Bioorganic & Medicinal Chemistry 19 (2011) 2485–2493

Contents lists available at ScienceDirect

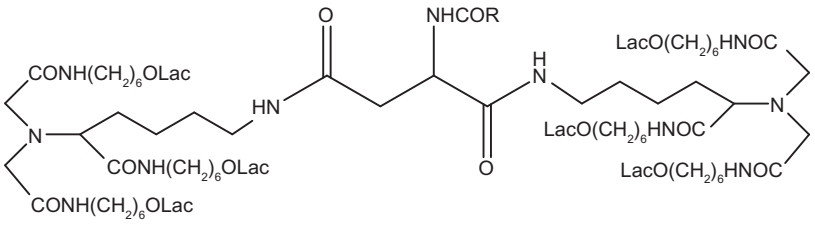
Bioorganic & Medicinal Chemistry

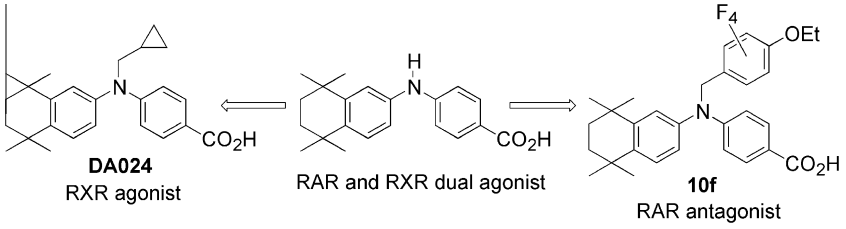
journal homepage: www.elsevier.com/locate/bmc

Bioorganic & Medicinal Chemistry Volume 19, Issue 8, 2011

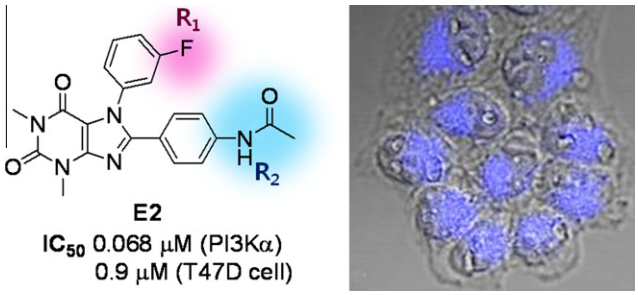
Contents

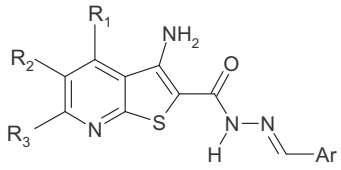
ARTICLES



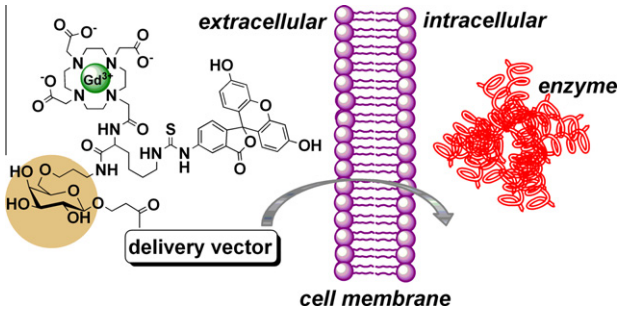


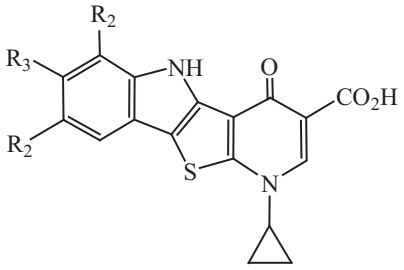
N-Benzylated diphenylamine derivative **10f** potently inhibited Am80-induced HL-60 cell differentiation as a result of RAR-antagonistic action.



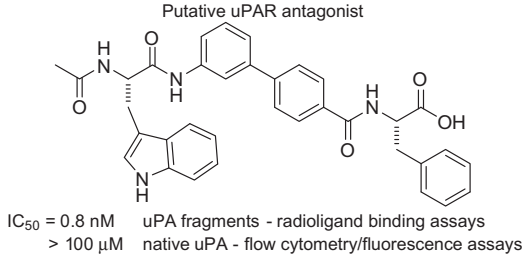


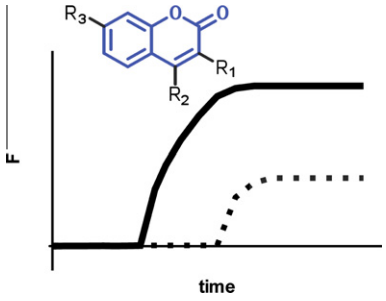
We report the preparation and pharmacological profile of new c-Src inhibitors elaborated around a 3-amino-thieno[2,3-*b*]pyridine nucleus.

