Criterion 3

Research, Innovations and Extension

Key Indicator 3.3	Research Publication and Awards
3.3.1. Number of research papers published per teacher in the Journals as notified on UGC CARE list during the last five years.	

HARINATH NIVRUTTI MORE

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3.3- Research Publication and Awards

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Sr. No	Particulars	Page No
3.3.1.	**Number of research papers published per teacher in the Journals as notified on UGC CARE list during the last five years**	**1-176**

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

Year	2023	2022	2021	2020	2019	Total
Number	39	34	33	25	24	155

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3.1 Number of research papers published per teacher in the Journals notified on UGC CARE list during the last five years

Sr. No	Title of Paper	Journal Name	Year of Publication
1.	Ifosfamide-Loaded Cubosomes: An Approach to Potentiate Cytotoxicity against MDA-MB-231 Breast Cancer Cells	Fabad Journal of Pharmaceutical Sciences	2023
2.	Microwave assisted green synthesis, Single crystal XRD, DFT, Hirshfeld surface analysis, Antibiofilm, Anti-inflammatory activity and Molecular docking study of 4-(4-Fluorophenyl)-5-methyl-1,3-thiazole-2-amine	Journal of Molecular Structure	2023
3.	Oral self- nanoemulsifying drug delivery systems for enhancing bioavailability and anticancer potential of fosfestrol: In vitro and In vivo characterization	European Journal of Pharmaceutics and Biopharmaceutics	2023
4.	Synthesis, Characterization, 'ADMET-SAR' Prediction, DPPH Assay, and Anti-Mycobacterium Study of 4-[(substituted benzyl) amino]benzo hydrazides and its Hydrazones as the Acyl-CoA Carboxylase, AccD5 Inhibitors	Current Computer-Aided Drug Design	2023
5.	Physicochemical Evaluation and Standardization of Traditional Healing Herb Sonchus asper Linn	Research Journal of Pharmacy and Technology	2023
6.	Nanophytosomes Loading Andrographis paniculata Hydroalcoholic Extract: Promising	Journal of Pharmaceutical	2023

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	Drug Delivery for Hepatoprotective Efficacy	Innovation	
7.	Exploration of limonoids for their broad spectrum antiviral potential via DFT, molecular docking and molecular dynamics simulation approach	Natural Product Research	2023
8.	Design of Multitarget Inhibitors as Tracheal Smooth Muscle Relaxants	Current Protein and Peptide Science	2023
9.	Exploring anticancer potential of nintedanib conjugated magnetic nanoparticles: In-vitro and in-silico studies	Journal of Drug Delivery Science and Technology	2023
10.	In silico evaluation of NO donor heterocyclic vasodilators as SARS-CoV-2 Mpro protein inhibitor	Journal of Biomolecular Structure and Dynamics	2023
11.	Computational Exploration of Anti-cancer Potential of Flavonoids against Cyclin-Dependent Kinase 8: An In Silico Molecular Docking and Dynamic Approach	ACS omega	2023
12.	Design, development, and evaluation of docetaxel-loaded niosomes for the treatment of breast cancer	Future Journal of Pharmaceutical Sciences	2023
13.	Development of Doxazosin mesylate liquisolid system for improved manufacturing processability and bioavailability: *in vitro* and *in vivo* evaluation for tailored hypertension treatment approach with modified dissolution rates	Journal of Dispersion Science and Technology	2023

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14.	Artificial Intelligence and Tools in Pharmaceuticals: An Overview	Research Journal of Pharmacy and Technology	2023
15.	Study of Robotic Surgeries in India: Economical Aspects and Applications in Cancer Treatment	Research Journal of Pharmacy and Technology	2023
16.	Antipsoriatic activity of hydrogel containing nanostructured lipid carrier (NLC) entrapped with triamcinolone acetonide	International Journal of Applied Pharmaceutics	2023
17.	Exploring biogenic chalcones as DprE1 inhibitors for antitubercular activity via in silico approach	Journal of Molecular Modeling	2023
18.	Exploration of bioactive molecules from Tinospora cordifolia and Actinidia deliciosa as an immunity modulator via molecular docking and molecular dynamics studies	Natural Product Research	2023
19.	Remarkable anti-breast cancer activity and molecular docking studies of ferrocene tethered pyrimidobenzothiazoles and pyrimidobenzimidazoles	Results in Chemistry	2023
20.	Microwave-assisted grafting of acrylamide on a natural xylan gum for controlled drug delivery	Polymer Bulletin	2023
21.	Molecular docking, QSAR, pharmacophore modeling, and dynamics studies of some chromone derivatives for the discovery of anti-breast cancer agents against hormone-dependent breast cancer	Journal of Biomolecular Structure and Dynamics	2023

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22.	Fe3O4@ SiO2@ TDI@ DES: A novel magnetically separable catalyst for the synthesis of oxindoles	Journal of Molecular Structure	2023
23.	Evaluation of curcumin-loaded chitosan nanoparticles for wound healing activity	ADMET and DMPK	2023
24.	Identification of potential hits against fungal lysine deacetylase Rpd3 via molecular docking, molecular dynamics simulation, DFT, in-silico ADMET and drug-likeness assessment	Chemistry Africa	2023
25.	Synthesis, biological evaluation, and computational studies of 6-fluoro-3-(piperidin-4-yl)-1, 2-benzisoxazole sulfonamide conjugates	Polycyclic Aromatic Compounds	2023
26.	Exploring α, β-unsaturated carbonyl compounds against bacterial efflux pumps via computational approach	Journal of Biomolecular Structure and Dynamics	2023
27.	Identification of potential biogenic chalcones against antibiotic resistant efflux pump (AcrB) via computational study	Journal of Biomolecular Structure and Dynamics	2023
28.	Stimuli-Responsive Design of Metal–Organic Frameworks for Cancer Theranostics: Current Challenges and Future Perspective	ACS biomaterials science & engineering	2023
29.	A Systematic Review on Chemical Actives from Plant Sources, Targets and Chemotherapy for Triple-Negative Breast Cancer	Pharmaceutical Chemistry	2023
30.	Development of amino acid salt-based curcumin@ lysine acetate co-amorphous system	The Thai Journal of Pharmaceutical Sciences	2023

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	using liquid-assisted grinding for improved solubility and dissolution		
31.	Single walled Carbon nanotube: Chitosan conjugate for sustained ophthalmic delivery of Ciprofloxacin from ointment; its evaluation and in vivo eye irritation study	Separation Science and Technology	2023
32.	Vacuum foam drying of docetaxel mixed micelles for improved stability and ovarian cancer treatment	Journal of Drug Delivery Science and Technology	2023
33.	Development and validation of RP-HPLC method for quantification of sertraline in nanofiber formulation	Research Journal of Pharmacy and Technology	2023
34.	Preparation, statistical optimization, in-vitro evaluation and characterization of solid lipid nanoparticles of an anti-retroviral drug Nevirapine	Research Journal of Pharmacy and Technology	2023
35.	Inflammatory Markers in Cord Blood for Early Diagnosis of Neonatal Sepsis	Research Journal of Pharmacy and Technology	2023
36.	Morphological, Histological and Phytochemical Features of Nephrolepis cordifolia (L.) C. Presl	National Academy Science Letters	2023
37.	Harnessing the Power of AI in Pharmacokinetics and Pharmacodynamics: A Comprehensive Review	International Journal of Pharmaceutical Quality Assurance	2023
38.	Magnetic Resonance Imaging in Cerebral Venous Thrombosis	Research Journal of Pharmacy and Technology	2023

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39.	Bioactive Natural Products for Breast Cancer Chemoprevention and Treatment	Current Bioactive Compounds	2023
40.	Design and in silico investigation of novel Maraviroc analogues as dual inhibition of CCR-5/SARS-CoV-2 Mpro	Journal of Biomolecular Structure and Dynamics	2022
41.	Design, Development, In Silico, and In Vitro Characterization of Camptothecin-Loaded Mixed Micelles: In Vitro Testing of Verapamil and Ranolazine for Repurposing as Coadjuvant Therapy in Cancer	Journal of Pharmaceutical Innovation	2022
42.	Formulation and Optimization of 5-Amino Salicylic acid Tablet for Colon Targeting	Research Journal of Pharmacy and Technology	2022
43.	Phytochemical Screening, Total Flavonoid, Phenolic content assays and Antioxidant activity of selected Unani Formulations	Research Journal of Pharmacy and Technology	2022
44.	Development and Characterization of 5-Fluorouracil Solid Lipid Nanoparticles for Treatment of Colorectal Cancer	Journal of Pharmaceutical Innovation	2022
45.	Evaluation of DHFR Inhibition and Antimicrobial Activity of Some Newly Synthesized Quinazolin-4 (3H)-one Scaffold Coupled with Benzylidene and Ethylidene Amino Motifs.	International Journal of Pharmaceutical Investigation	2022
46.	Synthesis and In-Vitro Evaluation of Raloxifene–Oxalyl Chloride Conjugate Targeting Breast Cancer	Pharmaceutical Chemistry Journal	2022

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47.	Synthesis and characterization of chitosan nanoparticles decorated with folate and loaded with dasatinib for targeting folate receptors in cancer cells	OpenNano	2022
48.	Review on drug delivery applications of ethosomes: Current developments and prospects	Thai Journal of Pharmaceutical Sciences	2022
49.	Green synthesis of gold and silver nanoparticles: Updates on research, patents, and future prospects	OpenNano	2022
50.	Formulation, optimization, and in vitro evaluation of anastrozole-loaded nanostructured lipid carriers for improved anticancer activity	Journal of Drug Delivery Science and Technology	2022
51.	Development of Progesterone Oily Suspension Using Moringa Oil and Neusilin US2	Journal of Pharmaceutical Innovation	2022
52.	Isolation and identification of Hair growth potential Fraction from Active Plant Extract of Blumea eriantha DC Grown in Western Ghat of India: In Silico Study	Journal of Ayurveda and Integrative Medicine	2022
53.	Crystallinity modulated silk fibroin electrospun nanofibers based floating scaffold as a candidate for controlled release of felodipine	International Journal of Polymeric Materials and Polymeric Biomaterials	2022
54.	Synthesis of benzopyrans and evaluation of cytotoxicity against ER-MCF-7 cell lines	Journal of Molecular Structure	2022
55.	Design and development of sodium alginate/ carboxymethyl cellulose in situ gelling system for gastroretentive delivery of lisinopril	Journal of Research in Pharmacy	2022

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56.	Statistically developed docetaxel-laden mixed micelles for improved therapy of breast cancer	OpenNano	2022
57.	Development and validation of RP-HPLC method for estimation of camptothecin in mixed micelle formulation	Research Journal of Pharmacy and Technology	2022
58.	Statistically designed novel ranolazine-loaded ethosomal transdermal gel for the treatment of angina pectoris	Journal of Drug Delivery Science and Technology	2022
59.	Development and Validation of UV Spectrophotometric Method for Doxazosin Mesylate in Bulk and Tablets	Research Journal of Pharmacy and Technology	2022
60.	Herbal Treatment for Management of Psoriasis: An Overview	Research Journal of Pharmacy and Technology	2022
61.	In-vitro Analysis of Clerodendrum inerme as Potential Agent for Psoriasis Management	Indian Journal of Natural Sciences	2022
62.	Preformulation studies of glipizide: First step towards developing stable osmotic drug delivery system	Research Journal of Pharmacy and Technology	2022
63.	In vitro, in silico and in vivo screening of non-oncology drugs for repurposing in osteosarcoma.	Journal of Research in Pharmacy	2022
64.	Syntheses, Molecular Docking and Biological Evaluation of 2-(2-hydrazinyl) thiazoles as Potential Antioxidant, Anti-Inflammatory and Significant Anticancer Agents	Recent Advances in Inflammation & Allergy Drug Discovery	2022
65.	Synthesis, Biological Evaluation and Molecular Docking of Novel N-Acyl/Aroyl Spiro	Polycyclic Aromatic	2022

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	[Chromane-2, 4′-Piperidin]-4 (3 H)-One as Potent Anti-Microbial Agents	Compounds	
66.	Oral self-emulsifying nanoemulsion systems for enhancing dissolution, bioavailability and anticancer effects of camptothecin	Journal of Drug Delivery Science and Technology	2022
67.	Pazopanib-laden lipid based nanovesicular delivery with augmented oral bioavailability and therapeutic efficacy against non-small cell lung cancer	International Journal of Pharmaceutics	2022
68.	Recent advances in orthogonal analytical techniques for microstructural understanding of inhalable particles: Present status and future perspective	Journal of Drug Delivery Science and Technology	2022
69.	Differences and Similarities in the Metabolism of Erlotinib across various Species: An Analysis by Liquid Chromatography - Tandem Mass Spectrometry	Research Journal of Pharmacy and Technology	2022
70.	Engineered atenolol-glycoconjugates to target H9c2 cardiomyocyte cell lines	Acta Innovations	2022
71.	Crystallinity modulated silk fibroin electrospun nanofibers based floating scaffold as a candidate for controlled release of felodipine	International Journal of Polymeric Materials and Polymeric Biomaterials	2022
72.	Ficus benghalensis leaf extract in biosynthesis of Fe3O4 for Fe3O4@Ag-S-CH2-COOH: A novel catalyst for synthesis of new 3,4-dihydropyrimidin-2(1*H*)-ones and their	Applied Organometallic Chemistry	2022

	anticancer evaluation		
73.	In silico exploration of binding potentials of anti SARS-CoV-1 phytochemicals against main protease of SARS-CoV-2	Journal of Saudi Chemical Society	2022
74.	Fibroin-alginate scaffold for design of floating microspheres containing felodipine	Journal of Pharmaceutical Innovation	2021
75.	Design, development, in silico and in vitro characterization of Docetaxel-loaded TPGS/Pluronic F 108 mixed micelles for improved cancer treatment	Journal of Drug Delivery Science and Technology	2021
76.	Synthesis, characterization, in silico analysis, and pharmacological evaluation of metoprolol-modified saccharide conjugates for cardiovascular targeting	Journal of Pharmaceutical Innovation	2021
77.	Identification and Investigation of Chalcone Derivatives as Calcium Channel Blockers: Pharmacophore Modeling, Docking Studies, In vitro Screening, and 3D-QSAR Analysis	Current Computer-Aided Drug Design	2021
78.	Potential of NO donor furoxan as SARS-CoV-2 main protease (Mpro) inhibitors: *in silico* analysis	Journal of Biomolecular Structure and Dynamics	2021
79.	Quantitative structure property relationship assisted development of Fluocinolone acetonide loaded transfersomes for targeted delivery	Journal of Drug Delivery Science and Technology	2021
80.	Development of lipoprotein-drug conjugates for targeted drug delivery	Journal of Biomolecular Structure and Dynamics	2021

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81.	Carbon dots: A novel trend in pharmaceutical applications	Annales Pharmaceutiques Françaises	2021
82.	Colon targeted dosage form of Capecitabine using folic acid anchored modified carbon nanotube: *in vitro* cytotoxicity, apoptosis and *in vivo* roentgenographic study	Drug Development and Industrial Pharmacy	2021
83.	Surface architectured metal organic frameworks-based biosensor for ultrasensitive detection of uric acid: Recent advancement and future perspectives	Microchemical Journal	2021
84.	Ionic liquids: Formulation avenues, drug delivery and therapeutic updates	Journal of Drug Delivery Science and Technology	2021
85.	Development and Evaluation of Lyophilized Methotrexate Nanosuspension using Quality by Design Approach	Acta Chimica Slovenica	2021
86.	Evaluation of in vitro antioxidant, anticancer activities and molecular docking studies of Capparis zeylanica Linn. leaves	Future Journal of Pharmaceutical Sciences	2021
87.	Synthesis, Antimicrobial Evaluation and Docking Study of Novel Thiosemicarbazone Clubbed with 1, 2, 3-Triazoles	Current Bioactive Compounds	2021
88.	Insilico analysis of marine indole alkaloids for design of adenosine A2A receptor antagonist	Journal of Biomolecular Structure and Dynamics	2021
89.	In silico analysis of polyphenols and flavonoids for design of human Nav1.7 inhibitors	Journal of Biomolecular Structure and Dynamics	2021

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90.	An expedient four component synthesis of substituted pyrido-pyrimidine heterocycles in glycerol: proline based low transition temperature mixture and their antioxidant activity …	Polycyclic Aromatic Compounds	2021
91.	Characterization of camptothecin by analytical methods and determination of anticancer potential against prostate cancer	Future Journal of Pharmaceutical Sciences	2021
92.	1, 2-Dihexadecanoyl-sn-glycero-3-phosphoethanolamin (DPPE), doxorubicin and folic acid conjugated micelles for cancer management in tumor bearing BALB/c mice	Bioorganic & Medicinal Chemistry Letters	2021
93.	Capsaicin loaded solid SNEDDS for enhanced bioavailability and anticancer activity: in-vitro, in-silico, and in-vivo characterization	Journal of Pharmaceutical Sciences	2021
94.	In vitro screening of Anti-diabetic activity and Anti-inflammatory activity of leaves extract of Barleria gibsoni Dalz	Research Journal of Pharmacy and Technology	2021
95.	Synthesis, characterization, in silico analysis, and pharmacological evaluation of metoprolol-modified saccharide conjugates for cardiovascular targeting	Journal of Pharmaceutical Innovation	2021
96.	A Review On Basics And Applications Of Modified Carbohydrates In Drug Delivery	Indian Drugs	2021
97.	Computer Assisted Models for Blood Brain Barrier Permeation of 1, 5-Benzodiazepines	Current Computer-Aided Drug Design	2021

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98.	In silico design and pharmacological evaluation of conjugates of atenolol with modified saccharide for cardiovascular targeting	Glycoconjugate Journal	2021
99.	Novel curcumin ascorbic acid cocrystal for improved solubility	Journal of Drug Delivery Science and Technology	2021
100.	Green synthesis of silver, iron and gold nanoparticles of lycopene extracted from tomato: their characterization and cytotoxicity against COLO320DM, HT29 and Hella cell	Journal of Materials Science: Materials in Medicine	2021
101.	Discovery of potential inhibitors for phosphodiesterase 5A, sodium-potassium pump and beta-adrenergic receptor from *Terminalia arjuna*: *in silico* approach	Journal of Biomolecular Structure and Dynamics	2021
102.	Design and development of terbinafine hydrochloride ethosomal gel for enhancement of transdermal delivery: In vitro, in vivo, molecular docking, and stability study	Journal of Drug Delivery Science and Technology	2021
103.	Screening of effective formulation techniques for Designing and Fabrication of Terbinafine hydrochloride ethosomes	Research Journal of Pharmacy and Technology	2021
104.	Discovery of two novel hetero-tricyclic lead scaffolds as PDE5A inhibitor: virtual screening, molecular docking and pharmacophore modeling approach	Natural product research	2021
105.	Synthesis, antimicrobial screening, and docking study of new 2-(2-ethylpyridin-4-yl)-4-methyl-*N*-phenylthiazol	Journal of the Chinese Chemical Society	2021

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	e-5-carboxamide derivatives		
106.	Hepatoprotective activity of Phyllanthus niruri Linn. endophytes	Future journal of pharmaceutical sciences	2021
107.	Formulation, Characterization of Anticancer Nanoemulsion containing Trigonella foenum-graecum L. Seed oil	Research Journal of Pharmacy and Technology	2020
108.	Antioxidants with multivitamin and mineral supplementation attenuates chemotherapy or radiotherapy-induced oxidative stress in cancer patients	Indian Journal of Pharmaceutical Education and Research	2020
109.	Development of 'S ','N'Heterocycles as Antimycobacterials Targeting Fatty Acid Biosynthesis	Current Computer-Aided Drug Design	2020
110.	Multi-Targeted Design and Development of Dihydroisoquinolines as Potent Antimalarials	Current Computer-Aided Drug Design	2020
111.	Silk industry waste protein: isolation, purification and fabrication of electrospun silk protein nanofibers as a possible nanocarrier for floating drug delivery	Nanotechnology	2020
112.	Green synthesis of silver and iron nanoparticles of isolated proanthocyanidin: its characterization, antioxidant, antimicrobial, and cytotoxic activities against COLO320DM and HT29	Journal of Genetic Engineering and Biotechnology	2020
113.	Meloxicam quantification in rabbit plasma by RP-HPLC: optimization and application to pharmacokinetic study	Future Journal of Pharmaceutical Sciences	2020

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114.	Synthesis, antimicrobial evaluation, and molecular docking study of new thiazole-5-phenylpropenone derivatives	Russian Journal of General Chemistry	2020
115.	Synthesis of isoniazid-1, 2, 3-triazole conjugates: Antitubercular, antimicrobial evaluation and molecular docking study	Journal of Heterocyclic Chemistry	2020
116.	Design and characterization of camptothecin gel for treatment of epidermoid carcinoma	Future journal of pharmaceutical sciences	2020
117.	Design and development of melt solidification of meloxicam for enhancement of solubility and dissolution.	Journal of Research in Pharmacy	2020
118.	Vasorelaxant Effect of Novel Nitric Oxide-Hydrogen Sulfide Donor Chalcone in Isolated Rat Aorta: Involvement of cGMP Mediated sGC and Potassium Channel Activation	Current Molecular Pharmacology	2020
119.	Synthesis and Modeling Studies of Furoxan Coupled Spiro-Isoquinolino Piperidine Derivatives as NO Releasing PDE 5 Inhibitors	Biomedicines	2020
120.	Pharmaceutical applications of electrospinning	Annales Pharmaceutiques Françaises	2020
121.	A remarkable in vitro cytotoxic, cell cycle arresting and proapoptotic characteristics of low-dose mixed micellar simvastatin combined with alendronate sodium	Drug Delivery and Translational Research	2020
122.	Development and validation of novel stability-indicating LC method for the determination of	Indian Journal of Pharmaceutical Education	2020

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	saxagliptin and metformin	and Research	
123.	QbD based approach to enhance the in-vivo bioavailability of ethinyl estradiol in Sprague-Dawley rats	Acta Chimica Slovenica	2020
124.	Dual basic ionic liquid as a catalyst for synthesis of (2-amino-3-cyano-4H-chromen-4-yl) phosphonic acid diethyl ester and its molecular docking study	Research on Chemical Intermediates	2020
125.	Rust-derived Fe 2 O 3 nanoparticles as a green catalyst for the one-pot synthesis of hydrazinyl thiazole derivatives	Organic & Biomolecular Chemistry	2020
126.	Synthesis, anticancer and antimicrobial evaluation of new pyridyl and thiazolyl clubbed hydrazone scaffolds	Synthetic Communications	2020
127.	Acrylamide grafted neem (Azadirachta indica) gum polymer: Screening and exploration as a drug release retardant for tablet formulation	Carbohydrate polymers	2020
128.	Synthesis of phthalazine derivative based organic nanoflakes in aqueous solvent as a potential nano-anticancer agent: A new approach in medical field	Journal of Molecular Structure	2020
129.	Exploring the Pharmacological Potentials of Biosurfactant Derived from Planococcus maritimus SAMP MCC 3013	Current Microbiology	2020
130.	Design and characterization of camptothecin gel for treatment of epidermoid carcinoma	Future journal of pharmaceutical sciences	2020

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131.	Development and validation of a liquid chromatography-tandem mass spectrometry method for quantification of Lupeol in plasma and its application to pharmacokinetic study in rats	Journal of Chromatography B	2019
132.	Sericin inhibits devitrification of amorphous drugs	AAPS PharmSciTech	2019
133.	Exploration of leads from natural domain targeting HER2 in breast cancer: An in-silico approach	International Journal of Peptide Research and Therapeutics	2019
134.	Anticancer activity and molecular docking studies of ferrocene tethered ionic liquids	Journal of Molecular Liquids	2019
135.	Quantitative Structure–Property Relationship Approach in Formulation Development: an Overview	AAPS PharmSciTech	2019
136.	Stabilization of hydrochlorothiazide nanocrystals using fibroin	Journal of Research in Pharmacy	2019
137.	Validated UV Spectrophotometric method for Estimation of Simvastatin in Bulk and Pharmaceutical Formulation	Research Journal of Pharmacy and Technology	2019
138.	Development of High-Strength Extended-Release Multiparticulate System by Crystallo-co-agglomeration Technique with Integration of Central Composite Design	AAPS PharmSciTech	2019
139.	Lornoxicam quantification in rabbit plasma by reverse phase HPLC: Optimization and	Separation Science Plus	2019

	application to pharmacokinetic study		
140.	Development of stable emulsified formulations of *Terminalia arjuna* for topical application: evaluation of antioxidant activity of final product and molecular docking Study	Drug Development and Industrial Pharmacy	2019
141.	Optimization of Thiazolidone Scaffolds Using Pocket Modeling for Development of Potential Secretory System Inhibitors of Mycobacterium tuberculosis	Turkish Journal Of Pharmaceutical Science	2019
142.	Synthesis and antimycobacterial evaluation of new 5-(1-benzyl-1H-1,2,3-triazol-4-yl)-4-methyl-2-arylthiazole derivatives	Medicinal Chemistry Research	2019
143.	Synthesis, antitubercular evaluation and molecular docking studies of phthalimide bearing 1, 2, 3-triazoles	Synthetic Communications	2019
144.	Synthesis, antimicrobial activity, and molecular docking study of formylnaphthalenyloxymethyl-triazolyl-N-pheny lacetamides	Journal of Heterocyclic Chemistry	2019
145.	Synthesis of new thiazolyl-pyrazolyl-1, 2, 3-triazole derivatives as potential antimicrobial agents	European journal of medicinal chemistry	2019
146.	In Vitro Study of Ethyl-4-(3,4.5-trimethoxyphenyl)-2,7,7-trimethyl-5-oxo1,4,5,6,7,8-hexahydroquinoline-3-carboxylate and Bovine Serum Albumin Using Multi-Spectroscopic Techniques and Molecular	Macromolecular Symposia	2019

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	Docking		
147.	Synthesis, antimicrobial, and antioxidant activities of new pyridyl-and thiazolyl-bearing carbohydrazides	Journal of the Chinese Chemical Society	2019
148.	Design, development and evaluation of self nanoemulsifying drug delivery system of garlic oil using capryol PGMC	Indian Journal of Pharmaceutical Education and Research	2019
149.	Design and characterisation of lopinavir nanocrystals for solubility and dissolution enhancement	Pharmaceutical Sciences Asia	2019
150.	In silico design, synthesis, characterization and pharmacological evaluation of captopril conjugates in the treatment of renal fibrosis	New Journal of Chemistry	2019
151.	Investigation of anti-inflammatory, nitric oxide donating, vasorelaxation and ulcerogenic activities of 1, 3-diphenylprop-2-en-1-one derivatives in animal models	Clinical and Experimental Pharmacology and Physiology	2019
152.	Validated RP-HPLC method for quantification of felodipine in rabbit plasma: Application in a bioequivalence study	Annales Pharmaceutiques Françaises	2019
153.	Development and Validation of an HPLC- UV Method for the Determination of Melphalan from Lyophilized Nanosuspension	Indian Journal of Pharmaceutical Education and Research	2019
154.	Screening of Silk Fibroin as a Stabilizer for Freeze Drying of Thermolabile Drug	Indian Journal of Pharmaceutical Education and Research	2019

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155.	Lung delivery of nanoliposomal salbutamol sulfate dry powder inhalation for facilitated asthma therapy	Journal of Liposome Research	2019

1. Ifosfamide-Loaded Cubosomes: An Approach to Potentiate Cytotoxicity against MDA-MB-231 Breast Cancer Cells

RESEARCH ARTICLE EN

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Ifosfamide-Loaded Cubosomes: An Approach to Potentiate Cytotoxicity against MDA-MB-231 Breast Cancer Cells

Year 2023, Volume: 48 Issue: 1, 37 - 52, 01.03.2023

Popat KUMBHAR Vishvajit KHADE Varsha KHADAKE Pradnya MARALE Arehalli MANJAPPA Sameer NADAF Vijay KUMBAR Durgacharan BHAGWAT John DİSOUZA *

https://doi.org/10.55262/fabadeczacilik.1145208

Abstract

Background: Ifosfamide (IFS) is proved efficacious against breast cancer, an enormously diagnosed cancer across the globe. However, the clinical efficacy of IFS is limited owing to its hydrophilicity, less stability, and dose-dependent toxicities. Therefore, the primary goal of the present research was to develop IFS-loaded cubosomes with improved anticancer efficacy and reduced dose-dependent toxicities.
Methods: The IFS-cubosomes were optimized using a 32 factorial design based on IFS content and zeta potential. The optimized cubosomal dispersion was further assessed for particle size, in vitro IFS release, haemolysis, cytotoxicity, cellular uptake and physical stability.
Results: The optimized IFS-cubosomal dispersion exhibited maximum IFS content (89.75±4.3%) and better zeta potential value (-40.0±1.6 mV), and size in nanometer. Moreover, IFS-cubosomes retarded IFS release (about 91 %) after 12 h than plain IFS solution (>99 % within 2 h). The IFS-cubosomes displayed lower haemolysis (3.7±0.79%) towards human RBCs. Besides, the in vitro cytotoxicity of IFS-cubosomes was noticed to be substantially higher (IC50: 0.64±0.08 µM) than plain IFS solution (IC50: 1.46±0.21 µM) against multi-drug resistant (MDR) breast cancer (MDA-MB-231) cells. DAPI staining revealed death of IFS-cubosomes treated cells mainly by apoptosis. The cubosomes showed increased uptake by cancer cells. Furthermore, IFS-cubosomes were found to be more stable at refrigeration temperature than at room temperature.
Conclusion: Thus, IFS-cubosomes could be a novel avenue in the treatment of breast cancer with improved anticancer efficacy and reduced toxicity. However, further in vivo investigations are desired to validate these claims.

Keywords

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2. Microwave assisted green synthesis, Single crystal XRD, DFT, Hirshfeld surface analysis, Antibiofilm, Anti-inflammatory activity and Molecular docking study of 4-(4-Fluorophenyl)-5-methyl-1,3-thiazole-2-amine

ELSEVIER

Journal of Molecular Structure
Volume 1294, Part 2, 15 December 2023, 136492

Microwave assisted green synthesis, Single crystal XRD, DFT, Hirshfeld surface analysis, Antibiofilm, Anti-inflammatory activity and Molecular docking study of 4-(4-Fluorophenyl)-5-methyl-1,3-thiazole-2-amine

Dnyandev Bhosale [a b], Ashwini Narale [b], Dattatraya Raut [c], Mukta Bamankar [d], Gunderao Kathwate [d], Praffula Chaudhari [e], Arjun Chavan [f], Rahul Pinjari [f], Anjana Lawand [b]

[a] Department of Chemistry Pratapsinh Mohite-Patil Mahavidyalaya, Karmala, District-Solapur, Maharashtra state, 413203, India
[b] School of Chemical Sciences, Punyashlok Ahilyadevi Holkar Solapur University, Solapur, Maharashtra state, 413255, India
[c] Vishwasrao Naik Arts, Commerce and Baba Naik Science Mahavidyalaya, Shirala. District-Sangli, Maharashtra state, 415408, India
[d] Department of Biotechnology, Savitribai Phule Pune University, Pune, Maharashtra state, 411007, India
[e] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra state, 416013, India
[f] School of Chemical Sciences, Swami Ramanand Teerth Marathwada University, Nanded, Maharashtra, 431606, India

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3. Oral self- nanoemulsifying drug delivery systems for enhancing bioavailability and anticancer potential of fosfestrol: In vitro and In vivo characterization

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Research paper

Oral self- nanoemulsifying drug delivery systems for enhancing bioavailability and anticancer potential of fosfestrol: In vitro and In vivo characterization

Sunil T. Galatage [a b], Arehalli S. Manjappa [b], Durgacharan A. Bhagwat [c], Rahul Trivedi [a d], Ahmad Salawi [e], Fahad Y. Sabei [e], Abdullah Alsalhi [e]

[a] B. R. Nahata College of Pharmacy, Department of Pharmacy, Mandsaur University Mandsaur, Madhya Pradesh- 458001, India
[b] Vasantidevi Patil Institute of Pharmacy, Kodoli-416114, Kolhapur Maharashtra, India
[c] Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Morewadi, Kolhapur-416013, Maharashtra, India
[d] Department of Pharmacy, Sumandeep Vidyapeeth University, Vadodara-391760, Gujrat, India
[e] Department of Pharmaceutics, College of Pharmacy, Jazan University, Jazan, 45142, Saudi

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4. Synthesis, Characterization, 'ADMET-SAR' Prediction, DPPH Assay, and Anti-Mycobacterium Study of 4-[(substituted benzyl) amino]benzo hydrazides and its Hydrazones as the Acyl-CoA Carboxylase, AccD5 Inhibitors

Home / Current Computer - Aided Drug Design, Volume 19, Number 4

Synthesis, Characterization, 'ADMET-SAR' Prediction, DPPH Assay, and Anti-Mycobacterium Study of 4-[(substituted benzyl) amino]benzo hydrazides and its Hydrazones as the Acyl-CoA Carboxylase, AccD5 Inhibitors

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Source: Current Computer - Aided Drug Design, Volume 19, Number 4, 2023, pp. 300-312(13)
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Background: Hydrazide-hydrazone derivatives have shown diverse biological activities, such as antitubercular (anti-TB), antibacterial, antifungal, anticancer, anti-inflammatory, antiviral, and antiprotozoal actions.

Objectives: Hydrazide-hydrazones contain azomethine (-NH-N=CH-) group connected with carbonyl group and are believed to be responsible for various pharmaceutical applications. They aid in the synthesis of different five-membered heterocyclic systems, such as oxadiazole, triazoles, etc.

Methods: In the present study, various hydrazines/hydrazones were synthesized starting from 4- amino benzoic acid derivatives. Structures of all 9 newly synthesized compounds (6a-6d and 8a- 8e) were further characterized by using various spectroscopic methods, such as 1H-NMR (Nuclear Magnetic Resonance), FT-IR (Fourier-transform infrared spectroscopy), Gas chromatographymass spectrometry (GC-MS), etc. Furthermore, molecular docking analysis against the acyl-CoA carboxylase, AccD5 (PDB ID: 2A7S), was also carried out using the Glide module, which depicted good binding scores than standard drugs. The anti-tuberculosis activity of all the hydrazides and hydrazones (6a-6d and 8a-8e) were evaluated against the Mycobacterium tuberculosis H37 RV strain using the Alamar-Blue susceptibility (MABA) test. The activity was expressed as the minimum inhibitory concentration (MIC) in µg/mL values. The antioxidant activity was also carried out using a DPPH assay.

Results: Our findings demonstrated highly encouraging in-vitro results (MABA assay, MIC: 1.2 µg/mL) of hydrazones as depicted by good antimycobacterial activity. The antioxidant results showed a moderate to a good percentage of DPPH inhibition. Our in-silico ADMET r suggested good pharmacokinetic and toxicity-free profiles of synthesized analogues (6a-6d and 8a-8e).

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5. Physicochemical Evaluation and Standardization of Traditional Healing Herb Sonchus asper Linn

Physicochemical Evaluation and Standardization of Traditional Healing Herb Sonchus asper Linn

Author(s): Shivani Salokhe, Suresh G. Killedar, Firoj A. Tamboli, Harinath N. More, Vaibhava V. Desai, Prasanna R. Rasal, Kamal M. Alaskar, Uddhav Atkeere, Sangmitra Kamble

Email(s): firojtamboli143@gmail.com

DOI: 10.52711/0974-360X.2023.00279

Address: Shivani Salokhe 1, Suresh G. Killedar2, Firoj A. Tamboli1*, Harinath N. More1, Vaibhava V. Desai4, Prasanna R. Rasal5, Kamal M. Alaskar4, Uddhav Atkeere3, Sangmitra Kamble1
1Department of Pharmacognosy, Bharati Vidyapeeth College of Pharmacy, Kolhapur – 416013 Maharashtra, India.
2Sant Gajanan Maharaj College of Pharmacy, Gadhinglaj, 416503 Maharashtra, India.
3Sarojini College of Pharmacy, Kolhapur, 416013 Maharashtra, India.
4Bharati Vidyapeeth (Deemed to be University)'s Institute of Management, Kolhapur, Maharashtra, India.
5Bharati Vidyapeeth (Deemed to be University)'s Yashwantrao Mohite, Institute of Management, Karad, Maharashtra, India.
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Pharmacology

ABSTRACT:
Sonchus asper also locally known as prickly sow-thistle, rough milk thistle, spiny sowthistle, spiny-leaved sow thistleordudhi, is a widespread plant an annual or biennial herb sometimes reaching a height of 200 cm. with spiny leaves and yellow flowers

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6. Nanophytosomes Loading Andrographis paniculata Hydroalcoholic Extract: Promising Drug Delivery for Hepatoprotective Efficacy

Original Article | Published: 01 February 2023

Nanophytosomes Loading *Andrographis paniculata* Hydroalcoholic Extract: Promising Drug Delivery for Hepatoprotective Efficacy

Poonam Karekar, Suresh Killedar & Harinath More

Journal of Pharmaceutical Innovation (2023) | Cite this article

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Abstract

Purpose

Andrographis paniculata is a promising extract that has gained attention due to its broad range of pharmacological effects. It is now being researched extensively as a natural hepatoprotective surrogate with potential efficacy against alcohol-induced hepatotoxicity. However, it has several flaws that restrict its therapeutic value, including less bioavailability due to inadequate lipophilic solubility and indigent gastrointestinal absorption. This study highlights the development of self-assembled phytosome nanocarriers to improve lipophilic solubility and bioavailability. In this study, nanophytosomes of *Andrographis paniculata* extract (APE) were engineered to improve the rate of drug release and hepatoprotective efficiency of the extract.

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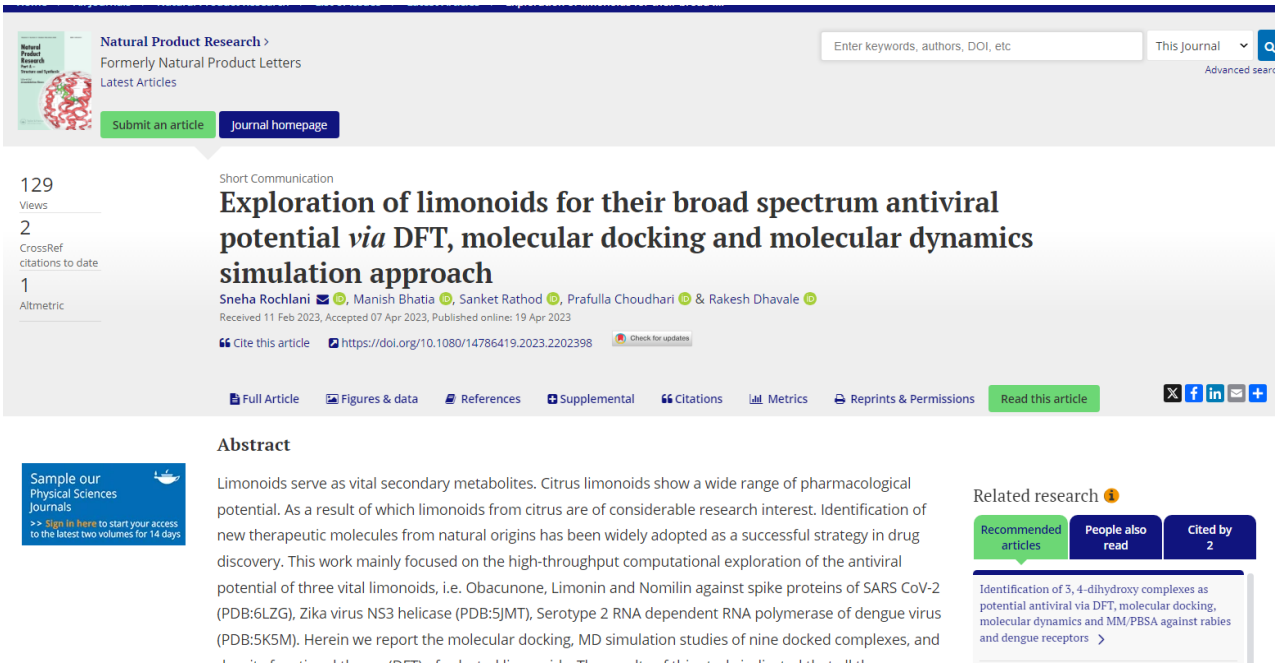
7. Exploration of limonoids for their broad spectrum antiviral potential via DFT, molecular docking and molecular dynamics simulation approach



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Short Communication

Exploration of limonoids for their broad spectrum antiviral potential *via* DFT, molecular docking and molecular dynamics simulation approach

Sneha Rochlani, Manish Bhatia, Sanket Rathod, Prafulla Choudhari & Rakesh Dhavale

Received 11 Feb 2023, Accepted 07 Apr 2023, Published online: 19 Apr 2023

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Abstract

Limonoids serve as vital secondary metabolites. Citrus limonoids show a wide range of pharmacological potential. As a result of which limonoids from citrus are of considerable research interest. Identification of new therapeutic molecules from natural origins has been widely adopted as a successful strategy in drug discovery. This work mainly focused on the high-throughput computational exploration of the antiviral potential of three vital limonoids, i.e. Obacunone, Limonin and Nomilin against spike proteins of SARS CoV-2 (PDB:6LZG), Zika virus NS3 helicase (PDB:5JMT), Serotype 2 RNA dependent RNA polymerase of dengue virus (PDB:5K5M). Herein we report the molecular docking, MD simulation studies of nine docked complexes, and

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8. Design of Multitarget Inhibitors as Tracheal Smooth Muscle Relaxants

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9. Exploring anticancer potential of nintedanib conjugated magnetic nanoparticles: In-vitro and in-silico studies

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Volume 81, March 2023, 104213

Exploring anticancer potential of nintedanib conjugated magnetic nanoparticles: In-vitro and in-silico studies

Rakesh P. Dhavale [a], Rushikesh P. Dhavale [b], Manish S. Bhatia [c], Sagar U. Jadhav [c], Maruti J. Dhanavade [d], Sagar S. Barale [e], Sachin Pathak [b f], Vinayak G. Parale [b], Kailas D. Sonawane [g]

[a] Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, 416013, India

[b] Department of Materials Science and Engineering, Yonsei University, 50 Yonsei-ro, Seodaemun-gu, Seoul, 03722, South Korea

[c] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, 416013, India

[d] Department of Microbiology, Bharati Vidyapeeth's Dr. Patangrao Kadam Mahavidyalaya, Sangli, Maharashtra, 416416, India

[e] Department of Microbiology, Shivaji University, Vidyanagar, Kolhapur, 416004, Maharashtra, India

[f] Department of Physics, School of Engineering, University of Petroleum and Energy Studies, Dehradun, 248007, Uttarakhand, India

[g] Structural Bioinformatics Unit, Department of Biochemistry, Shivaji University,

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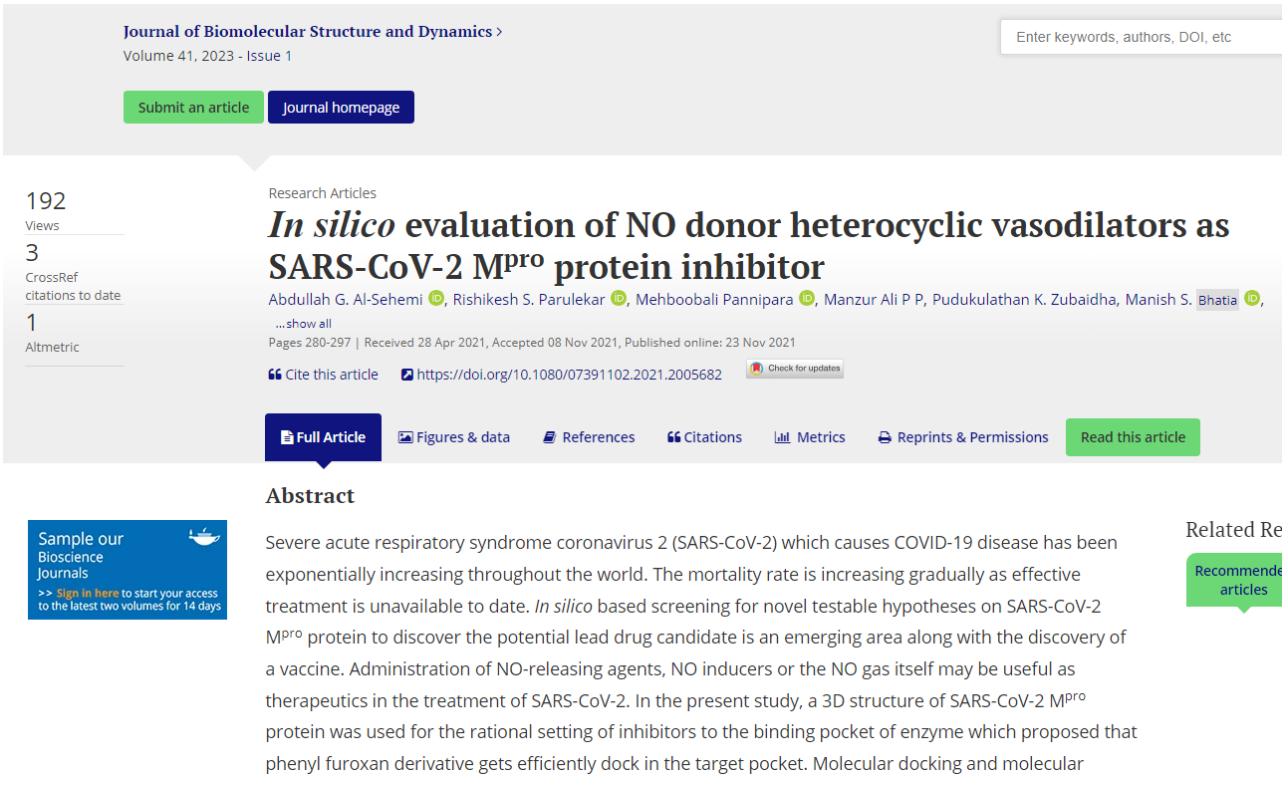
10. In silico evaluation of NO donor heterocyclic vasodilators as SARS-CoV-2 Mpro protein inhibitor



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Abstract

Severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) which causes COVID-19 disease has been exponentially increasing throughout the world. The mortality rate is increasing gradually as effective treatment is unavailable to date. *In silico* based screening for novel testable hypotheses on SARS-CoV-2 M^{pro} protein to discover the potential lead drug candidate is an emerging area along with the discovery of a vaccine. Administration of NO-releasing agents, NO inducers or the NO gas itself may be useful as therapeutics in the treatment of SARS-CoV-2. In the present study, a 3D structure of SARS-CoV-2 M^{pro} protein was used for the rational setting of inhibitors to the binding pocket of enzyme which proposed that phenyl furoxan derivative gets efficiently dock in the target pocket. Molecular docking and molecular

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11. Computational Exploration of Anti-cancer Potential of Flavonoids against Cyclin-Dependent Kinase 8: An In Silico Molecular Docking and Dynamic Approach

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Article

Computational Exploration of Anti-cancer Potential of Flavonoids against Cyclin-Dependent Kinase 8: An *In Silico* Molecular Docking and Dynamic Approach

Sanket Rathod,* Ketaki Shinde, Jaykedar Porlekar, Prafulla Choudhari, Rakesh Dhavale, Deepak Mahuli, Yasinalli Tamboli, Manish Bhatia, Kishan P. Haval, Abdullah G. Al-Sehemi, and Mehboobali Pannipara

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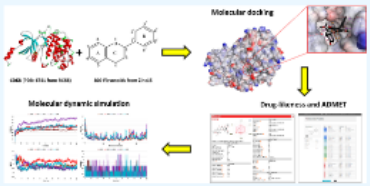
ABSTRACT: Over the centuries, cancer has been considered one of the significant health threats. It holds the position in the list of deadliest diseases over the globe. In women, breast cancer is the most common among many cancers and is the second most common cancer all over the world, while lung cancer is the first. Cyclin-dependent kinase 8 (CDK8) has been identified as a critical oncogenic driver that is found in breast cancer and associated with tumor progression. Flavonoids were virtually screened against CDK8 using molecular docking, drug-likeness, ADMET prediction, and a molecular dynamics (MD) simulation approach to determine the potential flavonoid structure against CDK8. The results indicated that ZINC000005854718 showed the highest negative binding affinity of −10.7 kcal/mol with the targeted protein and passed all the drug-likeness parameters. Performed molecular dynamics simulation showed that docked complex systems have good conformational stability over 100 ns in different temperatures (298, 300, 305, 310, and 320 K). The comparison between calculated binding free energy *via* MM/PB(GB)SA methods and binding affinity calculated *via* molecular docking suggested tight binding of ZINC000005854718 with targeted protein. The results concluded that ZINC000005854718 has drug-like properties with tight and stable binding with the targeted protein.



