Article Details

DESIGN, SYNTHESIS, ANTIBACTERIAL AND ANTICANCER ACTIVITY OF 4-HYDROXY-3-(2-SUBSTITUTED-2-THIOXOETHYL)-1-PHENYL/ METHYLQUINOLIN-2(1H)-ONE DERIVATIVES

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ABSTRACT

A series of title compounds, 4-hydroxy-3-(2-substituted-2-thioxoethyl)-1-phenyl/methylquinolin-2(1H)- ones were synthesized and purity of the compounds was ascertained by TLC. The structures of the synthesized compounds were characterized by IR, NMR (^{1}HNMR and ^{13}CNMR) and mass spectral data. Molecular docking studies of the compounds were carried out using Molegro Virtual Docker. All the synthesized compounds were evaluated for anticancer activity against two different cell lines: K562 (leukemia) and A549 (lung cancer) and antibacterial evaluation was also carried out against *Bacillus subtilis*, *Staphylococcus aureus*, *Escherichia coli* and *Pseudomonas aeruginosa*. Compound (II-a1) showed MIC of 1 µg/mL against B. subtilis and 4 µg/mL against S. aureus. Compound (II-b1) showed MIC of 4 µg/mL against B. subtilis and 2 µg/mL against S. aureus gram positive bacterial strains. Compound II-a2 showed anticancer activity with IC50 value = 0.765 µM/mL against K562 cell line (leukemia) and II-a3 with IC50 value = 0.774 µM/mL.

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Design, synthesis, and biological evaluation of 1,3,5-trisubstituted pyrazoles as tyrosine kinase inhibitors

Original Research | Published: 10 January 2019

Volume 28, pages 267–278, (2019) Cite this article

Sinthiya J. Gawandi, Vidya G. Desai & Sunil G. Shingade

Abstract

We report herein, silica supported molybdic acid mediated oxidative C–N bond formation

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DESIGN, SYNTHESIS AND EVALUATION OF 6-SUBSTITUTED-4-HYDROXY-1-(2-SUBSTITUTEDACETYL)-3-NITROQUINOLIN-2(1H)-ONES FOR ANTICANCER ACTIVITY

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ABSTRACT

The present work deals with the synthesis of a series of 6-substituted-4-hydroxy-1-(2-substitued alicyclicaminoacetyl)-3-nitroquinolin-2(1H)-one [IVa-d (1-3)] derivatives and evaluation of their in vitro anticancer activity. Docking study was carried out using EGFR-tyrosine kinase binding site (PDB ID: 1m17) and revealed encouraging results. The sequence of reactions consists of the initial synthesis of 6-substituted 4-hydroxyquinolin-2(1H)-ones (Ia-d), which were further subjected to nitration reaction to give 6- substituted-4-hydroxy-3-nitroquinolin-2(1H)-one (IIa-d). Condensation of compounds (IIa-d) with chloroacetyl chloride resulted in 6-substituted-1-(2-chloroacetyl)-4-hydroxy-3-nitroquinolin-2(1H)-one(IIIa-d), which was subjected to substitution reaction using various secondary amines to yield the title compounds (IVa-d (1-3)). All the synthesized compounds were characterized by IR, NMR and mass spectral data.All the derivatives were tested for their in vitro anticancer activity using KB (oral cancer) cell lines. Among the synthesized compounds, compound (IVc-2) was found to be the most cytotoxic as compared to the other synthesized derivatives, with IC_{50} values of 0.2406µM/mL against KB cell line.

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Title: Design, synthesis of 6-substituted-4-hydroxy-1-(2-substitutedalicyclicamino) acetyl)quinolin-2(1H)-one derivatives and evaluation of their in vitro anticancer activity

Authors: Soares, Alisha Dream
Desai, Shivalingrao N Mamle
Tiwari, Priyanka
Palkar, Mahesh B
Shingade, Sunil G
Biradar, Bheemanagouda

Keywords: Quinolin-2-one;Anticancer;KB cell line;Molegro Virtual Docker;EGFRK protein

Issue Date: Oct-2019

Publisher: NISCAIR-CSIR, India

Abstract: The current research work deals with the design, synthesis of 6-substituted-4-hydroxy-1-(2-substitutedalicyclicamino)acetyl)quinolin-2(1*H*)-one derivatives and

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Research Article

Design, Synthesis, and Characterization of Novel Linomide Analogues and their Evaluation for Anticancer Activity

Author(s): Rudrax N.S. Priolkar, Sunil Shingade*, Mahesh Palkar and Shivalingrao M. Desai

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Abstract

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Formulation and Evaluation of Celecoxib loaded colon Targeted Microsponges

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Online published on 3 June, 2020

