Bioorganic & Medicinal Chemistry 18 (2010) 1–13

Contents lists available at ScienceDirect

Bioorganic & Medicinal Chemistry

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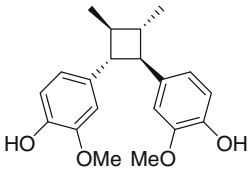
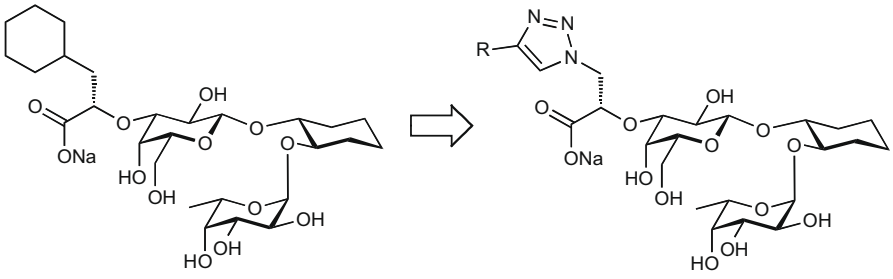
Bioorganic & Medicinal Chemistry Volume 18, Issue 1, 2010

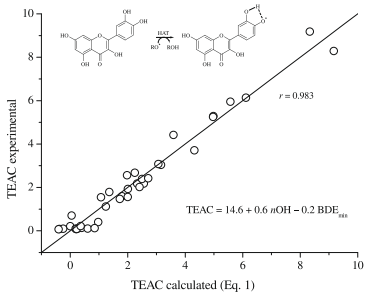
Contents

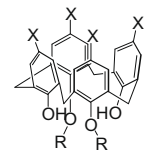
ARTICLES



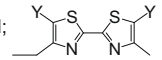
K_i = 368 μM (CA I); K_i = 11.7 μM (CA II); K_i = 91 nM (CA VA); K_i = 69 nM (CA VB).

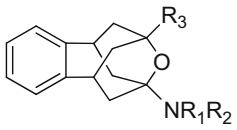




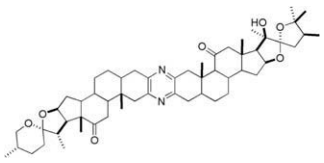


X = SO_3Na, $CH_2P(O)(OH)(ONa)$, CH_2COONa

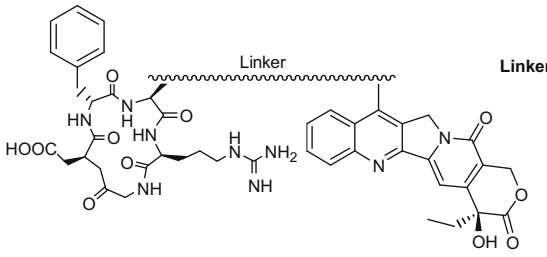
R = H;  Y = H, COONa



Several benzopolycyclic amines have been synthesized and their NMDA receptor antagonist and trypanocidal activities have been studied. Four of them displayed similar activity to memantine as NMDA receptor antagonists and several derivatives showed a significant level of trypanocidal activity.



A new cephalostatin/ritterazine analogue was prepared from the commercially available hecogenin acetate and the natural cytotoxic steroid 22-*epi*-hippuristanol. The method involved the reductive dimerization of enaminoketones (condensation of α-aminoketones) and condensation between an enaminoketone and an α-hydroxyketone. The new analogue showed higher cytotoxic activity than the cytotoxic 22-*epi*-hippuristanol against MDA-MB-231, A-549 and HT-29 cultured tumor cell lines.