1. INTRODUCTION

Cancer is the primary cause of mortality worldwide and the second leading cause of death.[1] More than 277 different types of cancers have been discovered by scientists.[2] Prostate, lung, bronchus, colon, rectum, and urinary bladder cancers are commonly seen in men, while breast, lung, bronchus, colon, rectum, uterine corpus, and thyroid cancers are common in women.[3−5] Prostate and breast cancer cases are widely reported as compared to other cancers.[2,3,6] Public health issues related to breast cancer are getting worse. Significant progress has been achieved in treating breast cancer, but it has been less successful in predicting high-risk women and preventing the disease.[7] Breast cancer has had the greatest cancer incidence in women worldwide for a long time. Parent-inherited genetic variants are responsible for 5−10% of breast tumors. Women with close blood relatives are at higher risk for breast cancer, even though less than 15% of breast cancer patients have a family history of the concerned disease. For instance, a woman's risk of developing breast cancer gets doubled if her mother, sister, or daughter has the condition, and it gets roughly tripled if two of her first-degree relatives have it.[8] Hormones frequently used in birth control methods may increase the risk of breast cancer. Depo-Provera, an injectable form of progesterone, has been linked to an increased risk of breast cancer, although this does not appear to be the case 5 years after the doses are stopped.[9] In the current era, screening mammography detects more than half of breast cancer cases in the United States, with around one-third diagnosed as palpable breast tumors.[10]

Aberrant cell proliferation and irregular gene functioning are hallmarks of any type of cancer. In human cells, cyclin-dependent kinases (CDKs) help to control the critical cell cycle and transcription events that lead to proliferation.[11,12] In various proliferative diseases such as cancer, CDK acts as a small part of serine/threonine protein kinases, which may be a potential therapeutic target.[13] The CDK family is classified into three types: the first cell cycle-related subfamilies include CDK1, CDK4, and CDK5; the second transcriptional subfamilies include CDK7, cyclin-dependent kinase 8 (CDK8), CDK9, CDK11, and CDK20; and others may

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12. Design, development, and evaluation of docetaxel-loaded niosomes for the treatment of breast cancer

Gaikwad et al.
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https://doi.org/10.1186/s43094-023-00494-0

Future Journal of Pharmaceutical Sciences

RESEARCH Open Access

Design, development, and evaluation of docetaxel-loaded niosomes for the treatment of breast cancer

Dipika S. Gaikwad[1], Rutuja D. Chougale[1,2], Kiran S. Patil[1,2*], John I. Disouza[3] and Ashok A. Hajare[2]

Abstract

Background Docetaxel (DTX) has been used to treat numerous types of cancers. Poor solubility, lower bioavailability, and serious side effects limit its use in cancer treatment. The objective of the present research work was to develop DTX-loaded niosomes to overcome these issues and investigate the anticancer effect on breast cancer. Niosomes of DTX were prepared and evaluated to estimate particle size, surface potential, morphology by TEM, %EE, in vitro drug release, %hemolysis, in vitro cytotoxicity, and stability. The cytotoxicity effect of plain DTX and DTX-loaded niosomes was performed on MCF-7 cell lines.

Results The mean particle size, zeta potential, and %EE of DTX-loaded niosomes were 244.9 nm, − 7.1 mV, and 97.43%, respectively. Besides, combining the DTX with polymers enhanced drug loading capacity. The TEM images confirmed spherical-shaped niosomes. The IR, DSC, and P-XRD studies indicate no chemical interaction between drug and excipients. The developed DTX niosomes showed a sustained release behavior and lower in vitro cytotoxicity when compared to plain DTX.

Conclusion The current research work demonstrates the suitability of co-loading of DTX in niosomes as a promising approach to enhance the efficiency of DTX.

Keywords Docetaxel, Niosomes, 3^2 factorial design, In vitro cytotoxicity

*Correspondence:
Kiran S. Patil
kspatil.tkcp@gmail.com
Full list of author information is available at the end of the article

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13. Development of Doxazosin mesylate liquisolid system for improved manufacturing processability and bioavailability: in vitro and in vivo evaluation for tailored hypertension treatment approach with modified dissolution rates

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Development of Doxazosin mesylate liquisolid system for improved manufacturing processability and bioavailability: *in vitro* and *in vivo* evaluation for tailored hypertension treatment approach with modified dissolution rates

Priyanka S. Yadav, Ashok A. Hajare & Kiran S. Patil
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Abstract

In the present research, an attempt was made to optimize the blends of different carriers Neusiln US2, Avicel PH101, and Fujicalin SG by individually blending with each of coating materials Aerosil 200 and Syloid 244 FP that were proposed to contribute to a modified drug dissolution rates of Doxazosin mesylate (DX) through a liquisolid polymer matrix system. The liquisolid formulations were prepared using selected solvent, carriers, and coats by varying drug to polymer ratios. Further formulations were investigated for pre-compression processability by Heckel plot analysis, elastic recovery studies, and post-compression characteristics. In case

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14. Artificial Intelligence and Tools in Pharmaceuticals: An Overview

Artificial Intelligence and Tools in Pharmaceuticals: An Overview

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Email(s): nripesh.nrip@bharatividyapeeth.edu

DOI: 10.52711/0974-360X.2023.00341

Address: Prasad Patil1, Nripesh Kumar Nrip2*, Ashok Hajare3, Digvijay Hajare4, Mahadev K. Patil5, Rajesh Kanthe6, Anil T. Gaikwad7
1,2,6,7Bharati Vidyapeeth Institute of Management, Kolhapur, Maharashtra, India – 416003.
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4Computer Science Department, University of Liverpool, Liverpool, United Kingdom - L69 3BX.
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ABSTRACT:

In the field of pharmaceuticals, artificial intelligence has the potential to revolutionize multitudes of aspects related with pharmaceutical field. In this article, we provide an overview of the benefits and applications of artificial intelligence in the pharmaceutical industry, including drug discovery, clinical trial design, personalized medicine, streamlining drug development, and enhancing drug safety. In addition, impact of artificial intelligence and its tools on pharmaceutical industry as well as major worldwide start-ups in this area has also been discussed. However, the adoption of AI in the pharmaceutical industry faces various challenges such as a lack of clear regulatory guidance, data privacy and security concerns, data quality and availability issues, and ethical considerations. Despite these challenges, continued investment and development in AI has the potential to significantly improve the efficiency and accuracy of drug development and improve patient outcomes. In conclusion, while AI holds great promise for the pharmaceutical industry, there are still significant challenges that must be overcome to fully realize it's potential.

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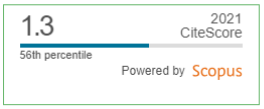

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15. Study of Robotic Surgeries in India: Economical Aspects and Applications in Cancer Treatment

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Study of Robotic Surgeries in India: Economical Aspects and Applications in Cancer Treatment

Author(s): Prasad Patil, Sakshi Udasi, Nripesh Kumar Nrip, Rajesh Kanthe, Ashok Hajare, A.T. Gaikwad

Email(s): nripesh.nrip@bharatividyapeeth.edu

DOI: 10.52711/0974-360X.2023.00073

Address: Prasad Patil1, Sakshi Udasi1, Nripesh Kumar Nrip1*, Rajesh Kanthe1, Ashok Hajare2, A.T. Gaikwad1
1Department of Computer Applications, Bharati Vidyapeeth Institute of Management, Kolhapur, Maharashtra, India – 416003.
2Dept.of Pharmaceutical Technology, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India – 416013.
*Corresponding Author

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ABSTRACT:
The world is changing at very rapid rate due to the integration of technology in all possible areas of human life. The health treatment and diagnosis areas of the human worlds are also adapting this integration. Robotics or Robots are emerging with the artificial intelligence and with more learning capabilities. This research article is based on primary study of application of robotic surgeries in the treatment of cancer in India with the research interest and its economical aspect. The article comprises of historical background of robotic surgery, pros and cons of robotic surgery, its success rate, comparison between various types of surgeries and cost comparison in main cities of India. This review with overall economic aspect of robotic surgery would specially be utilized in cancer diagnosis.

Keywords: Surgical robot generations | Cancer treatment | Comparison of surgery types | Applications.

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16. Antipsoriatic activity of hydrogel containing nanostructured lipid carrier (NLC) entrapped with triamcinolone acetonide

International Journal of Applied Pharmaceutics

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Int J App Pharm, Vol 15, Issue 1, 2023, 308-317 Original Article

ANTIPSORIATIC ACTIVITY OF HYDROGEL CONTAINING NANOSTRUCTURED LIPID CARRIER (NLC) ENTRAPPED WITH TRIAMCINOLONE ACETONIDE

ANILKUMAR J. SHINDE[1*], SHREYASH D. TARLEKAR[2], RAVINDRA J. JARAG[3], FIROJ A. TAMBOLI[4]

[*1]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur, India, [2]Department of Pharmaceutical Quality Assurance, Bharati Vidyapeeth College of Pharmacy, Kolhapur, [3]Department of Pharmacology, Bharati Vidyapeeth College of Pharmacy, Kolhapur, [4]Department of Pharmacognosy, Bharati Vidyapeeth College of Pharmacy, Kolhapur
Email: ajshinde70@rediffmail.com

Received: 25 Aug 2022, Revised and Accepted: 14 Oct 2022

ABSTRACT

Objective: The aim of present study was to prepare triamcinolone acetonide (TA) loaded NLCs hydrogel for antipsoriatic activity.

Methods: A Nanostructured lipid carrier (NLCs) was prepared by using solvent diffusion and high pressure homogenization methods. NLCs dispersion was characterized by particle size, zeta potential, scanning electron microscopy (SEM), differential scanning calorimetry, and an *in vitro* release study. Optimized NLC incorporated into the hydrogel and characterized for rheological properties, drug content, *in vitro* drug release, stability study, skin irritation and antipsoriatic activity for optimized batch of hydrogel.

Results: Optimized NLCs loaded with TA were exhibited spherical shape with particle size 286±0.07 nm, polydispersity index 0.317, zeta potential-21.91±0.05mV and entrapment efficiency 86.19±0.06% respectively. The drug release of optimized batch was 8.34 % and 88.84±0.08% at 1h and 8h respectively. The release kinetics of the optimized NLCs best fitted the peppas-korsmeyer model. The results of NLC hydrogel formulations were spreadability 27.4±0.06-11.76±0.07 g. cm^2/sec, drug content 65.60±0.05%-74.50±0.02%, *in vitro* drug release 87.52±0.04%, primary irritation index was 0.0752, it indicates barely perceptible irritation. Histopathological studies showed that, in psoriasis-induced animal treated with TA loaded NLC hydrogel, marked reduction in thickness of epidermis, as compared to conventional gel formulation. It shows the increase % orthokeratosis 88.69% and % drug activity 54.23% than the marketed formulation.

Conclusion: The present results demonstrated that hydrogel based NLC shows the better and effective drug delivery for the management of psoriasis.

Keywords: Nanostructured lipid Carrier, Hydrogel, Antipsoriatic activity, Irritation study, Triamcinolone acetonide

DOI: https://dx.doi.org/10.22159/ijap.2023v15i1.46198. Journal homepage: https://innovareacademics.in/journals/index.php/ijap

INTRODUCTION

Now days, nanotechnology has proved the growth of research and its applications in the area of medicine [1]. Since last decade, various techniques have been studied to formulate nanoparticulate carrier systems. Polymeric nanoparticles suffered with

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Original Paper | Published: 27 March 2023

Exploring biogenic chalcones as DprE1 inhibitors for antitubercular activity via in silico approach

Sanket Rathod, Pooja Chavan, Deepak Mahuli, Sneha Rochlani, Shalini Shinde, Swaranjali Pawar, Prafulla Choudhari, Rakesh Dhavale, Pralhad Mudalkar & Firoj Tamboli

Journal of Molecular Modeling **29**, Article number: 113 (2023) | Cite this article

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Abstract

Cases of drug-resistant tuberculosis (TB) have increased worldwide in the last few years, and it is a major threat to global TB control strategies and the human population. *Mycobacterium tuberculosis* is a common causative agent responsible for increasing cases of TB and as reported by WHO, approximately, 1.5 million death occurred from TB in 2020. Identification of new therapies against drug-resistant TB is an urgent need to be considered primarily. The current investigation aims to find the potential biogenic chalcone against the potential targets of drug-resistant TB via in silico approach. The ligand library of biogenic chalcones was screened against DprE1. Results of molecular docking and in silico ADMET prediction revealed that ZINC000005158606 has lead-like properties against the targeted protein. Pharmacophore modeling was done to identify the pharmacophoric features and their

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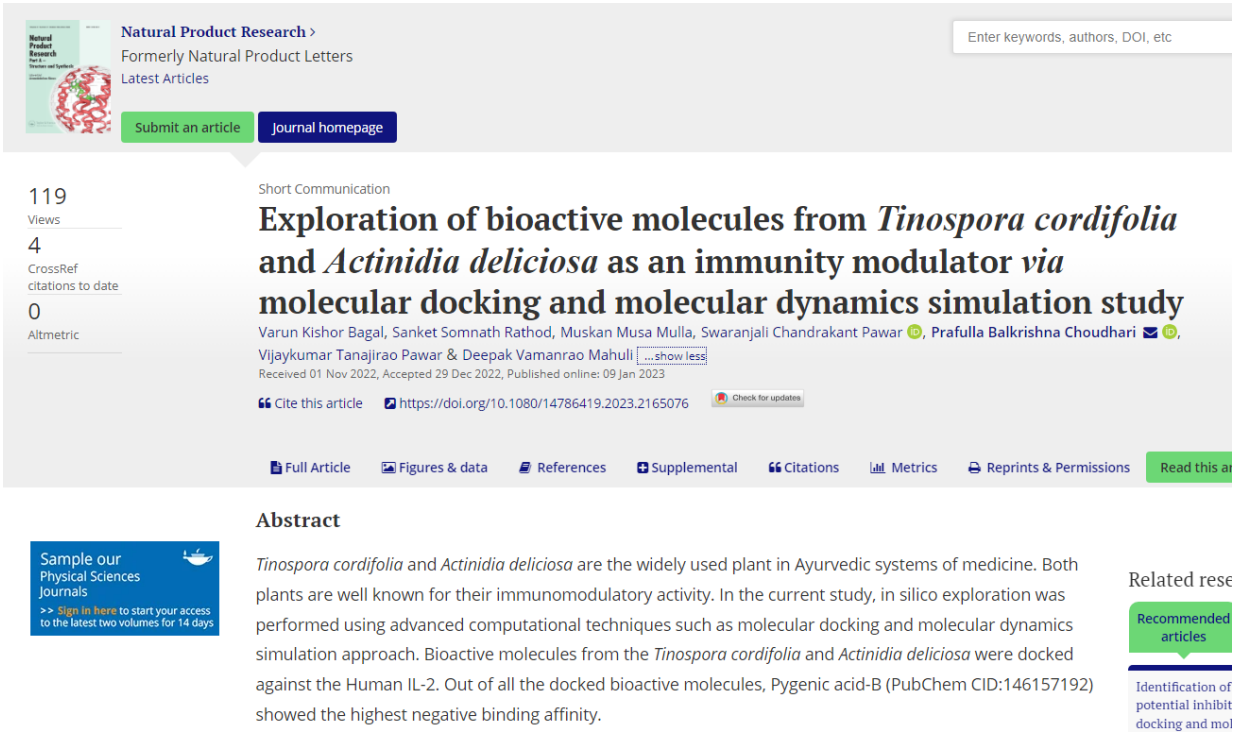
18. Exploration of bioactive molecules from Tinospora cordifolia and Actinidia deliciosa as an immunity modulator via molecular docking and molecular dynamics studies



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Short Communication

Exploration of bioactive molecules from *Tinospora cordifolia* and *Actinidia deliciosa* as an immunity modulator *via* molecular docking and molecular dynamics simulation study

Varun Kishor Bagal, Sanket Somnath Rathod, Muskan Musa Mulla, Swaranjali Chandrakant Pawar, **Prafulla Balkrishna Choudhari**, Vijaykumar Tanajirao Pawar & Deepak Vamanrao Mahuli ...show less

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Abstract

Tinospora cordifolia and *Actinidia deliciosa* are the widely used plant in Ayurvedic systems of medicine. Both plants are well known for their immunomodulatory activity. In the current study, in silico exploration was performed using advanced computational techniques such as molecular docking and molecular dynamics simulation approach. Bioactive molecules from the *Tinospora cordifolia* and *Actinidia deliciosa* were docked against the Human IL-2. Out of all the docked bioactive molecules, Pygenic acid-B (PubChem CID:146157192) showed the highest negative binding affinity.

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19. Remarkable anti-breast cancer activity and molecular docking studies of ferrocene tethered pyrimidobenzothiazoles and pyrimidobenzimidazoles

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Remarkable anti-breast cancer activity and molecular docking studies of ferrocene tethered pyrimidobenzothiazoles and pyrimidobenzimidazoles

Prakash Bansode [a,b,*], Dattaprasad Pore [a], Shivaji Tayade [a], Sandeep Patil [c], Prafulla Choudhari [d], Gajanan Rashinkar [a]

[a] Department of Chemistry, Shivaji University, Kolhapur, Maharashtra 416004, India
[b] Department of Chemistry, Sangola College Sangola, Solapur, Maharashtra 413307, India
[c] Department of Pharmacology, Adarsh College of Pharmacy, Vita, Sangli, Maharashtra 415311, India
[d] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra 416013, India

ARTICLE INFO

Keywords:
Cancer
Angiogenesis
Pyrimidobenzothiazole
Pyrimidobenzimidazole
Heat shock protein (Hsp90)

ABSTRACT

Two new series of structurally diverse ferrocene tethered pyrimidobenzothiazoles and pyrimidobenzimidazoles were rationally designed, synthesized and evaluated for their *in vitro* anticancer activity against human breast cancer cell lines. Among the synthesized series, five molecules displayed significantly higher anticancer activity against breast carcinoma MCF-7 and MDA-MB-231 cell lines (GI_{50} 0.018–0.022 μM) as compared to the standard drug doxorubicin (GI_{50} 0.018 μM). Furthermore, most of the synthesized compounds were found to exhibit significant antioxidant activity in DPPH, SOD and FRAP assays as compared to standard ascorbic acid and trolox. Moreover, some of the compounds were found to be potent anti-angiogenic agents with angiogenic score ranging 0.8–1.4 in *in-ovo* chick allantoic membrane (CAM) assay. The *in-silico* molecular docking analysis ascertained the mode of action of target compounds *via* inhibition of heat shock protein90 (Hsp90).

Introduction

Cancer is a major clinical challenge causing devastation due to its heterogeneous pathologies.[1] Breast cancer is one of the most aggressive and commonly diagnosed cancers among females.[2] The current treatment options for breast cancer include chemotherapy, radiation therapy, hormone modulators, targeted antibodies, etc.[3] These treatments suffer from severe drawbacks such as adverse drug reactions, high treatment costs, poor patient compliance, treatment failure, etc. Owing to these concerns, it is imperative to search for new drug candidates with novel mechanisms of action for therapeutic interventions in breast cancer therapy.[4]

The serendipitous discovery of ferrocene in 1951 has opened new research avenues in the metal-based anticancer drug research. The unique properties of ferrocene such as its greater stability in biological media, favorable redox potential, facile cell membrane permeability, low toxicity, and ease of modification have made it a perfect candidate for drug design.[5,6] Recently, many ferrocene conjugates have displayed excellent cytotoxicity against breast, prostate, lung and colon cancer.[7] This has spurred a great interest in ferrocene tethered bioactive molecules to afford novel anticancer scaffolds.[8].

Multi-target chemotherapy involves use of drug regimes, co-delivery of drugs or designing hybrid drugs against various malignancies to overcome drug resistance.[9] Pyrimidobenzothiazoles have attracted medicinal chemists due to their wide array of biological activities[10] such as antibacterial,[11] antioxidant, antitubercular,[12] antidiabetic, [13] and antitumor properties.[14] They are also regarded as L-type calcium channel blockers[15] and have high affinity towards the benzodiazepine receptors.[16] Additionally, pyrimidobenzimidazoles have displayed a wide spectrum of pharmacological activities such as antioxidant, antiamoebic, neurotropic, analgesic, anti-arrhythmic, anti-inflammatory, anti-cancer, benzodiazepine receptor binding agents as well as corticotrophin releasing factor receptor antagonists.[17,18].

Based on these precedents and our ongoing work on the design of novel anti-breast cancer agents,[19–23] we herein report synthesis of two novel series of ferrocene tethered pyrimidobenzothiazoles, pyrimidobenzimidazoles, their *in vitro* anticancer, anti-angiogenesis, anti-oxidant activity, and molecular docking studies with heat shock protein90 (Hsp90).

* Corresponding author at: Department of Chemistry, Sangola College Sangola. Dist, Solapur, Maharashtra 413307, India.
E-mail address: bansode.prakash4@gmail.com (P. Bansode).

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20. Microwave-assisted grafting of acrylamide on a natural xylan gum for controlled drug delivery

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ORIGINAL PAPER | Published: 21 May 2023

Microwave-assisted grafting of acrylamide on a natural xylan gum for controlled drug delivery

Siddharth P. Phalle, Praffula B. Choudhari, Sujata P. Choudhari, Durgacharan A. Bhagwat, Atul M. Kadam & Vinod L. Gaikwad

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Abstract

Natural polymers offer significant advantages (biocompatibility, biodegradability, and non-toxicity) over synthetic polymers besides some reported disadvantages. However, natural polymeric structures can be modified by the grafting process for desired physicochemical properties. The purpose of the present research was to develop polyacrylamide-grafted xylan gum (XG) via green synthesis using microwave-assisted free radical polymerization with the use of ceric ammonium nitrate (initiator). Several batches of the grafted XG were prepared by varying three independent process variables (amount of acrylamide, ceric ammonium nitrate, and microwave irradiation time) and subsequently characterized for surface, physicochemical, and biodegradation properties. The grafted XG from the optimized batch was further used in the preparation of metoprolol succinate tablets, which showed desired properties including in

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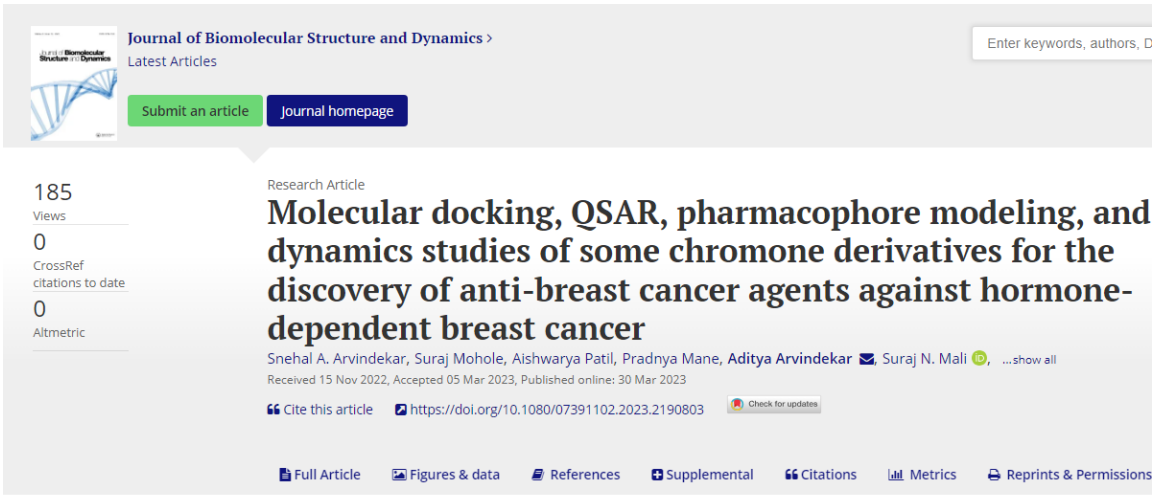
21. Molecular docking, QSAR, pharmacophore modeling, and dynamics studies of some chromone derivatives for the discovery of anti-breast cancer agents against hormone-dependent breast cancer



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Research Article

Molecular docking, QSAR, pharmacophore modeling, and dynamics studies of some chromone derivatives for the discovery of anti-breast cancer agents against hormone-dependent breast cancer

Snehal A. Arvindekar, Suraj Mohole, Aishwarya Patil, Pradnya Mane, Aditya Arvindekar, Suraj N. Mali, ...show all

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Abstract

In search of new anti-breast cancer agents, the present study envisaged the design and synthesis of a series of benzopyran-chalcones. All the synthesized compounds were assayed for their in-vitro anticancer activity against ER + MCF-7 and triple-negative MDA-MB-231 breast cancer cell lines using SRB assay. The synthesized compounds were found active against ER + MCF-7 cell lines. Based on the in-vitro data, *in-silico* analysis was performed using hormone-dependent breast cancer targets such as hER-α and aromatase because the compounds showed activity against MCF-7 cells and none was active against MDA-MB-231. The *in-silico*

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22. Fe3O4@ SiO2@ TDI@ DES: A novel magnetically separable catalyst for the synthesis of oxindoles

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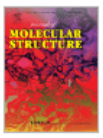
Volume 1292, 15 November 2023, 136079



Fe_3O_4@SiO_2@TDI@DES: A novel magnetically separable catalyst for the synthesis of oxindoles

Prasad Swami [a], Sanket Rathod [b], Prafulla Choudhari [b], Devashree Patil [c], Ajinkya Patravale [d], Yogesh Nalwar [e], Sandeep Sankpal [a], Shankar Hangirgekar [a]

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Abstract

A novel magnetically separable Fe_3O_4@SiO_2@TDI@DES catalyst was synthesized by covalent anchoring of Deep Eutectic Solvent [DES; oxalic acid: choline chloride] on Fe_3O_4@SiO_2@TDI magnetic nanoparticles. The structure of the catalyst was confirmed by FT-IR, XRD, EDX, TGA-DTA, VSM, FE-SEM, and HR-TEM analyses. The synthesized magnetically separable catalyst demonstrated excellent catalytic activity in the terms of yield and reaction time for the synthesis of 2,2´-(2-oxoindoline-3–3diyl)bis(1H-indene-1,3(2*H*)-dione) from 1,3-indendione and isatin. In addition, the catalyst could be reused for five runs without significant loss of catalytic activity. The synthesized oxindole derivatives showed promising in vitro antioxidant activities which were further

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23. Evaluation of curcumin-loaded chitosan nanoparticles for wound healing activity

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Original scientific paper

Evaluation of curcumin-loaded chitosan nanoparticles for wound healing activity

Smita Kumbhar[1,*], Rupali Khairate[1], Manish Bhatia[2], Prafulla Choudhari[2] and Vinod Gaikwad[3]

[1]*Department of Pharmaceutical Analysis, DSTS Mandal's College of Pharmacy, Solapur, India*
[2]*Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, India*
[3]*Department of Pharmaceutics, National Institute of Pharmaceutical Education and Research (NIPER), Hajipur, India*

*Corresponding Author: E-mail: smitakumbhar@gmail.com

Received: May 20, 2023; Revised: August 14, 2023; Published: August 25, 2023

Abstract

Background and purpose: Wound healing is a biological process that can be difficult to manage clinically. In skin wound healing, the interaction of many cells, growth factors, and cytokines reveals an outstanding biological function mechanism. Wound healing that occurs naturally restores tissue integrity, however, it is usually restricted to wound repair. Curcumin synthesised in a chitosan matrix can be used to heal skin sores. **Experimental approach:** The ionotropic gelation procedure required crosslinking chitosan with a tripolyphosphate (TPP) crosslinker to generate curcumin nanoparticles encapsulated in chitosan. **Key results:** The nanoparticles were between 200 and 400 nm in size, with a strong positive surface charge and good entrapment efficacy, according to SEM and TEM investigations. Curcumin and chitosan compatibility was investigated using FTIR spectroscopy. All batches showed consistent drug release, with the F5 batch having the highest curcumin release, at 75% after 16 hours. On L929 cells, scratch assays were utilised to assess wound healing. Wound closure with widths of 59 and 65 mm with curcumin and 45 and 78 mm with curcumin-loaded chitosan nanoparticles was seen after 24 and 48 hours of examination. **Conclusions:** According to the findings, prepared curcumin chitosan nanoparticles are beneficial in healing skin damage.

Keywords

Skin regeneration; turmeric; ionotropic gelation; chitosan; nanoparticles; topical administration

Introduction

The skin is the largest organ and the most formidable barrier to external elements entering the body. Tumor excision, accidents, diabetic ulcers, incisions, thermal, chemical, or electric burns can all cause skin damage. Acute or chronic wounds exist. The fundamental issue with chronic wounds is that they get colonized with germs, which slows or prevents healing. This might be due to a lack of peripheral artery supply, venous drainage problems, or diabetes mellitus [1]. Untreated skin injuries can raise the risk of infection, disability, and even death. Hemostasis, inflammation, proliferation, and remodeling are the four processes

24. Identification of potential hits against fungal lysine deacetylase Rpd3 via molecular docking, molecular dynamics simulation, DFT, in-silico ADMET and drug-likeness assessment.

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Short Communication | Published: 23 August 2023

Identification of Potential Hits against Fungal Lysine Deacetylase Rpd3 via Molecular Docking, Molecular Dynamics Simulation, DFT, In-Silico ADMET and Drug-Likeness Assessment

Sanket Rathod, Diksha Bhande, Swaranjali Pawar, Kondba Gumphalwad, Prafulla Choudhari & Harinath More

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Abstract

Fungal histone deacetylases (HDACs) are enzymes known for their crucial role in gene expression regulation through histone deacetylation, leading to chromatin compaction and transcriptional control. Among them, Rpd3, a lysine deacetylase, has been extensively studied for its involvement in chromatin remodeling, gene expression, and various biological processes such as development, cell cycle progression, and stress response. Rpd3's significance in fungal pathogenesis makes it a potential target for antifungal therapies. This study utilized advanced computational tools to identify biogenic molecule hits against a homology-modeled Rpd3 structure. Molecular dynamics simulations verified the stability of the hits while docking

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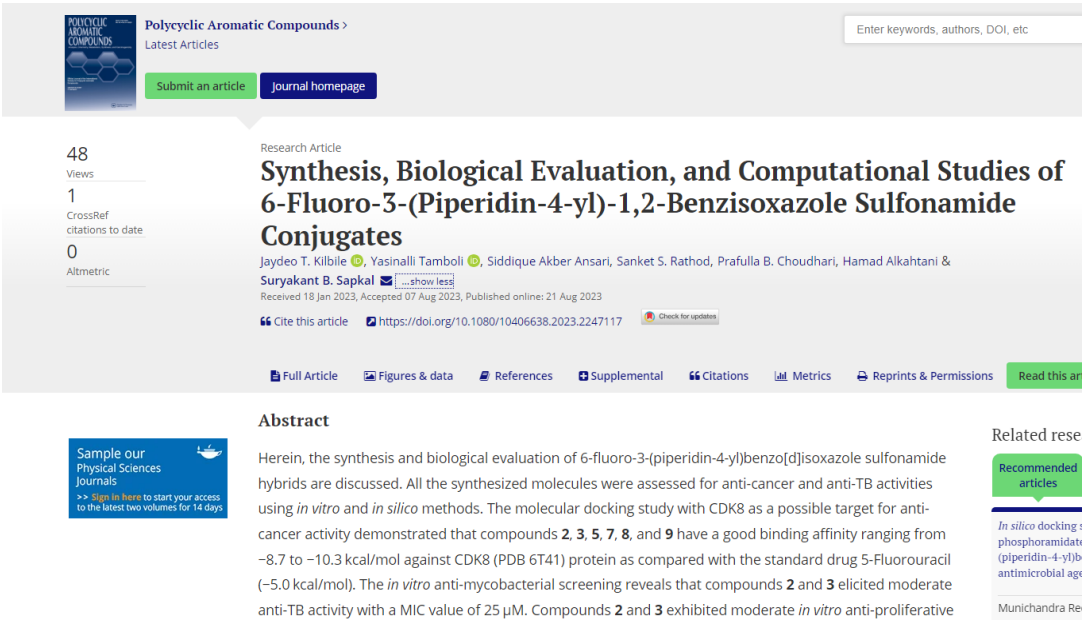
25. Synthesis, biological evaluation, and computational studies of 6-fluoro-3-(piperidin-4-yl)-1, 2-benzisoxazole sulfonamide conjugates.



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Synthesis, Biological Evaluation, and Computational Studies of 6-Fluoro-3-(Piperidin-4-yl)-1,2-Benzisoxazole Sulfonamide Conjugates

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Abstract

Herein, the synthesis and biological evaluation of 6-fluoro-3-(piperidin-4-yl)benzo[d]isoxazole sulfonamide hybrids are discussed. All the synthesized molecules were assessed for anti-cancer and anti-TB activities using *in vitro* and *in silico* methods. The molecular docking study with CDK8 as a possible target for anti-cancer activity demonstrated that compounds **2**, **3**, **5**, **7**, **8**, and **9** have a good binding affinity ranging from −8.7 to −10.3 kcal/mol against CDK8 (PDB 6T41) protein as compared with the standard drug 5-Fluorouracil (−5.0 kcal/mol). The *in vitro* anti-mycobacterial screening reveals that compounds **2** and **3** elicited moderate anti-TB activity with a MIC value of 25 µM. Compounds **2** and **3** exhibited moderate *in vitro* anti-proliferative

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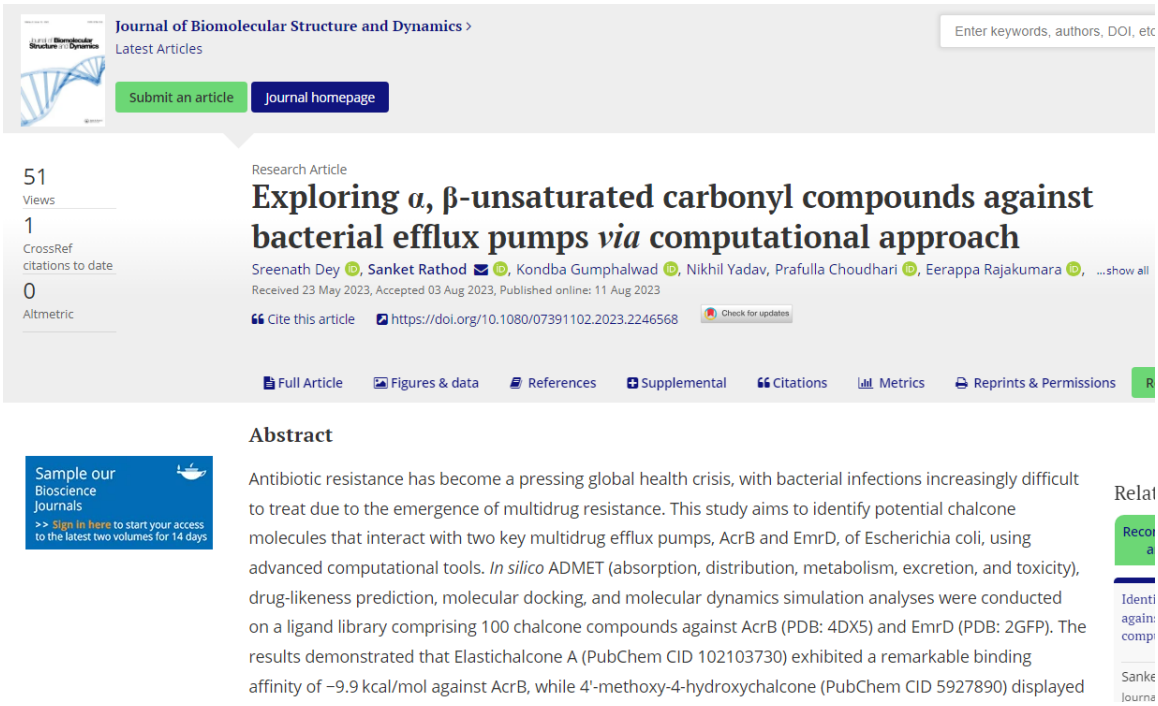

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Exploring α, β-unsaturated carbonyl compounds against bacterial efflux pumps *via* computational approach

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Abstract

Antibiotic resistance has become a pressing global health crisis, with bacterial infections increasingly difficult to treat due to the emergence of multidrug resistance. This study aims to identify potential chalcone molecules that interact with two key multidrug efflux pumps, AcrB and EmrD, of Escherichia coli, using advanced computational tools. *In silico* ADMET (absorption, distribution, metabolism, excretion, and toxicity), drug-likeness prediction, molecular docking, and molecular dynamics simulation analyses were conducted on a ligand library comprising 100 chalcone compounds against AcrB (PDB: 4DX5) and EmrD (PDB: 2GFP). The results demonstrated that Elastichalcone A (PubChem CID 102103730) exhibited a remarkable binding affinity of −9.9 kcal/mol against AcrB, while 4'-methoxy-4-hydroxychalcone (PubChem CID 5927890) displayed

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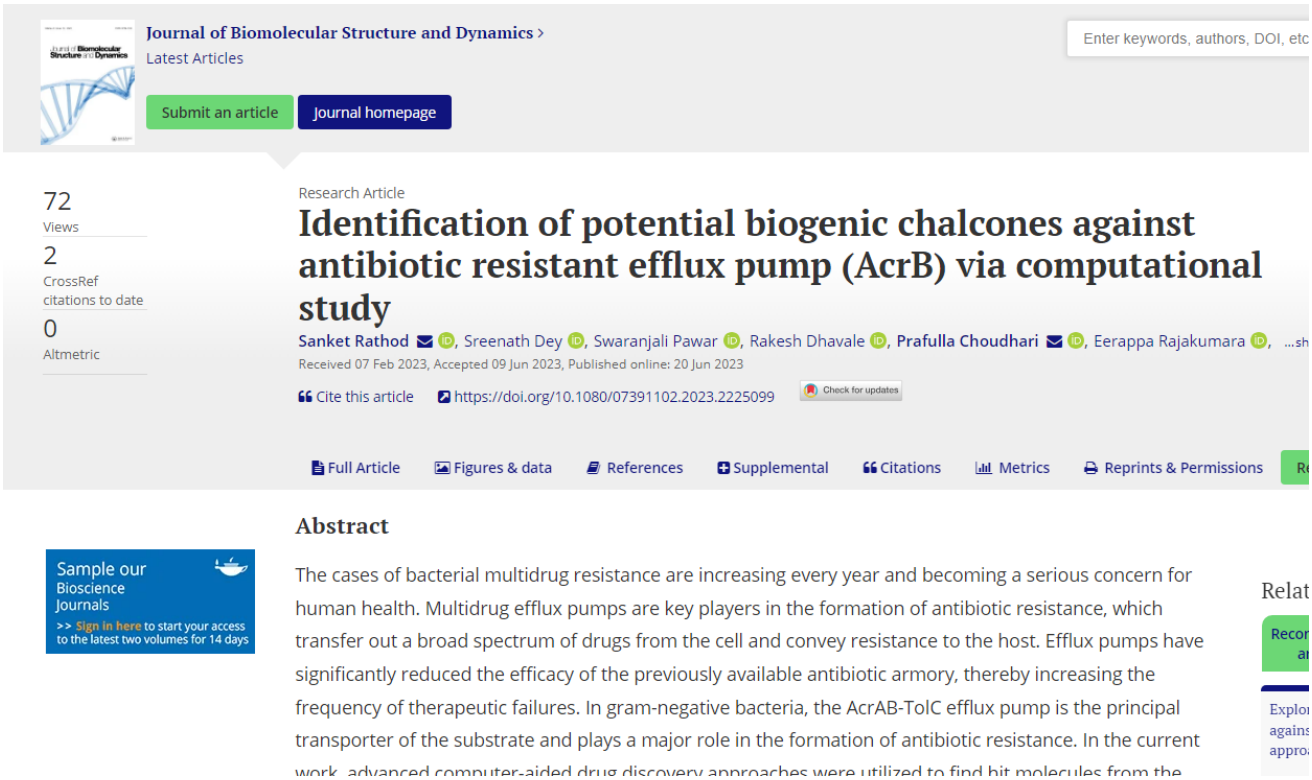
27. Identification of potential biogenic chalcones against antibiotic resistant efflux pump (AcrB) via computational study.