Abstract

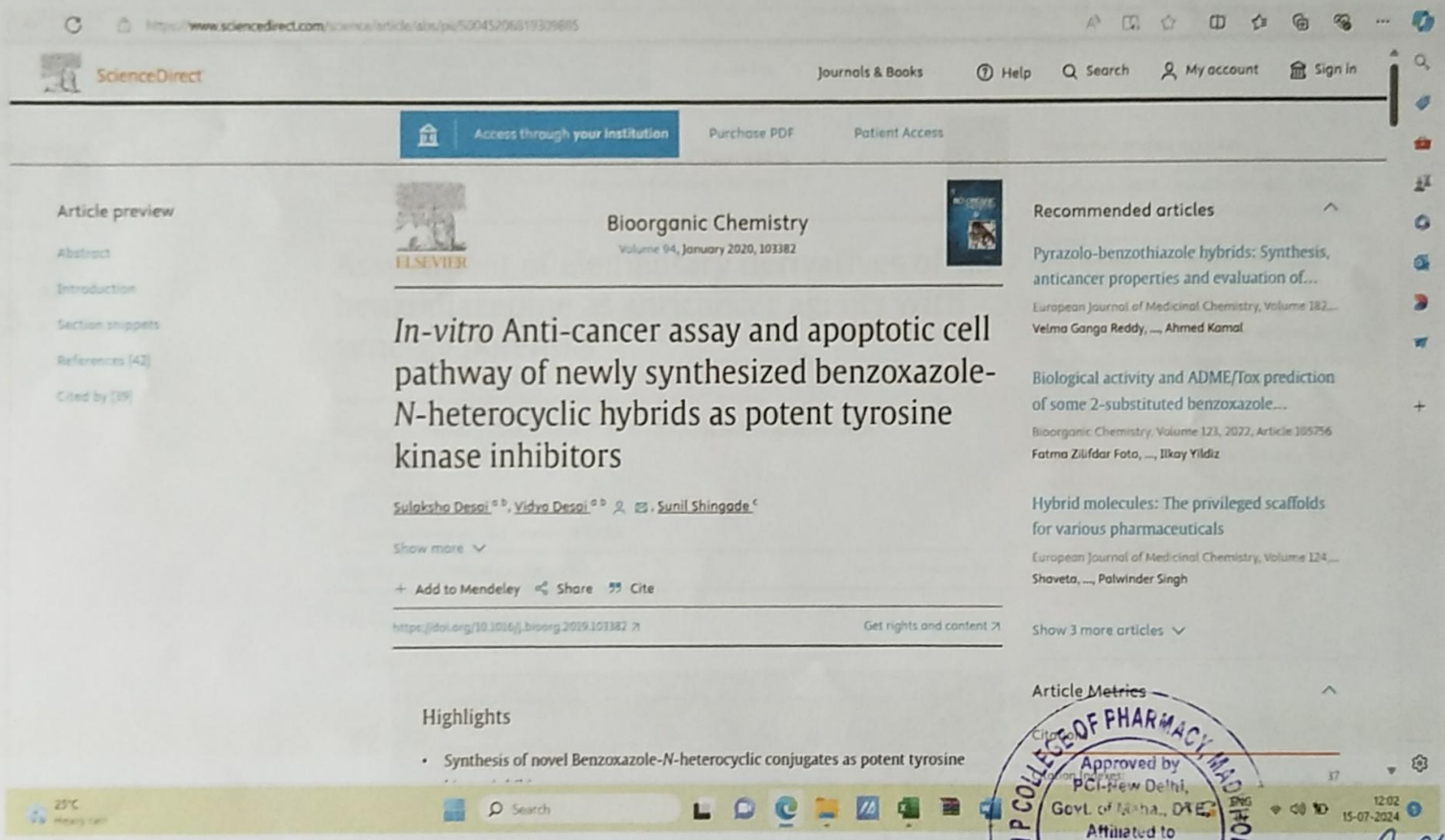
The present research work support preformulation, formulation, development and optimization of colon targeted microsponges containing Celecoxib as a nonsteroidal anti-inflammatory drug. The different batches of formulation were evaluated using celecoxib as active pharmaceutical ingredient, Eudragit as the pH sensitive polymer, polyvinyl alcohol and triethyl Citrate. Colon targeted microsponges of Celecoxib were prepared by Quasi-emulsion solvent diffusion method. The prepared formulations were evaluated for various parameters like Particle size, Percentage entrapment efficiency, Measurement of Zeta Potential, FTIR, in-vitro release study. The result were found to be within standard limits. FTIR study reports indicated that there was no interaction between Celecoxib and other excipients. Zeta Sizer shown that the microsponge size ranges from 61.12 µm to 67.59µm. Percent drug content was between 65.18%– 95.19%. Based on the resultants of the entrapment and particle size of microsponges, formulation M4 was observed to be optimized formulation. The optimized formulation exhibited 71.52% cumulative drug release after 10 h. The optimized batch shows 77.40% yield.

Top

Keywords

Celecoxib, Microsponges, Eudragit S100, Colon targeted, Novel drug delivery.