Linker

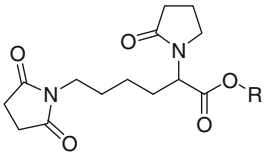
7a = $(CH_2)_3$-CONH-$(CH_2)_2$-O-N=CH

7b = CH_2-C_6H_4-CH_2-NHCO-$(CH_2)_2$-CONH-$(CH_2)_2$-O-N=CH

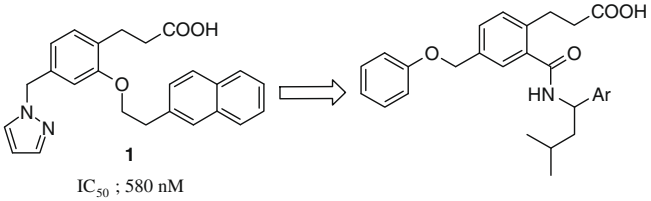
7c = CH_2-C_6H_4-CH_2-NHCO-CH_2-$(OCH_2CH_2)_2$-O-CH_2-CONH-$(CH_2)_2$-O-N=CH

8a = CH_2-C_6H_4-CH_2-NHCO-CH_2-$(OCH_2CH_2)_2$-O-CH_2-CONH-N=CH

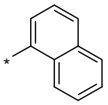
8b = CH_2-C_6H_4-CH_2-NHCO-CH_2-$(OCH_2CH_2)_2$-O-CH_2-CONH-N=CH-$(CH_2)_2$

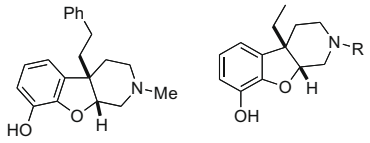


R = C_2H_5, C_6H_{13}, C_7H_{15}, C_8H_{17}, C_9H_{19}, $C_{10}H_{21}$, $C_{11}H_{23}$, $C_{12}H_{25}$



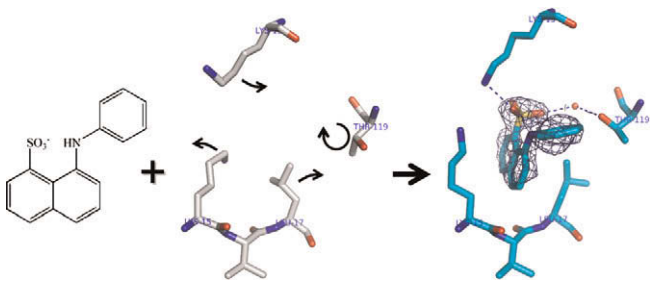
1
IC_{50} ; 580 nM

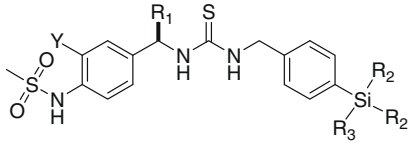
No.	Ar	IC_{50}
8		68 nM
14		2.0 nM



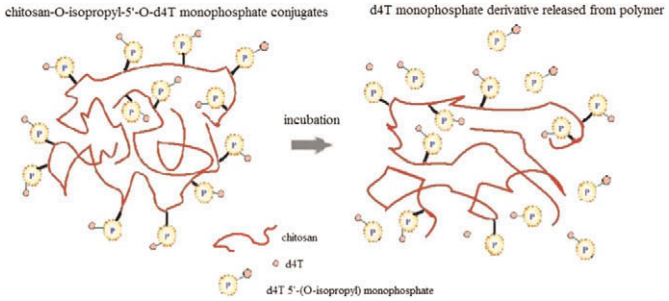
R = Me
CH_2CH_2Ph
p-$NO_2CH_2CH_2Ph$
CH_2Cyclopropyl
p-FCH_2Ph
p-FCH_2 CH_2Ph

