Bioorganic & Medicinal Chemistry 17 (2009) 7075–7084

Contents lists available at ScienceDirect

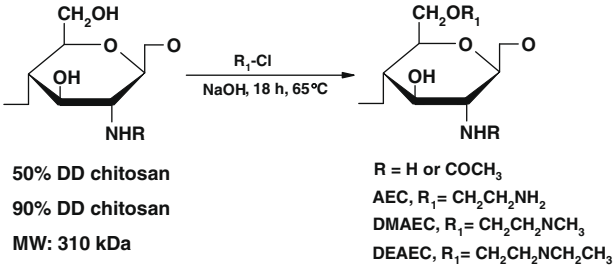
Bioorganic & Medicinal Chemistry

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

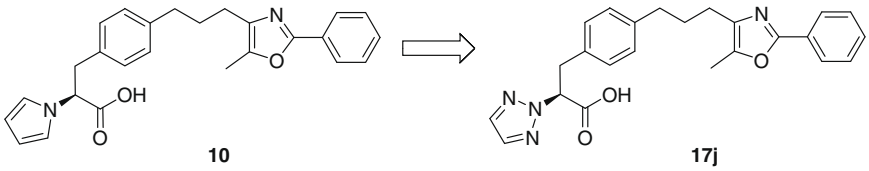
Bioorganic & Medicinal Chemistry Vol. 17, No. 20, 2009

Contents

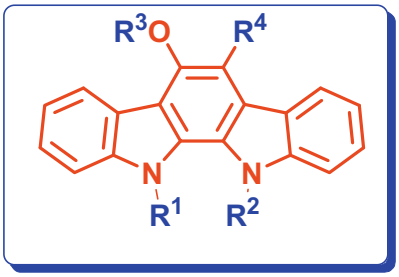
ARTICLES

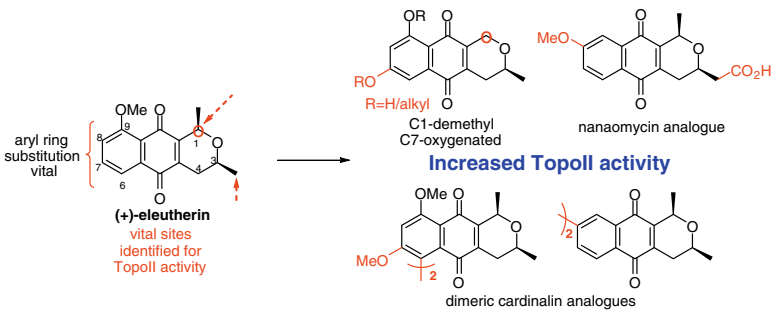


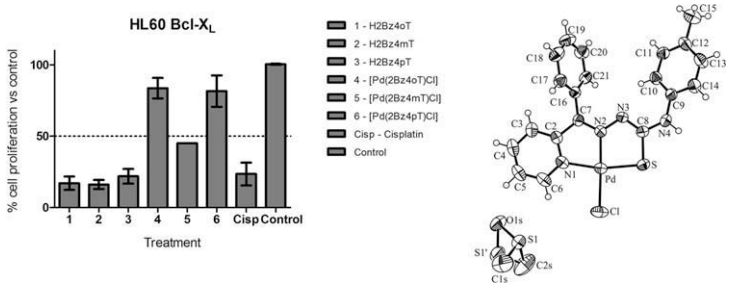
Two kinds of aminoethyl-chtiosans (AEC), AEC90 and AEC50, having degrees of deacetylation of 90% and 50%, exhibited the strongest anti-MRSA activities by presenting MICs of 16–64 μg/mL against two standard strains and twelve clinical isolates.

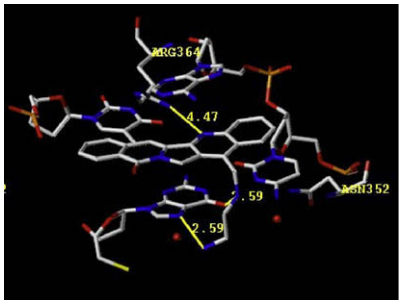


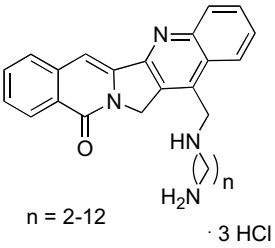
Replacement of the pyrrole group of **10** with a variety of five-membered ring heterocycles led to the identification of **17j** as a potent human PPARα/γ dual agonist with demonstrated oral bioavailability and excellent activity in animal models of diabetes and dyslipidemia.