Top



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Bioorganic Chemistry
Volume 94, January 2020, 103382

In-vitro Anti-cancer assay and apoptotic cell pathway of newly synthesized benzoxazole-*N*-heterocyclic hybrids as potent tyrosine kinase inhibitors

Sulaksha Desai [a b], Vidya Desai [a b], Sunil Shingade [c]

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Assessment of elementary derivatives of 1,5-benzodiazepine as anticancer agents with synergy potential

Dedicated to my Ph.D. mentor Professor Santosh G. Tilve on his 62nd birthday

Sinthiya J. Gawandi [a], Vidya G. Desai [a], Shrinivas Joshi [b], Sunil Shingade [c], Raghuvir R. Pissurlenkar [d]

Highlights

- A bio-catalysed green synthesis of new 1,5-benzodiazepine derivatives have

Indian Journal of Chemistry (IJC)

DOI: 10.56042/ijc.v61i5.63647

Docking, synthesis, and characterization of novel heterocyclic ring system and their evaluation for mGlu8 receptor agonist as anticonvulsant agents

Naik, Sindiya D; Chandavarkar, Sachin K; Tawade, Shilpa S; Shingade, Sunil G; Palkar, Mahesh B; Desai, Shivalingrao N Mamle

Abstract

This research work involves the synthesis of a series of substituted 1-(4-methoxy-1-phenyl/methyl-2-thioxo-1,2-dihydroquinolin-3-yl)ethanone [IVa/b(1-5)] derivatives by dimerization at third position and evaluation of their anticonvulsant activity. The starting material 3-acetyl-4-hydroxy-1-phenyl/methylquinolin-2(1*H*)-one **Ia/b** has been treated with P_4S_{10}:Al_2O_3 to yield compound 1-(4-hydroxy-1-phenyl/methyl-2-thioxo-1,2-dihydroquinolin-3-yl)ethanone (**IIa/b**). Compound **II**a/b has been methylated to yield compound 1-(4-methoxy-1-phenyl/methyl-2-thioxo-1,2-dihydroquinolin-3-yl)ethanone (**III**a/b) which, on condensation with ketones forms dimers giving the title compounds **IVa-b (1-5)**. All the synthesized compounds are satisfactorily characterized by spectral data. The *in silico* pharmacophore modeling of the title compounds has been performed using Molegro Virtual Docker (MVD-2007 software and mGlu8 is the target and *in vivo* anticonvulsant activity by phenylenetetrazole (PTZ) induced convulsion method. The results of docking have revealed that the synthesized compounds exhibit well-conserved hydrogen bonds with one or more amino acid residues in the active pocket of metabotropic glutamate receptor mGluR8 complexed with (S)-3,4-dicarboxyphenylglycine (DCPG) (PDB ID:6E5V)LY341495 antagonist (PDB ID: 3MQ4). The MolDock Score of compound 2,6-bis(4-methoxy-1-phenyl-2-thioxo-1,2-dihydroquinolin-3-yl)hepato-2,5-dien-4-one (**IVa-1**) has been found to be −141.617. The *in vivo* anticonvulsant activity results show that compound 2,6-bis(4-methoxy-1-phenyl-2-thioxo-1,2-dihydroquinolin-3-yl)hepato-2,5-dien-4-one (**IVa-1**), 2,7-bis(4-methoxy-1-phenyl-2-thioxo-1,2-dihydroquinolin-3-yl)octa-2,6-dien-4,5-dione (**IVa-2**), 2,6-bis(4-methoxy-1-methyl-2-thioxo-1,2-dihydroquinolin-3-yl)hepato-2,5-dien-4-one (**IVb-2**) and (2E,6E)-2,6-bis(4-methoxy-1-phenyl-2-thioxo-1,2-dihydroquinolin-3-yl) cyclohexanone (**IVb-4**) have been found to be most potent against pentylenetetrazole induced convulsion.

Keyword(s)

Quinolin-2(1*H*)-one, anticonvulsant, mGlu8 receptor, phenylenetetrazole

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Advances in Bioresearch

ORIGINAL ARTICLE

Heat Stability and Mosquito Larvicidal activity of *Brahmi* Phytoconstituents

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ABSTRACT

Vector borne diseases, illnesses that occur due to the transmission of the parasites/pathogens are one of the main illnesses of human population. The efforts made in research so far could not completely over power these pathogens. More toil and travail are required in every possible direction to search for a good combat agent. Medicinal plants have undoubtedly been a good source of panacea for many ailments. In the present study one such medicinal plant, Brahmi, is examined concerning its larvicidal activity. The methanolic extract has been subjected to heat treatment for understanding any modifications in the major phytoconstituents; followed by a molecular modeling analysis of them with one of the major receptors (ecdysone) involved in the anti-larval activity. With the encouraging results obtained from the computational study a detailed bioassay was carried out using the extract on the three larvae Anopheles stephensi, Aedes aegypti and Culex quinquefasciatus. From the study it can be concluded that Brahmi can be used as a potent anti-larval agent in a formulation.