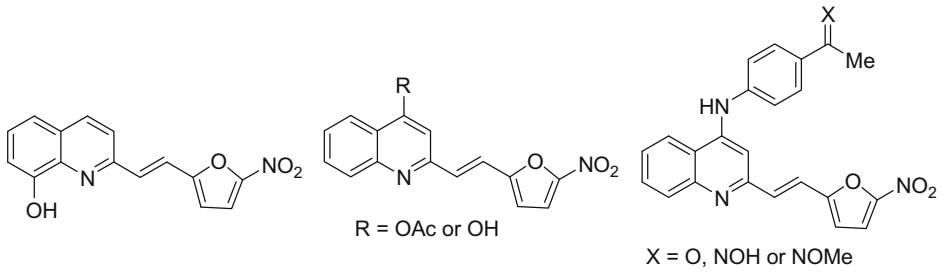
rac-Pyridin-8-ols, compounds with an aromatic hydroxy moiety situated *ortho* to the dihydrofuran's oxygen atom, do not interact as well with opioid receptors as comparable *para*-oriented phenolic compounds (the pyridin-6-ols).

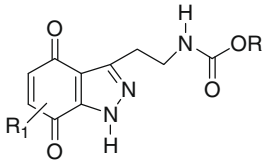




A series of silicon analogues of the MK-056 were designed and synthesized by silicon switch approach to search for new TRPV1 antagonist. *tert*-Butyl on MK-056 can be replaced to trimethylsilanyl without loss of activity.



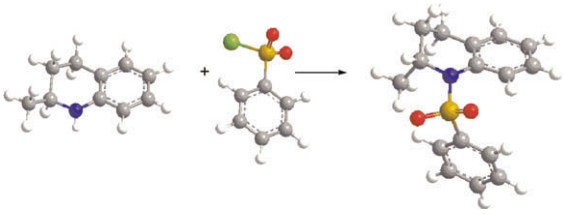


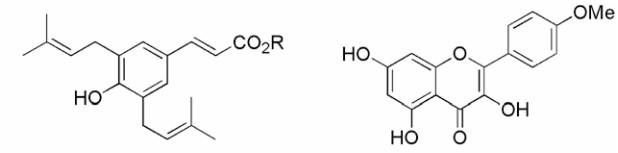


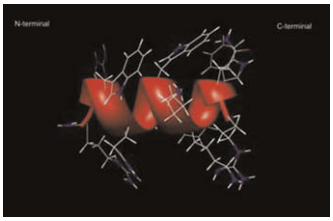
R_1 = Phenylamino, phenyl, methyl, methoxy, chloro

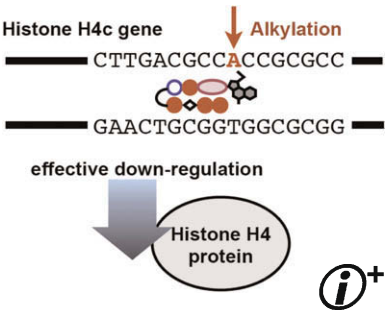
R = CH_3, $PhCH_2$

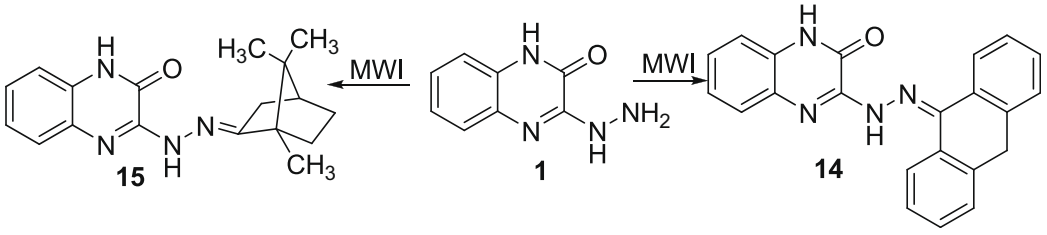
A series of indazole-dione derivatives were synthesized and their biological activities on human carbonyl reductase are studied.

