appearance, stability,extrudability, gelling strength, antifungal and antibacterial activity. The antifungal and antibacterial study of formulation revealed excellent efficacy against different fungi and bacteria. And it is safe to use.

Keywords: Jasmininie, antimicrobial, antiseptic, E. coli, lactobacilli, antiulcer-gel formulation.

I. INTRODUCTION

Gel is wellknown type of dosage form which is easy to formulate and evaluate. Gels are mainly comingunder the semi-solid formulations consist liquid phase, which has been made thick using different components. Topical gel preparations are use for skin application also called percutaneous penetration of medicament or oral action to certain mucosal surface. mouth ulcers are small pimple or sores an abrasion that develops in inner area to mouth. We can also define mouth ulcers as canker sores or apthopus ulcer the breaks are formed in the inner mucous membrane, leads to white or yellow depression in mouth. There are number of causes of mouth ulcers like accidentally biting the inside of your cheek, injury from tooth brush, constant rubbing against misaligned, food allergies, hormonal change, vitamin deficiency, bacterial infection. The diagnosis of oral ulcer lesions might be quite challenging. oral ulcerative lesions were classified in to three major groups as acute, chronic and recurrent ulcer. The introducing symptoms are usually redness, burning sensation and pain,it can present in any part of the oral cavity.

The market base gel consistsdifferent types of synthetic and semisynthetic active agents which have many adverse effects like staining on the teeth, irritation, burning sensation because they contain high degree of alcohol and other organic compounds. The aim of these study to use herbal powder of jasmine leaves in the therapy of mouth ulcer in pharmaceutical gel.jasmine is botanically known as jasmine officinale or Jasmininie and belongs to the olive family of Oleaceae. this plant is clinging plant. The branches are striped and leaves are upward facing and uneven. Jasmine leaves show analgesic, antidepressant, antiseptic, expectorant, sedative, stomachic, diuretic, astringent, stimulating, anthelmintic, antioxidizing, anti-inflammatoryproperty.

The leaf of plant present ascorbic acid, anthranilic acid and its glucoside, indoloxygenase, alkaloid, salicylic acid. The leaves of plant contain mainchemical called Jasmininie. The oil containsbenzyl acetate, methyl ethynylate and iliqual.It cures kapha and pitta and different disorders. Medicinal plant has valuable part in both medicinal and economical. now days herbal medicine uses are increased and their safety, quality and efficacy also increased. Herbal medicines illustrate greater results as compare to other medicinal like allopathic medicine and herbal medicines avoiding typical side effects and gives better results to patients. In the present situation people are targeting on herbal medicine and using different natural products to cure and prevent disease. according to Indian culture different types of medicinal plant is available, Indian culture offer different herbal medicine to world to cure and prevent different disease.

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DEVELOPMENT AND VALIDATION OF UV SPECTROPHOTOMETRIC METHOD FOR THE SIMULTANEOUS ESTIMATION OF CILNIDIPINE AND TELMISARTAN IN BULK DRUGS AND PHARMACEUTICAL DOSAGE FORM

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ABSTRACT
A simple, robust, precise, UV spectroscopic method has been developed for the simultaneous estimation of CIL and TEL in bulk and tablet dosage forms. In this paper the estimation of those drugs was carried out by simultaneous equation method. Literature survey revealed that cilnidipine can be estimated by spectrophotometry and by liquid chromatographic methods individually or incombination with other drugs, and telmisartan can be estimated by spectrophotometry. This method is based on measurement of absorption at 243nm and 295nm i.e, λ_{max} of CIL and TEL respectively. The linearity observed for Cil is in the range of 2-10 µg/ml and for Tel is in the range of 8-40 µg/ml. The accuracy of methods was assessed by recovery studies and was found to be within the range of 99.5%-100.5% for both CIL and TEL. The developed methods were validated with respect to linearity, accuracy (recovery), and precision. The method can be employed for estimation of pharmaceutical formulations with no interference from any other excipients and diluents. The results were validated as per ICH guidelines. Cilnidipine and telmisartan in their combined dosage form. Dual wavelength spectrophotometric method is considered to be a good alternative, and it should be widely explored as an important tool in routine drug analysis. In both the methods linearity for detector response was observed in the concentration range of 2-10µg/ml (for CIL) and8-40µg/ml (for TEL). In both the methods linearity for detector response was observed in the concentration range of 2-10µg/ml (for CIL) and 8-40µg/ml (for TEL). In both the methods linearity for detector response was observed in the concentration range of 2-10µg/ml (for CIL) and 8-40µg/ml (for TEL). Absorptivity coefficient were calculated for both the drugs at selected wavelengths and substituted in equations for determining concentration of CIL and TEL in its tablet dosage form. This method is very useful for quality control analysis of CIL and TEL in various pharmaceutical laboratories.

KEYWORDS: Cilnidipine, Telmisartan, ICH, Simultaneous estimation, absorbance ratio, Validation.