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Identification of potential biogenic chalcones against antibiotic resistant efflux pump (AcrB) via computational study

Sanket Rathod, Sreenath Dey, Swaranjali Pawar, Rakesh Dhavale, Prafulla Choudhari, Eerappa Rajakumara, ...sh

Received 07 Feb 2023, Accepted 09 Jun 2023, Published online: 20 Jun 2023

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Abstract

The cases of bacterial multidrug resistance are increasing every year and becoming a serious concern for human health. Multidrug efflux pumps are key players in the formation of antibiotic resistance, which transfer out a broad spectrum of drugs from the cell and convey resistance to the host. Efflux pumps have significantly reduced the efficacy of the previously available antibiotic armory, thereby increasing the frequency of therapeutic failures. In gram-negative bacteria, the AcrAB-TolC efflux pump is the principal transporter of the substrate and plays a major role in the formation of antibiotic resistance. In the current work, advanced computer-aided drug discovery approaches were utilized to find hit molecules from the

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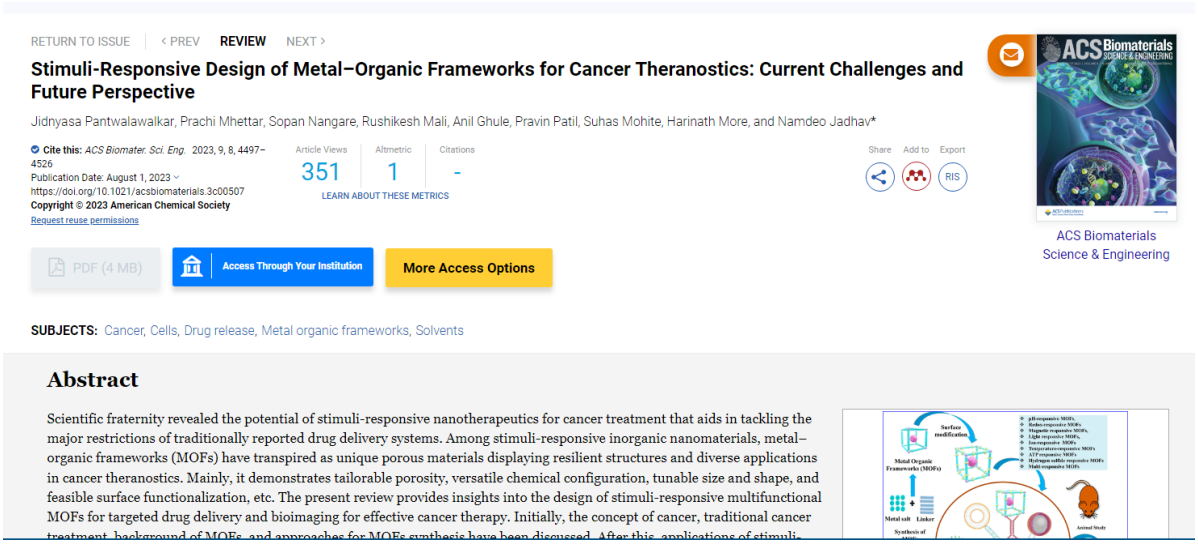
28. Stimuli-Responsive Design of Metal–Organic Frameworks for Cancer Theranostics: Current Challenges and Future Perspective



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Stimuli-Responsive Design of Metal–Organic Frameworks for Cancer Theranostics: Current Challenges and Future Perspective

Jidnyasa Pantwalawalkar, Prachi Mhettar, Sopan Nangare, Rushikesh Mali, Anil Ghule, Pravin Patil, Suhas Mohite, Harinath More, and Namdeo Jadhav*

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SUBJECTS: Cancer, Cells, Drug release, Metal organic frameworks, Solvents

Abstract

Scientific fraternity revealed the potential of stimuli-responsive nanotherapeutics for cancer treatment that aids in tackling the major restrictions of traditionally reported drug delivery systems. Among stimuli-responsive inorganic nanomaterials, metal–organic frameworks (MOFs) have transpired as unique porous materials displaying resilient structures and diverse applications in cancer theranostics. Mainly, it demonstrates tailorable porosity, versatile chemical configuration, tunable size and shape, and feasible surface functionalization, etc. The present review provides insights into the design of stimuli-responsive multifunctional MOFs for targeted drug delivery and bioimaging for effective cancer therapy. Initially, the concept of cancer, traditional cancer treatment, background of MOFs, and approaches for MOFs synthesis have been discussed. After this, applications of stimuli-

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29. A Systematic Review on Chemical Actives from Plant Sources, Targets and Chemotherapy for Triple-Negative Breast Cancer

Published: 16 September 2023

A Systematic Review on Chemical Actives from Plant Sources, Targets and Chemotherapy for Triple-Negative Breast Cancer

Aarti A. Varne, Manish S. Bhatia & Snehal S. Ashtek

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Triple-negative breast cancer (TNBC) accounts for 15 – 20% of all other types of cancer. Due to the lack of target-specific compounds against particular targets and resistance in TNBC therapy, there is a need for the development of effective therapy against TNBC. Using a natural product in chemoprevention is beneficial because of fewer side effects and low toxicity profile compared to compounds of synthetic origin. In the present review, we summarize natural products with chemopreventive activities against TNBC cell lines. In addition, we also covered targets of TNBC and conventional therapy used for treating TNBC with their mechanism of action. The present review may provide useful information on compounds for TNBC prevention.

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College of Pharmacy, Kolhapur

30. Development of amino acid salt-based curcumin@ lysine acetate co-amorphous system using liquid-assisted grinding for improved solubility and dissolution

Original Article

Thai Journal of Pharmaceutical Sciences

Development of amino acid salt-based curcumin@lysine acetate co-amorphous system using liquid-assisted grinding for improved solubility and dissolution

Udaykumar Patil[1], Snehal Rawal[1], Jidnyasa Pantwalawalkar[1], Sopan Nangare[2], Dilip Dagade[3], Pravin Patil[4], Namdeo R. Jadhav[1]

[1]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India, [2]Department of Pharmaceutics, H. R. Patel Institute of Pharmaceutical Education and Research, Shirpur, Maharashtra, India, [3]Department of Chemistry, Shivaji University, Kolhapur, Maharashtra, India, [4]Department of Pharmaceutical Chemistry, H. R. Patel Institute of Pharmaceutical Education and Research, Shirpur, Maharashtra, India

Corresponding Author:
Namdeo R. Jadhav,
Department of Pharmaceutics,
Bharati Vidyapeeth College of Pharmacy, Kolhapur-416013,
Maharashtra, India.
Tel: +91 231 2637286,
Fax: +91 231 2638833.
E-mail: nrjadhav18@rediffmail.com

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ABSTRACT

Curcumin, multivalued phytoceutical, exhibits appreciable safety. However, its therapeutic utility is significantly compromised due to low aqueous solubility, and thus, poor absorption and low bioavailability become apparent. To surpass this limitation, the present work aims to develop amino acid salt-based curcumin@lysine acetate co-amorphous system for improved solubility and dissolution. Initially, screening of curcumin-amino acid mixtures was performed for saturation solubility assessment. Considering the outcome, lysine acetate was formulated to generate a co-amorphous mixture (COAM) by liquid-assisted grinding and evaluated for saturation solubility and different spectroscopical characterizations. Curcumin-lysine acetate COAM tablet formulation was developed by direct compression method and evaluated for appearance, thickness, hardness, weight variation, friability, drug content, disintegration, and *in vitro* dissolution studies. Further, curcumin-lysine acetate COAM and tablet formulation were screened for the accelerated stability study. Resultantly, curcumin-lysine acetate binary mixture demonstrated the highest saturation solubility among screened curcumin-amino acid binary mixtures that might be ascribed to the hydrotropic properties of lysine acetate. Moreover, 476-fold solubility enhancement in water was observed by curcumin-lysine acetate COAM. Later, the amorphization of the curcumin-lysine acetate COAM was confirmed using Fourier-transform infrared spectroscopy, differential scanning calorimetry, and powder X-ray diffraction. COAM tablet formulation showed optimum evaluation characteristics with improved drug dissolution. Therefore, the amino acid salt-based co-amorphous system can be used for solubility and dissolution improvement of curcumin and other multivalued phytoceutical.

Keywords: Amino acid, co-amorphism, curcumin, dissolution, lysine acetate, solubility

Graphical Abstract

Development of lysine acetate-based curcumin co-amorphous system using liquid-assisted grinding for improved solubility and dissolution.

INTRODUCTION

Co-amorphism has been widely attempted for improving the physicochemical and technological properties of actives.[1,2] The co-amorphous mixture (COAM)

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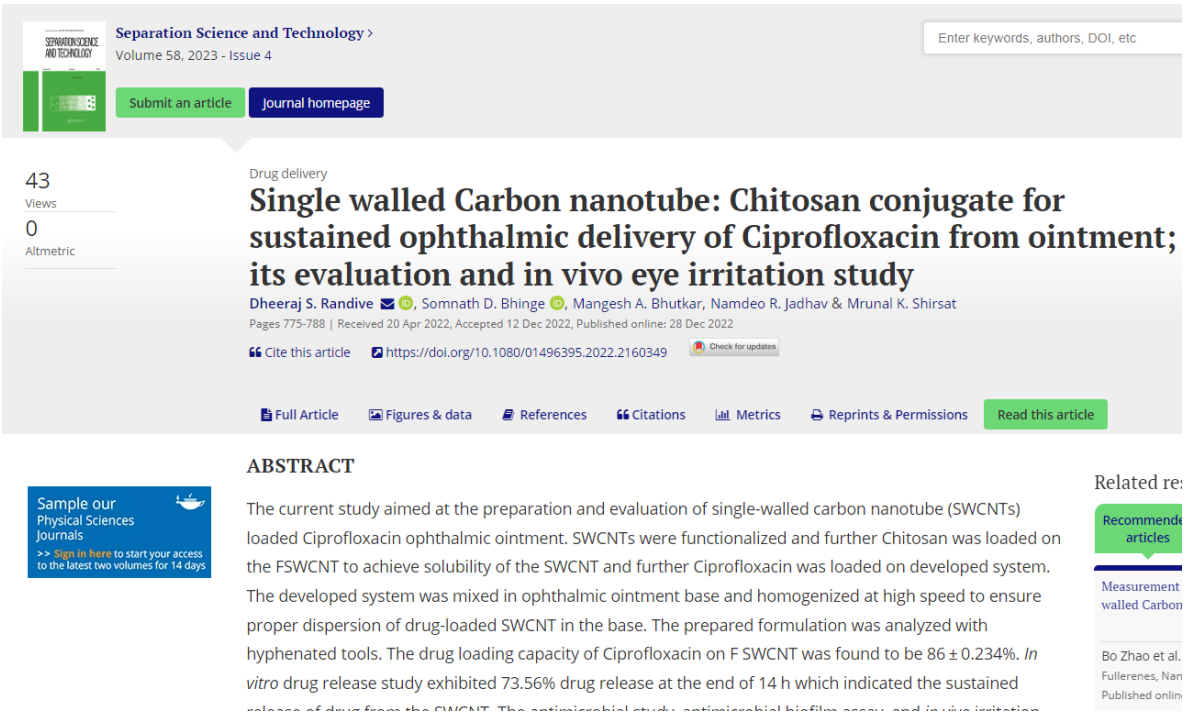
31. Single walled Carbon nanotube: Chitosan conjugate for sustained ophthalmic delivery of Ciprofloxacin from ointment; its evaluation and in vivo eye irritation study



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Drug delivery

Single walled Carbon nanotube: Chitosan conjugate for sustained ophthalmic delivery of Ciprofloxacin from ointment; its evaluation and in vivo eye irritation study

Dheeraj S. Randive, Somnath D. Bhinge, Mangesh A. Bhutkar, Namdeo R. Jadhav & Mrunal K. Shirsat

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ABSTRACT

The current study aimed at the preparation and evaluation of single-walled carbon nanotube (SWCNTs) loaded Ciprofloxacin ophthalmic ointment. SWCNTs were functionalized and further Chitosan was loaded on the FSWCNT to achieve solubility of the SWCNT and further Ciprofloxacin was loaded on developed system. The developed system was mixed in ophthalmic ointment base and homogenized at high speed to ensure proper dispersion of drug-loaded SWCNT in the base. The prepared formulation was analyzed with hyphenated tools. The drug loading capacity of Ciprofloxacin on F SWCNT was found to be 86 ± 0.234%. *In vitro* drug release study exhibited 73.56% drug release at the end of 14 h which indicated the sustained

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32. Vacuum foam drying of docetaxel mixed micelles for improved stability and ovarian cancer treatment

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Volume 86, September 2023, 104747

Vacuum foam drying of docetaxel mixed micelles for improved stability and ovarian cancer treatment

Kiran S. Patil [a d], Ashok A. Hajare [a], Arehalli S. Manjappa [b], Hemalata S. Dol [c]

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Abstract

The current study aimed to evaluate the usefulness of sugars in improving processing and storage stability of docetaxel mixed micelles (DTX-MMs) in dried foam. DTX-MMs were prepared by solvent evaporation using TPGS and Pluronic® F108. The compositions outlined followed 3^2 full factorial design. Dried foam products optimized for sugars and polyvinyl pyrrolidone K30 were processed by vacuum foam drying (VFD). Dried products were tested for foamability, process performance, and storage stability. Sugars successfully immobilized DTX-MMs in a composite glass. The optimized DTX-MMs VFD products exhibited good product features retaining low levels of residual moisture, faster reconstitution, compliant drug content, and % entrapment efficiency. Moreover, VFD product sustained drug release essential to reduce hemolysis and *in vitro* cytotoxicity

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33. Development and validation of RP-HPLC method for quantification of sertraline in nanofiber formulation

Development and validation of RP-HPLC method for quantification of sertraline in nanofiber formulation

Author(s): Ashok A. Hajare, Girija A. Ghatge, Kiran S. Patil

Email(s): ashok.hajare@bharatividyapeeth.edu

DOI: 10.52711/0974-360X.2023.00618

Address: Ashok A. Hajare1*, Girija A. Ghatge2, Kiran S. Patil3
1Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Palus, Sangli - 416310, Maharashtra, India.
2Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur - 416013, Maharashtra, India.
3Department of Pharmaceutical Quality Assurance, Tatyasaheb Kore College of Pharmacy, Warnanagar, Kolhapur - 416113, Maharashtra, India.
*Corresponding Author

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ABSTRACT:

A selective serotonin reuptake inhibitor (SSRI) sertraline (SER) is one of the most often prescribed medications for the treatment of depression. The current study was aimed to develop a new, reliable, simple, and reproducible method for the estimation of SER in nanofiber formulation. The RP-HPLC method selected for estimation and validation was developed by choosing a mobile phase phosphoric acid (0.5%) and acetonitrile at the ratio 45: 55 %v/v, with a flow rate of 1 mL/min at a temperature of 30 ?. The linearity of the solution was detected at 274 nm within the concentration range from 20 -120 µg/mL with a correlation value (R2) of 0.999 indicating a very strong relationship between dependent and independent variables suggesting the accuracy of the method selected. The concentration of SER in nanofibers was determined by the currently developed method. Validation parameters were used to evaluate, specificity, linearity, sensitivity, accuracy, precision, and ruggedness. About 98-102 (%v/v) of SER was found to be within standard limits and compliant with the standards set by the International Council of Harmonization (ICH) demonstrating the accuracy of the method used. An ICH Q2 (R1) guideline gives guidance on validation for analytical techniques. The RP-HPLC method developed can be used effectively for the analysis of various pharmaceutical dosage forms containing SER.

Keywords: Sertraline, RP-HPLC, Validation, ICH guideline, nanofibers.

Cite this article:
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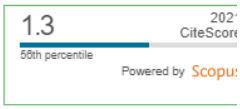

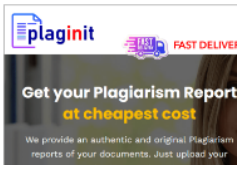

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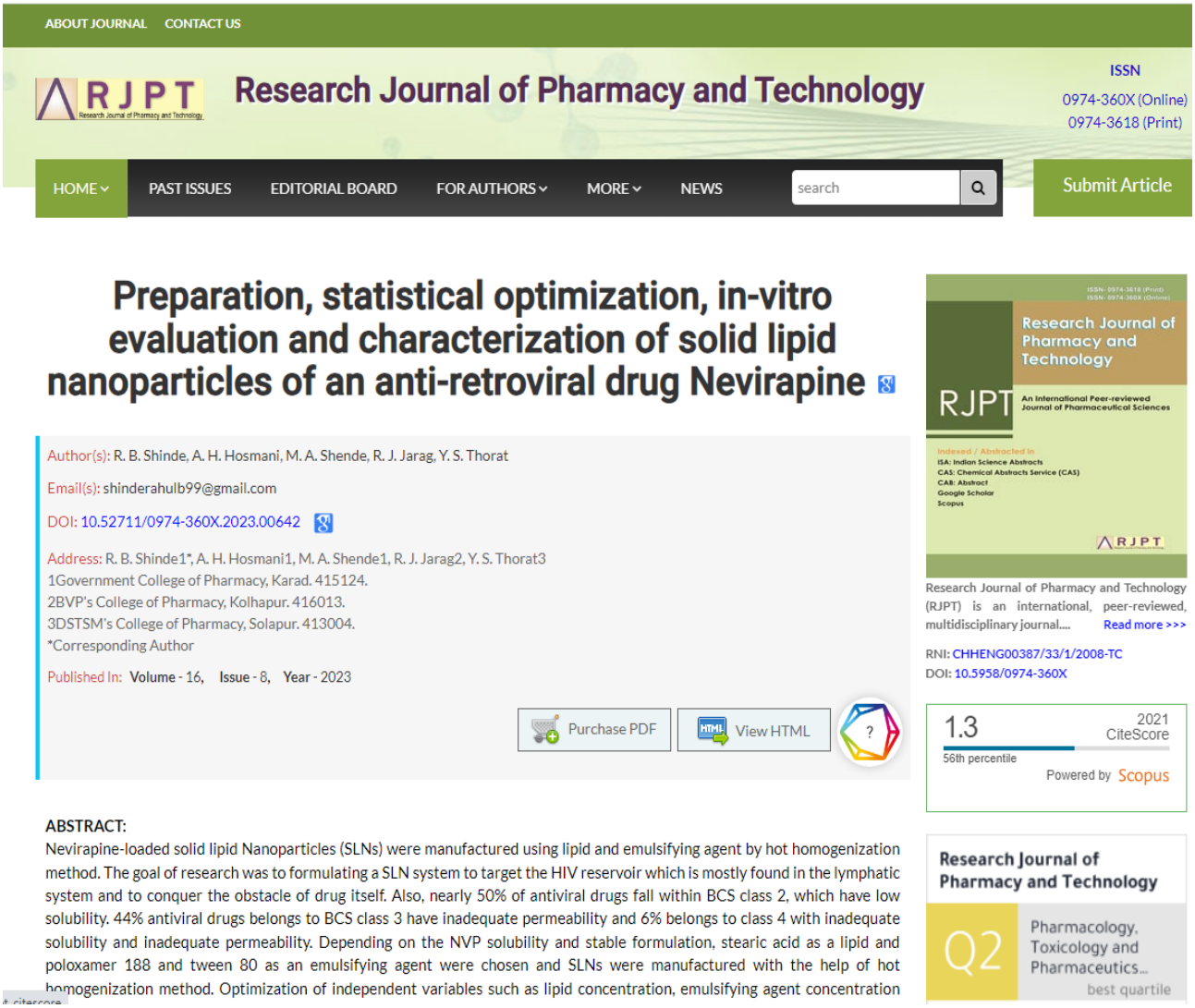
34. Preparation, statistical optimization, in-vitro evaluation and characterization of solid lipid nanoparticles of an anti-retroviral drug Nevirapine



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Preparation, statistical optimization, in-vitro evaluation and characterization of solid lipid nanoparticles of an anti-retroviral drug Nevirapine

Author(s): R. B. Shinde, A. H. Hosmani, M. A. Shende, R. J. Jarag, Y. S. Thorat

Email(s): shinderahulb99@gmail.com

DOI: 10.52711/0974-360X.2023.00642

Address: R. B. Shinde1*, A. H. Hosmani1, M. A. Shende1, R. J. Jarag2, Y. S. Thorat3
1Government College of Pharmacy, Karad. 415124.
2BVP's College of Pharmacy, Kolhapur. 416013.
3DSTSM's College of Pharmacy, Solapur. 413004.
*Corresponding Author

Published In: Volume - 16, Issue - 8, Year - 2023

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ABSTRACT:
Nevirapine-loaded solid lipid Nanoparticles (SLNs) were manufactured using lipid and emulsifying agent by hot homogenization method. The goal of research was to formulating a SLN system to target the HIV reservoir which is mostly found in the lymphatic system and to conquer the obstacle of drug itself. Also, nearly 50% of antiviral drugs fall within BCS class 2, which have low solubility. 44% antiviral drugs belongs to BCS class 3 have inadequate permeability and 6% belongs to class 4 with inadequate solubility and inadequate permeability. Depending on the NVP solubility and stable formulation, stearic acid as a lipid and poloxamer 188 and tween 80 as an emulsifying agent were chosen and SLNs were manufactured with the help of hot homogenization method. Optimization of independent variables such as lipid concentration, emulsifying agent concentration

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35. Inflammatory Markers in Cord Blood for Early Diagnosis of Neonatal Sepsis

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Inflammatory Markers in Cord Blood for Early Diagnosis of Neonatal Sepsis

Author(s): Shailesh Patil, Mohammed A. Khan, R.A. Langade, R. J. Jarag

Email(s): shailesh.patil2024@outlook.com

DOI: 10.52711/0974-360X.2023.00479

Address: Shailesh Patil1*, Mohammed A. Khan2, R.A. Langade3, R. J. Jarag4
1,2,3Department of Pediatrics, Krishna Institute of Medical Sciences, Krishna Vishwa Vidyapeeth Deemed to be University, Karad, Maharashtra, India- 415110.
4Department of Pharmacology, Bharati Vidyapeeth College of Pharmacy, Kolhapur – 416013.
*Corresponding Author

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ABSTRACT:
This highlights the significance of early diagnosis of neonatal sepsis, a significant cause of neonatal mortality and morbidity.

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36. Morphological, Histological and Phytochemical Features of Nephrolepis cordifolia (L.) C. Presl

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SHORT COMMUNICATION | Published: 16 September 2023

Morphological, Histological and Phytochemical Features of *Nephrolepis cordifolia* (L.) C. Presl

Sajid Mulani, Firoj A. Tamboli, Yogesh Kolekar, Priyanka Bhosale, Kiran Patil, Shankar Shendage & Dheeraj Randive

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Abstract

The present work encompasses macroscopy, microscopy, physicochemical, preliminary and phytochemical analysis of *Nephrolepis cordifolia* (L.) C. Presl. The coarse powder of *Nephrolepis cordifolia* (L.) C. Presl was subjected to cold maceration. The extract was concentrated and subjected to various chemical tests to detect the presence of different phytoconstituents. The physicochemical analysis was also performed. Which includes total

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37. Harnessing the Power of AI in Pharmacokinetics and Pharmacodynamics: A Comprehensive Review

REVIEW ARTICLE

Harnessing the Power of AI in Pharmacokinetics and Pharmacodynamics: A Comprehensive Review

Vijaykumar Pawar[1], Abhinandan Patil[2*], Firoj Tamboli[3], Dinanath Gaikwad[4], Dipak Mali[5], Anilkumar Shinde[4]

[1]Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India.
[2]D. Y. Patil Education Society, (Deemed to be University), Kolhapur, Maharashtra, India.
[3]Department of Pharmacognosy, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India.
[4]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India.
[5]Department of Quality Assurance, Krishna Institute of Pharmacy, Krishna (Deemed to be University), Karad, Maharashtra, India.

Received: 11th January, 2023; Revised: 20th March, 2023; Accepted: 25th May, 2023; Available Online: 25th June, 2023

ABSTRACT

Personalized medicine, medication discovery, and development might all benefit greatly from AI's incorporation into pharmacokinetics and pharmacodynamics. Target identification, therapeutic effectiveness prediction, drug design optimization, obstacles, and future possibilities are all explored in this survey of AI applications in these areas. An overview of pharmacokinetics and pharmacodynamics is presented first, stressing the significance of knowing how drugs are absorbed, distributed, metabolized, and excreted and the correlation between drug concentration and pharmacological effect. The article then looks into the function of AI in target identification, exploring how machine learning algorithms and data integration may be used to discover new drug targets and enhance the design of existing ones. Classification and regression methods are also investigated for their potential use in the prediction of therapeutic efficacy using AI. Patient data, molecular interaction data, and clinical response data are just a few examples of the types of data that may be used to fuel the creation of predictive models that might assist in dosage and efficacy optimization. Metrics and procedures for validating these models are addressed to evaluate their efficacy. Additionally, de novo drug design, virtual screening, and structure-based drug design are all discussed in relation to the use of AI in optimizing drug development. The paper provides examples of how AI has been applied successfully in different settings, demonstrating its potential to hasten the drug discovery process and enhance treatment outcomes. We examine data availability, interpretability, and ethical implications as challenges and limits of AI in pharmacokinetics and pharmacodynamics. To guarantee these technologies' proper and ethical use, we also discuss the regulatory elements and rules for applying AI in drug research. Possibilities and prospects for the use of AI in pharmacokinetics and pharmacodynamics are discussed as a conclusion to the review. It stresses the significance of regulatory standards and clinical translation, as well as the incorporation of multiomics data, deep learning methods, real-time monitoring, explainable artificial intelligence, collaborative networks, and more.

Keywords: Artificial intelligence, Pharmacokinetics, Pharmacodynamics, Drugs.

International Journal of Pharmaceutical Quality Assurance (2023); DOI: 10.25258/ijpqa.14.2.31

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Source of support: Nil.

Conflict of interest: None

INTRODUCTION

A Brief Overview of Pharmacokinetics and Pharmacodynamics

Both pharmacokinetics and pharmacodynamics are key ideas in the field of pharmacology. These concepts play an important part in gaining knowledge of how medications interact with the human body. Pharmacokinetics is the study of drug absorption, distribution, metabolism, and elimination (ADME), whereas pharmacodynamics focuses on the process by which a medication exerts its effects on the body as well as the impact the drug has on other systems in the body.[1]

The application of artificial intelligence (AI) methods in pharmacokinetics and pharmacodynamics has opened

*Author for Correspondence: abhisirdyp@gmail.com

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38. Magnetic Resonance Imaging in Cerebral Venous Thrombosis

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SEARCH RESULTS:

Magnetic Resonance Imaging in Cerebral Venous Thrombosis

Author(s): Pramod Kumar R. Shah, Amol Gautam, Siddhant Shailesh Chavan, Ravindra Jarag

DOI: 10.52711/0974-360X.2023.00488

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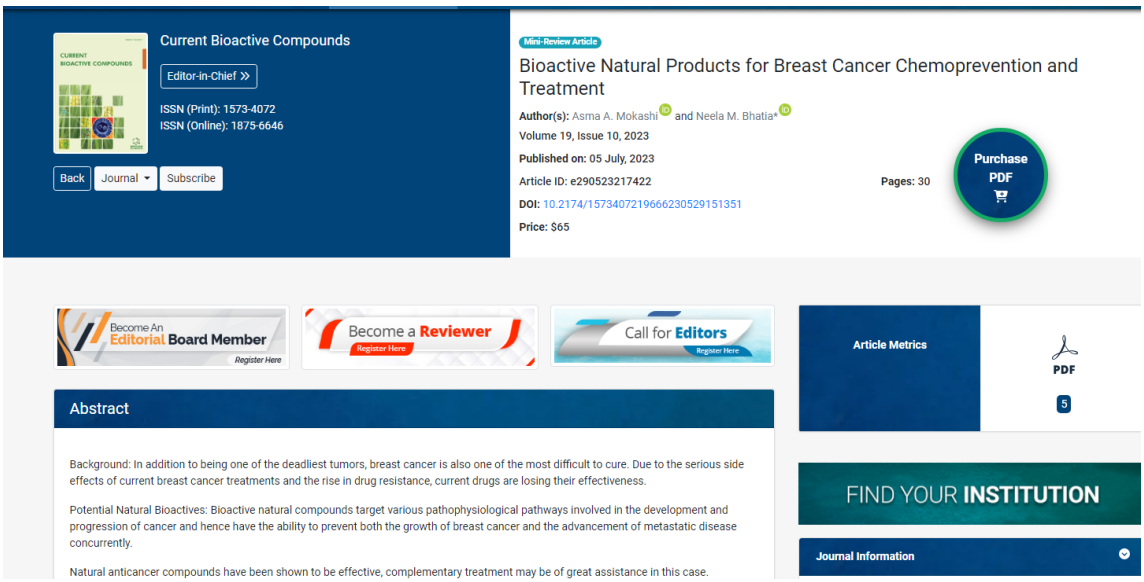
39. Bioactive Natural Products for Breast Cancer Chemoprevention and Treatment



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40. Design and in silico investigation of novel Maraviroc analogues as dual inhibition of CCR-5/SARS-CoV-2 Mpro

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Design and *in silico* investigation of novel Maraviroc analogues as dual inhibition of CCR-5/SARS-CoV-2 M^{pro}

G. Mahaboob Basha, Rishikesh S. Parulekar, Abdullah G. Al-Sehemi, Mehboobali Pannipara, Vidavalur Siddaiah, Sunanda Kumari, Prafulla B. Choudhari & Yasinalli Tamboli ...show less

Pages 11095-11110 | Received 28 Dec 2020, Accepted 10 Jul 2021, Published online: 26 Jul 2021

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Abstract

A sudden increase in life-threatening COVID-19 infections around the world inflicts global crisis and emotional trauma. In current study two druggable targets, namely SARS-COV-2 M^{pro} and CCR-5 were selected due to their significant nature in the viral life cycle and cytokine molecular storm respectively. The systematic drug repurposing strategy has been utilized to recognize inhibitory mechanism through extensive *in silico* investigation of novel Maraviroc analogues as promising inhibitors against SARS-CoV-2 M^{pro} and CCR-5. The dual inhibition specificity approach implemented in present study using molecular docking, molecular dynamics (MD), principal component analysis (PCA), free energy landscape (FEL) and MM/PBSA binding

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41. Design, Development, In Silico, and In Vitro Characterization of Camptothecin-Loaded Mixed Micelles: In Vitro Testing of Verapamil and Ranolazine for Repurposing as Coadjuvant Therapy in Cancer

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Original Article | Published: 06 October 2022

Design, Development, In Silico, and In Vitro Characterization of Camptothecin-Loaded Mixed Micelles: In Vitro Testing of Verapamil and Ranolazine for Repurposing as Coadjuvant Therapy in Cancer

Kiran S. Patil, Ashok A. Hajare, Arehalli S. Manjappa, Harinath N. More & John I. Disouza

Journal of Pharmaceutical Innovation (2022) | Cite this article

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Abstract

Purpose

Camptothecin has poor solubility, high systemic toxicity, and intrinsic structural instability. To deal with these challenges, present research aimed to develop camptothecin-loaded mixed

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42. Formulation and Optimization of 5-Amino Salicylic acid Tablet for Colon Targeting

Formulation and Optimization of 5-Amino Salicylic acid Tablet for Colon Targeting

Author(s): Anilkumar J. Shinde, Pritam R. Walave, Firoj A. Tamboli, Harinath N. More

Email(s): ajshinde07@rediffmail.com

DOI: 10.52711/0974-360X.2022.00753

Address: Anilkumar J. Shinde1*, Pritam R. Walave2, Firoj A. Tamboli1, Harinath N. More1
1Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur- 416013. Near Chitranagari, Kolhapur (M.S), India.
2Process Associate, Tata Consultancy Services, Mumbai.
*Corresponding Author

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Keywords: 5-aminosalicylic acid | Colon | Chitosan | Fenugreek gum | Dissolution.

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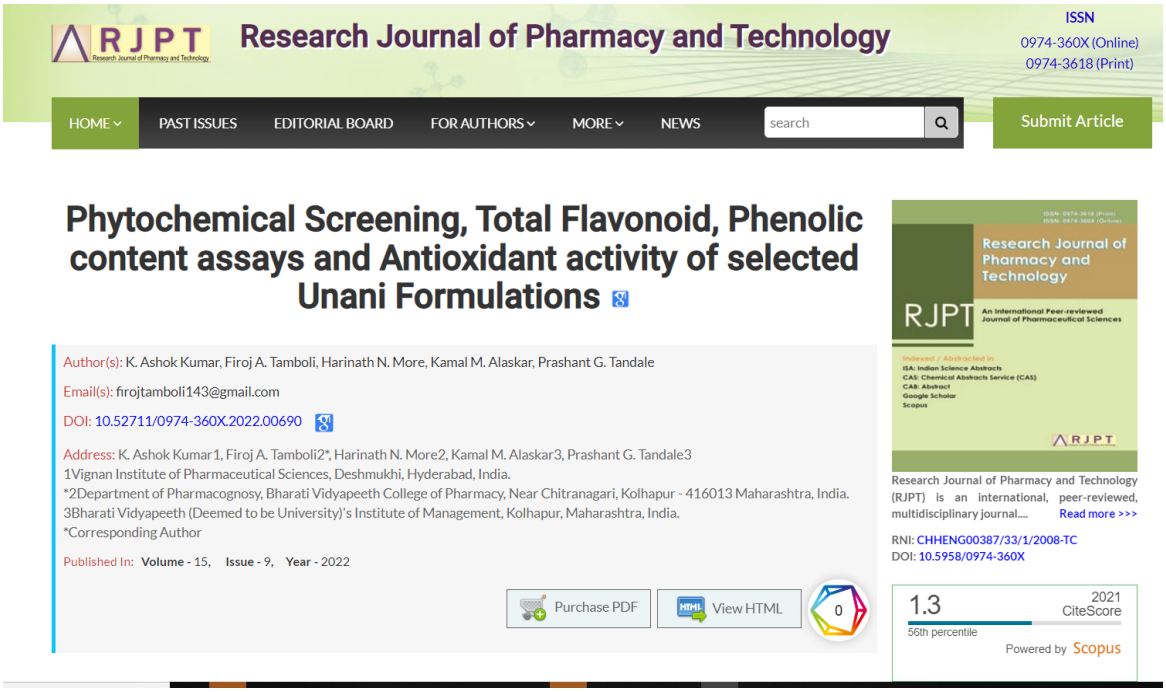
43. Phytochemical Screening, Total Flavonoid, Phenolic content assays and Antioxidant activity of selected Unani Formulations



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Phytochemical Screening, Total Flavonoid, Phenolic content assays and Antioxidant activity of selected Unani Formulations

Author(s): K. Ashok Kumar, Firoj A. Tamboli, Harinath N. More, Kamal M. Alaskar, Prashant G. Tandale

Email(s): firojtamboli143@gmail.com

DOI: 10.52711/0974-360X.2022.00690

Address: K. Ashok Kumar1, Firoj A. Tamboli2*, Harinath N. More2, Kamal M. Alaskar3, Prashant G. Tandale3
1Vignan Institute of Pharmaceutical Sciences, Deshmukhi, Hyderabad, India.
*2Department of Pharmacognosy, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur - 416013 Maharashtra, India.
3Bharati Vidyapeeth (Deemed to be University)'s Institute of Management, Kolhapur, Maharashtra, India.
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College of Pharmacy, Kolhapur

44. Development and Characterization of 5-Fluorouracil Solid Lipid Nanoparticles for Treatment of Colorectal Cancer

Original Article | Published: 09 January 2022

Development and Characterization of 5-Fluorouracil Solid Lipid Nanoparticles for Treatment of Colorectal Cancer

Poournima Patil, Suresh Killedar, Harinath More & Ganesh Vambhurkar

Journal of Pharmaceutical Innovation **17**, 1268–1281 (2022) | Cite this article

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Abstract

Purpose

In this study, the oral nanotherapeutic approach of 5-fluorouracil solid lipid nanoparticles (5-FU SLNs) for the synergistic treatment of colorectal cancer in preclinical DMH rat model is studied.

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45. Evaluation of DHFR Inhibition and Antimicrobial Activity of Some Newly Synthesized Quinazolin-4 (3H)-one Scaffold Coupled with Benzylidene and Ethylidene Amino Motifs.

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Original Article

Evaluation of DHFR Inhibition and Antimicrobial Activity of Some Newly Synthesized Quinazolin-4(3H)-one Scaffold Coupled with Benzylidene and Ethylidene Amino Motifs

Sunil Harer[1,*], Manish Bhatia[2], Priyanka Sonar[3]

[1]Department of Pharmaceutical Chemistry, Dattakala College of Pharmacy, Swami Chincholi, Pune, Maharashtra, INDIA.
[2]Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, INDIA.
[3]Progressive Education Society's Modern College of Pharmacy, Nigdi, Pune, Maharashtra, INDIA.

ABSTRACT

Objectives: Substituted quinazolin-4(3H)-ones at position-3 with phenyl ring, heterocycles and aliphatic moieties, were reported to impart antimicrobial activities. In light of this, we have attempted to prepare a novel series of 2-pheny-3-substituted quinazolin-4(3H)-ones fused with an azomethine (-CH=N-) connection to Benzylidene and ethylidene motifs. Each of these motifs underwent testing to determine whether it could inhibit *in-vitro* microbial DHFR and the subsequent antimicrobial action. **Materials and Methods:** The synthesized 2-phenyl-3-substituted quinazolin-4(3H)-ones were characterized by FT-IR, ^{1}H-NMR, ^{13}C-NMR, ESI-MS and elemental (C, H, N, O and X=halogen) analysis. Evaluated results of *in-vitro* microbial DHFR inhibition are compared with the reported drug trimethoprim. Agar disc diffusion method was used for *in-vitro* antimicrobial activity, performed against pathogenic Gram-positive and Gram-negative bacteria like *Staphylococcus aureus*, *Bacillus subtilis*, and *Escherichia coli*, *Pseudomonas aeruginosa* respectively, and fungi like *Candida albicans*, and *Aspergillus niger*. **Results:** Docking analysis of ligands with DHFR (PDB=2W3M) has shown strong hydrophobic binding interaction and confirmed a perfect fit into the active domain of the target protein. Possible antimicrobial activity was induced from microbial DHFR inhibition. The results of the tests are compared with gentamycin, ciprofloxacin, and clotrimazole. Compounds with potent antibacterial activity were QI-j, and QII-f (MIC=0.1-0.2µg/mL), and moderately active compounds were QIa-d, QII-m, QIII-d, and QIIIe-f (MIC=0.5-2.0µg/mL). Compounds exhibited potent antifungal activity were QI-c, QII-b, and QIII-f (MIC=0.1-0.2µg/mL), moderately active compounds were QIc-e, QI-g, QIm-n, QII-d, QIII-b, and QIII-e (MIC=0.5-2.0µg/mL). **Conclusion:** Particularly test compounds have produced DHFR inhibition in a range of 4-24µM as compared with trimethoprim (IC_{50}=10 µM). Benzylidene and ethylidene moieties attached to the quinazolin-4(3H)-one had contributed to this activity. Present series of substituted quinazolin-4(3H)-ones provide a path for the design and development of newer antimicrobial agents in the treatment of deadly pathogenic infections.

Keywords: Quinazolin-4(3H)-ones, Antimicrobial activity, MIC, DHFR, IC_{50}, Docking analysis.

Correspondence
Dr. Sunil Harer
Department of Pharmaceutical Chemistry, Dattakala College of Pharmacy, Swami Chincholi, Pune, Maharashtra, INDIA.
Email id: sunil.harer5@gmail.com
ORCID ID 0000-0003-2916-727X

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INTRODUCTION

Dihydrofolate reductase (DHFR) is essential for the production of nucleic acids by microbes. It catalyses the NADPH reduction of 7,8-dihydrofolate to 5,6,7,8-tetrahydrofolate in close association with thymidylate synthase. Thymidylate synthase, the main enzyme, catalyses the reductive methylation of deoxyuridine monophosphate (dUMP) to deoxythymidine monophosphate processes that lead to the biosynthesis of pyrimidine, purine, and amino acids. Thymidylate synthase or DHFR suppression may lead to a lack of tetrahydrofolate co-factor. Finally, a decrease in tetrahydrofolate levels causes a decrease in the production of methionine, thymidylate, and glycine to serine, which stops DNA replication.[1,2] The interference with nucleotide production ultimately causes the death of microbial cells.[3] As a result, we can

46. Synthesis and In-Vitro Evaluation of Raloxifene–Oxalyl Chloride Conjugate Targeting Breast Cancer

Home > Pharmaceutical Chemistry Journal > Article

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Synthesis and *In-Vitro* Evaluation of Raloxifene–Oxalyl Chloride Conjugate Targeting Breast Cancer

Neela Bhatia, Pooja Shirale, Prafulla Choudhari, Snehal Ashtekar, Sonali Nirankari & Manish Bhatia

Pharmaceutical Chemistry Journal **56**, 798–805 (2022) | Cite this article

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Anticancer drugs mostly produce minimal to severe side effects due to lack of selectivity. The present work envisaged selective targeting of MCF-7 breast cancer cells by synthesizing an ester conjugate using oxalyl chloride of drug raloxifene targeted for steroidal estrogen receptor alpha. The objective was to synthesize and evaluate raloxifene–oxalyl chloride conjugate as anticancer drug with side effects reduced by increasing selectivity. Synthesis of the conjugate was carried out by reflux condensation of acid chloride of oxalyl chloride with raloxifene. *In vitro* methods viz. lipophilicity, solubility, protein binding, drug release and permeation

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47. Synthesis and characterization of chitosan nanoparticles decorated with folate and loaded with dasatinib for targeting folate receptors in cancer cells

OpenNano 7 (2022) 100043

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Synthesis and characterization of chitosan nanoparticles decorated with folate and loaded with dasatinib for targeting folate receptors in cancer cells

Smita Tukaram Kumbhar [a, #, *], Ravikant Yashwantrao Patil [a], Manish Sudesh Bhatia [b], Prafulla Balkrushna Choudhari [b], Vinod Limbraj Gaikwad [c]

[a] Department of Pharmaceutical Chemistry, DSTS Mandal's College of Pharmacy, Solapur, India
[b] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, India
[c] Department of Pharmaceutics, National Institute of Pharmaceutical Education and Research (NIPER), Hajipur, India

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Keywords:
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ABSTRACT

Background: This study produced these Folate-Chitosan (FA-CS) conjugates by coupling a reaction with FA with CS, which resulted in better performance than previously attained due to the preservation of CS's basic chemical properties as well as the integration of the folate targeting receptor.
Methods: The FA-CS conjugates were synthesised using tripolyphosphate (TPP), which is based on the chemical conjugation of the amino group of CS with the carboxylic group of FA and was validated using FTIR and 1H NMR spectroscopy, respectively.
Results: The FA-CS-NPs were shown to exhibit a unique core-shell structure under transmission electron microscopy; the encapsulation efficiency EE (percentage) and loading efficiency LE (percentage) of Dasatinib in FA-CS-DS-NPs were 50.7 ± 0.27% and 12.8 ± 0.21%, respectively. The FA-CS-DS-NPs exhibited a homogenous particle distribution of 103.17 ± 5.20 nm (PDI 0.081, zeta potential 20.2 ± 5.9 mV). As the pH of the dissolving solution lowers, the rate of DS release from the NPs increases, indicating that DS release from FA-CS-DS-NPs may be higher in a low pH environment than in a high pH environment. The MTT assay was used to examine the cell viability profile, which indicated that FA-CS-NPs did not induce significant cytotoxicity. In the cellular uptake study, for example, the intracellular concentration of DS in MCF-7 cells after exposure to FA-CS-DS-NPs was considerably higher than the concentration of DS in cells exposed to DS alone.
Conclusion: As a result, FA-CS-DS-NPs show promise as a cancer therapeutic drug delivery mechanism.