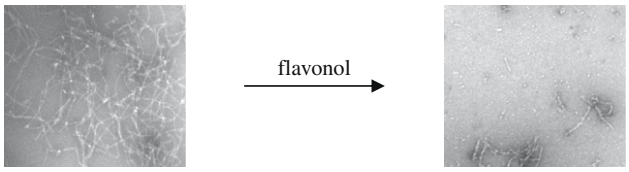


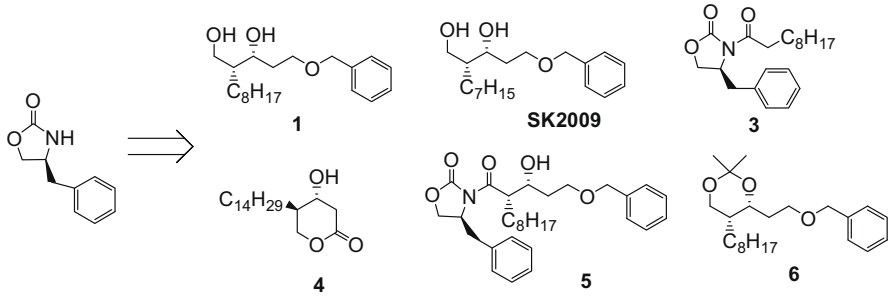


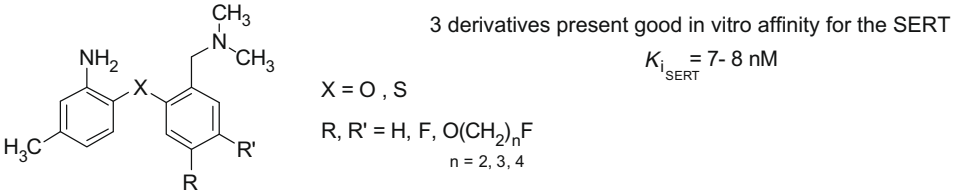


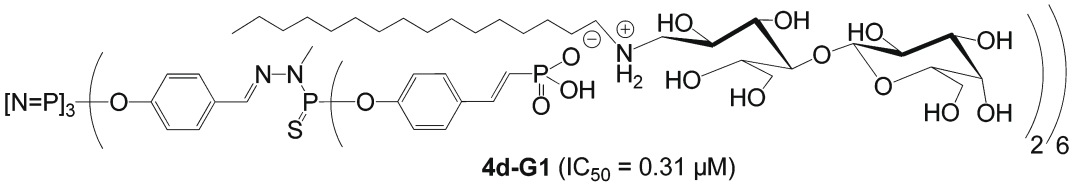






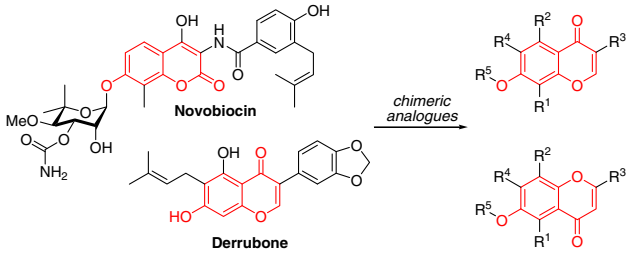


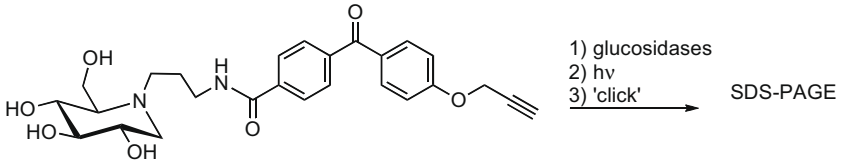


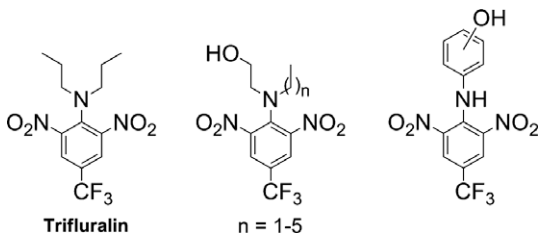


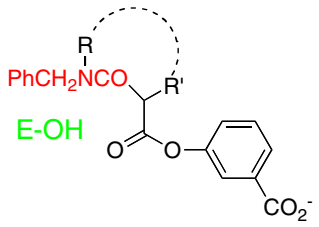
4d-G1 (IC_{50} = 0.31 μM)

