Bioorganic & Medicinal Chemistry 19 (2011) 6967–6976

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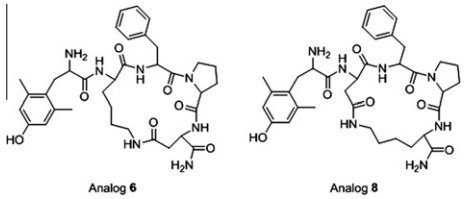
Bioorganic & Medicinal Chemistry

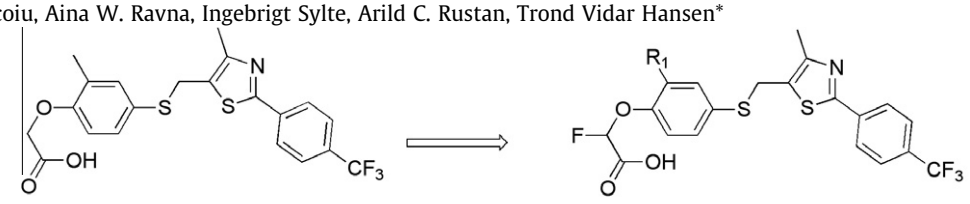
journal homepage: www.elsevier.com/locate/bmc

Bioorganic & Medicinal Chemistry Volume 19, Issue 23, 2011

Contents

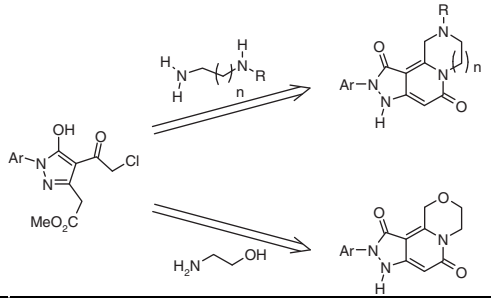
ARTICLES

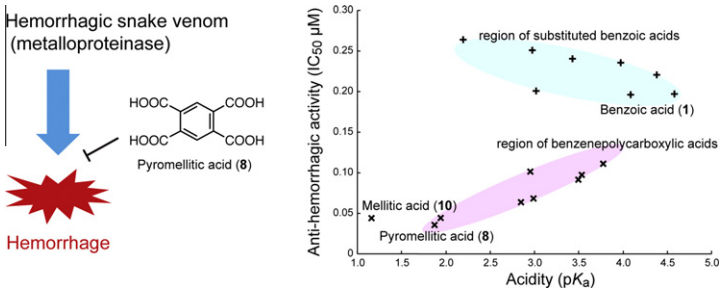


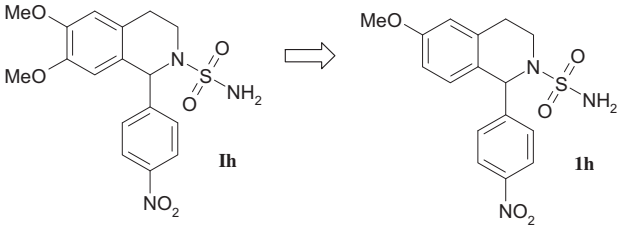


GW 501516

(±)-**2a**: R_1 = Methyl EC_{50} = 55 nM against PPARδ
(±)-**2b**: R_1 = Ethyl EC_{50} = 290 nM against PPARδ
(±)-**2c**: R_1 = *Iso*-propyl EC_{50} = 580 nM against PPARδ