INTRODUCTION
Cilnidipine (CIL) is a light yellowish powder. Chemically it is 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5- pyridinedicarboxylic acid2-methoxyethyl(2E)-3phenyl-2-prpenyl ester (Fig.1.A). It is antihypertensive agent and calcium channel blocker. Cilnidipine is a dual L-/N-type calcium channel protein inhibitor and blocker. Cilnidipine has displayed renal andvascular protective effects and improved baroreflexsensitivity in patients with hypertension[1,2,3,4,5]. Telmisartan (TEL) is white crystalline powder. Chemically, it is 4 ′ -[[4-Methyl-6-(1-methyl-1Hbenzimidazol-2-yl)-2-propyl-1H-benzimidazol-1-yl]methyl]biphenyl-2-carboxylic acid[6,7] (Fig. 1: B). It is very soluble in methanol and practically insoluble in water. Cilnidipine is a dual blocker of L-type voltage-gated Ca2+ channels in vascular smooth muscle and N-type Ca2+ channels in sympathetic nerve terminals that supply blood vessels. The inhibition of N-type Ca2+ channels may provide a new strategy for the treatment of cardiovascular diseases. L-type calcium channels are the main targets of the CCB. N-type calcium is distributed along the nerve and in the brain, cilnidipine is anticipated to exert specific action on nerve activity, such as inhibition of the sympathetic nervous system. It inhibits the Ca2+ influx in both in vessel & in the nerve. So causes the Vasodilation & inhibits the release of nor epinephrine, which causes the Vasodilation and decreases the heart rate & also decreases cardiac contraction in heart. So, used in treatment of

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Phytochemicals Profile of Methanolic Extract of *Withania somnifera* (L.) Dunal roots by Validated HPLC Technique.

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ABSTRACT

To performs phytochemicals profile of methanolic extract of *Withania somnifera* (L.) Dunal roots by validated HPLC technique. Methanolic Extract was subjected to HPLC investigation, the Phenomenex Luna C18 column (250 mm *4.6 mm, 5 m) was used, with a flow rate of 1.5 ml/min and a UV Wavelength of 227. This Chromatographic study revealed the major Bio active Phytochemicals has found with Retention time of Withanoside IV (14.78), Physagulin D (15.96), 27-Hydroxywithanone (17.22), Withanoside V and Withanoside VI (19.43), Withaferin A (19.85), Withastramonolide (21.17), Withanolide A (22.04), Withanone (22.28), Withanolide B (25.36) are found in Retention Time range between 14.78 to 25.36. linearity range was plot between 10 to 30 mg/ml , LOD was found to be approximately 0.36 ppm and LOQ is 1.18 ppm, Intermediate Precision intraday shows 0.9 %, Interday is 0.25 %, Average Recovery is 94.38 % when planed at 3 different concentration level 50 %, 100 % and 150 %. The result obtained from these studies shows the present HPLC method was accurate, Precise and Validate for Phytochemicals analysis and this approach is recommended for routine quality control analysis of Active Phytochemicals in a wide variety of herbal plants.

Keywords: HPLC, *Withania somnifera* (L.) Dunal, Withanoside, Withanolide, Withaferin.

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RESEARCH ARTICLE

Phytochemical and Spectral analysis of Argoclavine; A potent Psychoactive substance present in the seeds of *Argyreia nervosa* plant

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ABSTRACT:
There are several medicinal plants having various medicinal properties is being widely used in Ayurveda which contain phytoconstituents. These phytoconstituents are beneficial to manage allied symptoms in psychosis andto treat abnormal condition of the mindthereby promoting mental well being. *Argyreia nervosa* (L.f) the ancient traditional medicinal plant belonging to the convolvulaceae family having prominent, psychedelic and neuro-pharmacological actions on our body. *Argyreia nervosa* seeds contain hallucinogens including ergot alkaloids such as ergine and Argoclavine and a naturally occurring lysergic acid amide. The current study describes pharmacognostic and phytochemical screening on Seeds of *Argyreia nervosa*. Argoclavine was extracted from the seeds and then characterised by different spectroscopic techniques like UV, IR, LC-MS. The results of the present study will create a way for the invention of novel herbal medicines for several ailments by using *Argyreia nervosa* seeds.

KEYWORDS: Ergoline alkaloids, Convolvulaceae, Hallucinogens, Argoclavine, Neuropsychatric diseases.

INTRODUCTION:
In Ayurvedic medicine, every part of number of medicinal plants used including the seed, leaf, bark and root have usage as they possess a broad-range of pharmacological activities such as antimicrobial, antidiarrhoeal, hepatoprotective, anticonvulsant, antioxidant, aphrodisiac, immuno modulatory, analgesic and anti-inflammatory activity[1]. One such plant is *Argyreia nervosa* (L.f) Sweet, which have various medicinal properties is widely used in Ayurveda, the ancient traditional medicinal system in India belonging to Convolvulaceae family. The seeds contains the main neuro psychoactive substances such as ergoline alkaloids that are used as a hallucinogen and have been used traditionally in a number of diseases in India.[2,3]

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Ergoline alkaloids are main constituents of plants belonging to Convolvulaceae family and clavicipitaceous fungi (Ascomycota). Biosynthetic origin of ergoline alkaloids in family Convolvulaceae is unknown, to study these about 12 endophytic fungi and one epibiotic fungus were isolated from an ergoline alkaloid-containing Convolvulaceae family plants[4,5]