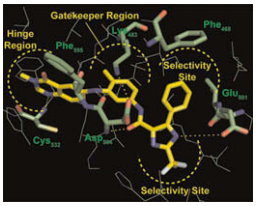
A new series of catanionic multivalent analogs of GalCer based on phosphonic acid terminated dendrimers and *N*-hexadecylamino lactitol moieties is described. Oppositely to their corresponding sodium salts, the anti-HIV properties of these catanionic systems is hardly influenced by the phosphonic acids vicinity.



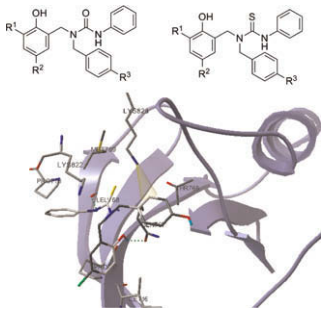




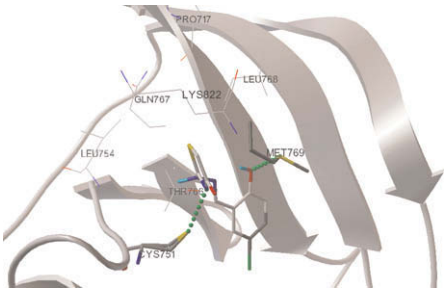


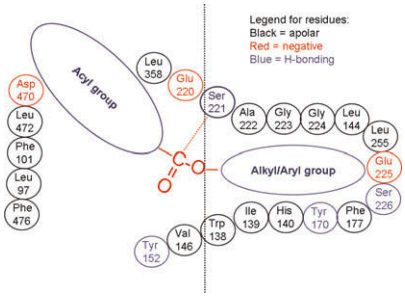


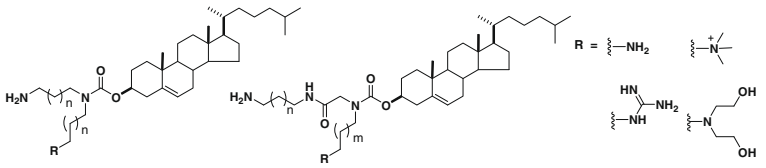
We report the de novo design of a potent series of imidazole based inhibitors of B-Raf V600E, a novel [3+2] cycloaddition route to obtain tri-substituted imidazoles, the biochemical evaluation of a series of analogues, and unique kinase inhibition profiles of three selected molecules.



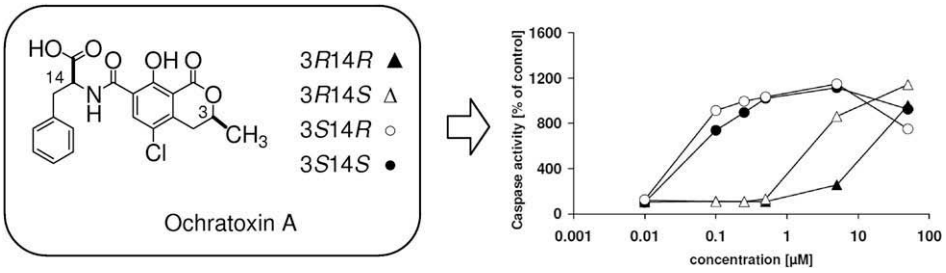
Compound **12** displayed the most potent inhibitory activity (IC_{50} = 0.09 μM for EGFR and IC_{50} = 0.42 μM for HER-2), comparable to the positive control erlotinib. Docking simulation was performed to position compound **12** into the EGFR active site to determine the probable binding model and antiproliferative assay results indicating that some of the thiazolidinone derivatives own high antiproliferative activity against MCF-7. Compound **12** with potent inhibitory activity in tumor growth inhibition would be a potential anticancer agent.