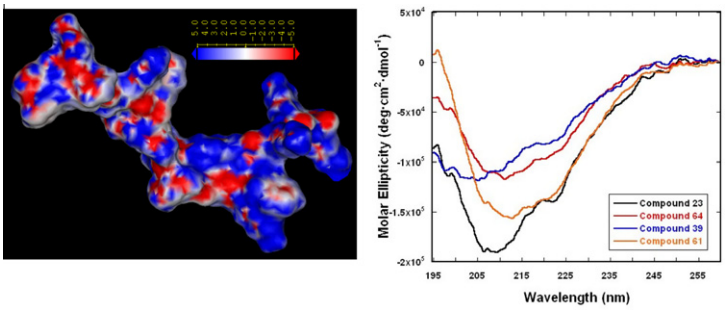


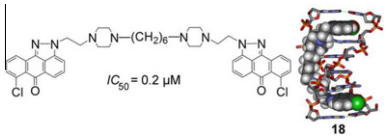


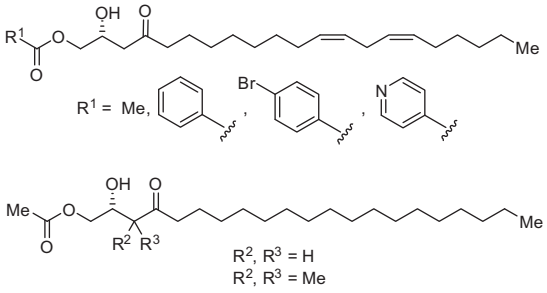


K_I = 480 nM hCA IX
K_I = 210 nM hCA XIV

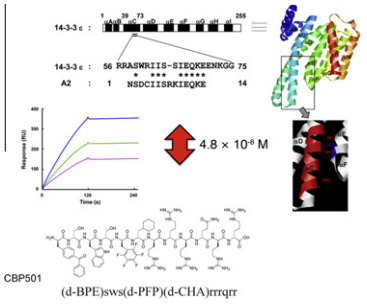
K_I = 5.0 nM hCA IX
K_I = 9.5 nM hCA XIV

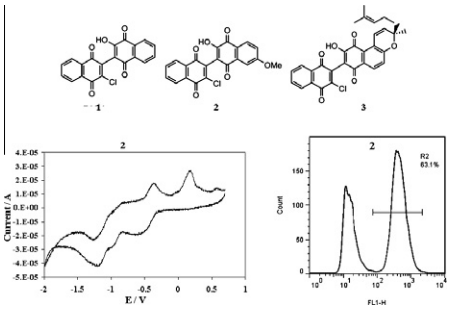


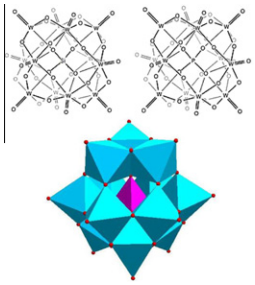


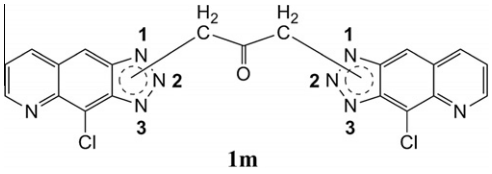


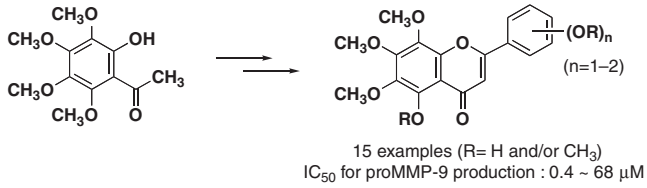
TAAR1 stereoselectivity for (*S*)- versus (*R*)-configuration for compounds in the amphetamine class supports a role for TAAR1 in the psychostimulant properties of this class of compounds and is consistent with TAAR1 as a stereoselective binding site for amphetamine.