One study have revealed that Invitro and invivo cultivation on intact plants gave no evidence that the endophytic fungi are responsible for the accumulation of ergoline alkaloids in Convolvulaceae family plants[5]. But epibiotic clavicipitaceous fungus is equipped with the genetic material to synthesize these compounds. This fungus attacks on back side of leaf in favorable environmental conditions and synthesize ergoline alkaloids which later on translocated from leafs to seed and stored in seeds[6]. Several observations strongly indicate that this plant-associated fungus and its unidentified relatives occurring on different Convolvulaceae plants are responsible for the isolated

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Chyawanprash: A Nutraceutical in the Treatment of Calcium Oxalate Kidney Stones: Let Food Be Your Medicine

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ABSTRACT

Nephrolithiasis is a process of forming renal calculi or stones in the kidneys. Certain biochemical changes such as formation of reactive oxygen species are the potential causes for epithelial tissue damage resulting in the idiopathic formation and accumulation of calcium oxalate crystals. There are many evidences available for the protective involvement of antioxidants against oxidative stress in nephrolithiasis. Also many formulations of traditional plants having stone breaking, stone dissolving, and diuretic activities, are available in market as ayurvedic treatments for nephrolithiasis. Most of the ayurvedic formulations available in the treatment of nephrolithiasis are generally churna, syrups, tablets or capsules. Many patients show incompliance for regularly ingesting these formulations. Chyawanprash is one of the oldest and most popular Ayurvedic preparations; it is widely sold and consumed as a dietary supplement as well as health promotive and disease preventive formulation. Considering these factors the Chyawanprash formulation was made using all these traditional plants having well reported antinephrolithiatic, nephroprotective and diuretic activities to treat nephrolithiasis in effective and compliable manner. Chyawanprash is prepared as per the instructions documented *in Ayurvedic texts Charaka Samhita*, the ancient Ayurvedic treatise. Its evaluation is done to calculate its organoleptic properties, pH, shelf life, stability and microbial contamination, Phase separation. Hence we can conclude that Chyawanprash will no longer be just an Immunity builder but it can be used as an effective and compliable medicine for nephrolithiasis treatment.

Key Words: Chyawanprash, Traditional medicine, Nutraceutical formulations, Nephrolithiasis, Kidney stones, Calcium oxalate crystals.

INTRODUCTION

In 1950's chyawanprash entered the consumer market and stepped as an over-the-counter product. Chyawanprash is a formulation of Ayurvedic rich herbs and minerals. The blend of which explores the strength and stamina of human body. Chyawanprash is the mixture simple decoction of herbs, extracts of herbs followed by honey or jaggery and some spices. [1] It is Immunity Enhancer and has been used since ancient period for strength, stamina and endurance; it is also a vital anti aging formulation. Amla (*Phyllanthrus emblica*), the richest source of Vitamin C and a Homeostasis sustainer are used as a base in the formulation of chyawanprash. [2] On regular consumption ofit is beneficial in maintenance of body function and having health beneficiary, preventive and curative role in health. [1,2] Kidney stone or Nephrolithiasis is a most common and ancient condition in which calculi(stones) form within the tubular lumen and renal pelvis of individual. In India, Kidney stone is prevalent, with an expectancy of 12% in a total population reported to be prone to urinary stones. [3] Calcium Oxalate, Calcium Phosphate, Uric acid are predominant components of kidney stone. Recurrence is

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A COMPLETE REVIEW OF CLAUSTROPHOBIA DISORDER

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ABSTRACT

Phobia is a fear that significantly impairs a person's ability to function normally. Claustrophobia is a sort of specialised phobia in which a person has an irrational fear of being in enclosed areas or shut in confined areas. Which is affects 15% to 37% of the world's population. In this article, we review existing literature about extremely beneficial for understanding of comprehending the idea, diagnosis, case study, and Psychotherapy of claustrophobia and associated illnesses. Claustrophobia can be triggered by many situations or stimuli, including elevators, especially when crowded to capacity, airplanes, trains, caves, changing rooms and hotel rooms with closed doors and sealed windows. It's usually categorised as an anxiety disorder, and it frequently leads to panic episodes. Claustrophobia associated with magnetic resonance imaging (MRI) scan is a well-recognized problem all over the world. A large amount of research was accumulated on the efficacy and effectiveness of cognitive-behavioral therapy (CBT), also in vivo testing and interoceptive stimulation for Psychotherapy of Claustrophobia and this brief literature of diagnostic and treatments methods will an influence on reducing anxiety and claustrophobia associated with MRI.

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Formulation and Evaluation of Controlled Release Matrix Tablets of Cefixime Trihydrate

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ABSTRACT

The main objective of the present work was to develop sustained release matrix tablets of Cefixime Trihydrate were prepared by direct compression techniques and evaluates the effect of formulation variables such as lubricant, binder, polymer content and viscosity grades of HPMC on the behavior of Cefixime Trihydrate release. The prepared tablets were evaluated for various physico-chemical parameters. *In vitro* release profile was check to evaluate the sustained release matrix tablet of Cefixime Trihydrate. The drug release from the optimized formulation was found to follow zero order kinetics. Thus the phenomenon of drug release showed that the release of optimized formulation is controlled by diffusion. Administration of Cefixime Trihydrate in a sustained release dosage would be more desirable for bacterial infections effects by maintaining the plasma concentrations of the drug well above the therapeutic concentration. From *In vitro* dissolution profile, Formulation S3 was prepared with Hydroxypropyl methylcellulose (K15M) combination where drug release was about 99.14% at the end of 24 hrs and followed zero order with non-Fickian diffusion method. It is selected as the best formulation.