1. INTRODUCTION

Around the world, cancer is the leading cause of morbidity and mortality. According to studies, India saw roughly 11,57, 294 new cases of adverse development in 2018 [1]. Anticancer medications are administered to the body in a non-specific manner, causing

* Corresponding author.
E-mail address: smitakumbharresearch@gmail.com (S.T. Kumbhar).
ORCID ID: https://orcid.org/0000-0002-3030-9477

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48. **Review on drug delivery applications of ethosomes: Current developments and prospects**

Original Article

Thai Journal of Pharmaceutical Sciences

Review on drug delivery applications of ethosomes: Current developments and prospects

Mayuri Shitole[1†], Sopan Nangare[2†], Udaykumar Patil[1], Namdeo R. Jadhav[1*]

[1]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India, [2]Department of Pharmaceutical Chemistry, H. R. Patel Institute of Pharmaceutical Education and Research, Shirpur, Maharashtra, India
[†]These authors contributed equally as first authors.

Corresponding Author:
Prof. Namdeo R. Jadhav,
Department of Pharmaceutics,
Bharati Vidyapeeth
College of Pharmacy,
Kolhapur - 416 013,
Maharashtra, India.
E-mail: nrjadhav18@rediffmail.com

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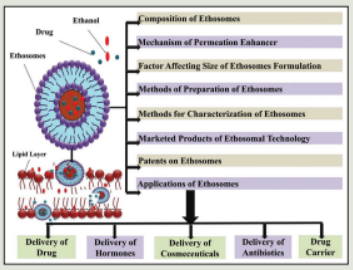
ABSTRACT

Transdermal drug delivery system networks are primarily affected by poor penetration of therapeutically active compounds. The stratum corneum (SC) is the foremost barrier of the skin, which restricts the permeation of the drug molecule. In this context, to date, numerous approaches have been implemented to overcome the SC barrier limitations. Out of this, few advanced approaches including liposomes, niosomes, ethosomes, and transferosomes are majorly used to boost the permeation of drug and cosmetic agents across the SC barrier. Among these vesicles, ethosomes are stand out as the best substitute for topical drug delivery. In a nutshell, ethosomes are the elastic nanosized, stable vesicles that contain phospholipid and high content of ethanol that interacts with the polar head domain of the lipid molecules and decline the SC lipid melting point. Finally, it increases lipid fluidity plus cell membrane permeability. In this segment, this review gives the recent updates of ethosomes based on several pharmaceutical dosage forms such as ethosomal gels, creams, and patches. In addition, updated patents on ethosomes are also discussed in brief. In conclusion, ethosome is an ideal carrier for the delivery of drugs, cosmetic agents, etc., and can be used as a replacement for traditionally used pharmaceutical applications.

Keywords: Carriers, ethosomes, penetration enhancer, skin permeability, transdermal drug delivery

GRAPHICAL ABSTRACT

Drug delivery applications of ethosomes



49. Green synthesis of gold and silver nanoparticles: Updates on research, patents, and future prospects

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Review article

Green synthesis of gold and silver nanoparticles: Updates on research, patents, and future prospects

Sameer J. Nadaf [a], Namdeo R. Jadhav [b,*], Heena S. Naikwadi [b], Pranav L. Savekar [a], Isha D. Sapkal [b], Mugdha M. Kambli [b], Indrajeet A. Desai [b]

[a] Sant Gajanan Maharaj College of Pharmacy, Site Chinchewadi, Mahagaon, Maharashtra 416503, India
[b] Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra 416013, India

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ABSTRACT

Metal nanoparticles (NPs) exhibit distinctive attributes and continue to entice researchers to investigate new dimensions of their worth. Amongst the different noble metal NPs, silver (Ag) and gold (Au) NPs have stolen the limelight. AgNPs and AuNPs are typically synthesized using hazardous chemicals, which affect the environment and human health. This necessitated the development of environment-friendly techniques and gave rise to the green synthesis methodology. Plant-based biological molecules in the form of extracts are the backbone of plant-mediated production of NPs, which outperforms conventional chemical techniques. These natural molecules undergo a strictly regulated assembling process to make them appropriate for forming metal NPs. These plant-based metallic NPs also display well-known biological characteristics, such as antitumor, antioxidant, antibacterial, wound repair, *etc*. The remarkable benefits of this environmentally friendly technique have also opened the door for fascinating advancements in the synthesis of NPs. The current review highlights the plant's plethora that can be employed to quickly generate a nanoparticulate system adhering to green principles than conventional ones. In addition, a comprehensive overview of the most recent patents and research articles on the synthesis, applications, environmental effects, and future prospects of Ag and AuNPs is provided. Conclusively, it's imperative to focus on creating engineered NPs that are less toxic, have controllable size, shape, and improved health benefits, and expand their applications in related industries.

1. Introduction

Nanotechnology entails modulating materials at the atomic level, preferably between 1 and 100 nm, through combined physical, chemical, and biological approaches [1,2]. Novel nanostructures with differing properties from their larger counterparts have emerged with advancements in the nanotechnology field. These nanostructures have been explored for ample biomedical applications because of their numerous advantages attributed to nanoscale sizes [3].

Hitherto, noble metals have served humanity. The conversion of metals to their nano-size is gaining tremendous importance in the current scenario due to their improved chemical, physical, and optical properties [1,2,4]. Currently, new research opportunities in

Abbreviations: NPs, Nanoparticles; AuNPs, Gold nanoparticles; AgNPs, Silver nanoparticles.

* Corresponding author.
E-mail address: nrjadhav18@rediffmail.com (N.R. Jadhav).

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50. Formulation, optimization, and in vitro evaluation of anastrozole-loaded nanostructured lipid carriers for improved anticancer activity

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Volume 72, June 2022, 103354

Opinion paper

Formulation, optimization, and *in vitro* evaluation of anastrozole-loaded nanostructured lipid carriers for improved anticancer activity

Dhairysheel Ghadge [a b], Sopan Nangare [c], Namdeo Jadhav [b]

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Abstract

The present study aims to develop and optimize anastrozole (ANZ)-nanostructured lipid carriers (ANZ-NLCs) for improved anticancer efficacy. In a nutshell, ANZ-NLCs were prepared by a 3^2 factorial design approach through the solvent evaporation method. In this study, key formulation factors impacting particle size, % drug encapsulation, and zeta potential were optimized in ANZ-NLCs. The physicochemical characterization and *in vitro* cytotoxicity, cell apoptosis, cell cycle analysis, cell uptake, and investigation of apoptotic nuclei by DAPI study was performed on MCF 7 to assess the potential of ANZ-NLCs. The

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51. **Development of Progesterone Oily Suspension Using Moringa Oil and Neusilin US2**

Home > Journal of Pharmaceutical Innovation > Article

Original Article | Published: 14 January 2021

Development of Progesterone Oily Suspension Using Moringa Oil and Neusilin US2

Namdeo Jadhav, Jidnyasa Pantwalawalkar, Ramesh Sawant, Afrin Attar, Dipali Lohar, Pallavi Kadane & Kanchan Ghadage

Journal of Pharmaceutical Innovation **17**, 534–545 (2022) | Cite this article

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Abstract

Purpose

The objective of the present study was to screen oils and suspending agents for the formulation of novel progesterone (PGT) suspension, demonstrating improved solubility, drug release, stability, and non-allergenicity. Presumably, formulated novel PGT suspensions could [illegible]sede clinically available peanut oil and lecithin based formulations (PL)

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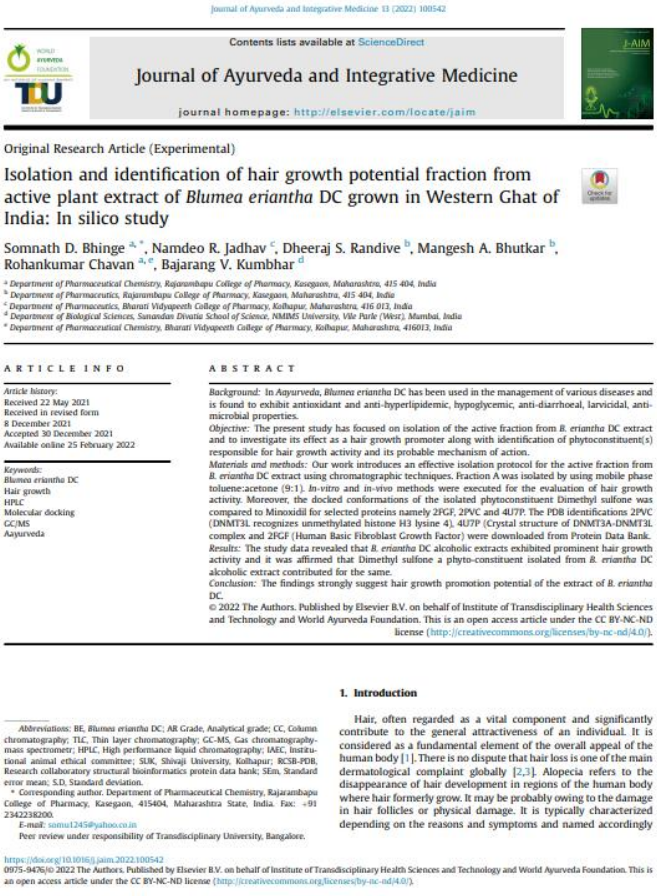
52. Isolation and identification of Hair growth potential Fraction from Active Plant Extract of Blumea eriantha DC Grown in Western Ghat of India: In Silico Study



Journal of Ayurveda and Integrative Medicine 13 (2022) 100542

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Original Research Article (Experimental)

Isolation and identification of hair growth potential fraction from active plant extract of *Blumea eriantha* DC grown in Western Ghat of India: In silico study

Somnath D. Bhinge [a, *], Namdeo R. Jadhav [c], Dheeraj S. Randive [b], Mangesh A. Bhutkar [b], Rohankumar Chavan [a, e], Bajarang V. Kumbhar [d]

[a] Department of Pharmaceutical Chemistry, Rajarambapu College of Pharmacy, Kasegaon, Maharashtra, 415 404, India
[b] Department of Pharmaceutics, Rajarambapu College of Pharmacy, Kasegaon, Maharashtra, 415 404, India
[c] Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, 416 013, India
[d] Department of Biological Sciences, Sunandan Divatia School of Science, NMIMS University, Vile Parle (West), Mumbai, India
[e] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, 416013, India

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ABSTRACT

Background: In Aayurveda, *Blumea eriantha* DC has been used in the management of various diseases and is found to exhibit antioxidant and anti-hyperlipidemic, hypoglycemic, anti-diarrhoeal, larvicidal, anti-microbial properties.

Objective: The present study has focused on isolation of the active fraction from *B. eriantha* DC extract and to investigate its effect as a hair growth promoter along with identification of phytoconstituent(s) responsible for hair growth activity and its probable mechanism of action.

Materials and methods: Our work introduces an effective isolation protocol for the active fraction from *B. eriantha* DC extract using chromatographic techniques. Fraction A was isolated by using mobile phase toluene:acetone (9:1). *In-vitro* and *in-vivo* methods were executed for the evaluation of hair growth activity. Moreover, the docked conformations of the isolated phytoconstituent Dimethyl sulfone was compared to Minoxidil for selected proteins namely 2FGF, 2PVC and 4U7P. The PDB identifications 2PVC (DNMT3L recognizes unmethylated histone H3 lysine 4), 4U7P (Crystal structure of DNMT3A-DNMT3L complex and 2FGF (Human Basic Fibroblast Growth Factor) were downloaded from Protein Data Bank.

Results: The study data revealed that *B. eriantha* DC alcoholic extracts exhibited prominent hair growth activity and it was affirmed that Dimethyl sulfone a phyto-constituent isolated from *B. eriantha* DC alcoholic extract contributed for the same.

Conclusion: The findings strongly suggest hair growth promotion potential of the extract of *B. eriantha* DC.

1. Introduction

Hair, often regarded as a vital component and significantly contribute to the general attractiveness of an individual. It is considered as a fundamental element of the overall appeal of the human body [1]. There is no dispute that hair loss is one of the main dermatological complaint globally [2,3]. Alopecia refers to the disappearance of hair development in regions of the human body where hair formerly grow. It may be probably owing to the damage in hair follicles or physical damage. It is typically characterized depending on the reasons and symptoms and named accordingly

Abbreviations: BE, *Blumea eriantha* DC; AR Grade, Analytical grade; CC, Column chromatography; TLC, Thin layer chromatography; GC-MS, Gas chromatography-mass spectrometr; HPLC, High performance liquid chromatography; IAEC, Institutional animal ethical committee; SUK, Shivaji University, Kolhapur; RCSB-PDB, Research collaboratory structural bioinformatics protein data bank; SEm, Standard error mean; S.D, Standard deviation.

* Corresponding author. Department of Pharmaceutical Chemistry, Rajarambapu College of Pharmacy, Kasegaon, 415404, Maharashtra State, India. Fax: +91 2342238200.
E-mail: somu1245@yahoo.co.in

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53. Crystallinity modulated silk fibroin electrospun nanofibers based floating scaffold as a candidate for controlled release of felodipine

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Volume 71, 2022 - Issue 18

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Crystallinity modulated silk fibroin electrospun nanofibers based floating scaffold as a candidate for controlled release of felodipine

Shailesh Dugam, Sopan Nangare, Anil Gore, Sarika Wairkar, Pramod Patil, Latika Choudary & Namdeo Jadhav ...show less

Pages 1393-1406 | Received 15 Jun 2021, Accepted 07 Sep 2021, Published online: 03 Oct 2021

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Abstract

Floating gastro-retentive delivery approach provides a significant pathway for controlled release of drug with increase gastric residence. In this study, we report crystallinity modulated electrospun silk fibroin nanofibers (SF-NFs) floating scaffolds for the controlled release of felodipine (FD). The alteration in the crystallinity behavior due to changes in the structural conformation of SF helps to customize the release kinetics of FD-loaded SF-NFs scaffolds. Additionally, FD-loaded SF scaffolds system having a density less than the acidic gastric fluid explore as a new tactic for floating drug delivery system. The prepared FD-loaded SF nanofibers (FD-loaded SF-NFs) were characterized by spectral, thermal, and diffractometric techniques, scanning

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54. Synthesis of benzopyrans and evaluation of cytotoxicity against ER-MCF-7 cell lines

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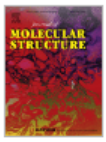
Volume 1268, 15 November 2022, 133687



Synthesis of benzopyrans and evaluation of cytotoxicity against ER-MCF-7 cell lines

Snehal S. Ashtekar [a 1], Neela M. Bhatia [b 1]

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https://doi.org/10.1016/j.molstruc.2022.133687

Abstract

Natural products have proved an undisputable significance in cancer therapy. In this pursuit, we used the *in silico* methods in design of the derivatives of the natural product-based lead scaffold-benzopyran, and synthesized and explored the inhibitory potential via *in silico* and *in vitro* anticancer screening. Computational studies resulted in a prototype benzopyran structure with a great affinity towards target Estrogen receptor-α (ER-α) in breast cancer. Using benzopyran scaffold, ten probable leads were designed and optimized using VLife MDS ver 4.6. Molecular modeling studies of the designed scaffolds showed π-stacking interactions with PHE404/TRP383 residues and H-bond interaction with CYS530/ARG394 residues. The bonding with amino acid residue ASP351 confirmed the designed compound's affinity towards estrogen receptor-positive (ER+) breast cancer cell lines. Among the hits, H2 and H8 exhibited a great affinity towards the ER+ breast cancer. In addition, the designed compounds exhibited the minimum pharmacophoric

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55. Design and development of sodium alginate/ carboxymethyl cellulose in situ gelling system for gastroretentive delivery of lisinopril

Journal of Research in Pharmacy
Research Article
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Design and development of sodium alginate/ carboxymethyl cellulose *in situ* gelling system for gastroretentive delivery of lisinopril

Kiran PATIL [1], Jyoti PATIL [1], Sneha BHARADE [1], John DISOUZA [2], Ashok HAJARE [3]

[1] Department of Pharmaceutical Quality Assurance, Tatyasaheb Kore College of Pharmacy, Warananagar - 416113, Maharashtra, India.
[2] Department of Pharmaceutics, Tatyasaheb Kore College of Pharmacy, Warananagar - 416113, Maharashtra, India.
[3] Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur - 416013, Maharashtra, India.
* Corresponding Author. E-mail:kspatil.tkcp@gmail.com; Tel. +91 - 7798884959.

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ABSTRACT: Lisinopril is a potent ACE (angiotensin-converting enzyme) inhibitor used to treat hypertension and congestive heart failure. It exhibits 25% of low bioavailability. Hence, in the current study, the major objective was to increase the gastric transient time of lisinopril and develop *in situ* gel formulation for better absorption and modulating release behavior of lisinopril. Different formulations of lisinopril were prepared by using gelling polymers such as Carboxymethyl cellulose (CMC), pectin, and calcium carbonate. Sodium citrate was used to prevent gelation outside the gastric environment. The formulation was studied using Fourier Transform Infrared Spectroscopy (FTIR) and Differential Scanning Calorimetry (DSC) to interpret the interaction between drugsand polymers. For optimization of *in situ* gelling system 3^2 full factorial design was employed to study the effect of independent variables, the concentration of CMC (X_1) and concentration of sodium alginate (X_2), on the dependent variables viscosity, and % drug content. Formulation (F5) containing 1.25% of sodium alginate, and 0.75% of CMC showed good gelling ability. The composition F5 was optimized on the basis of viscosity (5.03 Pa.s), drug content (94.06±1.0%), and cumulative drug release (95±0.73%) at 12 h. Floating *in situ* gelling system improved bioavailability and gastric transit time of lisinopril. A stability study indicated the absence of any noticeable change in the formulation. Thus, *in situ* gel formulation is a promising approach for gastro-retentive sustained delivery of lisinopril. These results ensure that the developed system is an alternative to conventional drug delivery systems and can enhance patient compliance.

KEYWORDS: *In situ* Gel; Sodium Alginate; Carboxymethyl cellulose; Gastro-retentive drug delivery; Lisinopril.

1. INTRODUCTION

Nowadays hypertension is a very communal disease found all over the world. Hypertension is a serious condition that can harm your heart, brain, kidneys, and other organs. Hypertension affects an estimated 1.28 billion individuals aged 30 to 79 worldwide [1]. The force produced by blood circulation against the walls of the body's primary vessels, the arteries, is known as blood pressure. If your systolic blood pressure is greater than 140 mmHg and your diastolic blood pressure is greater than 90 mmHg, you have hypertension [2]. Many orally taken medications have a low rate of absorption and poor bioavailability. Some medicament shows less absorption. To compensate for this impact, a big dose of medication is given [3]. To create an effective controlled release system, a variety of formulation approaches have been used, including super porous hydrogel, bio/mucoadhesive, raft forming, magnetic, ion-exchange, and low- and high-density systems [4].

The oral drug delivery system shows a systemic effect upon drugs absorbed through the gastrointestinal tract (GIT). As a result, numerous ways to keep the medication in the stomach after delivery are available. Oral delivery includes floating, swelling, expanding systems, and delayed gastrointestinal emptying systems. The oral drug delivery system is most frequently employed because it is relatively easy for children and the elderly to ingest the medication. Many orally administered drugs showed a poor rate of absorption while elimination at a faster rate. To achieve desired therapeutic action and maximum absorption window a large dose of a drug can be given. Furthermore, poorly absorbed drugs have a wide range of bioavailability. These issues could be solved by altering the way drugs are delivered [5].

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Bharati Vidyapeeth
College of Pharmacy, Kolhapur

56. Statistically developed docetaxel-laden mixed micelles for improved therapy of breast cancer

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Statistically developed docetaxel-laden mixed micelles for improved therapy of breast cancer

Smita S. Patil [a], Rutuja D. Chougale [a], Arehalli S. Manjappa [b], John I. Disouza [b], Ashok A. Hajare [c], Kiran S. Patil [a,*]

[a] Department of Pharmaceutical Quality Assurance, Tatyasaheb Kore College of Pharmacy, Warananagar, Maharashtra 416113, India
[b] Department of Pharmaceutics, Tatyasaheb Kore College of Pharmacy, Warananagar, Maharashtra 416113, India
[c] Department of Pharmaceutical Technology, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur, Maharashtra 416013, India

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ABSTRACT

Docetaxel (DTX) has poor solubility, serious side effects, and multi-drug resistance (MDR) limiting its use in cancer treatment. Hence, the present study aimed to formulate and develop docetaxel mixed micelles (DTX MMs) for breast cancer treatment. DTX MMs were prepared from a mixture of docetaxel, TPGS, and Poloxamer 188 by using the solvent evaporation method. A 3^2 factorial design was applied to examine the combined effect of two formulation variables, each at 3 levels, and the 9 possible combinations of DTX MMs. The concentration of TPGS (X1) and concentration of Poloxamer 188 (X2) were independent variables. Whereas, particle size (Y1), and % entrapment efficiency (%EE) (Y2) were dependent variables. DTX MMs were evaluated for particle size analysis, TEM, %EE, *in vitro* drug release, %hemolysis, and stability study. DTX MMs composition (F6) was optimized based on particle size (143.2 nm), zeta potential (-7.5 mV) and % EE (81%). The TEM images showed spherical-shaped MMs. DTX MMs showed moderately higher IC_{50} value, indicating lower cytotoxicity when compared to plain DTX against MCF-7 cells. Docetaxel's sustained release from MMs decreased its exposure to normal tissue. Studies using IR, DSC, and P-XRD showed no significant incompatibility. DTX MMs would be a potential therapy for chemotherapy against breast cancer

1. Introduction

Cancer has a massive social impact across the world, nearly 18,98,160 cancer cases were observed in the United States in 2021 [1]. Cancer is a major cause of mortality in all countries and a it is significant impediment to increasing life expectancy [2]. Cancer is the uncontrolled proliferation of cells that causes abnormally developing cells to spread throughout other organs, affecting normal function and, in some cases, resulting in death [3]. Cancer affects the body by causing tumors to form when abnormal cells divide uncontrollably. When a malignant tumor uses blood or circulatory channels to spread throughout the body, normal function is lost in a process known as penetration, and a cell expands and grows, generating a blood supply to maintain it in a phase known as angiogenesis, which is more dangerous or malignant tumors emerge [4].

Docetaxel (DTX) is a drug that is extensively used to kill cancerous cells including ovarian cancer, prostate cancer, and breast cancer [5]. The use of DTX is restricted due to non-specific distribution, limited water solubility, low bioavailability, and serious

* Corresponding author.
E-mail address: kspatil.tkcp@gmail.com (K.S. Patil).

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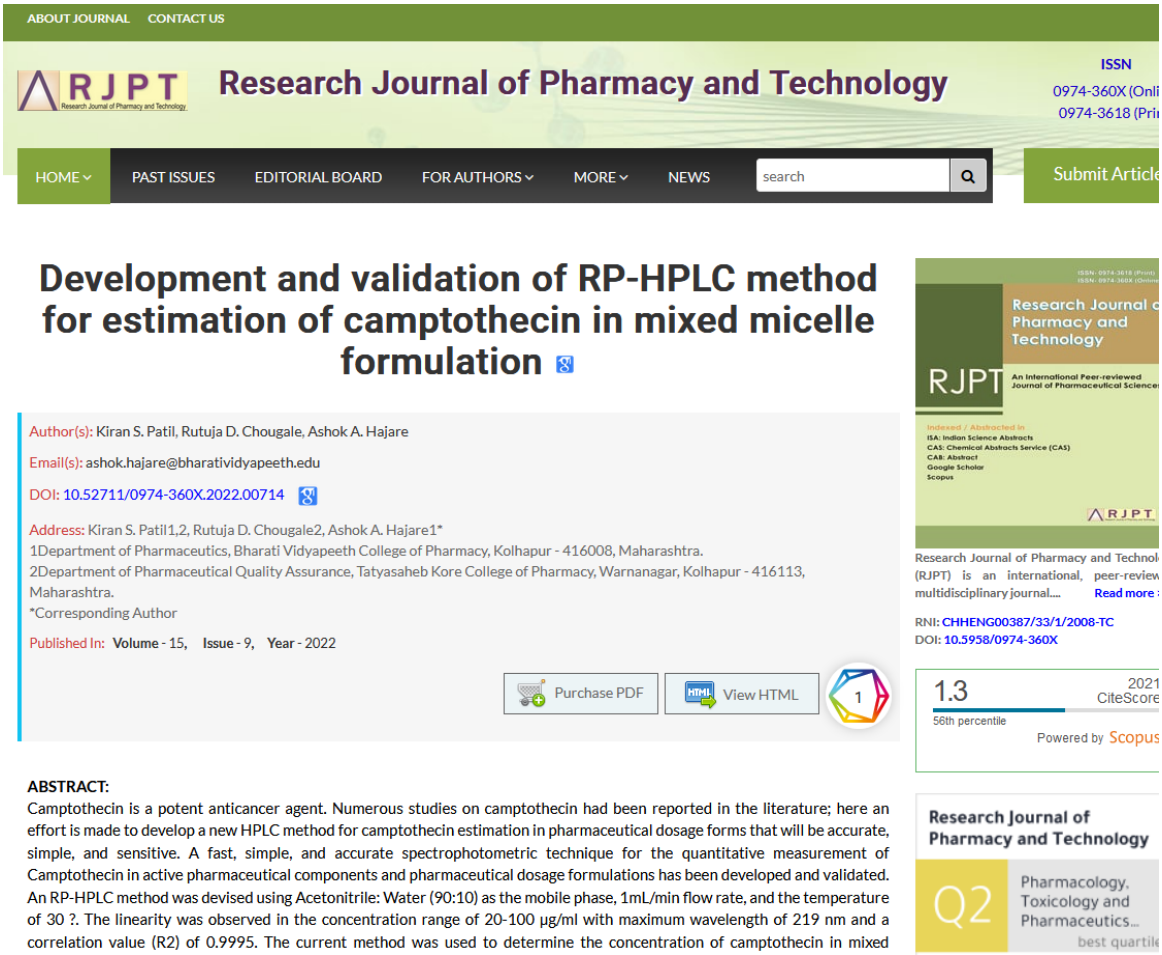
57. Development and validation of RP-HPLC method for estimation of camptothecin in mixed micelle formulation



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Author(s): Kiran S. Patil, Rutuja D. Chougale, Ashok A. Hajare

Email(s): ashok.hajare@bharatividyapeeth.edu

DOI: 10.52711/0974-360X.2022.00714

Address: Kiran S. Patil1,2, Rutuja D. Chougale2, Ashok A. Hajare1*
1Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur - 416008, Maharashtra.
2Department of Pharmaceutical Quality Assurance, Tatyasaheb Kore College of Pharmacy, Warnanagar, Kolhapur - 416113, Maharashtra.
*Corresponding Author

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ABSTRACT:

Camptothecin is a potent anticancer agent. Numerous studies on camptothecin had been reported in the literature; here an effort is made to develop a new HPLC method for camptothecin estimation in pharmaceutical dosage forms that will be accurate, simple, and sensitive. A fast, simple, and accurate spectrophotometric technique for the quantitative measurement of Camptothecin in active pharmaceutical components and pharmaceutical dosage formulations has been developed and validated. An RP-HPLC method was devised using Acetonitrile: Water (90:10) as the mobile phase, 1mL/min flow rate, and the temperature of 30 ?. The linearity was observed in the concentration range of 20-100 µg/ml with maximum wavelength of 219 nm and a correlation value (R2) of 0.9995. The current method was used to determine the concentration of camptothecin in mixed

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Statistically designed novel ranolazine-loaded ethosomal transdermal gel for the treatment of angina pectoris

Hemalata S. Dol [a], Ashok A. Hajare [a], Kiran S. Patil [b]

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Abstract

Ranolazine (RZ) is a newer novel anti-anginal drug with poor solubility and high permeability features. The current research work focused on the statistical design and development of RZ-loaded ethosome as a novel carrier through entrapment and *in silico* binding affinity studies using molecular docking. The study investigates the prospect of

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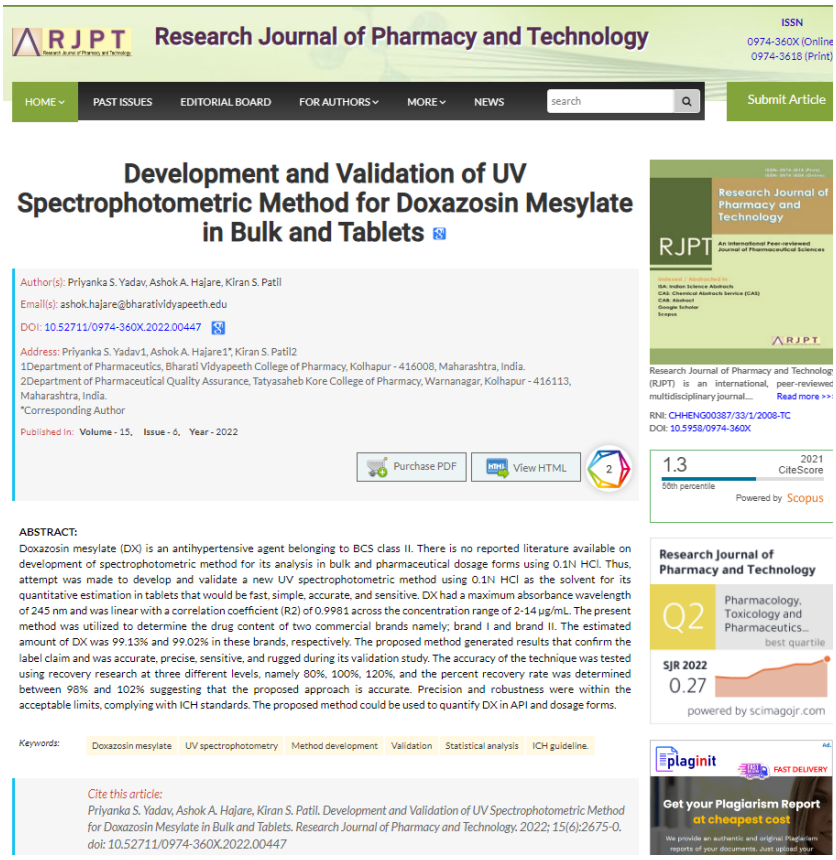

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Development and Validation of UV Spectrophotometric Method for Doxazosin Mesylate in Bulk and Tablets

Author(s): Priyanka S. Yadav, Ashok A. Hajare, Kiran S. Patil

Email(s): ashok.hajare@bharatividyapeeth.edu

DOI: 10.52711/0974-360X.2022.00447

Address: Priyanka S. Yadav1, Ashok A. Hajare1*, Kiran S. Patil2

1Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur - 416008, Maharashtra, India.

2Department of Pharmaceutical Quality Assurance, Tatyasaheb Kore College of Pharmacy, Warnanagar, Kolhapur - 416113, Maharashtra, India.

*Corresponding Author

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ABSTRACT:

Doxazosin mesylate (DX) is an antihypertensive agent belonging to BCS class II. There is no reported literature available on development of spectrophotometric method for its analysis in bulk and pharmaceutical dosage forms using 0.1N HCl. Thus, attempt was made to develop and validate a new UV spectrophotometric method using 0.1N HCl as the solvent for its quantitative estimation in tablets that would be fast, simple, accurate, and sensitive. DX had a maximum absorbance wavelength of 245 nm and was linear with a correlation coefficient (R2) of 0.9981 across the concentration range of 2-14 µg/mL. The present method was utilized to determine the drug content of two commercial brands namely; brand I and brand II. The estimated amount of DX was 99.13% and 99.02% in these brands, respectively. The proposed method generated results that confirm the label claim and was accurate, precise, sensitive, and rugged during its validation study. The accuracy of the technique was tested using recovery research at three different levels, namely 80%, 100%, 120%, and the percent recovery rate was determined between 98% and 102% suggesting that the proposed approach is accurate. Precision and robustness were within the acceptable limits, complying with ICH standards. The proposed method could be used to quantify DX in API and dosage forms.

Keywords: Doxazosin mesylate | UV spectrophotometry | Method development | Validation | Statistical analysis | ICH guideline.

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60. Herbal Treatment for Management of Psoriasis: An Overview

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Herbal Treatment for Management of Psoriasis: An Overview

Author(s): Ravindra G. Gaikwad, Anilkumar J. Shinde, Ashok A. Hajare

Email(s): anilkumar.shinde@bharatividyapeeth.edu

DOI: 10.52711/0974-360X.2022.00231

Address: Ravindra G. Gaikwad, Anilkumar J. Shinde*, Ashok A. Hajare
Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra India – 416013.
*Corresponding Author

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ABSTRACT:
Psoriasis is an inflammatory skin condition characterised by scaling with inflammation (pain, edema, warmth, and redness) that results in regions of thick, red skin covered in silvery scales. These spots can be itchy or painful. Systemic treatment, topical therapy, and phototherapy are all now used to treat psoriasis. These treatments have a variety of negative and perhaps fatal side effects. Patients with psoriasis are more likely to acquire other conditions such as psoriatic arthritis, anxiety and depression, cancer, metabolic syndrome, cardiovascular disease, and Crohn's disease. The majority of people use herbal medicine because it is readily available, inexpensive, and effective. Many plants have promising features, including significant results in the treatment of psoriasis. The present study plans to emphasize such plants, herbal formulations, and associated therapy, which could add value to the development of a better, safe, and efficacious formulation to treat psoriasis that may help new researchers in this field.

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61. In-vitro Analysis of Clerodendrum inerme as Potential Agent for Psoriasis Management

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RESEARCH ARTICLE

In-vitro Analysis of *Clerodendrum inerme* as Potential Agent for Psoriasis Management

Ravindra Ganpati Gaikwad and Anilkumar Jalindar Shinde*

Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India.

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*Address for Correspondence
Anilkumar Jalindar Shinde,
Department of Pharmaceutics,
Bharati Vidyapeeth College of Pharmacy,
Kolhapur,
Maharashtra, India.
Email:anilkumar.shinde@bharatividyapeeth.edu

ABSTRACT

Herb *C. inerme (L.)* have commonly used medicine since ancient times for treatment of various diseases. Psoriasis is chronic inflammatory skin disease affecting nearly about 2- 5 % of the world population all over world, but due to its persistent occurrence now it became a challenging task for medicinal field to develop safe treatment for management of psoriasis. The present research work deals with extraction, preliminary phytochemical investigation, *In-vitro* antioxidant, anti-inflammatory and antiproliferative activity of ethanolic extract of the leaves of *C. inerme* (L.). Collection and authentification plant *C. inerme (L.)*, extraction of leaves of with soxhlet extraction method using ethanol as solvent. Preliminary phytochemical investigation, *In-vitro* antioxidant, anti-inflammatory, antiproliferative potential was estimated by DPPH, Protein denaturation and MTT assay (A-431 cell line) respectively with standard procedures. The results of preliminary phytochemical investigation of *C. inerme (L.)* ethanolic extract shows presence of alkaloids, carbohydrates, proteins flavonoids, tannins and phenolic compounds as phytoconstituents. The TPC and TFC was found 59.23 ± 1.05 mg/g GAE and 36.87 ± 0.45 mg/g QE respectively. Ethanolic extract shows strong antioxidant activity 60.93 ± 1.11 % (Std. Ascorbic acid), anti-inflammatory 50.84 ±1.33 % inhibition at 5 mg/ml (Std. Aspirin), Antiproliferative 26.78 ±1.20% (Std. 5-FU).This research work reports potential of *C. inerme (L.)* extract as antioxidant, anti-inflammatory, and antiproliferative agent.

Keywords: Psoriasis, DPPH, Anti-inflammatory, Antiproliferative

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62. Preformulation studies of glipizide: First step towards developing stable osmotic drug delivery system

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Preformulation studies of Glipizide: First step towards developing stable Osmotic Drug Delivery System

Author(s): Manish Wani, Pradeep Rodge, Akshay Baheti, Satish Polshettiwar, Tanaji Nandgude, Firoj Tamboli

Email(s): manish.wani@mitwpu.edu.in , pradeep.rodge@gmail.com , akshay.baheti@mitwpu.edu.in , satish.polshettiwar@mitwpu.edu.in , tanajinandgude@gmail.com , firojtamboli143@gmail.com

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Address: Manish Wani1*, Pradeep Rodge2, Akshay Baheti1, Satish Polshettiwar1, Tanaji Nandgude3, Firoj Tamboli4
1Department of Pharmaceutics, School of Pharmacy, Dr. Vishwanath Karad, MIT World Peace University, Pune 411038, Maharashtra, India.
2Senior Research Associate, Wockhardt Research Center, Aurangabad, Maharashtra, India.
3Department of Pharmaceutics, Dr. D Y Patil Institute of Pharmaceutical Sciences and Research, Pimpri Pune, 411018, Maharashtra, India.
4Department of Pharmacognosy, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India.
*Corresponding Author

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Keywords: Preformulation | Glipizide | Drug characterization | Drug-excipients compatibility studies.

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In vitro, *in silico* and *in vivo* screening of non-oncology drugs for repurposing in osteosarcoma

Sujit DESAI[1,2*], Arehalli MANJAPPA[3*], Preeti KHULBE[1*], Prafulla CHOUDHARI[5], Popat KUMBHAR[4]

[1] School of Pharmacy, Suresh Gyan Vihar University, Mahal Rd, Mahal, Jagatpura, Jaipur, Rajasthan 302017
[2] Annasaheb Dange College of D Pharmacy, Ashta, Tal: Walwa, Dist: Sangli Maharashtra, India, 416301
[3] Department of Pharmaceutics, Vasantidevi Patil Institute of Pharmacy, Kodoli, Tal- Panhala, Dist- Kolhapur, 416114 (MS)
[4] Department of Pharmaceutics, Tatyasaheb Kore College of Pharmacy, Warananagar, Tal: Panhala, Dist: Kolhapur Maharashtra, India, 416113
[5] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India, 416013
*Corresponding Author. E-mail: sujitdesai37@gmail.com (S.D.); Tel. +02342-241106

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ABSTRACT: The first-line chemotherapy is associated with chief shortfalls such as non-specific distribution causing severe dose-dependent toxicities and development of tumor resistance. The current preliminary study aimed to identify the safe and effective non-oncology drugs as an alternative to toxic chemotherapeutics to treat osteosarcoma, and overcome new drug's shortage and development challenges. The different category non-oncology drugs (alone and in combinations) were screened for *in vitro* cytotoxicity behavior *via* MTT dye reduction assay and cell cycle arresting behavior using flow cytometer against human osteosarcoma (Saos-2 and MG-63) cells. The molecular docking of selected therapeutics was executed against cyclin-dependent kinase 1 (CDK1), cell cycle regulator overexpressed in cancer. The identified combination was further tested for *in vivo* toxicities in rats at two different doses. The current study revealed niclosamide (NSD), ketoconazole (KCZ), simvastatin (SVN) combination that causes substantial cytotoxicity (IC_{50} values are in picomoles) at 1:1:3 molar ratio when compared to other molar ratios. This combination has also caused substantial arrest of Saos-2 and MG-63 cells at S and G2/M phase. Additionally, all three drugs demonstrated better interaction with CDK1 indicating anticancer potential *via* inhibition of CDK1. Furthermore, the *in vivo* toxicity study revealed no significant changes in hematological and biochemical parameters, body weights of rats, weights of vital organs, daily food and water intake, and general behavior of rats. The obtained preliminary results revealed the potential application of this combination on non-oncology drugs in the safe and effective treatment of osteosarcoma. However, further in-depth studies are required before clinical application.

KEYWORDS: Drug repurposing; osteosarcoma; cytotoxicity; molecular docking; acute toxicity.