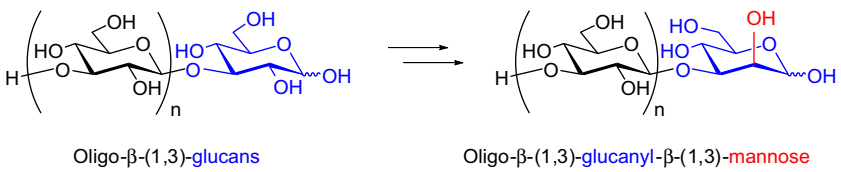




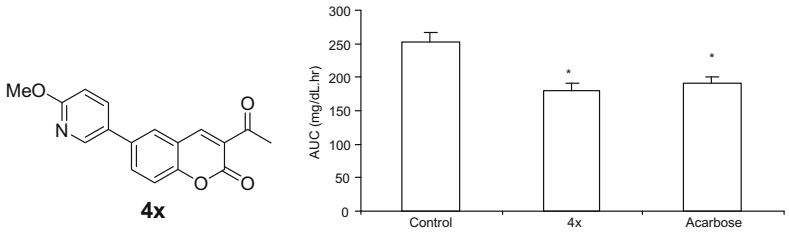


Asymmetric hydrophilic head cholesterol-based cationic lipids with equal and unequal chain length were synthesized. The in vitro transfection efficiency of these cationic lipids when formulated as liposome was investigated. Some of them exhibited higher transfection efficiency than the commercially available gene delivery reagent Effectene™, DOTAP and DC-Chol.

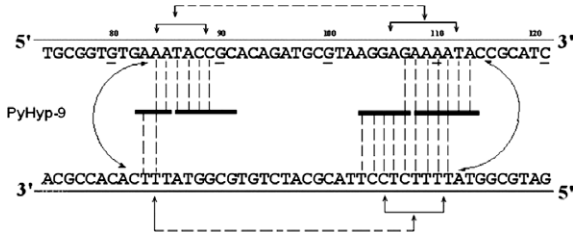




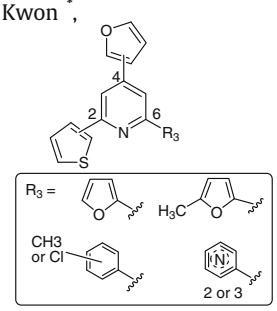
New β-(1,3)-glucanyl-mannose conjugates were synthesized. The resulting small linear oligosaccharides indeed stimulate the immune defence in murine model even if they are not able to adopt helical arrangements.



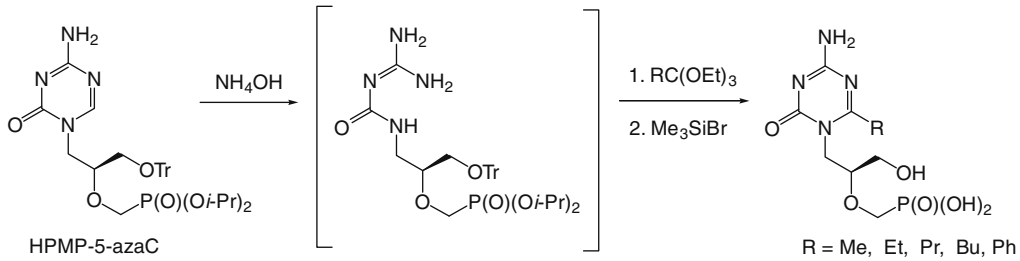
Series of chromenone derivatives were synthesized, among them compound **4x** is new and being reported in this study to possess intestinal α-glucosidase inhibitory as well as antihyperglycemic activity for the first time to serve as a model compound for design and development of therapeutic based on α-glucosidase inhibitory antihyperglycemic activity.