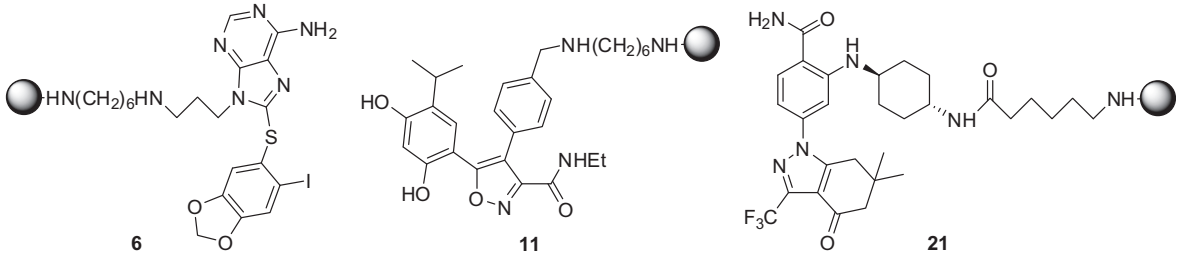


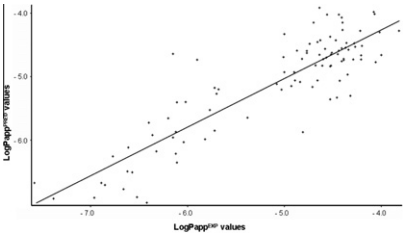


The novel tetracyclic compounds, synthesized as bioisosters of fluoroquinolones, exhibited potent activity against bacteria and cancer cell lines, together with absence of cytotoxicity against normal cells.

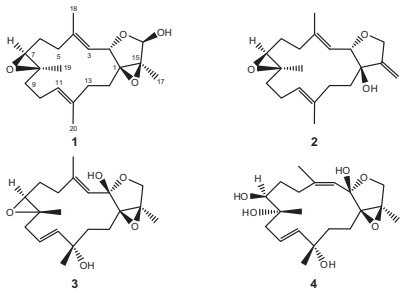


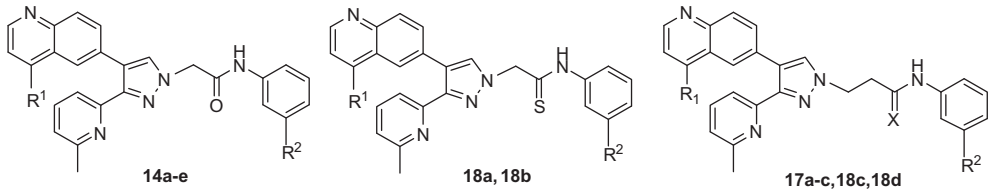


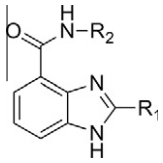


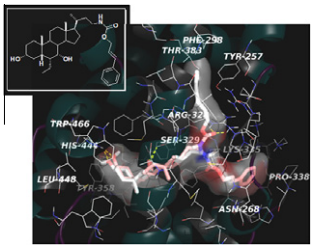


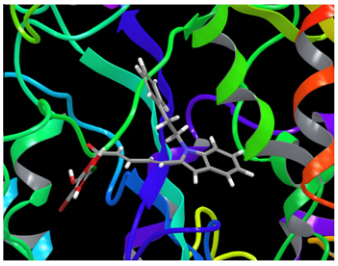
A QSAR model for predicting the permeability of drug-like compounds has been developed based on simple 1D and 2D descriptors. The model does not require any experimentally determined value and it is intended to be used as a decision tool when evaluating compounds in drug discovery campaigns.

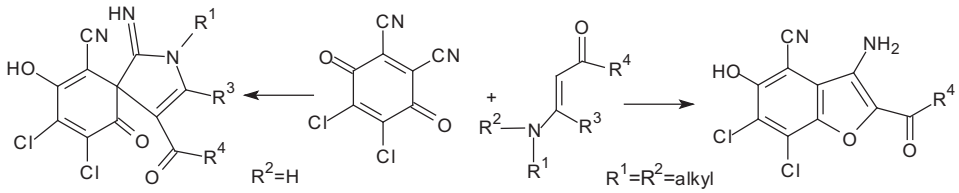




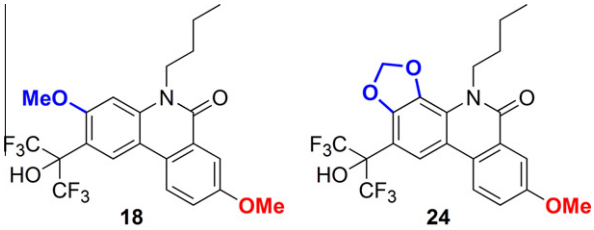


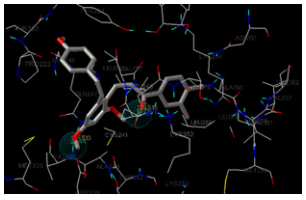




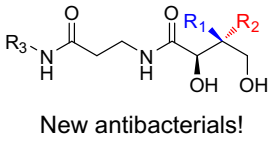


A series of phenanthridine analogues were synthesized and evaluated for their anti-hepatitis C virus (HCV) activity. Among the compounds alkoxylated analogues **18** and **24** showed significant inhibition against HCV RNA replication with an EC_{50} values of 180, 50 nM, respectively. In addition, they had acceptable cytotoxicities resulting in high SI values, 42 and 128, respectively.