1. INTRODUCTION

Cancer is one of the principal causes of mortality universally [1, 2]. The development of new pharmaceuticals with the goal of reducing mortality is fraught with difficulties [3, 4]; it takes an average of 13 years to translate new drugs into clinical practice; and the expected cost of new drug development will be between $2 and $3 billion USD. The practice of using medications that have been approved for one therapeutic application to treat a different ailment is known as drug repurposing [5, 6]. This approach is being applied more frequently to address the cancer drug shortage [7]. Moreover, this avenue proffers a new opportunity for the treatment of cancer, facilitating rapid clinical translation owing to the well known pharmacokinetic, pharmacodynamic, and toxicity profiles of these medications [8, 9]. Therefore, if new pharmaceuticals fail during research and development, this approach may lead to a less perilous business model with reduced development costs [10, 11]. Drug repurposing further increases the overall yield of drug discovery and rightfully concentrates on target-defined anti-neoplastic drugs with a better awareness of the ensign of cancer and the development of a range of data-driven methodologies. Additionally, it is

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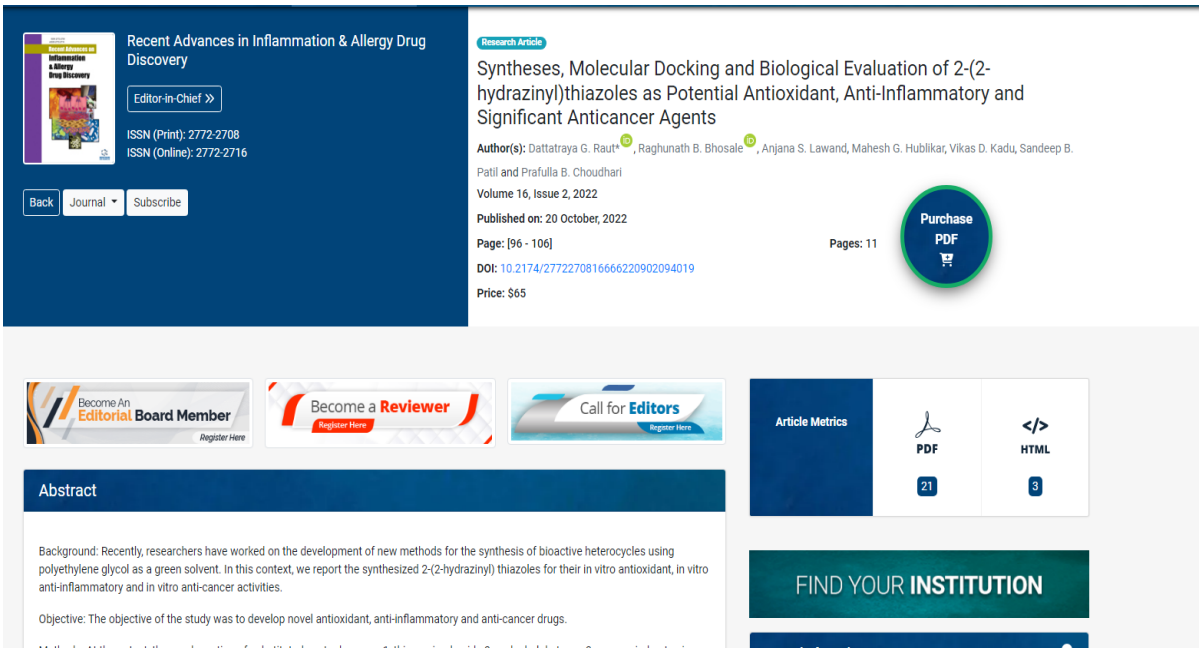

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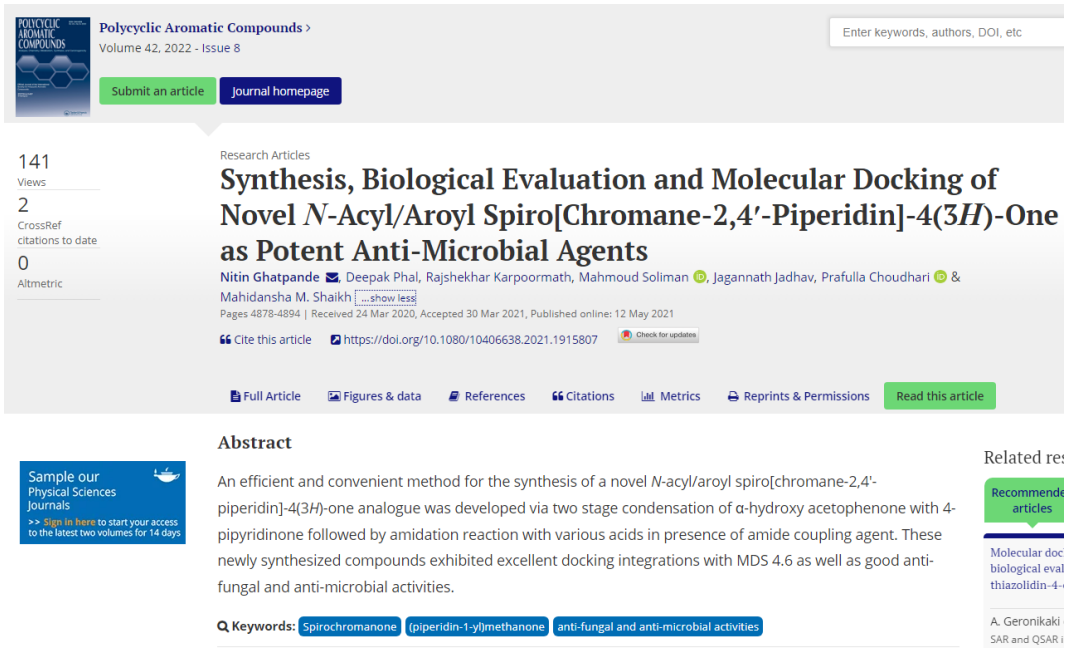
65. Synthesis, Biological Evaluation and Molecular Docking of Novel N-Acyl/Aroyl Spiro [Chromane-2, 4′-Piperidin]-4 (3 H)-One as Potent Anti-Microbial Agents



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Abstract

An efficient and convenient method for the synthesis of a novel *N*-acyl/aroyl spiro[chromane-2,4'-piperidin]-4(3*H*)-one analogue was developed via two stage condensation of α-hydroxy acetophenone with 4-pipyridinone followed by amidation reaction with various acids in presence of amide coupling agent. These newly synthesized compounds exhibited excellent docking integrations with MDS 4.6 as well as good anti-fungal and anti-microbial activities.

Keywords: Spirochromanone | (piperidin-1-yl)methanone | anti-fungal and anti-microbial activities

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Oral self-emulsifying nanoemulsion systems for enhancing dissolution, bioavailability and anticancer effects of camptothecin

Sunil T. Galatage [a], Rahul Trivedi [a], Durgacharan A. Bhagwat [b]

[a] B.R.Nahata College of Pharmacy, Department of Pharmacy, Mandsaur University Mandsaur, Madhya Pradesh, 458001, India
[b] Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Morewadi, Kolhapur, 416013, Maharashtra, India

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Abstract

This research aimed to prepare a camptothecin (CPT) loaded self nano emulsifying drug

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Pazopanib-laden lipid based nanovesicular delivery with augmented oral bioavailability and therapeutic efficacy against non-small cell lung cancer

Sameer J. Nadaf [a], Suresh G. Killedar [a], Vijay M. Kumbar [b], Durgacharan A. Bhagwat [c], Shailendra S. Gurav [d]

[a] Sant Gajanan Maharaj College of Pharmacy, Mahagoan, Site: Chinchewadi 416503, Maharashtra, India
[b] Dr. Prabhakar Kore Basic Science Research Centre, KLE Academy of Higher Education and Research (KLE University), Belagavi 590010, Karnataka, India
[c] Bharati Vidyapeeth College of Pharmacy, Kolhapur 416013, Maharashtra, India
[d] Department of Pharmacognosy, Goa College of Pharmacy, Goa University, Panaji, Goa 403001, India

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Recent advances in orthogonal analytical techniques for microstructural understanding of inhalable particles: Present status and future perspective

Prakash Jadhav [a], Pramod Patil [b], Durgacharan Bhagwat [c], Vinay Gaikwad [d], Piyush Pradeep Mehta [e]

[a] Department of Pharmaceutics, Arvind Gavali College of Pharmacy, Satara, Shivaji University, Maharashtra, India

[b] Department of Quality Assurance, Rajarambapu College of Pharmacy, Sangli, Shivaji University, Maharashtra, India

[c] Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Shivaji University, Maharashtra, India

[d] Department of Pharmaceutics, Vidya Niketan College of Pharmacy, Indapur, Solapur University, Maharashtra, India

[e] Pharmaceutical Technology Center, Department of Aerosol, Cadila Healthcare Ltd., Ahmedabad, Gujarat, India

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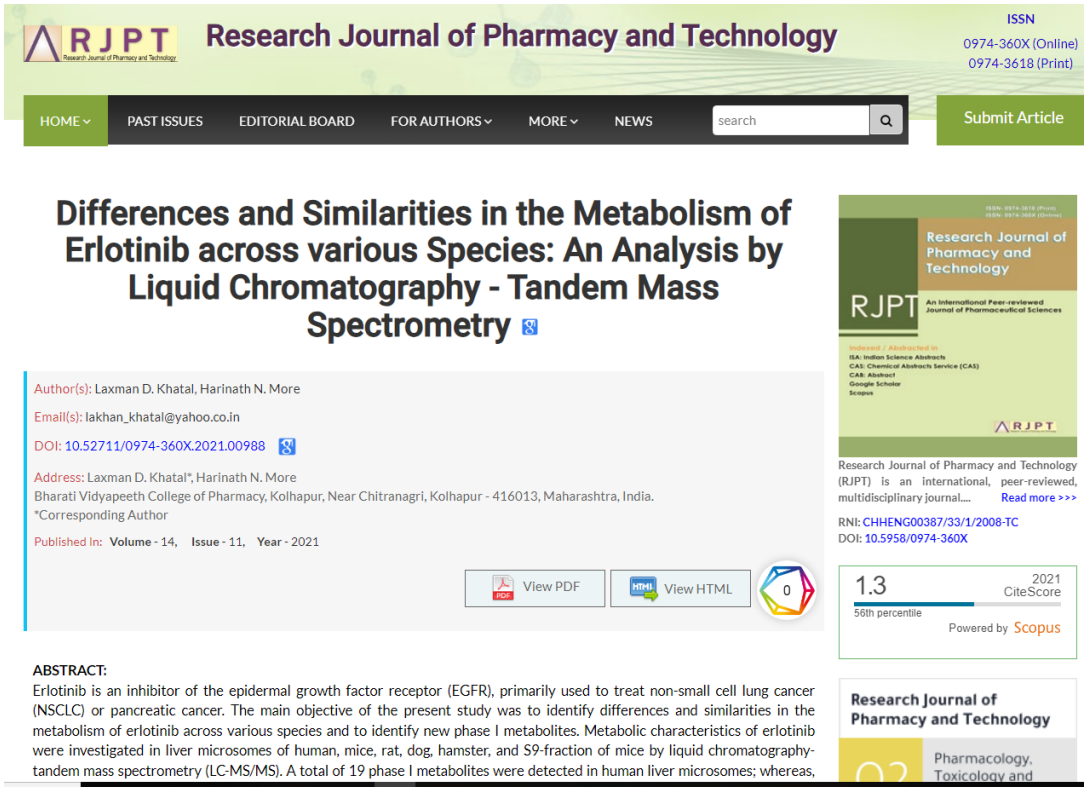

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Differences and Similarities in the Metabolism of Erlotinib across various Species: An Analysis by Liquid Chromatography - Tandem Mass Spectrometry

Author(s): Laxman D. Khatal, Harinath N. More

Email(s): lakhan_khatal@yahoo.co.in

DOI: 10.52711/0974-360X.2021.00988

Address: Laxman D. Khatal*, Harinath N. More
Bharati Vidyapeeth College of Pharmacy, Kolhapur, Near Chitranagri, Kolhapur - 416013, Maharashtra, India.
*Corresponding Author

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ABSTRACT:
Erlotinib is an inhibitor of the epidermal growth factor receptor (EGFR), primarily used to treat non-small cell lung cancer (NSCLC) or pancreatic cancer. The main objective of the present study was to identify differences and similarities in the metabolism of erlotinib across various species and to identify new phase I metabolites. Metabolic characteristics of erlotinib were investigated in liver microsomes of human, mice, rat, dog, hamster, and S9-fraction of mice by liquid chromatography-tandem mass spectrometry (LC-MS/MS). A total of 19 phase I metabolites were detected in human liver microsomes; whereas,

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70. Engineered atenolol-glycoconjugates to target H9c2 cardiomyocyte cell lines

Acta Innovations • 2022• no. 43: 36-43 • 36

ENGINEERED ATENOLOL-GLYCOCONJUGATES TO TARGET H9C2 CARDIOMYOCYTE CELL LINES

Smita Tukaram Kumbha *
Department of Pharmaceutical Chemistry, DSTS Mandal's College of Pharmacy
Solapur, India, smitakumbhar@gmail.com
https://orcid.org/0000-0002-3030-9477

Manish Sudesh Bhatia
Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy
Kolhapur, India; drmsb1369@gmail.com

Shitalkumar Shivgonda Patil
Department of Pharmaceutics, Ashokrao Mane College of Pharmacy
Peth Vadgaon, India; shitalkumarpatil@yahoo.co.in

Article history: Received 11 January 2022, Received in revised form 13 January 2022, Accepted 14 February 2022, Available online 14 February 2022.

Abstract
Background: One of the most important fields of biomedical engineering study nowadays is targeted drug delivery to specific cells. A drug's therapeutic efficacy can be improved and optimised by tightly targeting it to a pathophysiologically essential tissue architecture. The goal of this research is to develop saccharide conjugates for the targeted delivery of Atenolol, a -blocker.
Methods: Galactose (monosaccharide), pectin (polysaccharide), and chitosan were chosen as the saccharides (polysaccharide). By grafting Atenolol with the modified saccharides, the conjugates were created. Spectroscopic and thermal studies were used to describe the chemically changed saccharides conjugates. H9c2 cell lines were used to conduct drug release research and cellular uptake studies. To investigate cytotoxicity, a brine shrimp lethality test was done.
Results: The outcomes exhibit that Atenolol-modified saccharide conjugates can productively convey the medication to the target.
Conclusion: It can be inferred that the improvement of saccharide-drug conjugates can be a compelling methodology for targeting cardiovascular medication.

Keywords
glycoconjugates; atenolol; targeting

Introduction
The capacity to target a medicine to specific cells can boost its therapeutic efficacy dramatically. Adequate drug dosages delivered to specific areas increase therapeutic outcomes wherever they are needed and hence reduce side effects, potentially resulting in a large reduction in side effects [1–3]. The drug targeting concept, according to Martinez, is frequently related with the utilisation of carrier systems, which can possibly deliver medicines, imaging agents, or therapeutic genes selectively to the site of action.

Natural-source oligosaccharide and polysaccharide polymers are non-toxic, biocompatible, and biodegradable. Other biopolymers, such as lipids and proteins, are less thermally stable than polysaccharides [4,5]. According to Sabyasachi [6] integrating the therapeutic agent within a chemically modified polymeric matrix may help to protect the physiologically active component from degradation, improve absorption, control drug release, improve therapeutic efficacy, and reduce administration frequency. Chemical grafting is a method of connecting one or more species of blocks to the main chain as a side chain, resulting in macromolecular copolymers with different

https://doi.org/10.32933/ActaInnovations.43.3 • ISSN 2300-5599 •

71. Crystallinity modulated silk fibroin electrospun nanofibers based floating scaffold as a candidate for controlled release of felodipine

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Abstract

Floating gastro-retentive delivery approach provides a significant pathway for controlled release of drug with increase gastric residence. In this study, we report crystallinity modulated electrospun silk fibroin nanofibers (SF-NFs) floating scaffolds for the controlled release of felodipine (FD). The alteration in the crystallinity behavior due to changes in the structural conformation of SF helps to customize the release kinetics of FD-loaded SF-NFs scaffolds. Additionally, FD-loaded SF scaffolds system having a density less than the acidic gastric fluid explore as a new tactic for floating drug delivery system. The prepared FD-loaded SF nanofibers (FD-loaded SF-NFs) were characterized by spectral, thermal, and diffractometric techniques, scanning electron microscopy, floating profile, *in-vitro* degradation, mucoadhesion, and *in-vitro* dissolution studies, etc. The optimized batch had the least porosity and swelling, was annealed with ethanol and water for

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Applied Organometallic Chemistry

RESEARCH ARTICLE

Ficus benghalensis leaf extract in biosynthesis of Fe_3O_4 for Fe_3O_4@Ag-S-CH_2-COOH: A novel catalyst for synthesis of new 3,4-dihydropyrimidin-2(1*H*)-ones and their anticancer evaluation

Rutikesh Gurav, Akshay Gurav, Sunita Salunkhe-Gawali, Sushilkumar Jadhav, Prafulla Choudhari, Sandeep Sankpal, Shankar Hangirgekar

First published: 09 January 2022 | https://doi.org/10.1002/aoc.6547 | Citations: 1

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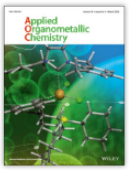

Volume 36, Issue 3
March 2022
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Abstract

The current manuscript elucidates *Ficus benghalensis* (F.B.) leaf extract-mediated green synthesis of Fe_3O_4 nanoparticles as magnetic support for the synthesis of novel Fe_3O_4@Ag-S-CH_2-COOH magnetic nanocomposite. The structure of Fe_3O_4@Ag-S-CH_2-COOH is confirmed by various characterization techniques such as FT-IR, XRD, SEM, HR-TEM, BET, and VSM analyses. Catalytic potential of Fe_3O_4@Ag-S-CH_2-COOH was tested for the syntheses of novel 3,4-dihydropyrimidin-2(1*H*)-ones through one-pot Biginelli reaction of aryl aldehydes, urea, and avobenzone. The Fe_3O_4@Ag-S-CH_2-COOH exhibited outstanding catalytic activity towards Biginelli reaction and could be easily separated from the reaction mixture by an external magnet. Interestingly, catalyst could be recycled for four successive

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73. In silico exploration of binding potentials of anti SARS-CoV-1 phytochemicals against main protease of SARS-CoV-2

Journal of Saudi Chemical Society
Volume 26, Issue 3, May 2022, 101453

Original article

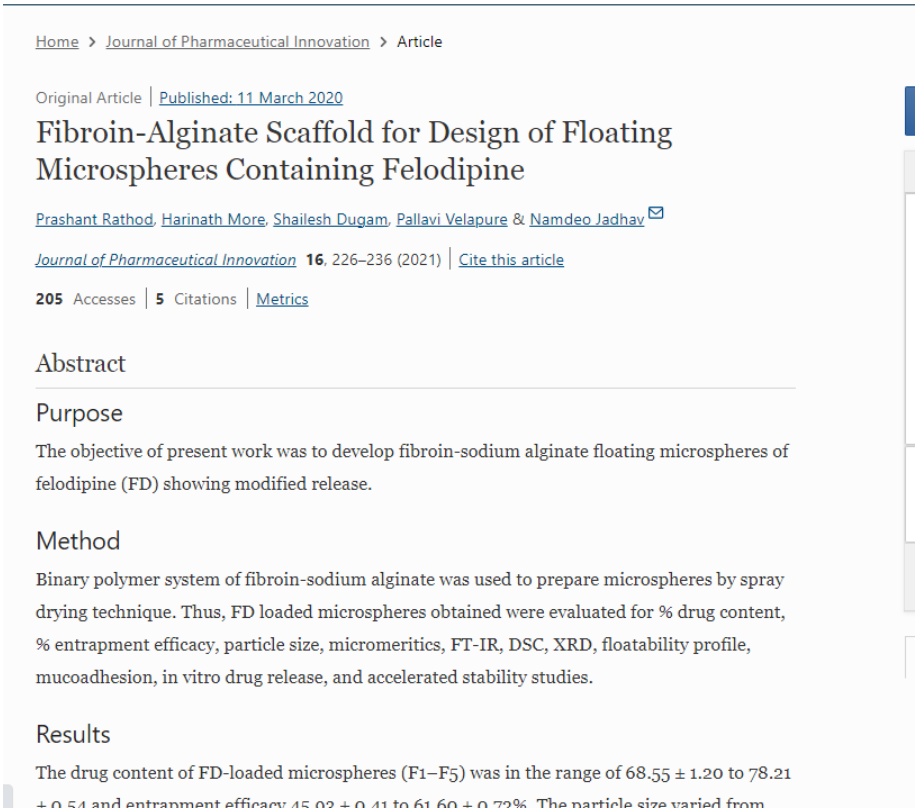
In silico exploration of binding potentials of anti SARS-CoV-1 phytochemicals against main protease of SARS-CoV-2

Abdullah G. Al-Sehemi [a b], Mehboobali Pannipara [a b], Rishikesh S. Parulekar [c], Jaydeo T. Kilbile [d], Prafulla B. Choudhari [c], Mubarak H. Shaikh [e]

[a] Research Center for Advanced Materials Science, King Khalid University, Abha, Saudi Arabia
[b] Department of Chemistry, King Khalid University, Abha, Saudi Arabia
[c] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur 416 013, India
[d] Department of Basic and Applied Sciences, MGM University, Aurangabad 431 001, India
[e] Departrment of Chemistry, Radhabai Kale Mahila Mahavidyalaya, Ahmednagar 414 001 India

Received 25 January 2022, Revised 5 March 2022, Accepted 6 March 2022, Available online 11 March 2022, Version of Record 23 March 2022.

74. Fibroin-alginate scaffold for design of floating microspheres containing felodipine



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Fibroin-Alginate Scaffold for Design of Floating Microspheres Containing Felodipine

Prashant Rathod, Harinath More, Shailesh Dugam, Pallavi Velapure & Namdeo Jadhav

Journal of Pharmaceutical Innovation **16**, 226–236 (2021) | Cite this article

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Abstract

Purpose

The objective of present work was to develop fibroin-sodium alginate floating microspheres of felodipine (FD) showing modified release.

Method

Binary polymer system of fibroin-sodium alginate was used to prepare microspheres by spray drying technique. Thus, FD loaded microspheres obtained were evaluated for % drug content, % entrapment efficacy, particle size, micromeritics, FT-IR, DSC, XRD, floatability profile, mucoadhesion, in vitro drug release, and accelerated stability studies.

Results

The drug content of FD-loaded microspheres (F1–F5) was in the range of 68.55 ± 1.20 to 78.21 ± 0.54 and entrapment efficacy 45.93 ± 0.41 to 61.60 ± 0.72%. The particle size varied from

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75. Design, development, in silico and in vitro characterization of Docetaxel-loaded TPGS/Pluronic F 108 mixed micelles for improved cancer treatment

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Volume 65, October 2021, 102685

Design, development, *in silico* and *in vitro* characterization of Docetaxel-loaded TPGS/ Pluronic F 108 mixed micelles for improved cancer treatment

Kiran S. Patil [a], Ashok A. Hajare [a], Arehalli S. Manjappa [b], Harinath N. More [c], John I. Disouza [b]

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Abstract

Background

Docetaxel (DTX) has been used to treat numerous types of cancers. It's poor solubility, serious side effects, and multi-drug resistance (MDR) limits its use in cancer treatment. As mixed micelles (MMs) can be developed easily to improve the pharmacokinetics and dynamics of DTX, the current study was aimed to develop DTX-loaded MMs and investigate their anticancer effects alone and in combination with Verapamil (VPM) to repurpose in ovarian cancer.

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76. Synthesis, characterization, in silico analysis, and pharmacological evaluation of metoprolol-modified saccharide conjugates for cardiovascular targeting

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Original Article | Published: 28 July 2021

Synthesis, Characterization, In Silico Analysis, and Pharmacological Evaluation of Metoprolol-Modified Saccharide Conjugates for Cardiovascular Targeting

Smita Tukaram Kumbhar, Shitalkumar Shivgonda Patil & Manish Sudesh Bhatia

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Abstract

Targeted drug delivery to selective cell has emerged as one of the most significant areas of biomedical engineering research today, so to optimize the therapeutic efficacy of a drug by localizing strictly its pharmacological action to a pathophysiologically relevant tissue system. The current study is aimed to develop saccharide conjugates for targeted delivery of metoprolol, the cardio-selective β-blocker. The examination was done in two significant steps. The initial step includes synthesis of modified saccharides (MS). These MS were used for synthesis of metoprolol-modified saccharide conjugates (MET-MS). The chemical modification of saccharides was evaluated for its swellability and HLB followed by FTIR and DSC. The affirmation of conjugate synthesis was finished by melting point and TLC as primary parameters followed by HR-MS, FTIR, DSC, and [1] H NMR study. Drug release analysis and cellular uptake study examination were completed utilizing Hoc2 cell lines. Brine shrimp

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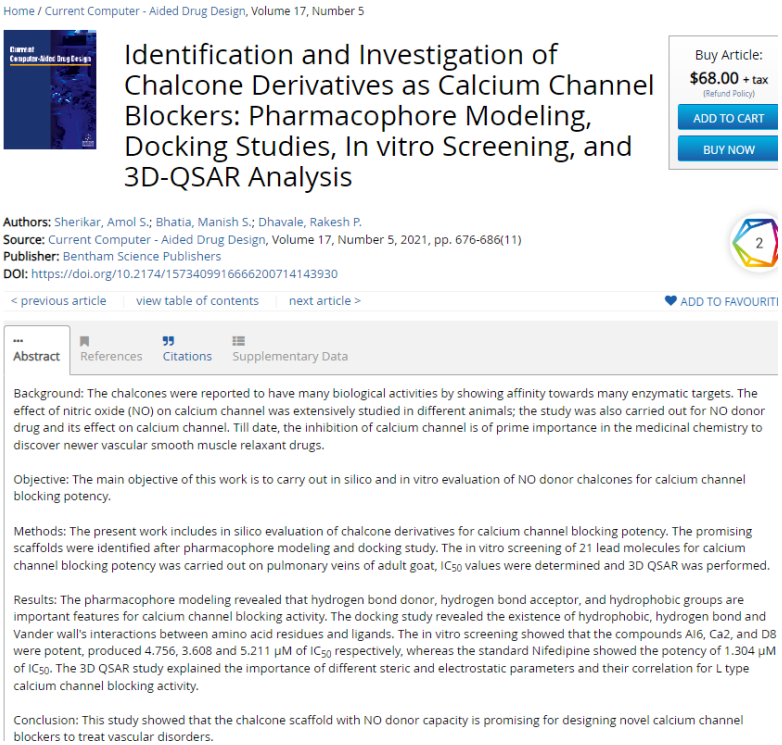
77. Identification and Investigation of Chalcone Derivatives as Calcium Channel Blockers: Pharmacophore Modeling, Docking Studies, In vitro Screening, and 3D-QSAR Analysis



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Identification and Investigation of Chalcone Derivatives as Calcium Channel Blockers: Pharmacophore Modeling, Docking Studies, In vitro Screening, and 3D-QSAR Analysis

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Background: The chalcones were reported to have many biological activities by showing affinity towards many enzymatic targets. The effect of nitric oxide (NO) on calcium channel was extensively studied in different animals; the study was also carried out for NO donor drug and its effect on calcium channel. Till date, the inhibition of calcium channel is of prime importance in the medicinal chemistry to discover newer vascular smooth muscle relaxant drugs.

Objective: The main objective of this work is to carry out in silico and in vitro evaluation of NO donor chalcones for calcium channel blocking potency.

Methods: The present work includes in silico evaluation of chalcone derivatives for calcium channel blocking potency. The promising scaffolds were identified after pharmacophore modeling and docking study. The in vitro screening of 21 lead molecules for calcium channel blocking potency was carried out on pulmonary veins of adult goat, IC_{50} values were determined and 3D QSAR was performed.

Results: The pharmacophore modeling revealed that hydrogen bond donor, hydrogen bond acceptor, and hydrophobic groups are important features for calcium channel blocking activity. The docking study revealed the existence of hydrophobic, hydrogen bond and Vander wall's interactions between amino acid residues and ligands. The in vitro screening showed that the compounds AI6, Ca2, and D8 were potent, produced 4.756, 3.608 and 5.211 μM of IC_{50} respectively, whereas the standard Nifedipine showed the potency of 1.304 μM of IC_{50}. The 3D QSAR study explained the importance of different steric and electrostatic parameters and their correlation for L type calcium channel blocking activity.

Conclusion: This study showed that the chalcone scaffold with NO donor capacity is promising for designing novel calcium channel blockers to treat vascular disorders.

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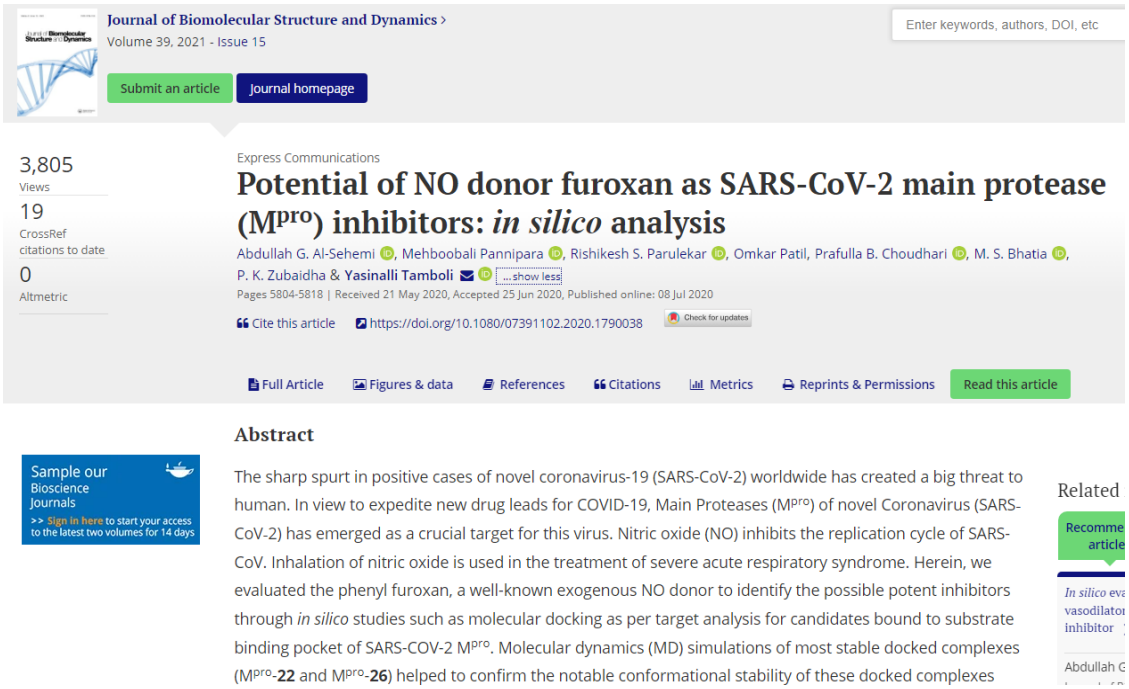
78. Potential of NO donor furoxan as SARS-CoV-2 main protease (Mpro) inhibitors: *in silico* analysis



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Potential of NO donor furoxan as SARS-CoV-2 main protease (M^{pro}) inhibitors: *in silico* analysis

Abdullah G. Al-Sehemi, Mehboobali Pannipara, Rishikesh S. Parulekar, Omkar Patil, Prafulla B. Choudhari, M. S. Bhatia, P. K. Zubaidha & **Yasinalli Tamboli** ...show less

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Abstract

The sharp spurt in positive cases of novel coronavirus-19 (SARS-CoV-2) worldwide has created a big threat to human. In view to expedite new drug leads for COVID-19, Main Proteases (M^{pro}) of novel Coronavirus (SARS-CoV-2) has emerged as a crucial target for this virus. Nitric oxide (NO) inhibits the replication cycle of SARS-CoV. Inhalation of nitric oxide is used in the treatment of severe acute respiratory syndrome. Herein, we evaluated the phenyl furoxan, a well-known exogenous NO donor to identify the possible potent inhibitors through *in silico* studies such as molecular docking as per target analysis for candidates bound to substrate binding pocket of SARS-COV-2 M^{pro}. Molecular dynamics (MD) simulations of most stable docked complexes (M^{pro}-**22** and M^{pro}-**26**) helped to confirm the notable conformational stability of these docked complexes

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79. Quantitative structure property relationship assisted development of Fluocinolone acetonide loaded transfersomes for targeted delivery

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Journal of Drug Delivery Science and Technology
Volume 65, October 2021, 102758

Quantitative structure property relationship assisted development of Fluocinolone acetonide loaded transfersomes for targeted delivery

Rakesh P. Dhavale [a], Sameer J. Nadaf [b], Manish S. Bhatia [a]

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Abstract

Fluocinolone acetonide (FA) is a corticosteroid, synthetic hydrocortisone used to reduce skin inflammation and itching in psoriasis. The present study investigate the formulation of nanotransfersomes containing FA (FA-NTs) using Quantitative Structure Property Relationship (QSPR) and Box–Behnken approach. FA-NTs containing phospholipid, edge activator and cholesterol in definite ratio were prepared by high pressure homogenization and optimized using Box–Behnken design. Based on the QSPR analysis, Phospholipon 90H was selected for nanotransfersome form along with sodium deoxycholate as edge activator. The impact of independent variables Phospholipid concentration (X1), sodium deoxycholate (X2) and number of homogenization cycles

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80. Development of lipoprotein-drug conjugates for targeted drug delivery

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Abstract

Tumour targeting approaches used in cancer chemotherapy offers prolonged, localized, and protected drug interaction with the diseased tissue with minimal side effects and systemic toxicity, which are accountable for the failure of chemotherapy using conventional delivery systems. The purpose of the present study is to develop an anticancer targeted drug delivery system using synthesized lipoproteins with the integration of quality by design approach. Lipoprotein structures were designed, and quality by design approach was implemented to select variables for optimization. Further, the lipoproteins were synthesized and characterized by physicochemical properties. Physical composites of synthesized lipoproteins with the drug (tablets) were prepared and evaluated for post-compression parameters. Moreover, drug-lipoprotein chemical conjugates were synthesized and characterized for physicochemical properties, including cellular

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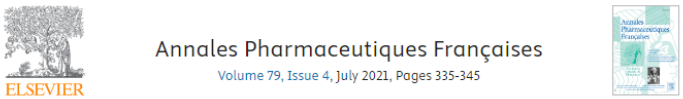
81. Carbon dots: A novel trend in pharmaceutical applications



Annales Pharmaceutiques Françaises
Volume 79, Issue 4, July 2021, Pages 335-345

General review

Carbon dots: A novel trend in pharmaceutical applications

Carbon dots: une nouvelle tendance dans les applications pharmaceutiques

S. Dugam [a], S. Nangare [b], P. Patil [b], N. Jadhav [c]

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Summary

Carbon quantum dots (CQDs, C-dots, or CDs), are generally small carbon nanoparticles having a size less than 10nm. Carbon dots (CDs) were accidentally discovered during the purification of single-walled carbon nanotubes through preparative electrophoresis in 2004. Carbon is an organic material having poor water solubility that emits less fluorescence. However, CDs have good aqueous solubility and excellent fluorescent property, hence more attention has been given to the synthesis of CDs and their applications in chemistry and allied sciences. CDs being easily accessible for in-house synthesis, simpler fabrication as per compendial requirements are wisely accepted. In

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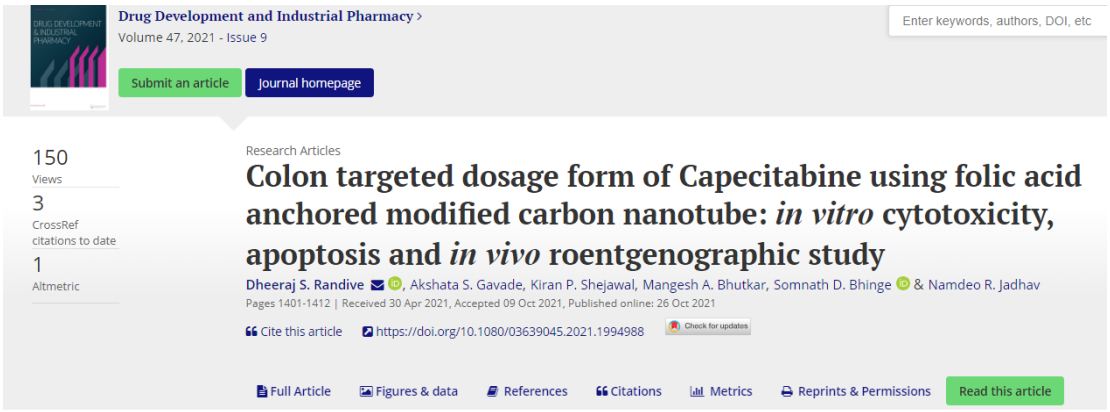
82. Colon targeted dosage form of Capecitabine using folic acid anchored modified carbon nanotube: in vitro cytotoxicity, apoptosis and in vivo roentgenographic study



Drug Development and Industrial Pharmacy ›
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Colon targeted dosage form of Capecitabine using folic acid anchored modified carbon nanotube: *in vitro* cytotoxicity, apoptosis and *in vivo* roentgenographic study

Dheeraj S. Randive, Akshata S. Gavade, Kiran P. Shejawal, Mangesh A. Bhutkar, Somnath D. Bhinge & Namdeo R. Jadhav

Pages 1401-1412 | Received 30 Apr 2021, Accepted 09 Oct 2021, Published online: 26 Oct 2021

Cite this article | https://doi.org/10.1080/03639045.2021.1994988 | Check for updates

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Abstract

Objective

Development of dosage form comprising of Capecitabine loaded carbon nanotubes for its targeted delivery to the colon.

Method

Single walled carbon nanotubes (SWCNT) were functionalized by -COOH and Chitosan along with Folic acid. Capecitabine was loaded in these SWCNT's, and the system was analyzed by FTIR, SEM and Raman

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83. Surface architectured metal organic frameworks-based biosensor for ultrasensitive detection of uric acid: Recent advancement and future perspectives

Microchemical Journal
Volume 169, October 2021, 106567

Review Article

Surface architectured metal organic frameworks-based biosensor for ultrasensitive detection of uric acid: Recent advancement and future perspectives

Sopan N. Nangare [a 1], Premnath M. Sangale [a 1], Ashwini G. Patil [b], Sai HS. Boddu [c], Prashant K. Deshmukh [d], Namdeo R. Jadhav [e], Rahul S. Tade [e], Dilip R. Patil [f], Abhijeet Pandey [g], Srinivas Mutalik [g], Jayvadan K. Patel [h], Arun M. Patil [f], Sanjaykumar B. Bari [a], Pravin O. Patil [a]

[a] Department of Pharmaceutical Chemistry, H. R. Patel Institute of Pharmaceutical Education and Research, Shirpur, Dist: Dhule, Maharashtra 425405, India
[b] Department of Microbiology, R. C. Patel Arts, Science, and Commerce College, Shirpur, Dist: Dhule, Maharashtra 425405, India
[c] Department of Pharmaceutical Sciences, College of Pharmacy and Health Sciences, Ajman University, Ajman, UAE and Center of Medical and Bio-allied Health Sciences Research, Ajman University, Ajman P.O. Box 346, United Arab Emirates
[d] Department of Pharmaceutics, Dr. Rajendra Gode College of Pharmacy, Malkapur, Dist-Buldhana, Maharashtra 425405, India
[e] Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra 416013, India
[f] Department of Physics, R. C. Patel Arts, Science, and Commerce College, Shirpur, Dist: Dhule, Maharashtra 425405, India
[g] Department of Pharmaceutics, Manipal College of Pharmaceutical Sciences, Manipal Academy of Higher Education, Manipal, Karnataka, India
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84. Ionic liquids: Formulation avenues, drug delivery and therapeutic updates

Journal of Drug Delivery Science and Technology
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Ionic liquids: Formulation avenues, drug delivery and therapeutic updates

Namdeo R. Jadhav, Shatavari P. Bhosale, Shraddha S. Bhosale, Snehal D. Mali, Pranil B. Toraskar, Triveni S. Kadam

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Abstract

Background

Numerous challenges are faced during formulation and biopharmaceutics of newly developed drug molecules due to polymorphic conversion, poor bioavailability, stability issues, etc. The condition gets further worsened due to poor solubility or slight solubility of drugs. Thus, the formation of efficient drugs and their incorporation in the delivery system cripples efficient dosage form design. Hence, need has been felt to use novel solvents/devise strategy, which can improve biopharmaceutical performance of drug, take a drug to the molecular level in vivo, that with maintaining biocompatibility, and no toxicity.

Purpose

85. Development and Evaluation of Lyophilized Methotrexate Nanosuspension using Quality by Design Approach

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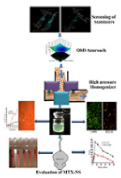
Development and Evaluation of Lyophilized Methotrexate Nanosuspension using Quality by Design Approach

Trupti Ashok Powar
Department of Pharmaceutics, Smt. Kashibai Navale College of Pharmacy, Kondhwa, Pune (Maharashtra) 411048, India.

Ashok Ananda Hajare
Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur (Maharashtra) 416013, India

Ravindra Jarag
Department of Pharmacology, Bharati Vidyapeeth College of Pharmacy, Kolhapur (Maharashtra) 416013, India

Sopan Nangare
Department of Pharmaceutical Chemistry, H. R. Patel Institute of Pharmaceutical Education and Research, Shirpur (Maharashtra) 425405, India.



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86. Evaluation of in vitro antioxidant, anticancer activities and molecular docking studies of Capparis zeylanica Linn. leaves

Warake et al. Future Journal of Pharmaceutical Sciences (2021) 7:76
https://doi.org/10.1186/s43094-021-00218-2

Future Journal of Pharmaceutical Sciences

RESEARCH Open Access

Evaluation of in vitro antioxidant, anticancer activities and molecular docking studies of *Capparis zeylanica* Linn. leaves

Ruturaj A. Warake[1*], Ravindra J. Jarag[2], Rakesh P. Dhavale[1], Rekha R. Jarag[3] and Nikhil S. Lohar[1]

Abstract

Background: *Capparis zeylanica* Linn. leaf extract was subjected to phytochemical screening for the determination of antioxidant and anticancer activity on (MCF-7) human breast cancer cells. The phytoconstituents previously determined were subjected to molecular docking studies against human epidermal growth factor receptor 2 (HER2) protein as a target receptor to support antioxidant and anticancer activities.

Results: Powdered plant leaves were extracted by maceration method using ethyl acetate, chloroform, methanol, ethanol and distilled water. Preliminary phytochemical evaluation and total phenolic and flavonoid content of the extract were evaluated using biochemical tests. Total antioxidant capacity of the extract was evaluated using different assays. Anticancer potential of methanolic and ethanolic extracts was studied on human breast cancer cells. Molecular docking studies were performed to evaluate the binding interactions of phytoconstituents on HER2 protein using AutoDock Vina.

Phytochemical evaluation confirmed the presence of saponins, flavonoids, tannins, phenols, carbohydrates and proteins. Ethanolic extract showed a maximum total phenolic and flavonoid content in support with antioxidant and anticancer activities. The ethanolic leaf extract showed 66.63% cell growth inhibition against MCF-7 cells. Molecular docking studies revealed the highest binding affinity (− 8.4 Kcal/mol) of α-amyrin followed by quercetin and β-carotene. Glucocapparin, syringic acid, vanillic acid and p-coumaric acid showed almost a similar binding affinity to the amino acid residues of HER2 protein as compared to 5-FU.

Conclusion: *C. zeylanica* leaf extract showed the presence of phenolic and flavonoid constituents responsible for antioxidant and in vitro anticancer activities. Molecular docking studies showed the binding affinity of phytoconstituents on targeted HER2 protein.

Keywords: In vitro anticancer, *Capparis zeylanica*, HER2 protein, Flavonoids, Phenolics, MCF-7 cells

Background

Cancer is recognized as a leading cause of death. Many cancer treatments such as chemotherapy, surgery and radiotherapy are available to treat cancer, although severe side effects remain a concern. Cancer is usually associated with accumulation of mass of cells resulted from poor signal transduction across pathways due to overexpression of epidermal growth factor receptors. Breast cancer generally occurs in women and rarely in men. As per Globocan 2018, breast cancer stands second of all cancers for a cause of death. The international agency for research on cancer released the estimates in 2018 on the global burden of cancer. The global burden was raised to 18.1 million new cases and 9.6 million deaths in 2018 [1]. In India, more than 11.5 million cases were detected with all types of cancers. Out of which, 14% deaths were associated with breast cancer. Since then, newer techniques in detection and treatments were

* Correspondence: ruturajwarake47@gmail.com
[1]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra 416 013, India
Full list of author information is available at the end of the article

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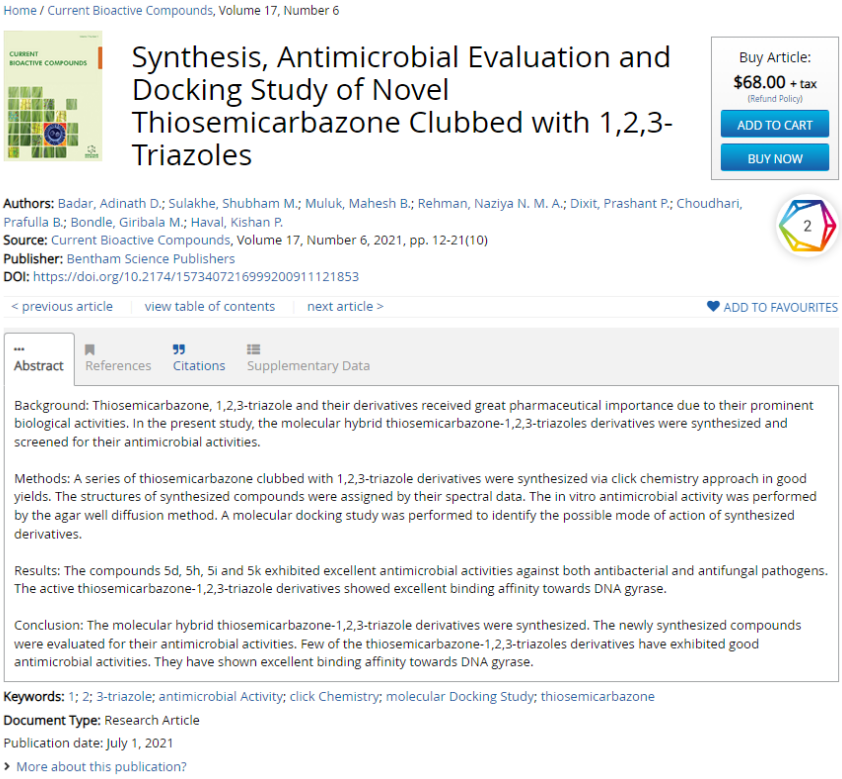
87. Synthesis, Antimicrobial Evaluation and Docking Study of Novel Thiosemicarbazone Clubbed with 1, 2, 3-Triazoles



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Synthesis, Antimicrobial Evaluation and Docking Study of Novel Thiosemicarbazone Clubbed with 1,2,3-Triazoles

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Source: Current Bioactive Compounds, Volume 17, Number 6, 2021, pp. 12-21(10)
Publisher: Bentham Science Publishers
DOI: https://doi.org/10.2174/1573407216999200911121853

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Abstract | References | Citations | Supplementary Data

Background: Thiosemicarbazone, 1,2,3-triazole and their derivatives received great pharmaceutical importance due to their prominent biological activities. In the present study, the molecular hybrid thiosemicarbazone-1,2,3-triazoles derivatives were synthesized and screened for their antimicrobial activities.