Key words: Matrix tablets, Direct compression, Release behavior, Cefixime Trihydrate

INTRODUCTION

Matrix tablet is one of the most convenient approaches for the preparation of the sustained release dosage forms[1]. Among the different strategies to prolong the drug action, formulation of matrix tablet has gained immense popularity now a days because it has the advantage of simple processing and a low cost of fabrication Matrix technologies have often proven popular among the oral controlled drug delivery technologies because of their simplicity, ease in manufacturing, high level of reproducibility, stability of the raw materials and dosage form, and ease of scale-up and process validation. This is reflected by the large number of patents filed each year and by the commercial success of a number of novel drug delivery systems based on matrix technologies[2]. In matrix devices, the drug is homogeneously dispersed in either a hydrophobic or hydrophilic polymer matrix. The release rate from matrix systems remains unaffected by thin spots, pinholes, and other similar defects, which can be a serious problem with reservoir systems[3].

The design of sustained release delivery system is subject to several variables of considerable importance. Among these, route of drug delivery, the type of delivery system, the disease being treated, the patient, length of therapy and the properties of the drug. The drug should be stable in the gastro-intestinal tract as the sustained release systems release their contents over entire length of gastrointestinal tract. Therefore, drugs degraded by the acid environment of the stomach or degraded by the basic environment of intestine are unsuitable for formulation into sustained release dosage forms.

Sustained release matrix tablet can be prepared in two ways, one is direct compression of the powder blend containing the drug, polymer and other additives, and another one involves granulation prior to compression. Selection of the proper method depends on the properties of the drug, polymer and other ingredients. The matrix tablet by direct compression has attracted much attention due to its technological simplicity in comparison with other controlled release systems. It required fewer unit operations, less machinery, reduced number of personnel and processing time, increased product stability and production rate[4]. HPMC, a semi synthetic derivative of cellulose, is a swellable and hydrophilic polymer. Some research groups have worked on the usage of swellable HPMC as the retarding polymer to sustain the release of different drugs[5-6]. It is very suitable to use as a retardant material in controlled release matrix tablets, as it is nontoxic and easy to handle[7]. Matrix tablets prepared using HPMC on contact with aqueous fluids gets hydrated to form a viscous gel layer through which drug will be released bydiffusion and/or by erosion of the matrix[8].

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Formulation and Evaluation of Fast Dissolving Tablets of Aceclofenac by Direct Compression Using Novel Co-Processed Granulating Technique

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Abstract
Fast dissolving drug delivery is rapidly gaining acceptance as an important new drug delivery technology. Aceclofenac is a nonsteroidal agent with marked anti-inflammatory and analgesic properties having poor water solubility leading to variable dissolution rate. Fast dissolving tablet is an approach to increase the dissolution rate faster and gives quick onset of action of poorly soluble drug. The purpose of this study was to formulate and evaluate fast dissolving tablets of famotidine using sodium carboxy methyl cellulose, pregelatinized starch and sodium starch glycolate as superdisintegrants. Tablets were prepared by direct compression technique. The granules were evaluated for pre-compression parameters such as bulk density, compressibility, angle of repose etc. The prepared batches of tablets were evaluated for hardness, weight variation, friability, drug content, In-vitro disintegration time. % drug release from the In-vitro dissolution profile for the prepared formulations was 98.89% and for the marketed conventional formulation was 75.45% at the end of 20 minutes. The present study demonstrated potentials for faster dissolution, rapid absorption, improved bioavailability, effective therapy and patient compliance

Keywords: *Aceclofenac, Superdisintegrants, Fast dissolving tablets.*

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I. INTRODUCTION

The fast dissolving drug delivery system is rapidly gaining acceptance as an important novel drug delivery system. This delivery system offers better patient compliance than conventional tablet dosage form [1]. Difficulties with and resistance to tablet-taking are common in all patient groups and can exacerbate compliance problems and undermine treatment efficacy. Physical problems with swallowing (dysphagia) can occur at any age but are particularly prevalent in the elderly and those with dementia, whereas refusal to swallow is often encountered in geriatric, pediatric, and psychiatric patients. Nonetheless, oral dosing remains the preferred mode of administration for many types of medication due to its simplicity, versatility, convenience, and patient acceptability. In recent years, rapid-dissolving oral drug formulations have been developed to overcome problems related to swallowing difficulties [2].

Fast dissolving tablets (FDTs) are prepared by various techniques, mainly direct compression, lyophilization, spray drying, freeze drying and moulding. FDTs disintegrate and/or dissolve rapidly in the saliva without the need for water, releasing the drug immediately. Some drugs are absorbed from the mouth, pharynx and esophagus as the saliva passes down into the stomach. In such cases, bioavailability of drug is significantly greater than those observed from conventional tablet dosageform [3,4]. The simplicity and cost effectiveness of the direct compression process have positioned this technique as an attractive alternate to traditional granulation technologies [5]. Usually superdisintegrants are added to a drug formulation to facilitate the break-up or disintegration of tablet into smaller particles that can dissolve more rapidly than in absence of disintegrants [6].