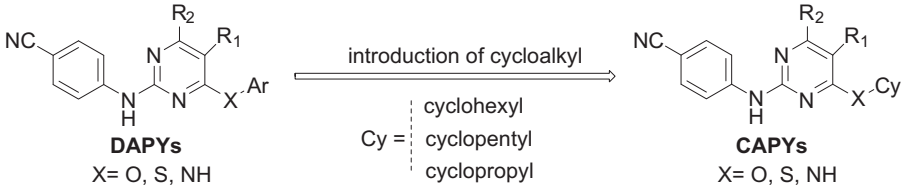


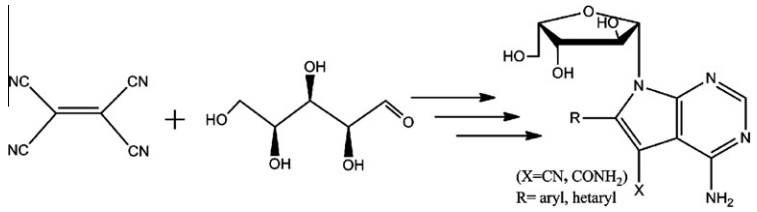


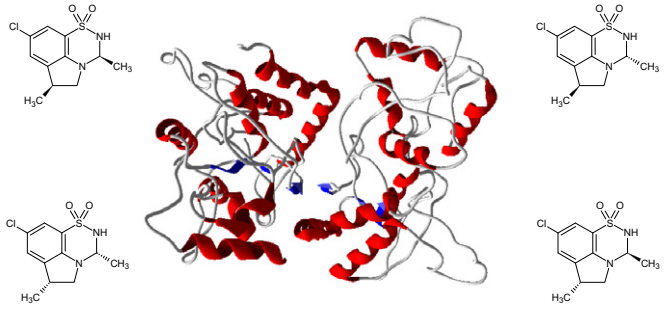


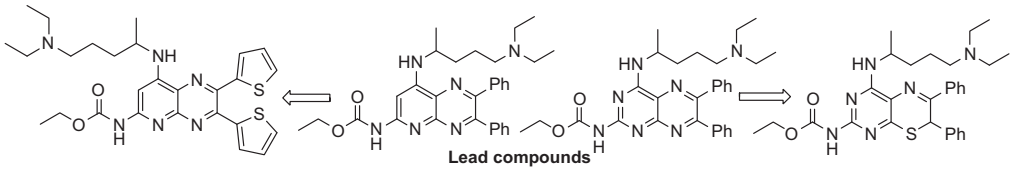




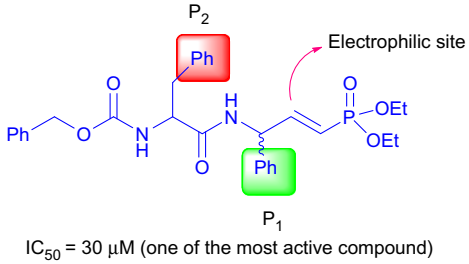






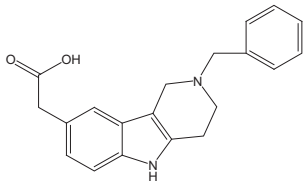


Eighteen new pyridopyrazine and pyrimidothiazine derivatives were synthesized and tested against FtsZ from *Mycobacterium tuberculosis* (Mtb) and in vitro antibacterial activity against Mtb $H_{37}Ra$ and Mtb $H_{37}Rv$. Two compounds were studied in vivo in a murine Mtb model.

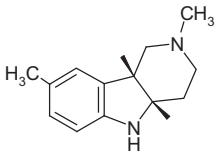


IC_{50} = 30 μM (one of the most active compound)

Peptidyl-vinylaminophosphonates, a new class of cysteine protease inhibitors have been designed and sythesized. Some of the synthesized molecules have shown inhibition against papain, a model cysteine protease with IC_{50} values in the range of 30–54 μM.