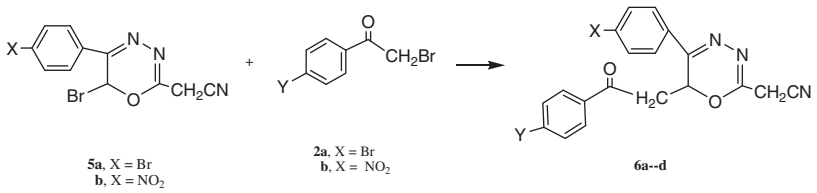


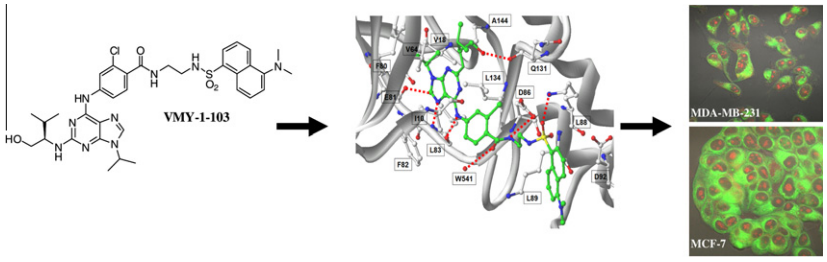


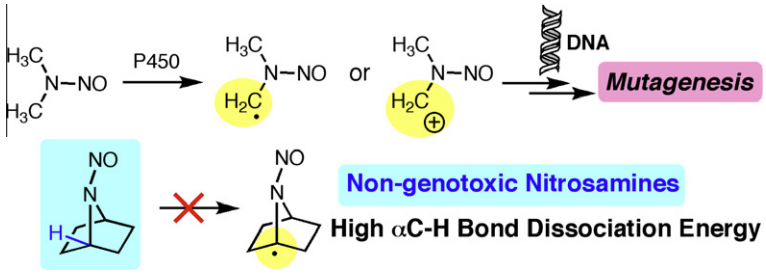
Twenty-three resveratrol derivatives possessing chalcone moiety were synthesized and characterized, and their biological activities were also evaluated as potential antiproliferation and antitubulin polymerization inhibitors. Docking simulation was performed to position compound **C19** into the colchicine binding site to determine the probable binding mode.

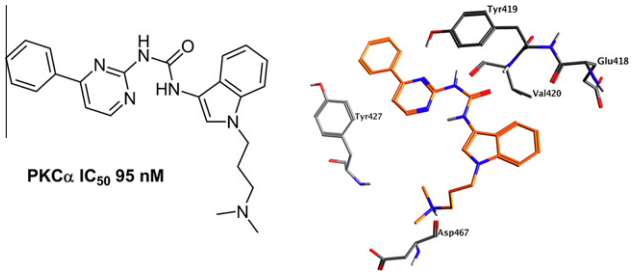


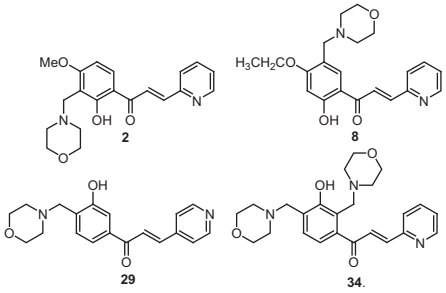
New antibacterials!

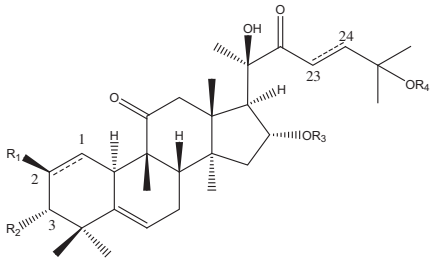






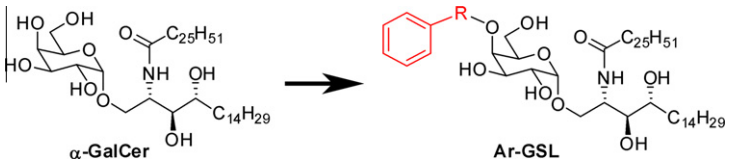






Cucurbitacin Skeleton

Cucurbitacin analogues with potential anticancer activity and hepatoprotective agents against fibrosis that deserve further examination.



*Corresponding author
Supplementary data available via ScienceDirect

COVER

The known veterinary anthelmintic and proton ionophore, closantel, was recently discovered to also exhibit potent chitinase inhibition activity and inhibit molting in the parasitic nematode, *Onchocerca volvulus*, the causative agent of the neglected tropical disease onchocerciasis. [C. Gloeckner, A. L. Garner, F. Mersha, Y. Oksov, N. Tricoche, L. M. Eubanks, S. Lustigman, G. F. Kaufmann, K. D. Janda, Repositioning of an existing drug for the neglected tropical disease Onchocerciasis, *Proc. Natl. Acad. Sci., U.S.A.* **2010**, *107*, 3424.]

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®

ELSEVIER

ISSN 0968-0896