Aceclofenac is a nonsteroidal agent with marked anti-inflammatory and analgesic properties. The mode of action of Aceclofenac is largely based on the inhibition of prostaglandin synthesis, a decrease in the expression of several cytokines including interleukin and tumor necrosis factor [7]. It is specially used for osteoarthritis, rheumatoid arthritis, spondylitis, dental pain, postoperative pain, post-traumatic pain, low back pain, and gynecological pain [8]. As a result, it could be concluded that aceclofenac may be a better option for the management of pain. Therefore, it was chosen as a model drug for preparation of the fast dissolving tablets dosage form.

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Rational drug design, synthesis, and biological evaluation of novel N-(2-arylaminophenyl)-2,3-diphenylquinoxaline-6-sulfonamides as potential antimalarial, antifungal, and antibacterial agents

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ABSTRACT

Objective Sulfanilamide, sulfadiazine, and dapsone were the first sulfonamides to be used to treat malaria by disrupting the folate biosynthesis process, which is essential for parasite survival. Therefore, we aimed to synthesize novel N-(2-arylaminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide derivatives through a rational drug design approach.

Methods All compounds were synthesized by the conventional method, and the products were characterized by spectral analysis (^{1}H NMR and mass spectrometry). The progression of the reaction was monitored using thin-layer chromatography (TLC). All the derivatives were analyzed for their effective binding mode in the allosteric site of the plasmodium cysteine protease falcipain-2. Antibacterial and antifungal activities were determined using the broth dilution method.

Results S6 *(N-(2-thiazol-4yl)-acetyl-aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* and S9 *(N-(1H-benzo[d]imidazol-2-yl)aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* formed five hydrogen bonds; S8 *(N-(2-1H-imidazol-2yl)aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* and S10 *(N-(1H-benzo[d]imidazol-5-yl)aminophenyl)-2,3-diphenylquinoxaline-6-sulfonamide* formed four hydrogen bonds with the allosteric site of the enzyme. Considering the docking scores and formation of hydrogen bonds with the target enzyme, the novel derivatives were processed for wet lab synthesis. All the newly synthesized derivatives were subjected to *in vitro* antimalarial, antifungal, and antibacterial activities. All the derivatives exhibited sufficient sensitivity

pathogens

MDPI

Article

Potential Epha2 Receptor Blockers Involved in Cerebral Malaria from *Taraxacum officinale*, *Tinospora cordifolia*, *Rosmarinus officinalis* and *Ocimum basilicum*: A Computational Approach

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Abstract: Cerebral malaria (CM) is a severe manifestation of parasite infection caused by *Plasmodium* species. In 2018, there were approximately 228 million malaria cases worldwide, resulting in about 405,000 deaths. Survivors of CM may live with lifelong post-CM consequences apart from an increased risk of childhood neurodisability. EphA2 receptors have been linked to several neurological disorders and have a vital role in the CM-associated breakdown of the blood–brain barrier. Molecular docking (MD) studies of phytochemicals from *Taraxacum officinale*, *Tinospora cordifolia*, *Rosmarinus officinalis*, *Ocimum basilicum*, and the native ligand ephrin-A were conducted to identify the potential blockers of the EphA2 receptor. The software program Autodock Vina 1.1.2 in PyRx-Virtual Screening Tool and BIOVIA Discovery Studio visualizer was used for this MD study. The present work showed that blocking the EphA2 receptor by these phytochemicals prevents endothelial cell apoptosis by averting ephrin-A ligand-expressing CD8+ T cell bioadhesion. These phytochemicals showed excellent docking scores and binding affinity, demonstrating hydrogen bond, electrostatic, Pi-sigma, and pi alkyl hydrophobic binding interactions when compared with native ligands at the EphA2 receptor. The comparative MD study using two PDB IDs showed that isocolumbin, carnosol, luteolin, and taraxasterol have better binding affinities (viz. −9.3, −9.0, −9.5, and −9.2 kcal/mol, respectively). *Ocimum basilicum* phytochemicals showed a lower docking score but more binding interactions than native ligands at the EphA2 receptor for both PDB IDs. This suggests that these phytochemicals may serve as potential drug candidates in the management of CM. We consider that the present MD study provides leads in drug development by targeting the EphA2 receptor in managing CM. The approach is innovative because a role for EphA2 receptors in CM has never been highlighted.

Keywords: cerebral malaria; EphA2 receptor; Tinospora cordifolia; Taraxacum officinale; Rosmarinus officinalis; docking

1. Introduction

Cerebral malaria (CM) is a severe manifestation of a parasitic infection caused by the *Plasmodium* species. *P. falciparum* and *P. vivax* are the species responsible for most of the complicated forms of CM in humans. In 2018, there were an estimated approximately 228 million cases of malaria worldwide, resulting in about 405,000 deaths [1]. Approximately 20% of children admitted to the hospital with CM have died [2]. Of these, 67%

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RESEARCH ARTICLE

Phytochemical and Spectral analysis of Argoclavine; A potent Psychoactive substance present in the seeds of *Argyreia nervosa* plant

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ABSTRACT:
There are several medicinal plants having various medicinal properties is being widely used in Ayurveda which contain phytoconstituents. These phytoconstituents are beneficial to manage allied symptoms in psychosis andto treat abnormal condition of the mindthereby promoting mental well being. *Argyreia nervosa* (L.f) the ancient traditional medicinal plant belonging to the convolvulaceae family having prominent, psychedelic and neuro-pharmacological actions on our body. *Argyreia nervosa* seeds contain hallucinogens including ergot alkaloids such as ergine and Argoclavine and a naturally occurring lysergic acid amide. The current study describes pharmacognostic and phytochemical screening on Seeds of *Argyreia nervosa*. Argoclavine was extracted from the seeds and then characterised by different spectroscopic techniques like UV, IR, LC-MS. The results of the present study will create a way for the invention of novel herbal medicines for several ailments by using *Argyreia nervosa* seeds.