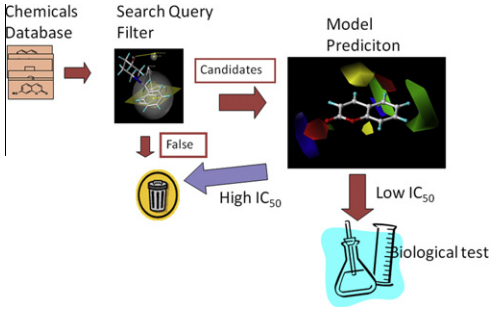


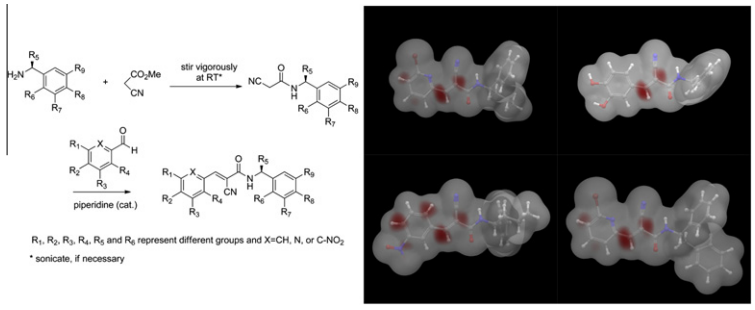
(**1**)



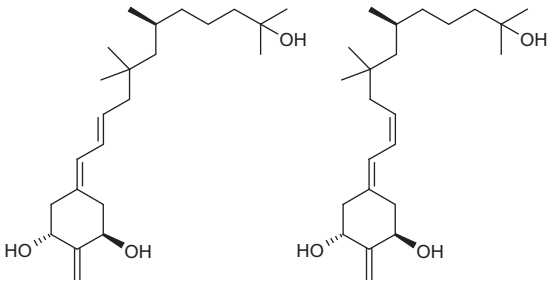
Stobadine (**2**)

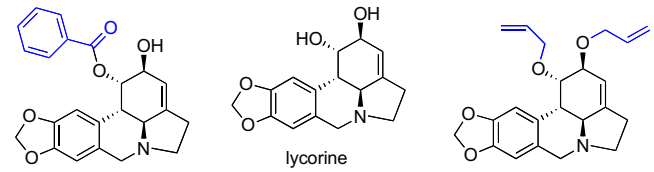
Chemical structure of (2-benzyl-2,3,4,5-tetrahydro-1*H*-pyrido[4,3-*b*]indol-8-yl)-acetic acid (**1**) and stobadine [(−)-*cis*-2,8-dimethyl-2,3,4,4a,5,9b-hexahydro-1*H*-pyrido[4,3-*b*]indole] (**2**).

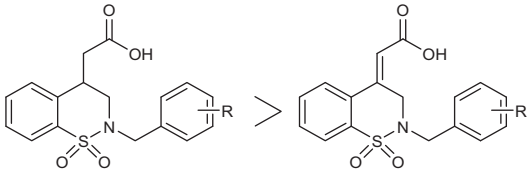


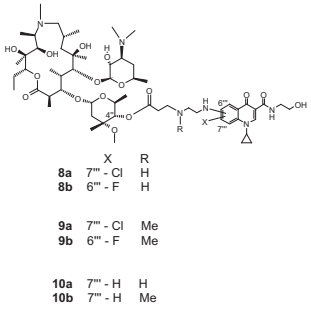


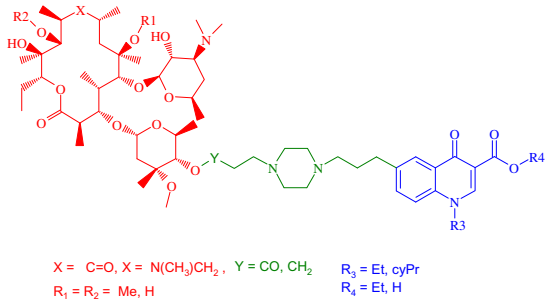
R_1, R_2, R_3, R_4, R_5 and R_6 represent different groups and X = CH, N, or C–NO_2 * sonicate, if necessary.











*Corresponding author
Supplementary data available via SciVerse 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.]

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ISSN 0968-0896