Methods: A series of thiosemicarbazone clubbed with 1,2,3-triazole derivatives were synthesized via click chemistry approach in good yields. The structures of synthesized compounds were assigned by their spectral data. The in vitro antimicrobial activity was performed by the agar well diffusion method. A molecular docking study was performed to identify the possible mode of action of synthesized derivatives.

Results: The compounds 5d, 5h, 5i and 5k exhibited excellent antimicrobial activities against both antibacterial and antifungal pathogens. The active thiosemicarbazone-1,2,3-triazole derivatives showed excellent binding affinity towards DNA gyrase.

Conclusion: The molecular hybrid thiosemicarbazone-1,2,3-triazole derivatives were synthesized. The newly synthesized compounds were evaluated for their antimicrobial activities. Few of the thiosemicarbazone-1,2,3-triazoles derivatives have exhibited good antimicrobial activities. They have shown excellent binding affinity towards DNA gyrase.

Keywords: 1; 2; 3-triazole; antimicrobial Activity; click Chemistry; molecular Docking Study; thiosemicarbazone
Document Type: Research Article
Publication date: July 1, 2021
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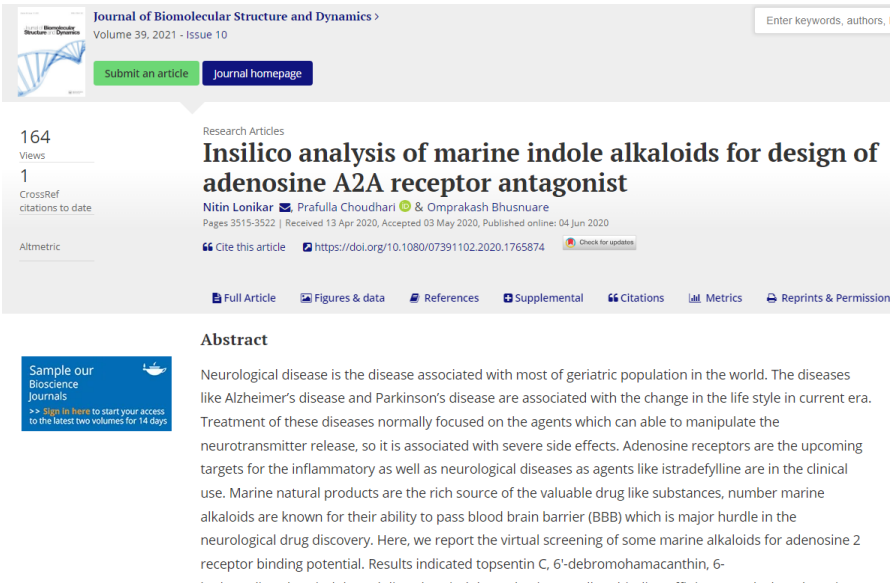
88. *Insilico* analysis of marine indole alkaloids for design of adenosine A2A receptor antagonist



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Insilico analysis of marine indole alkaloids for design of adenosine A2A receptor antagonist

Nitin Lonikar, Prafulla Choudhari & Omprakash Bhusnuare

Pages 3515-3522 | Received 13 Apr 2020, Accepted 03 May 2020, Published online: 04 Jun 2020

Cite this article | https://doi.org/10.1080/07391102.2020.1765874 | Check for updates

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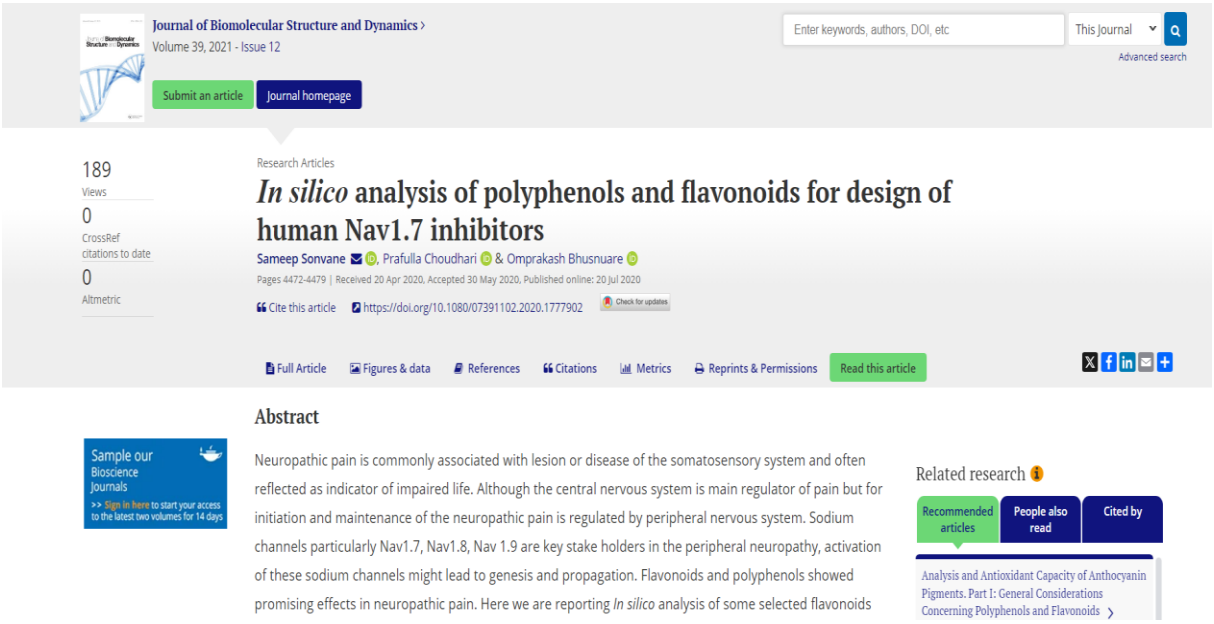
Abstract

Neurological disease is the disease associated with most of geriatric population in the world. The diseases like Alzheimer's disease and Parkinson's disease are associated with the change in the life style in current era. Treatment of these diseases normally focused on the agents which can able to manipulate the neurotransmitter release, so it is associated with severe side effects. Adenosine receptors are the upcoming targets for the inflammatory as well as neurological diseases as agents like istradefylline are in the clinical use. Marine natural products are the rich source of the valuable drug like substances, number marine alkaloids are known for their ability to pass blood brain barrier (BBB) which is major hurdle in the neurological drug discovery. Here, we report the virtual screening of some marine alkaloids for adenosine 2 receptor binding potential. Results indicated topsentin C, 6'-debromohamacanthin, 6-

89. In silico analysis of polyphenols and flavonoids for design of human Nav1.7 inhibitors



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Research Articles

In silico analysis of polyphenols and flavonoids for design of human Nav1.7 inhibitors

Sameep Sonvane, Prafulla Choudhari & Omprakash Bhusnuare

Pages 4472-4479 | Received 20 Apr 2020, Accepted 30 May 2020, Published online: 20 Jul 2020

Cite this article | https://doi.org/10.1080/07391102.2020.1777902 | Check for updates

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Abstract

Neuropathic pain is commonly associated with lesion or disease of the somatosensory system and often reflected as indicator of impaired life. Although the central nervous system is main regulator of pain but for initiation and maintenance of the neuropathic pain is regulated by peripheral nervous system. Sodium channels particularly Nav1.7, Nav1.8, Nav 1.9 are key stake holders in the peripheral neuropathy, activation of these sodium channels might lead to genesis and propagation. Flavonoids and polyphenols showed promising effects in neuropathic pain. Here we are reporting *In silico* analysis of some selected flavonoids

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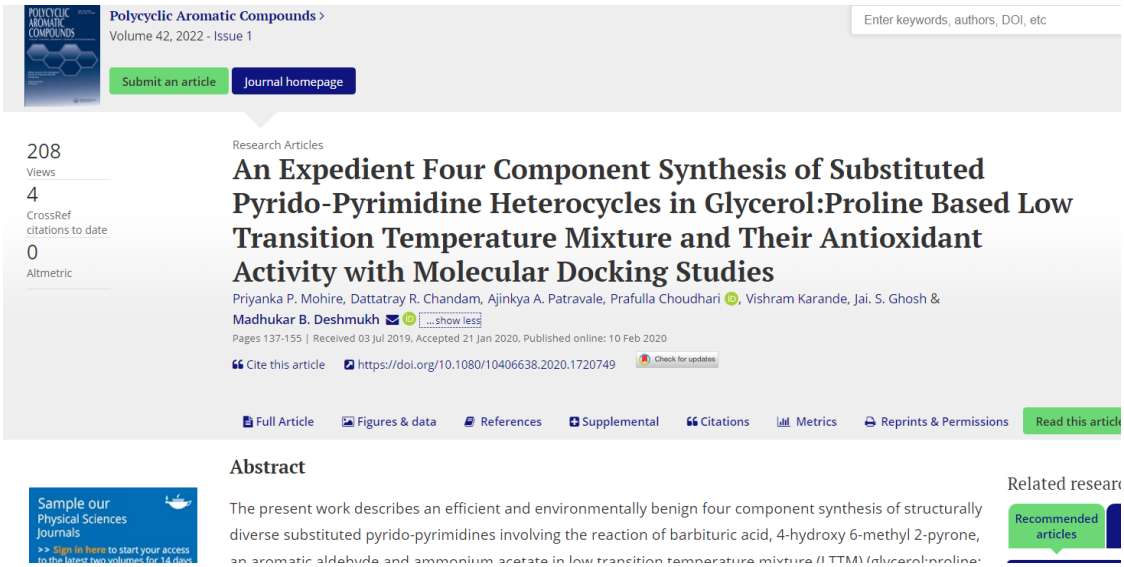
90. An expedient four component synthesis of substituted pyrido-pyrimidine heterocycles in glycerol: proline based low transition temperature mixture and their antioxidant activity



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An Expedient Four Component Synthesis of Substituted Pyrido-Pyrimidine Heterocycles in Glycerol:Proline Based Low Transition Temperature Mixture and Their Antioxidant Activity with Molecular Docking Studies

Priyanka P. Mohire, Dattatray R. Chandam, Ajinkya A. Patravale, Prafulla Choudhari, Vishram Karande, Jai. S. Ghosh & Madhukar B. Deshmukh ...show less

Pages 137-155 | Received 03 Jul 2019, Accepted 21 Jan 2020, Published online: 10 Feb 2020

Cite this article | https://doi.org/10.1080/10406638.2020.1720749

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Abstract

The present work describes an efficient and environmentally benign four component synthesis of structurally diverse substituted pyrido-pyrimidines involving the reaction of barbituric acid, 4-hydroxy 6-methyl 2-pyrone, an aromatic aldehyde and ammonium acetate in low transition temperature mixture (LTTM) (glycerol:proline;

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91. Characterization of camptothecin by analytical methods and determination of anticancer potential against prostate cancer

Galatage et al. Future Journal of Pharmaceutical Sciences (2021) 7:104
https://doi.org/10.1186/s43094-021-00236-0

Future Journal of Pharmaceutical Sciences

RESEARCH Open Access

Characterization of camptothecin by analytical methods and determination of anticancer potential against prostate cancer

Sunil T. Galatage[1*], Rahul Trivedi[2] and Durgacharan A. Bhagwat[3]

Abstract

Background: Objective of present research work is to develop and validate cost-effective analytical tool for determination of camptothecin (CPT) and determine its anticancer potential against prostate cancer LNCaP cell lines. Structural elucidation has been performed by mass spectrometry, Fourier transform infrared spectroscopy, nuclear magnetic resonance spectroscopy, and MTT assay utilized for in vitro cytotoxicity where spectrometric method was used for estimation of camptothecin.

Results: Mass spectra showed peak at 349.2 which matches to standard molecular weight of camptothecin. FTIR and NMR spectra conformed functional moieties and structure of isolated camptothecin which was nearly equal to values mentioned in standard structure of camptothecin. IC_{50} values of CPT against LNCaP cell lines was found to be 3.561 µg/ml. Lambda max of CPT was found to be at 225 nm and calibration curve found to be linear over the concentration range from 2 to 70 µg/ml of camptothecin. Developed method was found to be linear, accurate, and precise. LOD and LOQ were found to be 0.0524 µg/ml and 0.1614 µg/ml, respectively. Developed method has % relative standard deviation less than one which is reproducible hence % recovery was found to be 99.80%.

Conclusions: FTIR, NMR, and mass spectrometry results conforms isolated compound was camptothecin; cytotoxicity study proves it has strong potential in treatment of prostate carcinoma as competent alternative to chemotherapy in the form of herbal medicine and the developed UV method proves to be valid, sensitive, and applicable for rapid, accurate, precise, and economical determination of camptothecin.

Keywords: Camptothecin, Mass spectrometry, NMR, LNCaP, Anticancer, Accuracy, Precision

Background

Currently, the world is facing high threat of rapid rise of global cancer, and patients suffering from it badly needed complete cure from cancer [1]. According to globocan, there will be 9.6 million deaths and 18.1 million new cancer patients in 2018 worldwide. Among this, lung cancer is leading cause of mortality and diagnosed about 11.6% out of total cases. Lung cancer is highest in causing cancer deaths 18.4% of total carcinoma a death which is closely followed by breast cancer 11.6% and prostate cancer 7.1% for mortality. In men, lung cancer is leading cause of mortality which is subsequently followed by prostate, colorectal, liver, and stomach cancer [2]. Most frequently, surgery, radiation, and chemotherapy have been utilized to cure the carcinoma but it has ample of toxic and adverse effects. From ancient era, wide variety of drugs from natural herbal origin is available which prevent occurrence and cure of cancer [3]. Currently, the demand for herbal-based phytoconstituents is at peak due to its safety, efficacy, and limited side effects in treatment of cancer [4]. Phytoconstituents have unique multimolecular mode of action which potentially responsible to cure cancer

* Correspondence: gsunil201288@gmail.com
[1]Research Scholar B.R. Nahata College of Pharmacy, Department of Pharmacy, Mandsaur University, Mandsaur, Madhya Pradesh 458001, India
Full list of author information is available at the end of the article

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92. 1, 2-Dihexadecanoyl-sn-glycero-3-phosphoethanolamin (DPPE), doxorubicin and folic acid conjugated micelles for cancer management in tumor bearing BALB/c mice

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Bioorganic & Medicinal Chemistry Letters
Volume 50, 15 October 2021, 128337

1, 2-Dihexadecanoyl-sn-glycero-3-phosphoethanolamin (DPPE), doxorubicin and folic acid conjugated micelles for cancer management in tumor bearing BALB/c mice

Pravin S. Uttekar [a], Vishal D. Yadav [b], Durgacharan A. Bhagwat [c]

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Abstract

Aim of the present investigation was to assess and compare the *in-vitro* and *in-vivo* cancer targeting propensity of DPPE–FA–DOX Micelles and free DOX in tumor bearing BALB/c mice. The DOX was conjugated with 1, 2-Dihexadecanoyl-sn-glycero-3-phosphoethanolamin (DPPE) and folic acid using Di-cyclohexyl-carbodiimide, confirmed by Fourier transform infrared spectroscopy (FTIR) and proton NMR. DPPE–FA–DOX micelles were prepared using thin film method and evaluated for zeta potential, particle size, surface morphology, in- *vitro* drug release study etc. *In-vitro* anticancer activity and apoptosis assay was evaluated in breast cancer (MCF-7) cells using MTT assay and flow cytometer respectively. *In-vivo* biodistribution and toxicity assessment were evaluated in

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93. Capsaicin loaded solid SNEDDS for enhanced bioavailability and anticancer activity: in-vitro, in-silico, and in-vivo characterization

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Journal of Pharmaceutical Sciences
Volume 110, Issue 1, January 2021, Pages 280-291

Research Article
Pharmaceutical Nanotechnology

Capsaicin Loaded Solid SNEDDS for Enhanced Bioavailability and Anticancer Activity: *In-Vitro, In-Silico,* and *In-Vivo* Characterization

Durgacharan A. Bhagwat [a], Pratik A. Swami [a], Sameer J. Nadaf [b], Prafulla B. Choudhari [a], Vijay M. Kumbar [c], Harinath N. More [a], Suresh G. Killedar [b], Pravin S. Kawtikwar [d]

[a] Bharati Vidyapeeth College of Pharmacy, Kolhapur 416013, Maharashtra, India
[b] Sant Gajanan Maharaj College of Pharmacy, Mahagoan, Site: Chinchewadi 416503, Maharashtra, India
[c] Central Research Laboratory, Maratha Mandal's Nathajirao G. Halgekar Institute of Dental Sciences & Research Centre, Belgavi, 590 010, Karnataka, India
[d] Sudhakarrao Naik Institute of Pharmacy, Pusad 445 204, Maharashtra, India

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94. In vitro screening of Anti-diabetic activity and Anti-inflammatory activity of leaves extract of Barleria gibsoni Dalz

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In vitro screening of Anti-diabetic activity and Anti-inflammatory activity of leaves extract of Barleria gibsoni Dalz

Author(s): Firoj A. Tamboli, Harinath N. More

Email(s): firojtamboli143@gmail.com

DOI: 10.5958/0974-360X.2021.00228.6

Address: Firoj A. Tamboli*, Harinath N. More
Department of Pharmacognosy, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur - 416013 Maharashtra, India.
*Corresponding Author

Published In: Volume - 14, Issue - 3, Year - 2021

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ABSTRACT:
Present study was to investigate antidiabetic and anti-inflammatory activity ethanol extract of leaves of plant Barleria gibsoni Dalz. in-vitro. As per phytochemical investigation the extracts show presence of Flavanoids, tannins and saponins. The ethanolic leaves extract of Barleria gibsoni Dalz with a dose of 10, 20, 30, 40 and 50 µg/ml, was taken for the activity and compared with the standard drug. Egg albumin denaturation and bovine serum fraction model was taken for activity and compared with Aspirin 10-50µg/ml. The samples at concentration (20, 40, 60, 80, 100µg/ml) were studied for antidiabetic effect on glucose transport across yeast cells and a- Amylase inhibition. The ethanol extract of leaves showed that significant result in both anti-

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95. Synthesis, characterization, in silico analysis, and pharmacological evaluation of metoprolol-modified saccharide conjugates for cardiovascular targeting

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Original Article | Published: 28 July 2021

Synthesis, Characterization, In Silico Analysis, and Pharmacological Evaluation of Metoprolol-Modified Saccharide Conjugates for Cardiovascular Targeting

Smita Tukaram Kumbhar, Shitalkumar Shivgonda Patil & Manish Sudesh Bhatia

Journal of Pharmaceutical Innovation **17**, 921–930 (2022) | Cite this article

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Abstract

Targeted drug delivery to selective cell has emerged as one of the most significant areas of biomedical engineering research today, so to optimize the therapeutic efficacy of a drug by localizing strictly its pharmacological action to a pathophysiologically relevant tissue system. The current study is aimed to develop saccharide conjugates for targeted delivery of metoprolol, the cardio-selective β-blocker. The examination was done in two significant steps. The initial step includes synthesis of modified saccharides (MS). These MS were used for synthesis of metoprolol-modified saccharide conjugates (MET-MS). The chemical modification of saccharides was evaluated for its swellability and HLB followed by FTIR and DSC. The affirmation of conjugate synthesis was finished by melting point and TLC as primary parameters followed by HR-MS, FTIR, DSC, and [1] H NMR study. Drug release analysis and cellular uptake study examination were completed utilizing H9c2 cell lines. Brine shrimp

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96. A Review On Basics And Applications Of Modified Carbohydrates In Drug Delivery

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A REVIEW ON BASICS AND APPLICATIONS OF MODIFIED CARBOHYDRATES IN DRUG DELIVERY

Smita T. Kumbhar[a*], Shitalkumar S. Patil[b], Manish S. Bhatia[c] , Yogesh S. Thorata[c]

[a] Department of Pharmaceutical Chemistry, DSTS Mandal's College of Pharmacy, Solapur - 413 004, Maharashtra, India
[b] Department of Pharmaceutics, Ashokrao Mane College of Pharmacy, Peth Vadgaon - 416 112, Maharashtra, India
[c] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur - 416 013, Maharashtra, India
* For Correspondence: E-mail: smitakumbhar@gmail.com

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ABSTRACT

Polysaccharides demonstrate a wide diversity in their structural features as well as physicochemical properties owing to a variety of functional groups, chemical structure and a broad array of molecular mass. The most important feature of modified polysaccharides is their amphiphilic character which allows the application of these conjugates as an emulsifier, modifiers of surface in liposomes and micro/ nanoparticles, viscosity modifiers and drug delivery vehicles. Recently, the lipophilic modification of polysaccharides, which serve as a nano-container for water-insoluble or poorly water-soluble drugs, has gained attention in the biomedical applications due to their ability to form self-assembled nanoparticles. The natural polysaccharides are readily available, stable, biodegradable, economical, safe and biocompatible. It is difficult to synthesize compounds with such diversity in characteristics. In recent decades, many researchers have taken interest in polysaccharides and their derivatives for use in nanoparticulate systems. This review focuses on the chemical modification of mono and polysaccharides and the mechanisms involved in the formation of polysaccharide-based nanoparticles

Year 2021 | Volume No. 58 | Issue No.2 | Page No. 7-17

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97. Computer Assisted Models for Blood Brain Barrier Permeation of 1, 5-Benzodiazepines

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Source: Current Computer - Aided Drug Design, Volume 17, Number 2, 2021, pp. 187-200(14)
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DOI: https://doi.org/10.2174/1573409916666200131114018

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Abstract | References | Citations | Supplementary Data

Aim: To generate and validate predictive models for blood-brain permeation (BBB) of CNS molecules using the QSPR approach.

Background: Prediction of molecules crossing BBB remains a challenge in drug delivery. Predictive models are designed for the evaluation of a set of preclinical drugs which may serve as alternatives for determining BBB permeation by experimentation.

Objective: The objective of the present study was to generate QSPR models for the permeation of CNS molecules across BBB and its validation using existing in-house leads.

Methods: The present study envisaged the determination of the set of molecular descriptors which are considered significant correlative factors for BBB permeation property. Quantitative Structure- Property Relationship (QSPR) approach was followed to describe the correlation between identified descriptors for 45 molecules and highest, moderate and least BBB permeation data. The molecular descriptors were selected based on drug-likeness, hydrophilicity, hydrophobicity, polar surface area, etc. of molecules that served the highest correlation with BBB permeation. The experimental data in terms of log BB were collected from available literature, subjected to 2D-QSPR model generation using a regression analysis method like Multiple Linear Regression (MLR).

Results: The best QSPR model was Model 3, which exhibited regression coefficient as R^2= 0.89, F = 36; Q^2= 0.7805 and properties such as polar surface area, hydrophobic hydrophilic distance, electronegativity, etc., which were considered key parameters in the determination of the BBB permeability. The developed QSPR models were validated with in-house 1,5-benzodiazepines molecules and correlation studies were conducted between experimental and predicted BBB permeability.

Conclusion: The QSPR model 3 showed predictive results that were in good agreements with experimental results for blood-brain permeation. Thus, this model was found to be satisfactory in achieving a good correlation between selected descriptors and BBB permeation for benzodiazepines and tricyclic compounds.

Keywords: Blood-brain barrier; CNS; MLR; QSPR; benzodiazepines; hydrophobic hydrophilic distance

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98. In silico design and pharmacological evaluation of conjugates of atenolol with modified saccharide for cardiovascular targeting

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Original Article | Published: 09 March 2021

In silico design and pharmacological evaluation of conjugates of atenolol with modified saccharide for cardiovascular targeting

Smita Tukaram Kumbhar, Shitalkumar Shivgonda Patil & Manish Sudesh Bhatia

Glycoconjugate Journal **38**, 261–271 (2021) | Cite this article

333 Accesses | **2** Citations | **1** Altmetric | Metrics

Abstract

Amongst a wide range of biological macromolecules, saccharides exhibit the potential to be specifically recognized by cell-surface receptors and hence can be utilized as ligands in targeted drug delivery. The current study aims to use saccharides viz. Galactose, Pectin and Chitosan to improve targeting of Atenolol by oxalyl chloride mediated grafting. Conjugates were engineered by grafting Atenolol, a cardiovascular agent with the modified saccharide units. The conjugates were characterized by FTIR, DSC and ^{1}H NMR study. Drug release analysis and cellular uptake study was carried out using H9c2 cell lines which represent that concentration of drug in cells treated with all atenolol-saccharide conjugates is enhanced by almost two-folds in comparison with cells treated with atenolol solution. Thus cell line study confers the evidence of selective cardiac delivery. No significant cytotoxicity was observed in case of all synthesized conjugates in the Brine shrimp lethality bioassay. Possible binding of

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99. Novel curcumin ascorbic acid cocrystal for improved solubility

Journal of Drug Delivery Science and Technology
Volume 61, February 2021, 102233

Research paper

Novel curcumin ascorbic acid cocrystal for improved solubility

Jidnyasa Pantwalawalkar [a], Harinath More [a], Deu Bhange [b], Udaykumar Patil [a], Namdeo Jadhav [a]

[a] Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, 416013, Maharashtra state, India
[b] Department of Chemistry, Shivaji University, Kolhapur, 416004, Maharashtra state, India

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Abstract

The present investigation aims to develop novel curcumin-ascorbic acid cocrystal for enhancing the solubility, stability, and complementary biological activities for curcumin.

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100. Green synthesis of silver, iron and gold nanoparticles of lycopene extracted from tomato: their characterization and cytotoxicity against COLO320DM, HT29 and Hella cell

Journal of Materials Science: Materials in Medicine (2021) 32:19
https://doi.org/10.1007/s10856-021-06489-8

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Original Research

Green synthesis of silver, iron and gold nanoparticles of lycopene extracted from tomato: their characterization and cytotoxicity against COLO320DM, HT29 and Hella cell

Kiran P. Shejawal[1] · Dheeraj S. Randive[1] · Somnath D. Bhinge[2] · Mangesh A. Bhutkar[1] · Sachin S. Todkar[2] · Anjum S. Mulla[1] · Namdeo R. Jadhav[3]

Received: 18 September 2020 / Accepted: 18 January 2021 / Published online: 12 February 2021

Abstract

Our study aimed at development of Silver, Iron and Gold nanoparticles of Lycopene isolated from tomato by using green synthesis technique and to evaluate its anticancer potential against colorectal and cervical cancer. Lycopene was extracted by benzene extraction method and the silver, iron and gold nanoparticles were developed by green synthesis method. 1% aqueous extract of isolated Lycopene was mixed with 1% solutions of $AgNO_3$, $FeCl_3$ and $HAuCl_4$ solutions and incubated at ambient temperature for 3–4 h separately and observed for the color change which is an indicative of formation of the nanoparticles. The prepared nanoparticles were characterized by FTIR, SEM, XRD analysis and evaluated for their antimicrobial potential. The cytotoxicity studies were carried out by in vitro assay like MTT, SRB and Tryphan blue method against Colo 320 DM, HT 29, and Hella. SEM showed nanosized particles of 50–100 nm range, whereas no antimicrobial activity was exhibited by the prepared nanoparticles. In MTT assay the LyAgNP showed maximum 41.41 ± 0.4124% inhibition against COLO320DM, whereas LyGNP exhibited 41.47 ± 0.4469% inhibition against HT 29 and LyAgNP showed 40.9 ± 0.6908% inhibition against Hella cells. In SRB assay LyAgNP showed maximum 82.68 ± 1.1798% inhibition against COLO320DM, whereas LyGNP exhibited maximum 91.21 ± 0.2372% inhibition against HT29 and 87.98 ± 0.5878% inhibition against Hella cells. In tryphan blue assay against COLO320DM, HT29 and Hella cells, the maximum inhibition exhibited by the prepared nanoparticles were observed as LyGNP 83.45 ± 0.4694%, LyAgNP 88.05 ± 0.1870% and LyAgNP65.47 ± 0.4766%. We conclude that the developed nanoparticles of Lycopene exhibited potential anticancer activity against Colorectal and cervical cancer cell as compared with pure Lycopene.

✉ Kiran P. Shejawal
kiranshejawal96@gmail.com

[1] Department of Pharmaceutics Rajarambapu College of Pharmacy, Kasegaon, Walwa, Sangli, Maharashtra 415404, India

[2] Department of Pharmaceutical Chemistry Rajarambapu College of Pharmacy, Kasegaon, Walwa, Sangli, Maharashtra 415404, India

[3] Department of Pharmaceutics Bharati vidyapeeth College of Pharmacy, Kolhapur, Maharashtra 416013, India

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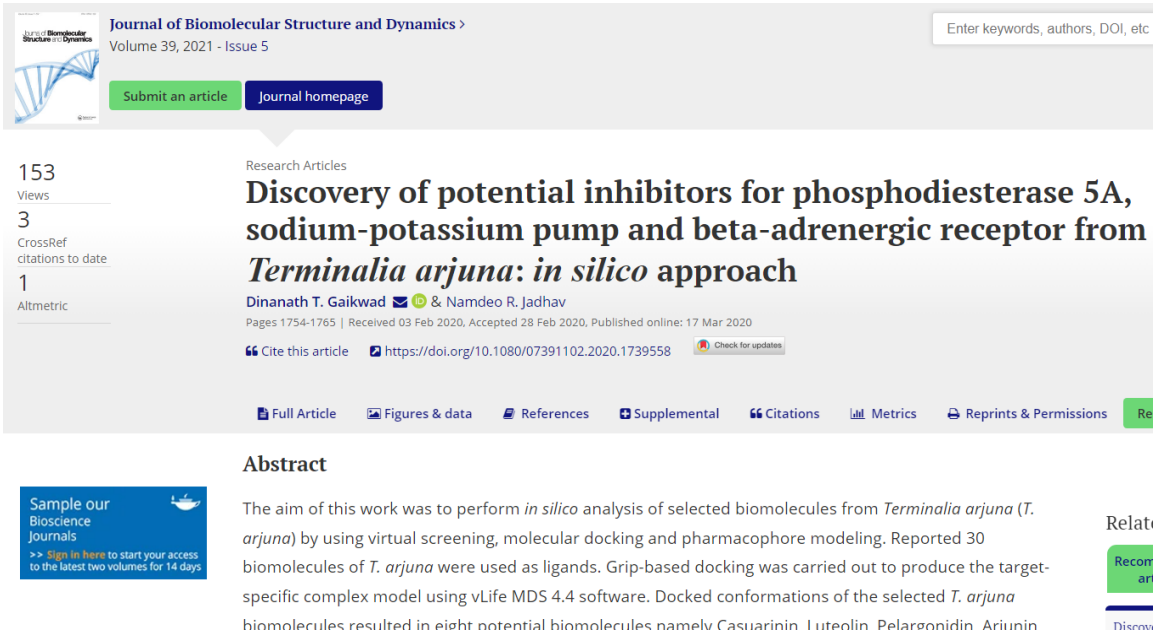
101. Discovery of potential inhibitors for phosphodiesterase 5A, sodium-potassium pump and beta-adrenergic receptor from Terminalia arjuna: in silico approach



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Discovery of potential inhibitors for phosphodiesterase 5A, sodium-potassium pump and beta-adrenergic receptor from *Terminalia arjuna*: *in silico* approach

Dinanath T. Gaikwad & Namdeo R. Jadhav

Pages 1754-1765 | Received 03 Feb 2020, Accepted 28 Feb 2020, Published online: 17 Mar 2020

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Abstract

The aim of this work was to perform *in silico* analysis of selected biomolecules from *Terminalia arjuna* (*T. arjuna*) by using virtual screening, molecular docking and pharmacophore modeling. Reported 30 biomolecules of *T. arjuna* were used as ligands. Grip-based docking was carried out to produce the target-specific complex model using vLife MDS 4.4 software. Docked conformations of the selected *T. arjuna* biomolecules resulted in eight potential biomolecules namely Casuarinin, Luteolin, Pelargonidin, Arjunin

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102. Design and development of terbinafine hydrochloride ethosomal gel for enhancement of transdermal delivery: In vitro, in vivo, molecular docking, and stability study.

Journal of Drug Delivery Science and Technology
Volume 61, February 2021, 102280

Research paper

Design and development of terbinafine hydrochloride ethosomal gel for enhancement of transdermal delivery: *In vitro*, *in vivo*, molecular docking, and stability study

Ashok Hajare [a], Hemalata Dol [a], Kiran Patil [b]

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Abstract

Terbinafine HCl (TH), an allylamine antifungal (BCS-II) drug has poor solubility and high permeability. In the present work, we have investigated the potential of ethosomes as vesicular lipid nanocarrier for enhancement of transdermal application of TH. The ethosomal formulation with varying concentrations of phospholipon 80H (PL80H) and the hydroethanolic solution was optimized and fabricated by applying a 3^2 full factorial design. The impact of independent variables PL80H (X_1) and hydroethanolic solution (X_2) on dependent variables viz., vesicle size (nm), zeta potential (mV), and entrapment

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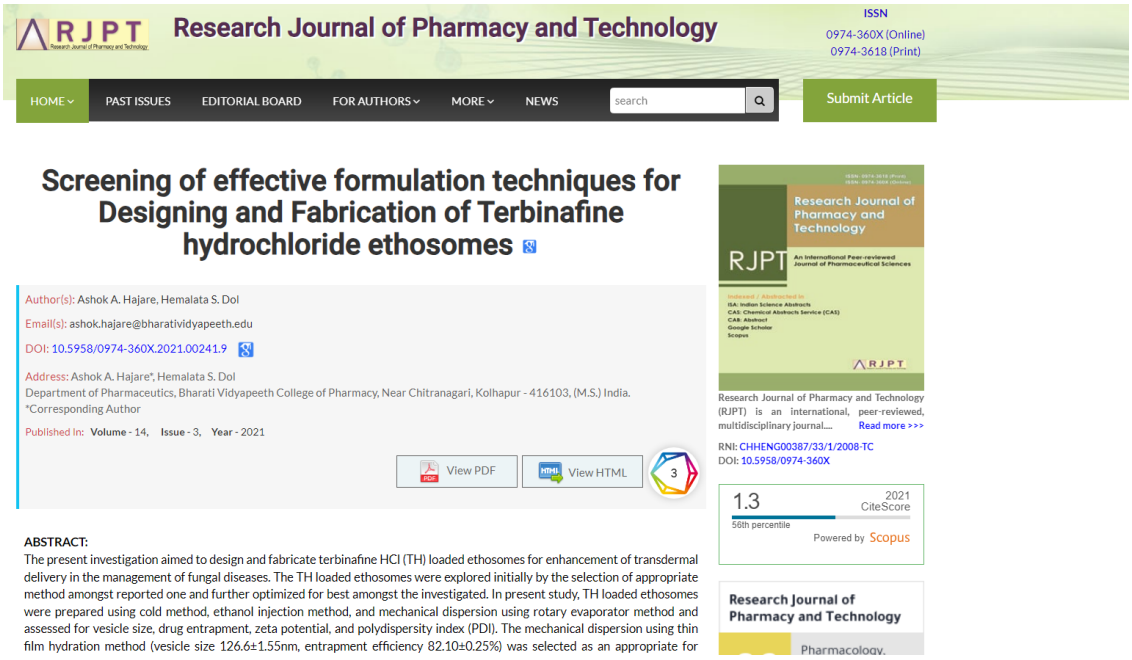
103. Screening of effective formulation techniques for Designing and Fabrication of Terbinafine hydrochloride ethosomes



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Screening of effective formulation techniques for Designing and Fabrication of Terbinafine hydrochloride ethosomes

Author(s): Ashok A. Hajare, Hemalata S. Dol

Email(s): ashok.hajare@bharatividyapeeth.edu

DOI: 10.5958/0974-360X.2021.00241.9

Address: Ashok A. Hajare*, Hemalata S. Dol
Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur - 416103, (M.S.) India.
*Corresponding Author

Published In: Volume - 14, Issue - 3, Year - 2021

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ABSTRACT:
The present investigation aimed to design and fabricate terbinafine HCl (TH) loaded ethosomes for enhancement of transdermal delivery in the management of fungal diseases. The TH loaded ethosomes were explored initially by the selection of appropriate method amongst reported one and further optimized for best amongst the investigated. In present study, TH loaded ethosomes were prepared using cold method, ethanol injection method, and mechanical dispersion using rotary evaporator method and assessed for vesicle size, drug entrapment, zeta potential, and polydispersity index (PDI). The mechanical dispersion using thin film hydration method (vesicle size 126.6±1.55nm, entrapment efficiency 82.10±0.25%) was selected as an appropriate for

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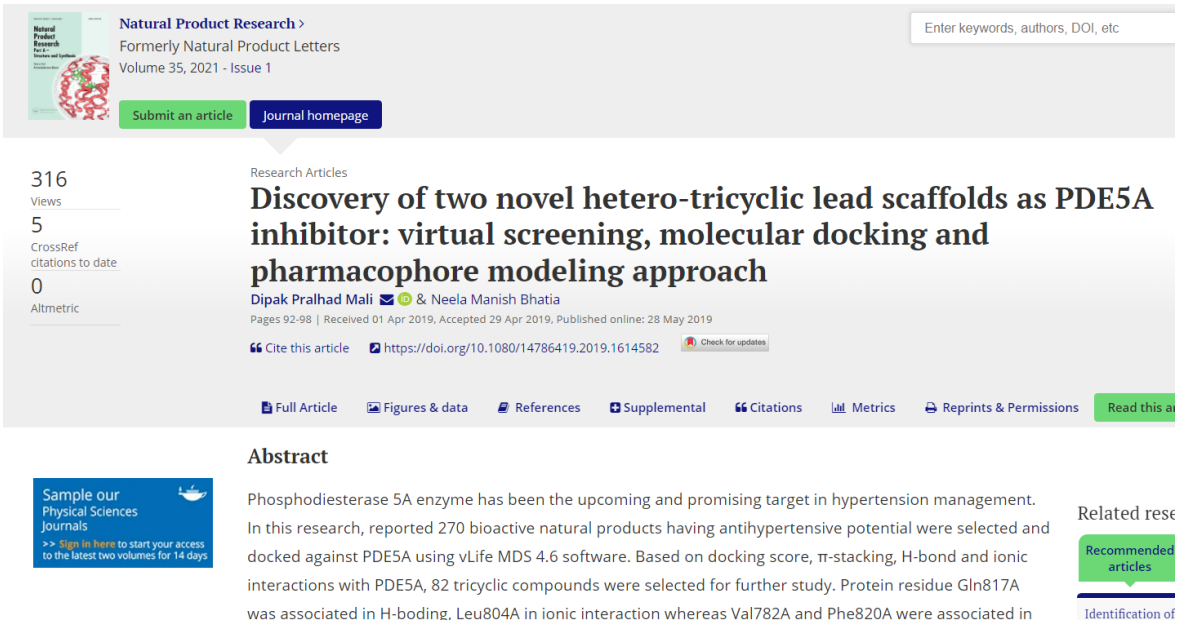
104. Discovery of two novel hetero-tricyclic lead scaffolds as PDE5A inhibitor: virtual screening, molecular docking and pharmacophore modeling approach



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Discovery of two novel hetero-tricyclic lead scaffolds as PDE5A inhibitor: virtual screening, molecular docking and pharmacophore modeling approach

Dipak Pralhad Mali & Neela Manish Bhatia

Pages 92-98 | Received 01 Apr 2019, Accepted 29 Apr 2019, Published online: 28 May 2019

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Abstract

Phosphodiesterase 5A enzyme has been the upcoming and promising target in hypertension management. In this research, reported 270 bioactive natural products having antihypertensive potential were selected and docked against PDE5A using vLife MDS 4.6 software. Based on docking score, π-stacking, H-bond and ionic interactions with PDE5A, 82 tricyclic compounds were selected for further study. Protein residue Gln817A was associated in H-boding, Leu804A in ionic interaction whereas Val782A and Phe820A were associated in

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105. Synthesis, antimicrobial screening, and docking study of new 2-(2-ethylpyridin-4-yl)-4-methyl-N-phenylthiazole-5-carboxamide derivatives

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ARTICLE

Synthesis, antimicrobial screening, and docking study of new 2-(2-ethylpyridin-4-yl)-4-methyl-*N*-phenylthiazole-5-carboxamide derivatives

Sanghratna L. Kasare, Pornima N. Gund, Bhaurao P. Sathe, Pravin S. Patil, Naziya N. M. A. Rehman, Prashant P. Dixit, Prafulla B. Choudhari, Kishan P. Haval

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Abstract

A series of new 2-(2-ethylpyridin-4-yl)-4-methyl-*N*-phenylthiazole-5-carboxamide derivatives (**5a-l**) were synthesized and evaluated for their in vitro antimicrobial activities. Among the screened compounds, **5b**, **5d**, **5e**, **5f,** and **5j** have shown promising antimicrobial activities against both bacterial and fungal pathogens. A molecular docking study was conducted to know the probable mode of action of synthesized derivatives for antimicrobial activity. The active compounds have shown excellent binding affinity

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106. Hepatoprotective activity of Phyllanthus niruri Linn. endophytes

Kodoli et al. Future Journal of Pharmaceutical Sciences (2021) 7:97
https://doi.org/10.1186/s43094-021-00243-1

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Hepatoprotective activity of *Phyllanthus niruri Linn*. endophytes

Radhika S. Kodoli[1], Sunil T. Galatage[1*], Suresh G. Killedar[1], Sachin A. Pishwikar[1], Prasanna V. Habbu[2] and Durgacharan A. Bhagwat[3]

Abstract

Background: The liver is the vital organ which plays a major role in metabolism with numerous functions in the human beings such as protein synthesis, hormone production, and detoxification. Present research work is focused on hepatoprotective potential of chloroform (PNFC) and ethyl acetate (PNFEA) endophytic fractions from *Phyllanthus niruri Linn*. against CCl_4-induced hepatotoxicity in albino Wistar rats. To test our hypothesis, both endophytic fungal fractions were tested for vitro antioxidant and in vivo hepatoprotective activity. Serum biochemical parameters like SGOT, SGOT, SALP, cholesterol, bilirubin, and protein were estimated to assess hepatoprotective activity.