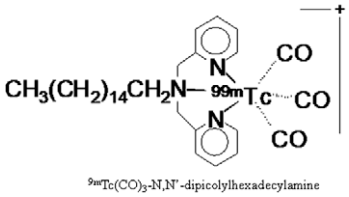
Three different types of network-based allosteric communication in DNA–peptide sequence-selective interactions are reported.



A series of 2-thienyl-4-furyl-6-aryl pyridine derivatives were designed and synthesized and evaluated for their topoisomerase I and II inhibitory activities and cytotoxicity against several human cancer cell lines.

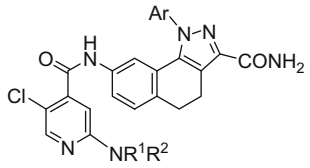


Introduction of substituents to C-6 position of 1-(*S*)-[3-hydroxy-2-(phosphonomethoxy)propyl]-5-azacytosine (HPMP-5-azaC).

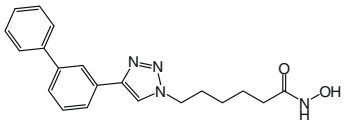


^{99m}Tc(CO)$_3$-N,N'-dipicolylhexadecylamine

The study describes the synthesis, radiolabeling with 99mTc(CO)3+, in vitro and in vivo evaluation of four lipophilic agents with a hexadecyl side chain for potential use as cell labeling tracer agents.



Aminopyridinecarboxamide-based iKK-2 inhibitors were synthesized and tested leading to the 2-amino-5-chloropyridine-4-carboxamides as potent inhibitors with improved cellular activity.



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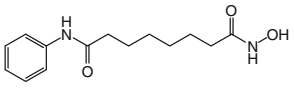
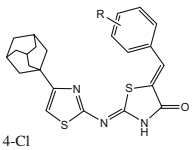


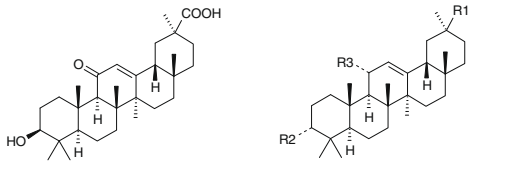
SAHA

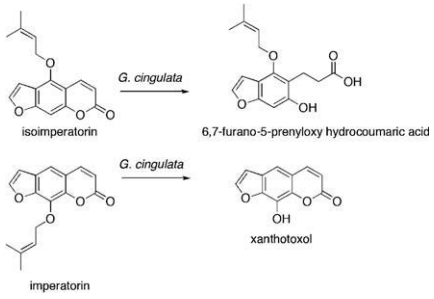
HDAC1 IC_{50}	1.9 nM	65 nM
P. falciparum (W2 clone) IC_{50}	27 ng/mL	470 ng/mL
L. donovani IC_{50}	11 μg/mL	22 μg/mL



5a: R = 4-Cl
5b: R = 3-Cl
5c: R = 2-Cl
5d: R = 4-NO_2
5e: R = 3-NO_2
5f: R = 2-NO_2
5g: R = 4-OH
5h: R = 4-OH, 3-OCH_3
5i: R = 4-OH, 3,5-OCH_3
5j: R = 4-OCH_3

A series of 4-adamantyl-2-thiazolylimino-5-arylidene-4-thiazolidinones were synthesized in a four steps reaction and tested for their antifungal and antimicrobial activities.





*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (http://www.pymol.org). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

Indexed/Abstracted in: Beilstein, Biochemistry & Biophysics Citation Index, CANCERLIT, Chemical Abstracts, Chemistry Citation Index, Current Awareness in Biological Sciences/BIOBASE, Current Contents: Life Sciences, EMBASE/Excerpta Medica, MEDLINE, PASCAL, Research Alert, Science Citation Index, SciSearch, TOXFILE. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®

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ISSN 0968-0896