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In Ayurvedic medicine, every part of number of medicinal plants used including the seed, leaf, bark and root have usage as they possess a broad-range of pharmacological activities such as antimicrobial, antidiarrhoeal, hepatoprotective, anticonvulsant, antioxidant, aphrodisiac, immuno modulatory, analgesic and anti-inflammatory activity[1]. One such plant is *Argyreia nervosa* (L.f) Sweet, which have various medicinal properties is widely used in Ayurveda, the ancient traditional medicinal system in India belonging to Convolvulaceae family. The seeds contains the main neuro psychoactive substances such as ergoline alkaloids that are used as a hallucinogen and have been used traditionally in a number of diseases in India.[2,3]

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Ergoline alkaloids are main constituents of plants belonging to Convolvulaceae family and clavicipitaceous fungi (Ascomycota). Biosynthetic origin of ergoline alkaloids in family Convolvulaceae is unknown, to study these about 12 endophytic fungi and one epibiotic fungus were isolated from an ergoline alkaloid-containing Convolvulaceae family plants[4,5]

One study have revealed that Invitro and invivo cultivation on intact plants gave no evidence that the endophytic fungi are responsible for the accumulation of ergoline alkaloids in Convolvulaceae family plants[5]. But epibiotic clavicipitaceous fungus is equipped with the genetic material to synthesize these compounds. This fungus attacks on back side of leaf in favorable environmental conditions and synthesize ergoline alkaloids which later on translocated from leafs to seed and stored in seeds[6]. Several observations strongly indicate that this plant-associated fungus and its unidentified relatives occurring on different Convolvulaceae plants are responsible for the isolated

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Chocolate Formulation As A Drug Delivery System For Thrombocytopenia

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ABSTRACT

Life style dramatically changed in recent years, unhealthy lifestyle choices, eating habits including smoking and lack of exercise, along with emotional stressors like social isolation and interpersonal conflicts are important risk factors for developing infections. According to WHO,60% of related factors to individual health and quality of life are correlated to lifestyle, which leads to decreased immunity, illness, disability and even death. Decreased immunity results in attack of opportunistic infection which may be caused due to virus, bacteria, fungi along with number of illeffects on body. Currently world is suffering from life-threatening viral infection. When a virus infect a person(host),it invades the cells of its host in order to survive and replicate.Platelets play a much bigger role in our immune system than previously thought, according to researchers in addition to their role in coagulation and healing, platelets also act as the immune system's first responders when any microorganisms or allergen enters the blood stream.When we suffer from any kind of viral infection, non immune thrombocytopenia is observed or thrombocytopenia may be assign of infection. Study reported that consumption of papaya, spinach leaf, vitamin C containing fruits, beetroots, carrots results in increased platelets count.Hence in current research work attempt was taken to overcome such ill effect with formulation of cost effective, edible herbal chocolates using natural plant phytoconstituents, which are further evaluated by study of their organoleptic characteristic, preliminary phytochemical screening, determination of PH, stability testing, blooming effect.

KEYWORDS: Viral infection, platelets, herbal chocolates

INTRODUCTION

In case of any kind of illness or disability we consult a doctor and after diagnosis of illness doctor prescribe some medicines which may be solid, liquid or injectables type of dosage form,which need to be administered at regular intervals due to which patient feels uncomfortable along with difficulty in swallowing specially in case of pediatric and geriatric patients. Apart from these organoleptic properties of the drug should be considered to improve patient compliance To overcome such type of side effects, idea of preparation of innovative dosage form was thought to deliver active pharmaceutical ingredient in an attractive form which results in reduced rejection / psychological inhibition towards dosage forms. So that patients of any age can administer various drugs with increased patient compliance. Keeping this in view a new attractive and highly acceptable form of formulation i.e chocolate formulation as drug delivery system is developed.When we suffer from any kind of viral infection, non immune thrombocytopenia is observed or thrombocytopenia may be assign of infection. Study reported that consumption of papaya leaf(1,2,3,4,5,6) (Carica Papaya), spinach leaf (Spinacia oleracea), orange (Citrus sinensis) and carrots (Daucus carota) results in increased platelets count(7,8,9). Hence in current research work attempt was taken to overcome such ill effect with formulation of cost effective, edible herbal chocolates using natural plant phytoconstituents.In the present study chocolates were prepared by using Orange, spinach, Carrot , Cocoa powder, Sugar ,Cocoa butter, vanilla, soya lecithin(10,11). Prepared chocolates were evaluated for physical appearance, blooming effect, stability and pH. All the formulations were stable for a period of month and concentration of sugar played a role in the taste of chocolate and its acceptance(12,13)

EXPERIMENTAL WORK

Material and method

Plant material collection

Orange fruit, spinach, Carrot , Cocoa powder, Sugar ,Cocoa butter, vanilla, soya lecithin were purchased from Local market, Aurangabad where as mature leafs of papaya were collected from agri field of Aurangabad area.