Results: Group of rats treated with CCl_4 possess marked hepatic damage and oxidative stress which indicates that cellular leakage and loss of functional integrity of cell membrane in liver. PNFC and PNFEA fractions of endophyte from *Phyllanthus niruri Linn*. stem have significantly reduced the elevated levels of biomarkers like SGPT, SGOT, SALP, bilirubin, cholesterol, and total protein in CCl_4-induced hepatotoxicity in rats. The results obtained confirm hepatoprotective activity of endophytic fractions (PNFC and PNFEA) mediated through the stabilization of plasma membrane, repair of hepatic tissue damage, return of biochemical marker levels to normal, and regeneration of hepatocytes. Histopathological observations revealed improvement in the liver architecture after the treatment of secondary metabolites of endophytic fractions against CCl_4-induced liver damage. Both fungal endophytes PNFC and PNFEA showed DPPH scavenging activity with IC_{50} of 97.79 μg/ml and 108.40 μg/ml, respectively, and possess antioxidant potential. Presence of flavonoids in the both fractions of endophytes may be a possible reason for its antioxidant potential and identified as *Eurotium amstelodami* strain.

Conclusion: Both fungal endophytes PNFC and PNFEA possess hepatoprotective potential due to the presence of secondary metabolites of fungi, i.e., *Eurotiumam stelodami* strain which support the claim endophytes and act as a potent biomedicine for treatment of various chronic diseases.

Keywords: *Phyllan*, Endophytes, Hepatoprotective, Antioxidant, Microbes

Background

Worldwide, hepatic diseases are the leading cause of death with liver cirrhosis injury [1]. The liver mainly controls vital physiological processes in human beings such as carbohydrate metabolism and storage, fat metabolism, synthesis of bile acid, and detoxification of various drugs in our body [2]. Hazardous chemicals and excess consumption of alcohol are mainly responsible for liver diseases. Commonly included infections are fatty liver cirrhosis cancer, and various chemicals like tert-butyl hydroperoxide, galactosamine, paracetamol, CCl_4, acetaminophen, and alcohol, causing potential injury to the liver cells leading to progressive dysfunction. Due to toxic nature of lipid peroxidation (LPO) which is prom-

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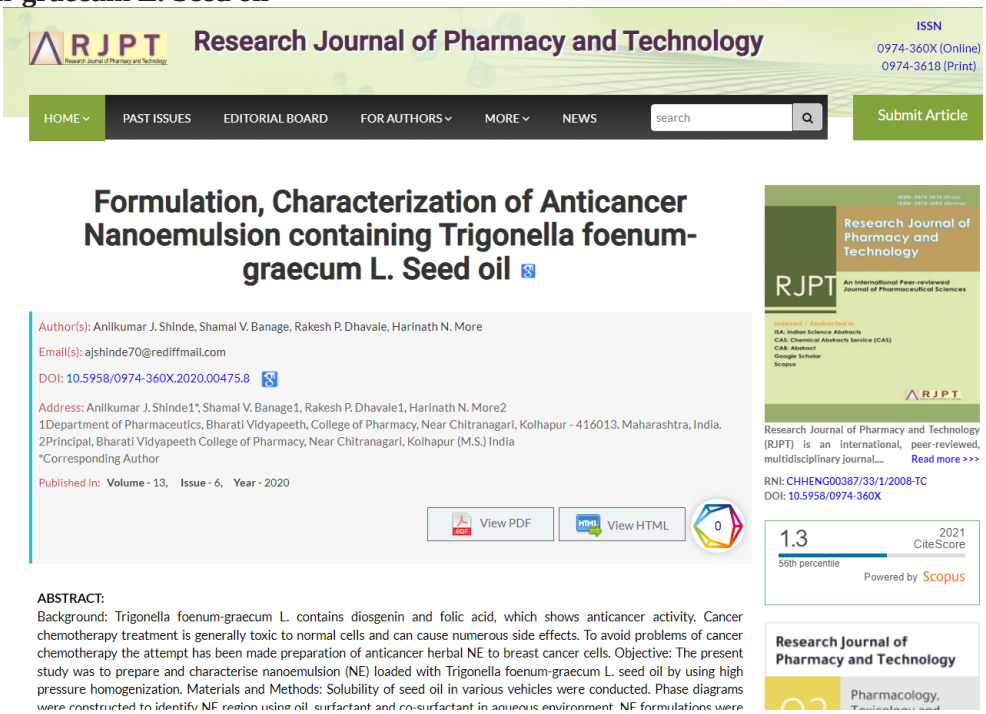
107. Formulation, Characterization of Anticancer Nanoemulsion containing Trigonella foenum-graecum L. Seed oil



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Formulation, Characterization of Anticancer Nanoemulsion containing Trigonella foenum-graecum L. Seed oil

Author(s): Anilkumar J. Shinde, Shamal V. Banage, Rakesh P. Dhavale, Harinath N. More

Email(s): ajshinde70@rediffmail.com

DOI: 10.5958/0974-360X.2020.00475.8

Address: Anilkumar J. Shinde1*, Shamal V. Banage1, Rakesh P. Dhavale1, Harinath N. More2
1Department of Pharmaceutics, Bharati Vidyapeeth, College of Pharmacy, Near Chitranagari, Kolhapur - 416013. Maharashtra, India.
2Principal, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur (M.S.) India
*Corresponding Author

Published In: Volume - 13, Issue - 6, Year - 2020

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ABSTRACT:
Background: Trigonella foenum-graecum L. contains diosgenin and folic acid, which shows anticancer activity. Cancer chemotherapy treatment is generally toxic to normal cells and can cause numerous side effects. To avoid problems of cancer chemotherapy the attempt has been made preparation of anticancer herbal NE to breast cancer cells. Objective: The present study was to prepare and characterise nanoemulsion (NE) loaded with Trigonella foenum-graecum L. seed oil by using high pressure homogenization. Materials and Methods: Solubility of seed oil in various vehicles were conducted. Phase diagrams were constructed to identify NE region using oil, surfactant and co-surfactant in aqueous environment. NE formulations were

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108. Antioxidants with multivitamin and mineral supplementation attenuates chemotherapy or radiotherapy-induced oxidative stress in cancer patients

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Indian Journal of Pharmaceutical Education and Research, 2020; 54(2):484-490
Original Article | doi:10.5530/ijper.54.2.55

Antioxidants with Multivitamin and Mineral Supplementation Attenuates Chemotherapy or Radiotherapy-induced Oxidative Stress in Cancer Patients

Authors and affiliation (s):

Ravikant Yashwantrao Patil[1,], Harinath Nivrutti More[2]*

[1]Department of Pharmacology, D.S.T.S Mandal's College of Pharmacy, Solapur, Maharashtra, INDIA.

[2]Department of Pharmaceutical Chemistry, Bharati Vidyapeeth's College of Pharmacy, Morewadi, Kolhapur, Maharashtra, INDIA.

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109. Development of 'S', 'N' Heterocycles as Antimycobacterials Targeting Fatty Acid Biosynthesis

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Background: Mycobacterium tuberculosis is a causative organism of tuberculosis, which is the most deadly disease after cancer in the current decade. The development of multidrug and broadly drug- resistant strains makes the tuberculosis problem more and more critical. In the last 40 years, only one molecule is added to the treatment regimen. Generally, drug design and development programs are targeted proteins whose function is known to be essential to the bacterial cell.

Objectives: Here are the development of 'S', 'N' heterocycles as antimycobacterials targeting fatty acid biosynthesis are reported.

Materials and Methods: In the present communication, rational development of anti-mycobacterial agent's targeting fatty acid biosynthesis has been done by integrating the pocket modeling and virtual analysis.

Results: The identified potential 33 lead compounds were synthesized, characterized by physicochemical and spectroscopic methods like IR, NMR spectroscopy and further screened for antimycobacterial activity using isoniazid as standard. All the designed compounds have shown profound antimycobacterial activity.

Conclusion: In this present communication, we found that 3c, 3f, 3l and 4k molecules had expressive desirable biological activity and specific interactions with fatty acids. Further optimization of these leads is necessary for the development of potential antimycobacterial drug candidates having fewer side effects.

Keywords: Antitubercular; InhA; Mycobacterium tuberculosis; heterocyclic; isoniazid; molecular docking

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110. Multi-Targeted Design and Development of Dihydroisoquinolines as Potent Antimalarials

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Background: Malaria is a serious parasitic infection with greater morbidity and motility in recent decades. Cysteine protease and DHODH enzyme serve as a potential target for antimalarial agents which inhibit parasite multiplication in the erythrocyte stage. Development of new leads which specifically target cysteine protease and DHODH enzyme can reduce the side effects and overcome multidrug resistance.

Objectives: Representing the design and development of antimalarial agents by targeting cysteine protease and DHODH (Dihydroorotate dehydrogenase) enzyme by structure-based drug design.

Methods: In present work, the rational development of antimalarial agents by targeting cysteine protease and DHODH has been made by integrating binding confirmation from virtual analysis and synthetic procedures.

Results: A novel series of dihydroisoquinolines was designed by structure-based drug design. Compounds from the dataset were screened for interaction at the target site by performing molecular docking study and subsequently, all molecules were screened for drug-like properties and toxicity, prior to synthesis molecules subjected to virtual filters. Designed molecules which exceed these virtual filters were synthesized, characterized and finally screened for antimalarial activity.

Conclusion: In this work, it has been observed that compound A1, A5, A6 and A9 showed desirable biological activity towards targets and also specific hydrogen bonding interaction with the targets. Further optimization in leads yields a drug-like candidate and may overcome multidrug resistance.

Keywords: DHODH; Structure-based drug design (SBDD); antimalarial activity; cysteine protease; ligands; molecular docking
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Publication date: December 1, 2020

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111. Silk industry waste protein: isolation, purification and fabrication of electrospun silk protein nanofibers as a possible nanocarrier for floating drug delivery

Nanotechnology

PAPER

Silk industry waste protein: isolation, purification and fabrication of electrospun silk protein nanofibers as a possible nanocarrier for floating drug delivery

Sopan Nangare[1], Shailesh Dugam[1], Pravin Patil[2], Rahul Tade[2] and Namdeo Jadhav[1]

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Abstract

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Abstract

Amongst assorted regio-selective and targeted oral drug delivery strategies accepted for the gastro-retentive drug delivery system (GRDDS), the floating drug delivery system (FDDS) holds a major share as clinically accepted formulations. The major objective of the present investigation was to explore the silk industry waste protein, silk fibroin (SF) as a possible electrospun nanocarrier for the FDDS. In a nutshell, electrospinning (ES) is one of the flexible and astonishing strategies for the fabrication of porous electrospun nanofibers (NFs), which offers the potential to amend the floating profile, dissolution rate, solubility, and release patterns of the drug, etc as per compendial requirements. Looking at the prospects of floating SF-NFs preparation, we have isolated and lyophilized the SF from

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112. Green synthesis of silver and iron nanoparticles of isolated proanthocyanidin: its characterization, antioxidant, antimicrobial, and cytotoxic activities against COLO320DM and HT29

Shejawal *et al. Journal of Genetic Engineering and Biotechnology* (2020) 18:43
https://doi.org/10.1186/s43141-020-00058-2

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Green synthesis of silver and iron nanoparticles of isolated proanthocyanidin: its characterization, antioxidant, antimicrobial, and cytotoxic activities against COLO320DM and HT29

Kiran P. Shejawal[1], Dheeraj S. Randive[1*], Somnath D. Bhinge[2], Mangesh A. Bhutkar[1], Ganesh H. Wadkar[1] and Namdeo R. Jadhav[3]

Abstract

Background: In the current research, we have developed silver and iron nanoparticles of isolated proanthocynidin (PAC) from grape seed by green synthesis and evaluated for antimicrobial, antioxidant activity and in vitro cytotoxicity against colon cancer cell lines.

Results: One percent solution of isolated proanthocynidin in water was vigorously mixed with 1% silver nitrate and 1% ferric chloride solution and kept for 4 h, to yield PACAgNP and PACFeNP. The synthesized nanoparticles were characterized by UV, FTIR, XRD, and SEM analysis and evaluated for antimicrobial potential against selected

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113. Meloxicam quantification in rabbit plasma by RP-HPLC: optimization and application to pharmacokinetic study

Salunkhe *et al. Future Journal of Pharmaceutical Sciences* (2020) 6:63
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Meloxicam quantification in rabbit plasma by RP-HPLC: optimization and application to pharmacokinetic study

Nitin Salunkhe[1,2], Namdeo Jadhav[1*] and Somnath Bhinge[3]

Abstract

Background: The goal of the proposed study was to validate a rapid, simple, an accurate, robust, and sensitive bioanalytical method for quantifying Meloxicam and Lornoxicam (as internal standard) in rabbit plasma.

Result: Limit of detection and limit of quantification for Meloxicam were found to be 0.0081 and 0.1035 μg mL^{-1}, respectively. The bioanalysis was continued according to standard guidelines and successfully used for bioavailability studies of meloxicam after single dose administration of pure drug and the formulation in rabbit plasma. Finally, obtained results proved its simplicity and an efficiency to be applied for the therapeutic drug monitoring and bioequivalence studies.

Conclusion: Therefore, the set RP-HPLC bioanalysis is simple, convenient, and acceptable to analyze meloxicam in bulk and pharmaceutical formulations in rabbit plasma.

Keywords: Bioanalysis, Meloxicam, Lornoxicam, Validation, Pharmacokinetic Study, Rabbit Plasma

Background

Chemically, Meloxicam (MLC) is 4-hydroxy-2-methyl-*N*-(5-methyl-2-thiazolyl)-2H-1,2-benzothiazine-3-carboxamide-1, 1-dioxide (Fig. 1a) [1], a new nonsteroidal anti-inflammatory drug (NSAID) derived from enolic acid, which exhibits cyclooxygenase (COX)-2 selectivity over COX-1 as described in a randomized double-blind study [2]. Meloxicam is used in the treatment of rheumatoid arthritis, osteoarthritis, and other joint diseases [3].

Plentiful UV-VIS, HPLC, HPLC-MS/MS, LC-MS, LC-MS-MS, LC-ESI-MS/MS, LC–MS/TOF, and LC–MS analytical methods have been documented for quantifying the MLC from different formulation either alone or in combination with pharmacotherapeutic agents in biological fluid [1, 4–18]; a couple of them namely were employed liquid–liquid extraction or protein precipitation extraction (PPE) approach for quantification of MLC from biological sample [5, 7, 14]. In spite of that, the reported approaches are time-consuming; some of them used organic solvents and hazardous solvents for the extraction process, and some of which reported much less recovery which may be due to the drug loss during the transfer. Moreover, most of which followed solid-phase and liquid-liquid extraction techniques which required a more complex process [19]. In addition, the strong chemical bonds between plasma proteins and pharmacotherapeutic agents lead to a decrease in the efficiency of extraction, thus completely hampering the removal of pharmacotherapeutic agents, and consequently, a lower recovery [20]. Though solid-phase extraction has been reported by Miyamoto et al. to quantify the MLC from biological sample, this method requires expensive equipment [1]. Couple of the bioanalytical methods used to quantify the MLC did not use the internal standard, which seemed to be a limitation of the reported method; some of which had been used but were complex in nature [8, 11, 12, 15, 17].

* Correspondence: nrjadhav18@rediffmail.com
[1]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra 416013, India
Full list of author information is available at the end of the article

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114. Synthesis, Antimicrobial Evaluation, and Molecular Docking Study of New Thiazole-5-phenylpropenone Derivatives

Published: 15 September 2020

Synthesis, Antimicrobial Evaluation, and Molecular Docking Study of New Thiazole-5-phenylpropenone Derivatives

P. S. Patil, S. L. Kasare, A. D. Badar, R. S. Kulkarni, P. P. Dixit, J. A. Kulkarni, P. B. Choudhari & K. P. Haval

Russian Journal of General Chemistry **90**, 1523–1528 (2020) | Cite this article

69 Accesses | **1** Citations | Metrics

Abstract

A series of new (*E*)-1-[2-(2-ethylpyridin-4-yl)-4-methylthiazol-5-yl]-3-phenylprop-2-en-1-one derivatives have been synthesized starting from the antitubercular drug, ethionamide. The synthesized compounds have been tested for their *in vitro* antimicrobial activity, and five of those have demonstrated promising activity. According to molecular docking study the active compounds have display high binding affinity towards DNA Gyrase and Lumazine Synthase.

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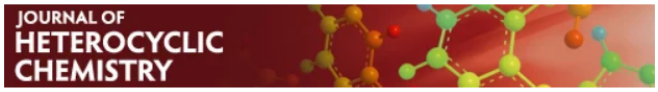
115. Synthesis of isoniazid-1,2,3-triazole conjugates: Antitubercular, antimicrobial evaluation and molecular docking study



ARTICLE

Synthesis of isoniazid-1,2,3-triazole conjugates: Antitubercular, antimicrobial evaluation and molecular docking study

Adinath D. Badar, Shubham M. Sulakhe, Mahesh B. Muluk, Naziya N. M. A. Rehman, Prashant P. Dixit, Prafulla B. Choudhari, Estharla Madhu Rekha, Dharmarajan Sriram, Kishan P. Haval

First published: 25 June 2020 | https://doi.org/10.1002/jhet.4072 | Citations: 10

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Abstract

In the present study, a series of new isoniazid-1,2,3-triazole conjugates (**5a-k**) was synthesized *via* click chemistry approach. The newly synthesized compounds were assessed for their *in vitro* antitubercular and antimicrobial activities. The compound **5g** has displayed potent antitubercular activity against *Mycobacterium tuberculosis* H37Rv (*Mtb*) with MIC value 1.56 ug/mL. The active compounds were screened for their

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116. Design and characterization of camptothecin gel for treatment of epidermoid carcinoma

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Design and characterization of camptothecin gel for treatment of epidermoid carcinoma

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Sections | Figures | References

- Abstract
- Background
- Materials
- Methods
- Results and discussion
- Discussion
- Conclusion
- Availability of data and materials
- Abbreviations

Abstract

Background

The objective of present research work is to design and characterize camptothecin gel using Carbopol-934 for the treatment of epidermoid carcinoma. Optimized herbal gel formulations were evaluated for homogeneity and appearance, viscosity, extrudability,

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117. Design and development of melt solidification of meloxicam for enhancement of solubility and dissolution

Journal of Research in Pharmacy

Research Article

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Design and development of melt solidification of meloxicam for enhancement of solubility and dissolution

Anilkumar J. SHINDE [1]*, Rahul S. DALAVI [2], Harinath N. MORE [1]

[1] Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, India.
[2] Raptim Laboratories, Mumbai, Maharashtra, India
* Corresponding Author. E-mail: ajshinde70@rediffmail.com (A.J.S.); Tel. +91-231-263 72 86.

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ABSTRACT: The objective of the present study was to prepare an amorphous system of BCS Class 2 drug meloxicam (MLX) to improve its solubility and dissolution by using the melt solidification techniques. About 40% of new chemical entities do not reach to market due to its poor aqueous solubility. Melt solidification technique is an important process to control the transition from liquid in to solid phase to obtain product in an amorphous form. During the process of heating, some solid gets melted and if quench cooled, instead of crystallizing gets converted to amorphous solid form appearing as that of glass, which improve dissolution and bioavailability of drugs. Physical mixtures of MLX were prepared by melt solidification technique using polymer (soluplus). The solubility and dissolution studies for the meloxicam and batches were conducted in a phosphate buffer (pH 6.8). The fourier transform infrared(FTIR) spectrophotometry, X-ray diffraction microscopy(XDM) and Differential scanning calorimetry(DSC) studies were conducted to evaluated pure drug and optimized batch(MS7). Saturation solubility and % drug release showed the improve solubility and dissolution, results suggest that optimized batch (MS7) containing drug and polymer in proportion of 1:4 (MLX:soluplus) was a successful enhancing the solubility and dissolution of MLX. The % crystallinity of MLX in amorphous sample was 18.60%, which indicates significant decrease in crystallinity of MLX in an amorphous system. The best fit model of optimized batch (MS7) was zero order model, showing the %drug release 96.83% and R^2 0.9904. The present investigation, successfully enhancement solubility and dissolution of MLX by using melt solidification technique.

KEYWORDS: Meloxicam; melt solidification; dissolution; solubility; soluplus.

1. INTRODUCTION

Today, 30-40% of all new chemical entities (NCE) agree to from poor aqueous solubility, hence the enhancement of the solubility of the poorly water soluble drug is the one of the most challenging part of modern drug development [1-2]. Among the wide variety of parameters those delay the development of pharmaceutical products and restricts the bioavailability of oral products, solubility is the most important parameter for the formulation scientists [3-7]. Amorphous solid do not have ordered internal structure and do not melt at a definite, sharp melting point. With increase of temperature, it slowly softens, becomes less viscous and melts over a range of temperature [8-10]. They unlike from crystalline solids in that, they tend to flow, when subjected to sufficient pressure over a period of time. Amorphous solids are not solids in genuine sense; truly they are super cooled liquids. Solubility process depends on the bonding linking the solute and solvent molecule. In solubility bonds involve in solubilization are mainly dipole-dipole interactions, london forces, hydrogen bonding, ionic bonding etc [11-13]. Dissolution takes place, when the solvent is able to pull ions out of their crystal lattice or structure.

The approach for BCS Class 2 drugs, having dissolution limitations but no permeation limitation, is to increase the amount of dissolved drug molecules at the absorption site. MLX is 4-hydroxy-2-methyl-N-[(5-

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118. Vasorelaxant Effect of Novel Nitric Oxide-Hydrogen Sulfide Donor Chalcone in Isolated Rat Aorta: Involvement of cGMP Mediated sGC and Potassium Channel Activation

Home / Current Molecular Pharmacology, Volume 13, Number 2

Vasorelaxant Effect of Novel Nitric Oxide-Hydrogen Sulfide Donor Chalcone in Isolated Rat Aorta: Involvement of cGMP Mediated sGC and Potassium Channel Activation

Authors: Sherikar, Amol; Dhavale, Rakesh; Bhatia, Manish
Source: Current Molecular Pharmacology, Volume 13, Number 2, 2020, pp. 126-136(11)
Publisher: Bentham Science Publishers
DOI: https://doi.org/10.2174/1874467212666191025092346

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Abstract | References | Citations | Supplementary Data

Background and Objective: Recently, nitric oxide (NO) and hydrogen sulfide (H2S) donating moieties were extensively studied for their role in the vasculature as they are responsible for many cellular and pathophysiological functioning. The objective of the present study is to evaluate novel NO and H2S donating chalcone moieties on isolated rat aorta for vasorelaxation, and to investigate the probable mechanism of action.

Methods: To extend our knowledge of vasorelaxation by NO and H2S donor drugs, here we investigated the vasorelaxing activity of novel NO and H2S donating chalcone moieties on isolated rat aorta. The mechanism of vasorelaxation by these molecules was investigated by performing in vitro cGMP mediated sGC activation assay and using Tetraethylammonium chloride (TEA) as a potassium channel blocker and Methylene blue as NO blocker.

Results: Both NO and H2S donating chalcone moieties were found to be potent vasorelaxant. The compound G4 and G5 produce the highest vasorelaxation with 3.716 and 3.789 M of pEC50, respectively. After the addition of TEA, G4 and G5 showed 2.772 and 2.796 M of pEC50, respectively. The compounds Ca1, Ca2, and D7 produced significant activation and release of cGMP mediated sGC which was 1.677, 1.769 and 1.768 M of pEC50, respectively.

Conclusion: The vasorelaxation by NO-donating chalcones was blocked by Methylene blue but it did not show any effect on H2S donating chalcones. The vasorelaxing potency of NO-donating molecules was observed to be less affected by the addition of TEA but H2S donors showed a decrease in both efficacy and potency. The cGMP release was more in the case of NO-donating molecules. The tested compounds were found potent for relaxing vasculature of rat aorta.

Keywords: Vasorelaxation; cGMP mediated sGC; hydrogen sulfide; in-vitro; nitric oxide; tetraethylammonium chloride (TEA)
Document Type: Research Article

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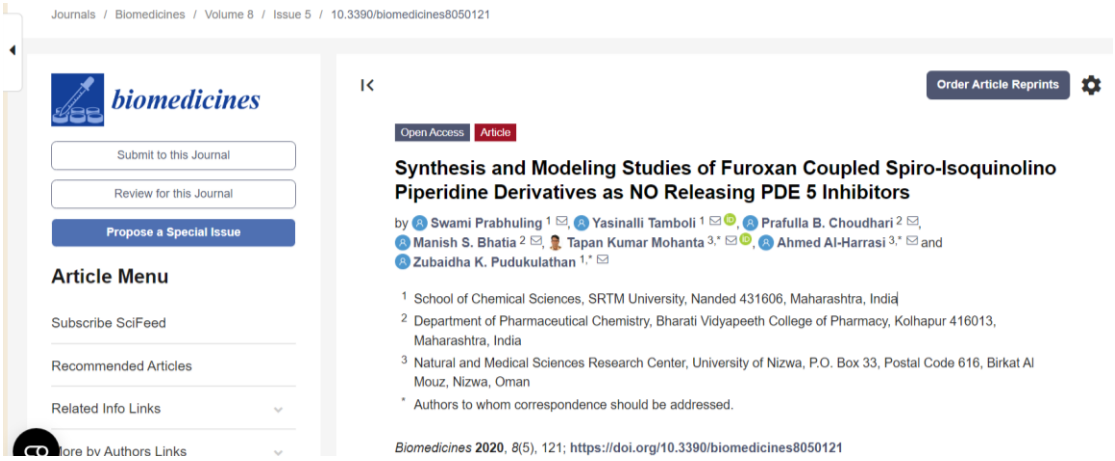
119. Synthesis and Modeling Studies of Furoxan Coupled Spiro-Isoquinolino Piperidine Derivatives as NO Releasing PDE 5 Inhibitors



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Synthesis and Modeling Studies of Furoxan Coupled Spiro-Isoquinolino Piperidine Derivatives as NO Releasing PDE 5 Inhibitors

by Swami Prabhuling [1], Yasinalli Tamboli [1], Prafulla B. Choudhari [2], Manish S. Bhatia [2], Tapan Kumar Mohanta [3,*], Ahmed Al-Harrasi [3,*] and Zubaidha K. Pudukulathan [1,*]

[1] School of Chemical Sciences, SRTM University, Nanded 431606, Maharashtra, India
[2] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur 416013, Maharashtra, India
[3] Natural and Medical Sciences Research Center, University of Nizwa, P.O. Box 33, Postal Code 616, Birkat Al Mouz, Nizwa, Oman
* Authors to whom correspondence should be addressed.

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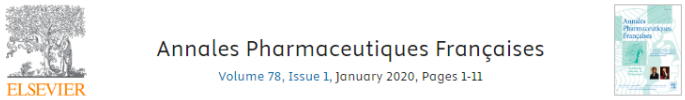
120. Pharmaceutical applications of electrospinning



Annales Pharmaceutiques Françaises
Volume 78, Issue 1, January 2020, Pages 1-11

General review

Pharmaceutical applications of electrospinning

Applications pharmaceutiques de l'électrofilage

Sopan Nangare, Namdeo Jadhav, Pravin Ghagare, Tejashwini Muthane

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Summary

Development of tailor-made pharmaceutical nanofibers has gained vital prominence due to ease of fabrication and versatility of electrospinning (ES). ES is one of the flexible and, wonderful strategies for the fabrication of nanofibers. ES unit comprises a supplier of high voltage current, a syringe (pump), spinneret and a metal plate collector. The obtained nanofibers are optimized by manipulating process and formulation variables

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121. A remarkable in vitro cytotoxic, cell cycle arresting and proapoptotic characteristics of low-dose mixed micellar simvastatin combined with alendronate sodium

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Original Article | Published: 27 March 2020

A remarkable in vitro cytotoxic, cell cycle arresting and proapoptotic characteristics of low-dose mixed micellar simvastatin combined with alendronate sodium

Sandip A. Bandgar, Namdeo R. Jadhav & Arehalli S. Manjappa

Drug Delivery and Translational Research **10**, 1122–1135 (2020) | Cite this article

295 Accesses | **9** Citations | Metrics

Abstract

The objective of the present study was to screen the effect of increased simvastatin (SVS) solubility, through mixed micelles as a model approach, on in vitro anticancer efficacy in combination with hydrophilic alendronate sodium (ADS) as a strategy to improve therapeutic efficacy and to repositioning the existing drugs. The SVS-loaded mixed micelles (SVS-MMs) composed of TPGS and Poloxamer-407 were prepared using the film dispersion method and characterized for SVS loading and mean particle size. The optimized SVS-MMs were physically mixed with plain ADS (SVS + ADS MMs) and screened for in vitro cytotoxicity using MTT assay and cell cycle arresting and apoptotic activities using FACS technique. The optimized

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122. Development and Validation of Novel Stability Indicating LC Method for the Determination of Saxagliptin and Metformin

Original Article

Development and Validation of Novel Stability-Indicating LC Method for the Determination of Saxagliptin and Metformin

Sachin Bhanudas Gurav*, Neela Manish Bhatia

Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, INDIA.

ABSTRACT

Aim: Development of a novel precise, selective and sensitive stability indicating RP-HPLC method has been developed and validated for the determination of Saxagliptin hydrochloride (SAX) and Metformin HCl (MET) in bulk drug and pharmaceutical dosage form. **Materials and Methods:** The separation was carried out on a Phenomenex Luna, SCX, 250 x 4.6mm, 10 μm using a mobile phase of ammonium dihydrogen phosphate buffer pH 2.50: methanol [70:30 % v/v]. Quantitation was achieved using UV detection at 210 nm. **Results:** The retention times were about 6.2 min for SAX and about 10.4min for MET. Calibration curves were linear over the concentration range from 5-100μg/mL for SAX and 40-800μg/mL for MET. Peak purity of SAX and MET established in presence of all major degradation product in stressed samples. **Conclusion:** The proposed method of analysis has been validated as per ICH guidelines and proved to be specific, precise, linear, rugged and robust. The effectiveness of the method was demonstrated with marketed pharmaceutical preparation of SAX and MET.

Key words: Saxagliptin, Metformin, Stability indicating, Forced degradation, Pharmaceutical preparation.

INTRODUCTION

Saxagliptin (SAX), (1S,3S,5S)-2-[(2S)-2-amino-2-(3-hydroxy-1-adamantyl) acetyl]-2-azabicyclo[3.1.0]hexane-3-carbonitrile, (Figure 1a) is an inhibitor of dipeptidyl peptidase-4 (DPP-4) used as oral hypoglycemic drug for the management of type 2 diabetes mellitus. Saxagliptin is indicated as an addition to diet and exercise to get better glycemic control in patients with type 2 diabetes. It is available commercially as Onglyza tablets and also in mixture with Metformin as KOLMBIGLYZE XR tablets.[1] Metformin hydrochloride (MET), N, N-dimethylimidodicarbonimidic diamide hydrochloride [Figure 1b] is an antidiabetic drug in the biguanide class that induces glycolysis in peripheral tissues.[2] Pharmaceutical preparation containing SAX and MET, used in the management of type 2 diabetes.

Literature review reveals that methods are available for simultaneous determination of SAX and MET in combination by using Spectrophotometric[3] and Chromatographic[4-7] technique. Several methods for Metformin HCl alone and in combination with other drugs are also available those includes Spectrophotometric[8] and HPLC.[9-21] There are some chromatographics methods available for SAX.[22-27] some electrochemical methods are also reported for determination of Metformin and Saxagliptin.[28-30]

A stability-indicating method identify and estimates the analytes specifically with good resolution with its degradants in forced degradation study. As per ICH guidelines

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Correspondence:
Mr. Sachin Bhanudas Gurav
Bharati Vidyapeeth College of Pharmacy, Kolhapur-416013, Maharashtra, INDIA.
Phone: +91-0231-2637286
E-mail: guravsachinre-search@gmail.com

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123. QbD Based Approach to Enhance the In- Vivo Bioavailability of Ethinyl Estradiol in Sprague- Dawley Rats

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Scientific paper

QbD Based Approach to Enhance the *In-Vivo* Bioavailability of Ethinyl Estradiol in Sprague-Dawley Rats

Trupti Ashok Powar[1] and Ashok Ananda Hajare[1,*]

[1]*Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur Maharashtra-416013, India.*

* *Corresponding author: E-mail: ashok.hajare@bharatividyapeeth.edu*
Mobile - 8788409138

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Abstract

Lyophilized nanosuspension of poorly soluble Ethinyl estradiol (EE) was fabricated to enhance its solubility and bioavailability using a quality-by-design (QbD) approach. With the help of the Ishikawa diagram, prospective risk factors were identified and screened by Placket–Burman design to investigate the effects of formulation and process variables on dependent variables. The number of cycles (X4), the concentration of soya lecithin (X5) and the concentration of tween 80 (X7) were identified as significant factors ($P<0.05$), which were further optimized using Central Composite Design. The mean particle size, zeta potential, drug content and entrapment efficiency of optimized lyophilized EE nanosuspension (EENPs) was 220 ± 0.37 nm, −19.3 ± 6.73 mV, 92.23 ± 0.45%, 99.52 ± 0.52%, respectively. Significantly, EENPs enhances C_{max} and AUC_{0-t} by 1.5, 1.7 folds and relative bioavailability by 2-fold with its distribution being at higher concentrations in the liver, spleen, and stomach. Thus, QbD based approach for the development of nanosuspension could be an absolute, optimistic approach to identify the critical process parameters and critical quality attributes.

Keywords: Quality by design; Lyophilized nanosuspension of ethinyl estradiol; Central Composite Design; Placket–Burman Design; Bioavailability and stability.

1. Introduction

Ethinyl estradiol (EE), (17a)-19-norpregna-1, 3, 5-(10) trien-20-yne-3, 17-diol is an estrogenic component, which is widely used in hormone replacement therapy and as an oral contraceptive.[1-3] It is also known for its effectiveness to treat breast cancer, prostate cancer,[4-9] as high-dose of EE is effective for first-line treatment and also for treatment after endocrine resistance to aromatase inhibitors and tamoxifen.[10] EE is yellow to white crystalline powder, insoluble in water but soluble in ether, ethanol, acetone, chloroform, and dioxane. It is also found to be soluble in dilute alkaline solutions and vegetable oils. It is available in small doses alone or with a combination.[11] However, EE has a poor aqueous solubility, which is the biggest hurdle in the clinical application for cancer treatment. Lower solubility leads to complications in drug delivery like unpredictable absorption and thus deplorable oral bioavailability. Due to extensive first-pass hepatic metabolism after oral administration, EE has 40 % of systemic bioavailability due to its initial conjugation with the gut wall.[11] Therefore, solubility enhancement of EE should be considered first for its development.

Various traditional approaches are used to enhance the solubility of poorly soluble drugs which includes micronization, use of cyclodextrin and co-solvents.[11] But unfortunately, the problem of bioavailability remains unsolved in many cases. In the case of micronization, sufficient surface area is not produced in order to enhance the dissolution velocity of poorly water-soluble drugs. Thus, industries are moving forward towards nanonization (formulation of nanosuspension) from micronization.[12]

In scientific research, nanomedicines have attained the topmost place and their application as medicines have gained vital place due to its larger surface area as compared to its particle size.[13,14] In last few decades, new drugs fail to reach the market due to their vital issues related to solubility, dissolution, and bioavailability, maybe due to lack of dose proportionality, uncertain drug absorption, poor dissolution, and inter-intra subject variability. Thus it becomes a complicated task for most of the

124. Dual basic ionic liquid as a catalyst for synthesis of (2-amino-3-cyano-4H-chromen-4-yl) phosphonic acid diethyl ester and its molecular docking study

Home > Research on Chemical Intermediates > Article

Published: 20 September 2019

Dual basic ionic liquid as a catalyst for synthesis of (2-amino-3-cyano-4*H*-chromen-4-yl) phosphonic acid diethyl ester and its molecular docking study

D. S. Gaikwad, K. A. Undale, A. A. Patravale & P. B. Choudhari

Research on Chemical Intermediates **46**, 621–637 (2020) | Cite this article

235 Accesses | **4** Citations | Metrics

Abstract

A series of (2-amino-3-cyano-4*H*-chromen-4-yl) phosphonic acid diethyl ester derivatives were synthesized from salicylaldehyde, malononitrile and triethylphosphite in ethanol/water (1:1) system using a new dual basic ionic liquid, i.e., 1-[3-(dimethylamino)propyl]-1,4-diazabicyclo[2.2.2]octan-1-ium hydroxide at ambient temperature. The attractive features of this protocol are higher yields (more than 95%), low cost, reduced environmental impact, shorter reaction time, reusability of IL (up to 7 times) and convenience of procedure. The possible bioactivity study was also carried out by using molecular docking study for all the synthesized compounds and molecules can be act as anticancer agent.

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125. Rust-derived Fe2O3 nanoparticles as a green catalyst for the one-pot synthesis of hydrazinyl thiazole derivatives

Issue 24, 2020

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From the journal:
Organic & Biomolecular Chemistry

Rust-derived Fe_2O_3 nanoparticles as a green catalyst for the one-pot synthesis of hydrazinyl thiazole derivatives†

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Rutikesh Gurav,[a] Santosh Kumar Surve,[a] Santosh Babar,[a] Prafulla Choudhari,[b] Devashree Patil,[a] Vikramsinh More,[a] Sandeep Sankpal[a] and Shankar Hangirgekar *[a]

Author affiliations

Abstract

In the present work, novel one-pot multicomponent reactions of tosylates, aryl aldehydes and thiosemicarbazide are reported for the synthesis of hydrazinyl thiazoles, using Fe_2O_3 NPs derived from rusted iron as a catalyst. The Fe_2O_3 NPs were characterized using XRD, SEM, VSM, HR-TEM, EDX and FT-IR techniques. The structures of all of the synthesized hydrazinyl thiazole derivatives were confirmed by ^1H NMR, ^{13}C NMR, FT-IR and mass spectrometry. The magnetic Fe_2O_3 NPs were easily recovered from the reactions using an external magnet, and the catalytic activity of the recycled catalyst was examined over four cycles under optimized reaction conditions; it exhibited minimal loss of yield. To explore potential applications, the synthesized molecules were investigated for their antibacterial, antifungal and antioxidant activities, and they showed promising results. The results were further supported through molecular docking studies.

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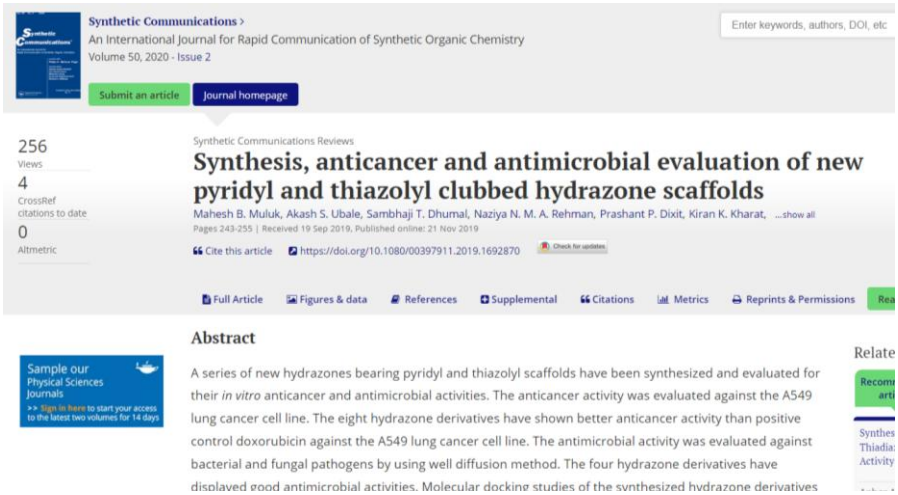
126. Synthesis, anticancer and antimicrobial evaluation of new pyridyl and thiazolyl clubbed hydrazone scaffolds



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Synthesis, anticancer and antimicrobial evaluation of new pyridyl and thiazolyl clubbed hydrazone scaffolds

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Pages 243-255 | Received 19 Sep 2019, Published online: 21 Nov 2019

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Abstract

A series of new hydrazones bearing pyridyl and thiazolyl scaffolds have been synthesized and evaluated for their *in vitro* anticancer and antimicrobial activities. The anticancer activity was evaluated against the A549 lung cancer cell line. The eight hydrazone derivatives have shown better anticancer activity than positive control doxorubicin against the A549 lung cancer cell line. The antimicrobial activity was evaluated against bacterial and fungal pathogens by using well diffusion method. The four hydrazone derivatives have displayed good antimicrobial activities. Molecular docking studies of the synthesized hydrazone derivatives

Relate

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127. Acrylamide grafted neem (Azadirachta indica) gum polymer: Screening and exploration as a drug release retardant for tablet formulation

Carbohydrate Polymers
Volume 229, 1 February 2020, 115357

Acrylamide grafted neem (*Azadirachta indica*) gum polymer: Screening and exploration as a drug release retardant for tablet formulation

Bhagwat Durgacharan A. [a], Kolekar Vandana R. [a], Nadaf Sameer J. [b], Choudhari Prafulla B. [a], More Harinath N. [a], Killedar Suresh G. [b]

[a] Bharati Vidyapeeth College of Pharmacy, Kolhapur, 416013, Maharashtra, India
[b] Sant Gajanan Maharaj College of Pharmacy, Mahagaon, site- Chinchewadi, 416503, Maharashtra, India

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128. Synthesis of phthalazine derivative based organic nanoflakes in aqueous solvent as a potential nano-anticancer agent: A new approach in medical field

Journal of Molecular Structure
Volume 1201, 5 February 2020, 127156

Synthesis of phthalazine derivative based organic nanoflakes in aqueous solvent as a potential nano-anticancer agent: A new approach in medical field

Saubai B. Wakshe [a], Shilpa R. Patil [a], Audumbar D. Patil [a], Prafulla B. Choudhari [b], Sandeep B. Patil [a], Prashant V. Anbhule [a], Daewon Sohn [c], Govind B. Kolekar [a c]

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Abstract

A simple phthalazine derivative 13-(4-bromophenyl)-3,3-dimethyl-3,4-dihydro-1*H*-

129. Exploring the Pharmacological Potentials of Biosurfactant Derived from Planococcus maritimus SAMP MCC 3013

Home > Current Microbiology > Article

Published: 02 January 2020

Exploring the Pharmacological Potentials of Biosurfactant Derived from *Planococcus maritimus* SAMP MCC 3013

Samadhan Waghmode, Sagar Swami, Dhiman Sarkar, Mangesh Suryavanshi, Sneha Roachlani, Prafulla Choudhari & Surekha Satpute

Current Microbiology **77**, 452–459 (2020) | Cite this article

643 Accesses | **17** Citations | **1** Altmetric | Metrics

Abstract

Therapeutic potential of biosurfactant (BS) has been improved in recent years. Our present study deals with production of BS from *Planococcus maritimus* SAMP MCC 3013 in a mineral salt medium (MSM) supplemented with glucose (1.5% w/v). Further, BS has been purified and partially characterized as glycolipid type through our previous publication. Current research article aimed to evaluate biological potential of BS against *Mycobacterium tuberculosis*, *Plasmodium falciparum* and cancerous cell lines. *Planococcus* derived glycolipid BS was

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130. Design and characterization of camptothecin gel for treatment of epidermoid carcinoma

Galatage et al. Future Journal of Pharmaceutical Sciences (2020) 6:50
https://doi.org/10.1186/s43094-020-00066-6

Future Journal of Pharmaceutical Sciences

RESEARCH Open Access

Design and characterization of camptothecin gel for treatment of epidermoid carcinoma

Sunil T. Galatage[1*], Aditya S. Hebalkar[1], Raviraj V. Gote[1], Omkar R. Mali[1], Suresh G. Killedar[1], Durgacharan A. Bhagwat[2] and Vijay M. Kumbhar[3]

Abstract

Background: The objective of present research work is to design and characterize camptothecin gel using Carbopol-934 for the treatment of epidermoid carcinoma. Optimized herbal gel formulations were evaluated for homogeneity and appearance, viscosity, extrudability, spreadability, drug content, drug release, pH, and in vitro skin cancer activity on A431 cell lines.