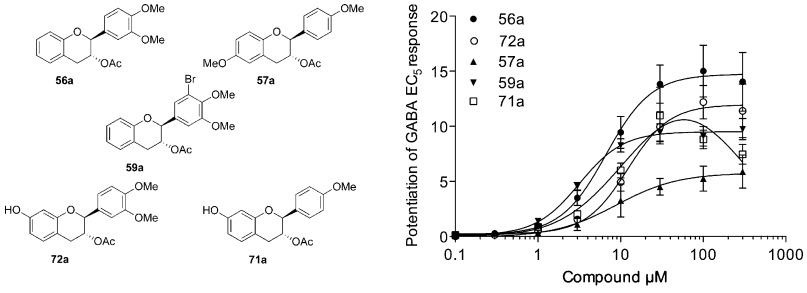


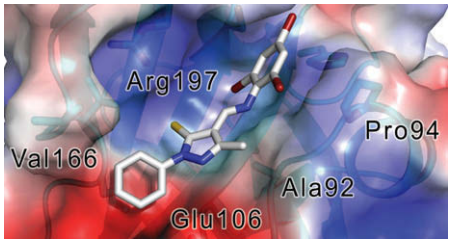




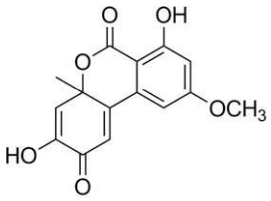




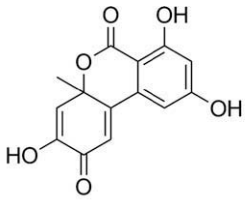




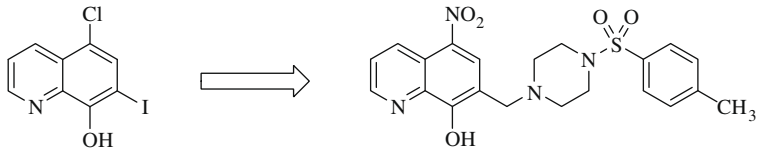
Model of the *Staphylococcus aureus* sortase enzyme bound to a small molecule.



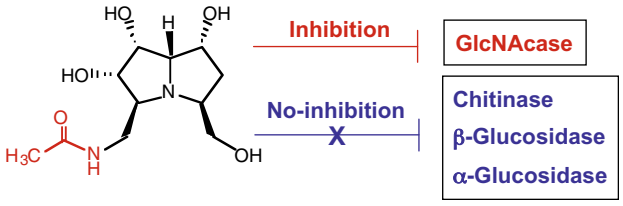
Dehydroaltenusin (1)

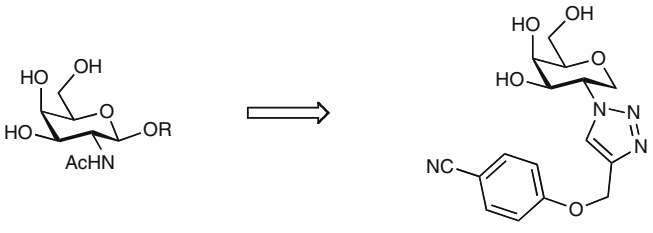


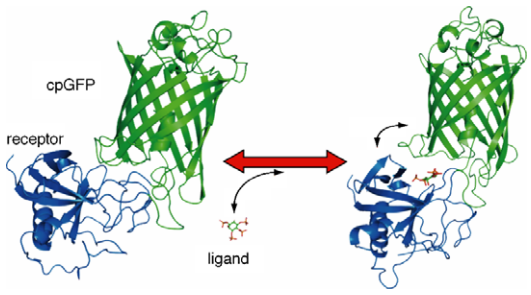
Desmethyldehydroaltenusin (2)

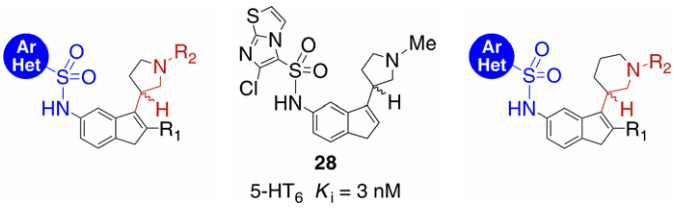


Clioquinol 11

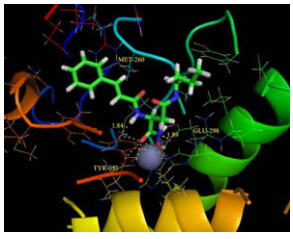








Design and synthesis of indenylsulfonamides with a conformationally restricted aminoethyl side chain that exhibit high binding affinities for the 5-HT$_6$ serotonin receptor ($K_i \geqslant 3$ nM) and function as antagonists.



The compound **8c** was built and docked into the active site of APN (PDB code: 2DQM) using Sybyl7.0. The docking result was showed by PyMOL.

*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

ELSEVIER

ISSN 0968-0896