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Chyawanprash: A Nutraceutical in the Treatment of Calcium Oxalate Kidney Stones: Let Food Be Your Medicine

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ABSTRACT

Nephrolithiasis is a process of forming renal calculi or stones in the kidneys. Certain biochemical changes such as formation of reactive oxygen species are the potential causes for epithelial tissue damage resulting in the idiopathic formation and accumulation of calcium oxalate crystals. There are many evidences available for the protective involvement of antioxidants against oxidative stress in nephrolithiasis. Also many formulations of traditional plants having stone breaking, stone dissolving, and diuretic activities, are available in market as ayurvedic treatments for nephrolithiasis. Most of the ayurvedic formulations available in the treatment of nephrolithiasis are generally churna, syrups, tablets or capsules. Many patients show incompliance for regularly ingesting these formulations. Chyawanprash is one of the oldest and most popular Ayurvedic preparations; it is widely sold and consumed as a dietary supplement as well as health promotive and disease preventive formulation. Considering these factors the Chyawanprash formulation was made using all these traditional plants having well reported antinephrolithiatic, nephroprotective and diuretic activities to treat nephrolithiasis in effective and compliable manner. Chyawanprash is prepared as per the instructions documented *in Ayurvedic texts Charaka Samhita*, the ancient Ayurvedic treatise. Its evaluation is done to calculate its organoleptic properties, pH, shelf life, stability and microbial contamination, Phase separation. Hence we can conclude that Chyawanprash will no longer be just an Immunity builder but it can be used as an effective and compliable medicine for nephrolithiasis treatment.

Key Words: Chyawanprash, Traditional medicine, Nutraceutical formulations, Nephrolithiasis, Kidney stones, Calcium oxalate crystals.

INTRODUCTION

In 1950's chyawanprash entered the consumer market and stepped as an over-the-counter product. Chyawanprash is a formulation of Ayurvedic rich herbs and minerals. The blend of which explores the strength and stamina of human body. Chyawanprash is the mixture simple decoction of herbs, extracts of herbs followed by honey or jaggery and some spices. [1] It is Immunity Enhancer and has been used since ancient period for strength, stamina and endurance; it is also a vital anti aging formulation. Amla (*Phyllanthrus emblica*), the richest source of Vitamin C and a Homeostasis sustainer are used as a base in the formulation of chyawanprash. [2] On regular consumption ofit is beneficial in maintenance of body function and having health beneficiary, preventive and curative role in health. [1,2] Kidney stone or Nephrolithiasis is a most common and ancient condition in which calculi(stones) form within the tubular lumen and renal pelvis of individual. In India, Kidney stone is prevalent, with an expectancy of 12% in a total population reported to be prone to urinary stones. [3] Calcium Oxalate, Calcium Phosphate, Uric acid are predominant components of kidney stone. Recurrence is

A list of research papers published per teacher in the Journals notified on UGC care list during A. Y. 2020-21 followed by front pages of research papers are given

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Research Publications 2020-21

Sr. No.	Title of paper	Name of the author/s	Name of journal	Link to article / paper / abstract of the article
1	Development and in Vitro Evaluation Once- Daily Sustained Release Matrix Tablets of Propranolol	Rashmi shivaji Tambare	South Asian Journal of Pharmaceutical Science	https://sarpublication.com/media/articles/SARJPS_32_27-33.pdf
2	Development and in Vitro Evaluation Once- Daily Sustained Release Matrix Tablets of Propranolol	Minal Y. Chaudhari	South Asian Journal of Pharmaceutical Science	https://sarpublication.com/media/articles/SARJPS_32_27-33.pdf
3	Formulation and Evaluation of Fast Dissolving Tablets of Aceclofenac by Direct Compression Using Novel Co-Processed Granulating Technique	Rashmi shivaji Tambare	International Journal of Research in Engineering and Science (IJRES)	https://www.ijres.org/papers/Volume-9/Issue-10/Ser-2/N09108186.pdf
4	Formulation and Evaluation of Fast Dissolving Tablets of Aceclofenac by Direct Compression Using Novel Co-Processed Granulating Technique	Ganesh Tapadiya	International Journal of Research in Engineering and Science (IJRES)	https://www.ijres.org/papers/Volume-9/Issue-10/Ser-2/N09108186.pdf

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Original Research Article

Development and in Vitro Evaluation: Once-Daily Sustained Release Matrix Tablets of Propranolol

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Abstract: The objective of the present study was to develop once-daily sustained-release matrix tablets of propranolol used in the treatment of hypertension, angina pectoris, and many other cardiovascular disorders. The constrained mixture experimental design was used to prepare systematic model formulations, which were composed of Eudragit RSPO and different viscosity grades of HPMC (Methocel E50 and Methocel K15M CR). The matrix tablets were prepared by direct compression process. The prepared tablets were evaluated for various physico-chemical parameters. In vitro release profile was check for 24 hrs to evaluate the SR matrix tablet of propranolol. Increase in Eudragit RSPO and HPMC concentrations or increase in viscosity grades of HPMC polymers (Methocel E50 and Methocel K15M CR) resulted in a significant decrease in propranolol release. Administration of propranolol in a sustained release dosage would be more desirable for antihypertensive effects by maintaining the plasma concentrations of the drug well above the therapeutic concentration. From in vitro dissolution profile, Batch F4 was prepared with blend of Eudragit RSPO (80 mg), Methocel E50 (60 mg) and Methocel K15M CR (80 mg) where drug release was about 98.11%.