Results: Mass and Infrared Spectra respectively conforms molecular weight and functional groups present in camptothecin. All the formulations F1 to F5 showed good homogeneity, pH from 6.68 to 6.90, spreadability in the range of 15.81–23.37 gm.cm/s, extrudability 85.51–90.45% w/w, drug content 89.12–96.64%, and in vitro diffusion 88.36–98.40%, respectively. The drug release study showed that all the formulations followed a diffusion-controlled, zero-order release mechanism. Anticancer activity results indicate that camptothecin gel induce cell death in A-439 cells having IC_{50} 48.03 µg and % apoptosis 54.67 ± 4.58.

Conclusion: Topical delivery of camptothecin alleviates the side effects caused by systemic chemotherapy; hence, the developed herbal gel formulation can be effectively useful to deliver camptothecin in the treatment of epidermoid carcinoma on A-431 cells.

Keywords: Skin cancer, Camptothecin, Diffusion, Herbal gel, Drug content, Cell line-A431

Background

Nowaday's burden of growing global cancer was drastically raised, and patients suffering from it deeply required an ideal therapy that completely cures the cancer [1]. Current treatments of cancer include chemotherapy, surgery, and radiation therapy, having hazardous adverse effects. From the ancient era, various types of cancer were cured and prevented by using natural and herbal drugs [2]. Epidermoid carcinoma, also known as skin cancer, is a more versatile and common cancer in human being. Ultraviolet radiations which are present in sunlight are prominent source of skin cancer. Change in appearance of the skin and a sore that does not heal within 2 weeks are primary indicators and signs of skin cancer. It has been estimated that 2–3 million new cases occur annually and the number is increasing each year. It is estimated that in the northern United States, almost half of the people who live up to 65 years will develop skin cancer once. This represents growing public concern [3]. In recent years, utilization of herbal medicines along with its active constituents to treat cancer tremendously increased due to lesser side effects [4]. Phytoconstituents which are present in herbal drugs were prominently utilized in cancer treatment due to its multimolecular target action. Herbal drugs contain various types of phytoconstituents having versatile pharmacological actions like alkaloids, glycosides, and tannins. Along with the active ingredients, plants contain vitamins, minerals, proteins, etc. [5]. *Nothapodytes*

* Correspondence: gsunil201288@gmail.com
[1]Sant Gajanan Maharaj College of Pharmacy, Mahagaon, Site: Chinchewadi, Kolhapur, Maharashtra 416502, India
Full list of author information is available at the end of the article

131. Development and validation of a liquid chromatography-tandem mass spectrometry method for quantification of Lupeol in plasma and its application to pharmacokinetic study in rats

Journal of Chromatography B
Volume 1121, 15 July 2019, Pages 58-65

Development and validation of a liquid chromatography-tandem mass spectrometry method for quantification of Lupeol in plasma and its application to pharmacokinetic study in rats

Laxman Khatal, Harinath More

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Abstract

Lupeol, a phytosterol and triterpene, possesses numerous medicinal properties against

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132. Sericin Inhibits Devitrification of Amorphous Drugs

Home > AAPS PharmSciTech > Article

Research Article | Published: 12 August 2019

Sericin Inhibits Devitrification of Amorphous Drugs

Nitin Salunkhe, Namdeo Jadhav, Harinath More & Prafulla Choudhari

AAPS PharmSciTech **20**, Article number: 285 (2019) | Cite this article

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Abstract

The purpose of the present investigation was to analyze devitrification of amorphous drugs such as lornoxicam, meloxicam, and felodipine in the presence of sericin. The binary solid dispersions comprising varying mass ratios of drug and sericin were subject to amorphization by spray drying, solvent evaporation, ball milling, and physical mixing. Further, obtained solid

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133. Exploration of Leads from Natural Domain Targeting HER2 in Breast Cancer: An In-Silico Approach

Home › International Journal of Peptide Research and Therapeutics › Article

Published: 23 April 2018

Exploration of Leads from Natural Domain Targeting HER2 in Breast Cancer: An In-Silico Approach

Snehal S. Ashtekar, Neela M. Bhatia & Manish S. Bhatia

International Journal of Peptide Research and Therapeutics **25**, 659–667 (2019) | Cite this article

340 Accesses | **8** Citations | Metrics

Abstract

The human epidermal growth factor (HER2/neu) receptor protein target expression plays a vital role in the development of breast cancer and is increased in around 20% of breast cancers. Currently, only two drugs lapatinib and neratinib are approved as HER2 inhibitors.

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134. Anticancer activity and molecular docking studies of ferrocene tethered ionic liquids

Journal of Molecular Liquids
Volume 290, 15 September 2019, 111182

Anticancer activity and molecular docking studies of ferrocene tethered ionic liquids

Prakash Bansode [a b], Pradnya Patil [a], Prafulla Choudhari [c], Manish Bhatia [c], Apurva Birajdar [d], Indumathi Somasundaram [d], Gajanan Rashinkar [a]

[a] Department of Chemistry, Shivaji University, Kolhapur 416004, M.S., India
[b] Department of Chemistry, Sangola College Sangola, 413307, M.S., India
[c] Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Kolhapur 416013, M.S., India
[d] Department of Stem Cell and Regenerative Medicine, D.Y. Patil Educational Society, Kolhapur 400614, M.S., India

Received 11 March 2019, Revised 4 June 2019, Accepted 12 June 2019, Available online 15 June 2019, Version of Record 22 June 2019.

135. Quantitative Structure–Property Relationship Approach in Formulation Development: an Overview

Home › AAPS PharmSciTech › Article

Review Article | Published: 26 July 2019

Quantitative Structure–Property Relationship Approach in Formulation Development: an Overview

Ajit S. Kulkarni, Amit J. Kasabe, Manish S. Bhatia, Neela M. Bhatia & Vinod L. Gaikwad

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Abstract

Chemoinformatics is emerging as a new trend to set drug discovery which correlates the relationship between structure and biological functions. The main aim of chemoinformatics refers to analyzing the similarity among molecules, searching the molecules in the structural

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136. Stabilization of hydrochlorothiazide nanocrystals using fibroin

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Journal of Research in Pharmacy 2019 , Vol 23 , Issue 6

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Stabilization of hydrochlorothiazide nanocrystals using fibroin

Rani DHOLE[1],Udaykumar PATIL[2],Namdeo JADHAV[3]

[1]Bharati Vidyapeeth College of Pharmacy, Kolhapur, Shivaji University, Maharashtra, India
[2]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Shivaji University, Maharashtra, India
[3]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Shivaji University, Maharashtra, India

DOI : 10.35333/jrp.2019.64

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Nanocrystals of the poorly water soluble drugs is a promising strategy. To stabilize the drug nanocrystals, stabilizers are usually used; however, the use of common stabilizers is limited by weak stabilization effect and toxicological concerns for long-term treatment. The present work was aimed to investigate the potential of natural silk protein as novel stabilizer for nanocrystal of hydrochlorothiazide, which was a model drug. The nanocrystals of drug with hydrophobic protein stabilizer were prepared by antisolvent precipitation method. Prepared nanocrystals were evaluated for parameters like particle size, zeta potential, DSC, XRD, %crystallinity, SEM, drug content and in vitro dissolution test. Stabilization efficiency of nanocrystals was assessed by their % crystallinity for 3 months. Optimized batch R2 was shown smaller particle size, highest drug content and drug dissolution. Nanocrystals were shown the extended release due to coat of fibroin around the hydrochlorothiazide. From the % crystallinity study it was found that there was not significant change in the nanocrystals prepared using fibroin. So, it was concluded that the fibroin is good stabilizer for drug nanocrystals.

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137. Validated UV Spectrophotometric method for Estimation of Simvastatin in Bulk and Pharmaceutical Formulation

Research Journal of Pharmacy and Technology

ISSN 0974-360X (Online) 0974-3618 (Print)

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Validated UV Spectrophotometric method for Estimation of Simvastatin in Bulk and Pharmaceutical Formulation

Author(s): Sandip A. Bandgar, Namdeo R. Jadhav

Email(s): bandgarsandip21@gmail.com

DOI: 10.5958/0974-360X.2019.00994.6

Address: Sandip A. Bandgar1*, Namdeo R. Jadhav2
1Ashokrao Mane College of Pharmacy, Peth-Vadgaon MS (India)
2Bharati Vidyapeeth College of Pharmacy, Kolhapur MS (India)
*Corresponding Author

Published In: Volume - 12, Issue - 12, Year - 2019

Keywords: Simvastatin | UV Spectrophotometric method | Accuracy.

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138. Development of High-Strength Extended-Release Multiparticulate System by Crystallo-co-agglomeration Technique with Integration of Central Composite Design

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Research Article | Published: 21 May 2019

Development of High-Strength Extended-Release Multiparticulate System by Crystallo-co-agglomeration Technique with Integration of Central Composite Design

Vinod L. Gaikwad, Namdeo R. Jadhav, Atmaram P. Pawar & Kakasaheb R. Mahadik

AAPS PharmSciTech **20**, Article number: 192 (2019) | Cite this article

206 Accesses | **4** Citations | Metrics

Abstract

The number of unit operations to be followed in the preparation of tablets was cumbersome and may introduce material as well as process-related critical parameters which may

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139. Lornoxicam quantification in rabbit plasma by reverse phase HPLC: Optimization and application to pharmacokinetic study

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RESEARCH ARTICLE

Lornoxicam quantification in rabbit plasma by reverse phase HPLC: Optimization and application to pharmacokinetic study

Nitin Salunkhe, Namdeo Jadhav, Somnath Bhinge

First published: 28 October 2019 | https://doi.org/10.1002/sscp.201900061 | Citations: 2

Abstract

The aim of present study was to develop a simple, rapid, selective, sensitive and robust reverse phase high-performance liquid chromatography method for quantification of Lornoxicam and internal standard meloxicam in rabbit plasma at the wavelength of 370 nm. Protein was precipitated from rabbit plasma sample by addition of acetonitrile as a vehicle. An isocratic elution of samples was performed on capcell pak C8 column with the mobile phase consisting 5 mM phosphate buffer (pH 4.8): methanol (40:60 v/v) delivered at flow rate 1.0 mL min^{-1}. The method was quantitatively evaluated in terms of System suitability, linearity, precision, recovery, selectivity, robustness and stability studies. The validated reverse phase high-performance liquid chromatography method was successfully applied for the bioavailability studies of Lornoxicam. The pharmacokinetic parameters were calculated for all the investigated drugs in rabbit plasma after single-dose administrations of pure drug and formulation of Lornoxicam. Thus, developed method is simple, convenient and suitable for the analysis of Lornoxicam in bulk drug and pharmaceutical formulations.

Volume 2, Issue 11
November 2019
Pages 405-415

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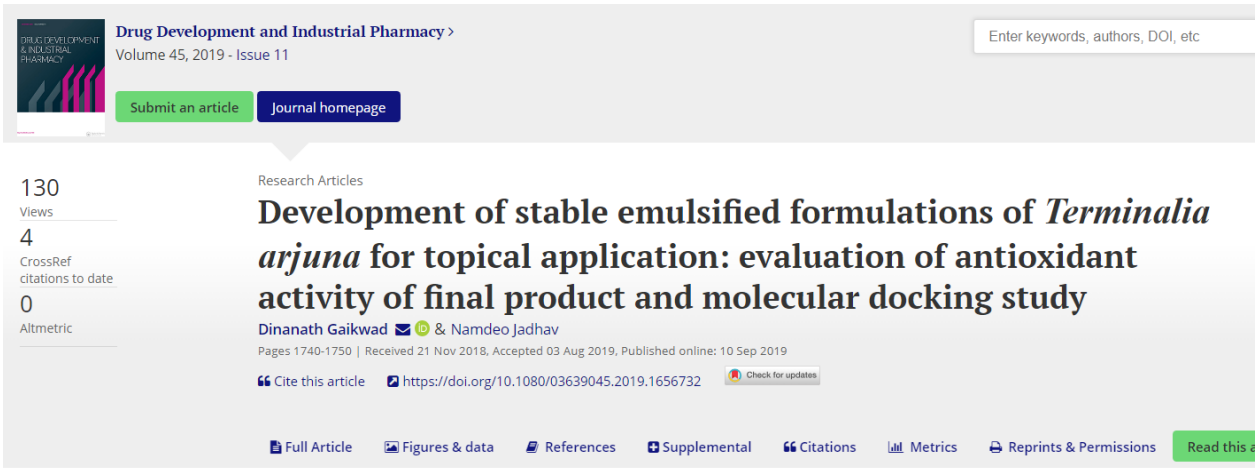
140. Development of stable emulsified formulations of Terminalia arjuna for topical application: evaluation of antioxidant activity of final product and molecular docking study



Drug Development and Industrial Pharmacy
Volume 45, 2019 - Issue 11

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Research Articles

Development of stable emulsified formulations of *Terminalia arjuna* for topical application: evaluation of antioxidant activity of final product and molecular docking study

Dinanath Gaikwad & Namdeo Jadhav

Pages 1740-1750 | Received 21 Nov 2018, Accepted 03 Aug 2019, Published online: 10 Sep 2019

Cite this article | https://doi.org/10.1080/03639045.2019.1656732 | Check for updates

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Abstract

Objective: The aim of this work was to develop stable emulsified formulations containing *Terminalia arjuna* (*T. arjuna*) extract and to assess antioxidant potential of the final product with *in silico* molecular screening.

Methods: *Terminalia arjuna* emulsified formulations were prepared by application of ternary phase diagram design and were evaluated for phytochemical screening, solubility studies, *ex vivo* permeation study, DPPH

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141. Optimization of Thiazolidone Scaffolds Using Pocket Modeling for Development of Potential Secretory System Inhibitors of Mycobacterium tuberculosis

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Original Article

Optimization of Thiazolidone Scaffolds Using Pocket Modeling for Development of Potential Secretory System Inhibitors of *Mycobacterium tuberculosis*

10.4274/tjps.galenos.2018.12599

Shivratna V. KHARE, Sujata P. CHOUDHARI, Siddharth P. PHALLE, Santosh S. KUMBHAR, Prafulla B. CHOUDHARI, Sambhaji R. MASAL, Aakash K. PATIL, Rakesh P. DHAVALE, Durgacharan A. BHAGWAT, Atul M. KADAM

Turk J Pharm Sci 2019;16(2):196-205
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Accepted Date: 22.03.2018

INTRODUCTION

Tuberculosis is an air-borne disease caused by infection with *Mycobacterium tuberculosis*. In the current decade, tuberculosis has emerged as a global emergency due to its mortality rate.[1,2,3] Tuberculosis acts as the salient killer in patients suffering from immunocompromising diseases like acquired immune deficiency syndrome (AIDS) and diabetics. In more than 80% cases of AIDS death occurs in the patients due to tuberculosis.[4,5,6,7] The problem of multidrug resistant tuberculosis (MDR), extensively drug-resistant tuberculosis, and total drug-resistant tuberculosis has reached its peak.[8,9,10,11] Bedaquiline is the only newly developed and approved drug for active MDR tuberculosis in the last two decades. Negligence of pharmaceutical scientists and medicinal chemists towards tuberculosis generated this global problem of tuberculosis. A number of hurdles are normally associated with antitubercular drug discovery; one of them is *M. tuberculosis*. *M. tuberculosis* is lipid-rich gram-negative organism having specialized systems that make it different from other microorganisms.[12,13,14,15] Secretory systems are one of the specialized systems present in *M. tuberculosis*, and are key regulators of virulence of *M. tuberculosis*. In *M. tuberculosis* three different secretory systems, secondary translocase pathway (SEC), twin arginine translocation (TAT), and ESX, are present.[16,17,18] The SEC pathway is a major protein export system present in the

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142. Synthesis and antimycobacterial evaluation of new 5-(1-benzyl-1H-1,2,3-triazol-4-yl)-4-methyl-2-arylthiazole derivatives

Home › Medicinal Chemistry Research › Article

Original Research | Published: 13 April 2019

Synthesis and antimycobacterial evaluation of new 5-(1-benzyl-1*H*-1,2,3-triazol-4-yl)-4-methyl-2-arylthiazole derivatives

Vikas Shinde, Pramod Mahulikar ✉, Pravin C. Mhaske ✉, Shakti Chakraborty, Amit Choudhari, Siddharth Phalle, Prafulla Choudhari & Dhiman Sarkar

Medicinal Chemistry Research **28**, 805–819 (2019) | Cite this article

498 Accesses | **11** Citations | Metrics

Abstract

A new series of 5-(1-benzyl-1*H*-1,2,3-triazol-4-yl)-4-methyl-2-arylthiazole derivatives, **6a**–**w**

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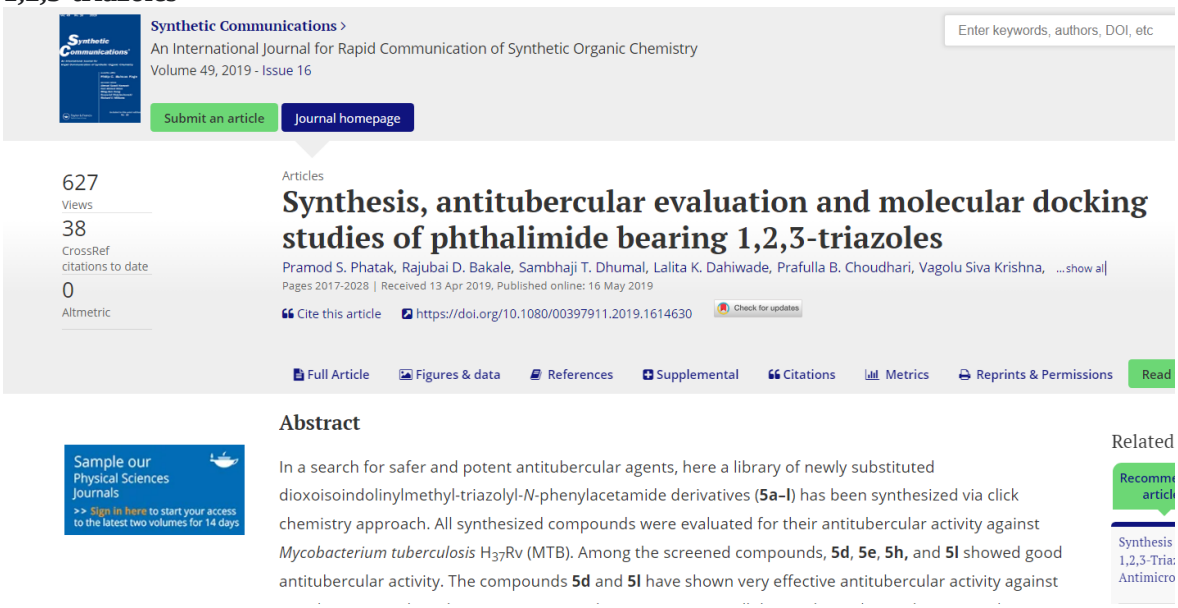
143. Synthesis, antitubercular evaluation and molecular docking studies of phthalimide bearing 1,2,3-triazoles



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Synthesis, antitubercular evaluation and molecular docking studies of phthalimide bearing 1,2,3-triazoles

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Pages 2017-2028 | Received 13 Apr 2019, Published online: 16 May 2019

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Abstract

In a search for safer and potent antitubercular agents, here a library of newly substituted dioxoisoindolinylmethyl-triazolyl-*N*-phenylacetamide derivatives (**5a–l**) has been synthesized via click chemistry approach. All synthesized compounds were evaluated for their antitubercular activity against *Mycobacterium tuberculosis* $H_{37}Rv$ (MTB). Among the screened compounds, **5d**, **5e**, **5h,** and **5l** showed good antitubercular activity. The compounds **5d** and **5l** have shown very effective antitubercular activity against

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144. Synthesis, antimicrobial activity, and molecular docking study of formylnaphthalenyloxymethyl-triazolyl-N-phenylacetamides

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Article

Synthesis, antimicrobial activity, and molecular docking study of formylnaphthalenyloxymethyl-triazolyl-*N*-phenylacetamides

Mahesh B. Muluk, Sambhaji T. Dhumal, Pramod S. Phatak, Naziya N. M. A. Rehman, Prashant P. Dixit, Prafulla B. Choudhari, Ramrao A. Mane, Kishan P. Haval

First published: 17 July 2019 | https://doi.org/10.1002/jhet.3628 | Citations: 13

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Abstract

In the present study, substituted formylnaphthalenyloxymethyl-triazolyl-*N*-phenylacetamide derivatives (**6a**–**k**) have been designed and synthesized employing click chemistry approach and evaluated for their *in vitro* antifungal and antibacterial activities

Volume 56, Issue 9
September 2019
Pages 2411-2418

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145. Synthesis of new thiazolyl-pyrazolyl-1,2,3-triazole derivatives as potential antimicrobial agents

ELSEVIER

European Journal of Medicinal Chemistry
Volume 179, 1 October 2019, Pages 649-659

Research paper

Synthesis of new thiazolyl-pyrazolyl-1,2,3-triazole derivatives as potential antimicrobial agents

Jitendra Nalawade [a], Abhijit Shinde [b], Abhijit Chavan [b], Sachin Patil [a], Manjusha Suryavanshi [a], Manisha Modak [c], Prafulla Choudhari [d], Vivek D. Bobade [a], Pravin C. Mhaske [b]

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https://doi.org/10.1016/j.ejmech.2019.06.074

Abstract

A series of 1-substituted benzyl-4-[1-phenyl-3-(4-methyl-2-aryl-1,3-thiazol-5-yl)-1*H*-pyrazol-4-yl]-1*H*-1,2,3-triazole derivatives (**7a-y**) have been synthesized by click reaction

146. In Vitro Study of Ethyl-4-(3,4.5-trimethoxyphenyl)-2,7,7-trimethyl-5-oxo1,4,5,6,7,8-hexahydroquinoline-3-carboxylate and Bovine Serum Albumin Using Multi-Spectroscopic Techniques and Molecular Docking

Macromolecular Symposia

Full Paper

In Vitro Study of Ethyl-4-(3,4.5-trimethoxyphenyl)-2,7,7-trimethyl-5-oxo1,4,5,6,7,8-hexahydroquinoline-3-carboxylate and Bovine Serum Albumin Using Multi-Spectroscopic Techniques and Molecular Docking

Sunil D. Kumbhar, Anil H. Gore, Prafulla B. Choudhari, Nilotpal Barooah, Prashant V. Anbhule, Yogesh S. Sonavane, Govind B. Kolekar, Anita J. Bodake

First published: 17 October 2019 | https://doi.org/10.1002/masy.201800206

Abstract

The binding of quinolone derivative ethyl-4-(3,4.5-trimethoxyphenyl)-2,7,7-trimethyl-5-oxo1,4,5,6,7,8-hexahydroquinoline-3-carboxylate (ETMTMHQC) to bovine serum albumin (BSA) is investigated by various spectroscopic methods and molecular docking analysis. The fluorescence quenching spectroscopic results show that ETMTMHQC bind to the protein BSA. The binding constant value is found to be 5.2×10^{-6} K (mol dm^3). The thermodynamic parameter of the system shows increase in temperature with gradual

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147. Synthesis, antimicrobial, and antioxidant activities of new pyridyl- and thiazolyl-bearing carbohydrazides

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ARTICLE

Synthesis, antimicrobial, and antioxidant activities of new pyridyl- and thiazolyl-bearing carbohydrazides

Mahesh B. Muluk, Pramod S. Phatak, Shriram B. Pawar, Sambhaji T. Dhumal, Naziya N. M. A. Rehman, Prashant P. Dixit, Prafulla B. Choudhari, Kishan P. Haval

First published: 11 October 2019 | https://doi.org/10.1002/jccs.201900198 | Citations: 10

Funding information UGC New Delhi, India, Grant/Award Number: 061310426

Abstract

A series of novel substituted (*E*)-*N*′-benzylidene-4-methyl-2-(2-propylpyridin-4-yl)thiazole-5-carbohydrazide derivatives (**6a-l**) have been synthesized by following the multistep synthetic route starting from prothionamide. The resulting compounds were characterized via ^{1}H, ^{13}C NMR, and HRMS spectral data. The synthesized carbohydrazides were evaluated for their in vitro antimicrobial and antioxidant activities. Tested molecules have displayed moderate to good growth inhibition activity. Among the screened compounds, **6b**, **6e**, **6j,** and **6k** are found to be the more promising antimicrobial agents.

Volume 66, Issue 11
November 2019
Pages 1507-1517

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College of Pharmacy, Kolhapur

148. Design, Development and Evaluation of Self Nanoemulsifying Drug Delivery System of Garlic Oil using Capryol PGMC

Original Article

Design, Development and Evaluation of Self Nanoemulsifying Drug Delivery System of Garlic Oil using Capryol PGMC

Priyanka Sangar[1], Bandgar Sandip[1,*], Shelake Sardar[2], Patil Pravin[1], Bhagwat Durgacharan[3], Patil Shitalkumar[1]

[1]Department of Pharmaceutics, Ashokrao Mane College of Pharmacy, Peth-Vadgaon, Kolhapur, Maharashtra, INDIA.
[2]Department of Pharmaceutics, Ashokrao Mane Institute of Pharmacy, Ambap, Maharashtra, INDIA.
[3]Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, INDIA.

ABSTRACT

Introduction: At present days there was considerable attention has been taken to develop lipid based pharmaceutical preparation which improves solubility as well as permeability leads to improve oral bioavailability of poorly water soluble drug with a system known as self nano-emulsifying drug delivery system. **Materials and Methods:** The SNEDDS of garlic oil was prepared by using oleic acid as oil, capryol PGMC as a surfactant and ethanol as a co-surfactant, as the garlic oil shows better solubility in these excipients which is find out by constructing pseudo-ternary phase diagram. The Km = 3 was selected for the preparation of SNEDDS of garlic oil because it shows better nanoemulsion region as compared to Km = 1 and 2. **Discussion:** The formulated SNEDDS of garlic oil was evaluated for physical characterization, thermodynamic stability, rheology study, globule size and zeta potential, dispersibility study, cloud point determination, % transmittance, drug content, FTIR study and *in vitro* drug release study. Three batches of SNEDDS of garlic oil was formulated using Km value 3 which cover maximum nanoemulsion region, containing oleic acid (solubility 57.53 ± 0.45), Capryol PGMC (solubility 59.80 ± 0.82) and ethanol (solubility 49.83 ± 0.30). Based on the compatibility study, optimum globule size (177.2 nm), minimum polydispersity (0.386), higher drug content (90.89 ± 0.68) and higher drug release (98.85%), batch F2 was optimized. **Conclusion:** The bioavailability problem can be overcome by the Self nano- emulsifying drug delivery system, which presents the more drug in solubilized form in the body as compared with other conventional drug delivery systems.

Key words: Self Nanoemulsifying Drug Delivery System, Garlic oil, Pseudo ternary phase diagram, Capryol PGMC, poorly water soluble drug.

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Correspondence:
Mr. Sandip Akaram Bandgar,
Department of Pharmaceutics, Ashokrao Mane College of Pharmacy, Peth-Vadgaon, Kolhapur, Maharashtra-416112, INDIA.
Phone: +91 8600009719
E-mail: bandgarsandip21@gmail.com

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INTRODUCTION

Garlic, botanically known as *Allium sativum* Linn. a member of Liliaceae family is one of the earliest documented example of plants employed for the treatment of diseases and maintenance of health.[1] Garlic oil is best known for its number of medicinal values such as anti-atherosclerosis, blood lipid and sugar modulation, antifungal, antimicrobial, anti-thrombolic, cardiovascular disease treatment and stimulation of immune system.[2] However, the application of garlic oil in the food industry is limited due to its volatility, strong odour, insolubility in water and low physicochemical stability.[3] To overcome these problems various methods are listed in the literature which include incorporation of hydrophilic excipients, solid dispersion, micellar solubilization, microemulsion etc. But in recent years considerable attention has been made to develop lipid based pharmaceutical preparation as it improves not only solubility but also permeability which leads to improve oral bioavailability of poorly water soluble

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149. Design and characterisation of lopinavir nanocrystals for solubility and dissolution enhancement

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Research Article

Design and characterisation of lopinavir nanocrystals for solubility and dissolution enhancement

Anilkumar Shinde[1*],
Namdeo Jadhav[1],
Harinath More [1],
Heena Naikwadi[1]

[1] Bharati Vidyapeeth College of Pharmacy, Kolhapur

*Corresponding author:
Anilkumar Shinde
Anilkumar.shinde@bharatividyapeeth.edu

KEYWORDS:
Lopinavir; Nanocrystals; anti-solvent precipitation; Dissolution

ABSTRACT

The objective of present work was to prepare nanocrystals of Lopinavir (LPN) to enhance its solubility and dissolution rate with aim of dose reduction and minimising the side effects associated with it's oral administration. Nanocrystals of LPN were prepared by anti-solvent precipitation method using a 3^2 full factorial design, employing stirring speed (X1) and concentration of surfactant (X2) as independent variables. The nanocrystals obtained were characterised mainly for particle size (PS), zeta potential (ZP), crystallinity, saturation solubility, in vitro dissolution and permeability. Results demonstrated profound effect of concentration of surfactant (pluronic F-68) on both the PS and polydispersity index (PDI) values. The optimised nanocrystals formulation had particle size 265nm, PDI 0.260 and ZP in the range of -18.0 to -22.5mv. X-Ray diffraction studies (XRPD) and Differential scanning calorimetry (DSC) studies suggested nanocrystal formation and absence of crystalline peaks, indicating loss of crystallinity, additionally confirmed by scanning electron microscopy (SEM). Nanocrystals showed 30.45 fold enhancements in aqueous solubility, and 38.5 fold in phosphate buffer pH 6.8, as compared to pure LPN. In vitro release studies have demonstrated 92.20% cumulative drug release within 3 hrs from nanocrystals compared to 42.65% from pure LPN. Even, increase in permeation flux from 423.1 µg/cm^2/hr to 632.93 µg/cm^2/hr in case of nanocrystals was also indication of enhanced dissolution. Stable LPN nanocrystals formulated by anti-solvent precipitation method shows improved solubility and dissolution. It has been concluded that LPN nanocrystals were obtained with significant improvement in saturation solubility and drug losing it's crystalline nature when compared with plain drug.

1. INTRODUCTION

It is well explained that solubility, dissolution and gastrointestinal permeability are fundamental parameters that control rate and extent of drug absorption and it's bioavailability. Hence, poor aqueous solubility is a major challenge for development of formulations, thus scientists are concerned with improving oral bioavailability of poorly soluble drugs[1-3]. LPN is a potent protease inhibitor indicated for the treatment of HIV-1 infection. LPN is classified as a Class IV (poorly soluble and poorly

150. In silico design, synthesis, characterization and pharmacological evaluation of captopril conjugates in the treatment of renal fibrosis

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In silico design, synthesis, characterization and pharmacological evaluation of captopril conjugates in the treatment of renal fibrosis†

Swapnil Dashrath Jadhav *, Prafulla Balkrishna Choudhari and Manish Sudesh Bhatia

Department of Pharmaceutical Chemistry, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur, Maharashtra 416013, India. E-mail: swapnil.mpharm@gmail.com; bhatiamanish13@gmail.com; Fax: +91-0231-2638833; Tel: +91-0231-2637286

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Abstract

Renal fibrosis is a renal disorder whereby production of excess fibrous connective tissue in the glomerulus and proximal convoluted tubules will occur in a reparative or reactive process leading to severe conditions like surgery, replacement, *etc.* Such a condition needs pharmacotherapy with drugs reducing renal overload (captopril) and inflammation (taurine). In this research project, two chemical conjugates of captopril with taurine and glutamic acid were developed using *in silico* analysis for an improvement in bioavailability with a reduction in inflammation. The stability of these conjugates in sheep kidney cells and in human plasma along with transport across renal cells was investigated using *in vitro* protocols. The results of these studies have revealed that conjugates have retained desired interactions for transportability across renal epithelial cells and their bioactivity against ACE and TGF-β. Both conjugates A and B were found to be stable over a period of 14 h in plasma and

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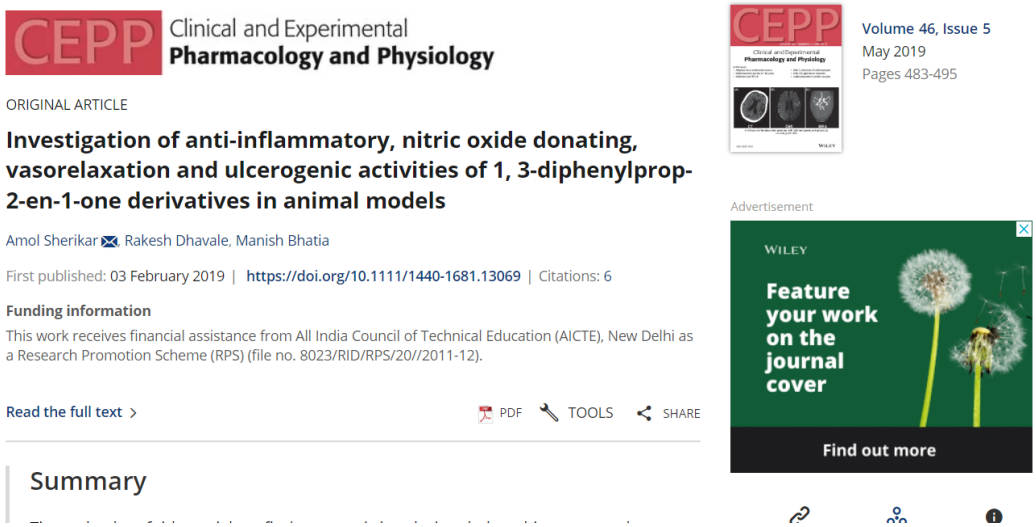
151. Investigation of anti-inflammatory, nitric oxide donating, vasorelaxation and ulcerogenic activities of 1, 3-diphenylprop-2-en-1-one derivatives in animal models



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ORIGINAL ARTICLE

Investigation of anti-inflammatory, nitric oxide donating, vasorelaxation and ulcerogenic activities of 1, 3-diphenylprop-2-en-1-one derivatives in animal models

Amol Sherikar, Rakesh Dhavale, Manish Bhatia

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Summary

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152. Validated RP-HPLC method for quantification of felodipine in rabbit plasma: Application in a bioequivalence study

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Annales Pharmaceutiques Françaises
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Original article

Validated RP-HPLC method for quantification of felodipine in rabbit plasma: Application in a bioequivalence study

Méthode RP-HPLC validée pour la quantification de la félodipine dans le plasma de lapin : application dans une étude de bioéquivalence

N.H. Salunkhe [a], N.R. Jadhav [a], S.D. Bhinge [b]

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Summary

Objective

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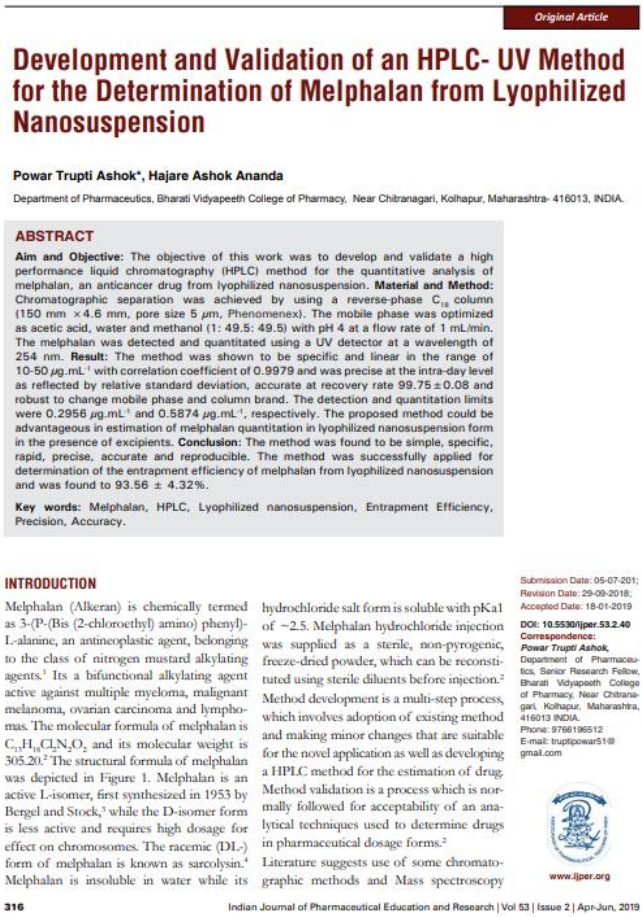
153. Development and Validation of an HPLC- UV Method for the Determination of Melphalan from Lyophilized Nanosuspension



Original Article

Development and Validation of an HPLC- UV Method for the Determination of Melphalan from Lyophilized Nanosuspension

Powar Trupti Ashok*, Hajare Ashok Ananda

Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur, Maharashtra- 416013, INDIA.

ABSTRACT

Aim and Objective: The objective of this work was to develop and validate a high performance liquid chromatography (HPLC) method for the quantitative analysis of melphalan, an anticancer drug from lyophilized nanosuspension. **Material and Method:** Chromatographic separation was achieved by using a reverse-phase C_{18} column (150 mm ×4.6 mm, pore size 5 µm, Phenomenex). The mobile phase was optimized as acetic acid, water and methanol (1: 49.5: 49.5) with pH 4 at a flow rate of 1 mL/min. The melphalan was detected and quantitated using a UV detector at a wavelength of 254 nm. **Result:** The method was shown to be specific and linear in the range of 10-50 µg.mL^{-1} with correlation coefficient of 0.9979 and was precise at the intra-day level as reflected by relative standard deviation, accurate at recovery rate 99.75 ± 0.08 and robust to change mobile phase and column brand. The detection and quantitation limits were 0.2956 µg.mL^{-1} and 0.5874 µg.mL^{-1}, respectively. The proposed method could be advantageous in estimation of melphalan quantitation in lyophilized nanosuspension form in the presence of excipients. **Conclusion:** The method was found to be simple, specific, rapid, precise, accurate and reproducible. The method was successfully applied for determination of the entrapment efficiency of melphalan from lyophilized nanosuspension and was found to 93.56 ± 4.32%.

Key words: Melphalan, HPLC, Lyophilized nanosuspension, Entrapment Efficiency, Precision, Accuracy.

INTRODUCTION

Melphalan (Alkeran) is chemically termed as 3-(P-(Bis (2-chloroethyl) amino) phenyl)-L-alanine, an antineoplastic agent, belonging to the class of nitrogen mustard alkylating agents.[1] Its a bifunctional alkylating agent active against multiple myeloma, malignant melanoma, ovarian carcinoma and lymphomas. The molecular formula of melphalan is $C_{13}H_{18}Cl_2N_2O_2$ and its molecular weight is 305.20.[2] The structural formula of melphalan was depicted in Figure 1. Melphalan is an active L-isomer, first synthesized in 1953 by Bergel and Stock,[3] while the D-isomer form is less active and requires high dosage for effect on chromosomes. The racemic (DL-) form of melphalan is known as sarcolysin.[4] Melphalan is insoluble in water while its hydrochloride salt form is soluble with pKa1 of ~2.5. Melphalan hydrochloride injection was supplied as a sterile, non-pyrogenic, freeze-dried powder, which can be reconstituted using sterile diluents before injection.[2] Method development is a multi-step process, which involves adoption of existing method and making minor changes that are suitable for the novel application as well as developing a HPLC method for the estimation of drug. Method validation is a process which is normally followed for acceptability of an analytical techniques used to determine drugs in pharmaceutical dosage forms.[2] Literature suggests use of some chromatographic methods and Mass spectroscopy

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Correspondence:
Powar Trupti Ashok,
Department of Pharmaceutics, Senior Research Fellow, Bharati Vidyapeeth College of Pharmacy, Near Chitranagari, Kolhapur, Maharashtra, 416013 INDIA.
Phone: 9766196512
E-mail: truptipowar51@gmail.com

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154. Screening of Silk Fibroin as a Stabilizer for Freeze Drying of Thermolabile Drug

Original Article

Screening of Silk Fibroin as a Stabilizer for Freeze Drying of Thermolabile Drug

Pooja Gajanan Mirajkar, Ashok Ananda Hajare*

Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra, INDIA.

ABSTRACT

Aim: In the present research work an attempt is made to investigate use of silk fibroin as a stabilizer for model thermolabile drug Tenofovir Alafinamide Fumarate (TAF). **Materials and Methods:** On the basis of literature reviewed various lyophilized formulations of TAF using silk fibroin as a stabilizer were prepared. Lyophilized formulations were optimized by analyzing for % drug content, % moisture retention and appearance of lyophilizate and further investigated by using modern techniques such FT-IR, XRD and DSC. Formulations were tested to confirm suitability of silk fibroin to stabilize TAF during lyophilization. Initially for achieving desirable product features the fibroin concentration was optimized. The optimized concentration of fibroin was compared with commonly used cryoprotectants such as mannitol and sucrose. The process efficiency of fibroin as cryoprotectant was compared using product features. **Results:** Product features and stabilization efficiency of fibroin was lower than mannitol where as it was better than sucrose. The short term stability study retained drug to desired strength indicating lyophilized products stability over the period of a month. Thus it can be concluded that silk fibroin could be successfully used to stabilize theromosensitive therapeutics. In comparison fibroin seems to stabilize labile drugs efficiently reducing production cost and at lower toxicity risk. Thus, natural silk fibroin is a promising excipient to be used as a stabilizer due of its cryopreserving properties.

Key words: Silk fibroin, Stabilizer, Tenofovir Alafinamide Fumarate, Freeze drying.

INTRODUCTION

As we know pharmacy is the science and technique of preparing and dispensing drugs and aims to ensure the safe and effective use of pharmaceutical drugs. Even though, we still face some problems such as instability, solubility, degradation of drugs etc. Cells, proteins, enzymes, endotoxins, microorganisms and some of the drugs are very sensitive to processing and storage temperature losing its integrity. Being unstable it must be stored at lowest temperature (2-8°C) which needs cold chain facilities.

The storage and preservation of these thermolabile entities is a critical issue in their successful use. Freeze drying (FD, lyophilization) is a technique of converting material into dry form at temperature below freezing point enhancing stability. The low operating temperature reduces the damages that can occur with traditional drying processes and guarantees stability and optimal use conditions until the expiration date. FD is a method of removing water by sublimation of ice crystals from frozen material. Suitable parameters of process application allow us to obtain best quality products compared to products dried with traditional methods. In pharmaceutical field lyophilization has become important subject to ongoing development and its expansion.[1]

Freeze drying of thermolabile substances needs some excipients to be used as a cryoprotectants or stabilizers.[2] It protects the labile materials from low temperature damages during freezing while provide protection against the stresses that occurs

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Correspondence:
Ashok Hajare,
Department of Pharmaceutics, Bharati Vidyapeeth College of Pharmacy, Kolhapur-416013, Maharashtra, INDIA.
Phone: +91 9763226302
E-mail: pmirajkar415@gmail.com

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155. Lung delivery of nanoliposomal salbutamol sulfate dry powder inhalation for facilitated asthma therapy

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Lung delivery of nanoliposomal salbutamol sulfate dry powder inhalation for facilitated asthma therapy

Sandip Honmane, Ashok Hajare, Harinath More, Riyaz Ali M. Osmani & Sachin Salunkhe

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Abstract

The motive behind present work was to discover a solution for overcoming the problems allied with a deprived oral bioavailability of salbutamol sulfate (SS) due to its first pass hepatic metabolism, shorter half-life, and systemic toxicity at high doses. Pulmonary delivery provides an alternative route of administration to avoid hepatic metabolism of SS, moreover facilitated diffusion and prolonged retention can be achieved by incorporation into liposomes. Liposomes were prepared by thin film hydration technique using 3^2 full factorial design and formulation was optimized based on the vesicle size and percent drug entrapment (PDE)

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Bharati Vidyapeeth
College of Pharmacy, Kolhapur