Keywords: Sustained release, Propranolol, Eudragit RSPO, HPMC, Methocel E50, Methocel.

INTRODUCTION

Among various dosage forms, matrix tablets are widely accepted for oral sustained release (SR) as they are simple and easy formulate. Matrix system is the release system, which prolongs and controls the release of drug that is dissolved or dispersed [1]. In fact, matrix is defined as a well composite of one or more drugs with a gelling agent i.e. hydrophilic polymer. Past research therefore acknowledged various hydrophilic natural gums like agar, konjac, guar gum, chitosan, sodium alginate and locust bean gum in alone or in combination [2].

The design of sustained release delivery system is subject to several variables of considerable importance. Among these, route of drug delivery, the type of delivery system, the disease being treated, the patient, length of therapy and the properties of the drug. The drug should be stable in the gastro-intestinal tract as the sustained release systems release their contents over entire length of gastrointestinal tract. Therefore, drugs degraded by the acid environment of the stomach or degraded by the basic environment of intestine are unsuitable for formulation into sustained release dosage forms.

The matrix tablet by direct compression has attracted much attention due to its technological simplicity in comparison with other controlled release systems. It required fewer unit operations, less machinery, reduced number of personnel and processing time, increased product stability and production rate [3]. HPMC, a semi synthetic derivative of cellulose, is a swellable and hydrophilic polymer. Some research groups have worked on the usage of swellable HPMC as the retarding polymer to sustain the release of different drugs [4-5]. It is very suitable to use as a retardant material in controlled release matrix tablets, as it is nontoxic and easy to handle [6]. Matrix tablets prepared using HPMC on contact

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Formulation and Evaluation of Fast Dissolving Tablets of Aceclofenac by Direct Compression Using Novel Co-Processed Granulating Technique

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Abstract
Fast dissolving drug delivery is rapidly gaining acceptance as an important new drug delivery technology. Aceclofenac is a nonsteroidal agent with marked anti-inflammatory and analgesic properties having poor water solubility leading to variable dissolution rate. Fast dissolving tablet is an approach to increase the dissolution rate faster and gives quick onset of action of poorly soluble drug. The purpose of this study was to formulate and evaluate fast dissolving tablets of famotidine using sodium carboxy methyl cellulose, pregelatinized starch and sodium starch glycolate as superdisintegrants. Tablets were prepared by direct compression technique. The granules were evaluated for pre-compression parameters such as bulk density, compressibility, angle of repose etc. The prepared batches of tablets were evaluated for hardness, weight variation, friability, drug content, In-vitro disintegration time. % drug release from the In-vitro dissolution profile for the prepared formulations was 98.89% and for the marketed conventional formulation was 75.45% at the end of 20 minutes. The present study demonstrated potentials for faster dissolution, rapid absorption, improved bioavailability, effective therapy and patient compliance

Keywords: *Aceclofenac, Superdisintegrants, Fast dissolving tablets.*

Date of Submission: 28-09-2021 Date of acceptance: 11-10-2021

I. INTRODUCTION

The fast dissolving drug delivery system is rapidly gaining acceptance as an important novel drug delivery system. This delivery system offers better patient compliance than conventional tablet dosage form [1]. Difficulties with and resistance to tablet-taking are common in all patient groups and can exacerbate compliance problems and undermine treatment efficacy. Physical problems with swallowing (dysphagia) can occur at any age but are particularly prevalent in the elderly and those with dementia, whereas refusal to swallow is often encountered in geriatric, pediatric, and psychiatric patients. Nonetheless, oral dosing remains the preferred mode of administration for many types of medication due to its simplicity, versatility, convenience, and patient acceptability. In recent years, rapid-dissolving oral drug formulations have been developed to overcome problems related to swallowing difficulties [2].

Fast dissolving tablets (FDTs) are prepared by various techniques, mainly direct compression, lyophilization, spray drying, freeze drying and moulding. FDTs disintegrate and/or dissolve rapidly in the saliva without the need for water, releasing the drug immediately. Some drugs are absorbed from the mouth, pharynx and esophagus as the saliva passes down into the stomach. In such cases, bioavailability of drug is significantly greater than those observed from conventional tablet dosageform [3,4]. The simplicity and cost effectiveness of the direct compression process have positioned this technique as an attractive alternate to traditional granulation technologies [5]. Usually superdisintegrants are added to a drug formulation to facilitate the break-up or disintegration of tablet into smaller particles that can dissolve more rapidly than in absence of disintegrants [6].

Aceclofenac is a nonsteroidal agent with marked anti-inflammatory and analgesic properties. The mode of action of Aceclofenac is largely based on the inhibition of prostaglandin synthesis, a decrease in the expression of several cytokines including interleukin and tumor necrosis factor [7]. It is specially used for osteoarthritis, rheumatoid arthritis, spondylitis, dental pain, postoperative pain, post-traumatic pain, low back pain, and gynecological pain [8]. As a result, it could be concluded that aceclofenac may be a better option for the management of pain. Therefore, it was chosen as a model drug for preparation of the fast dissolving tablets dosage form.

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