Bioorganic & Medicinal Chemistry 16 (2008) 10157–10164

Contents lists available at ScienceDirect

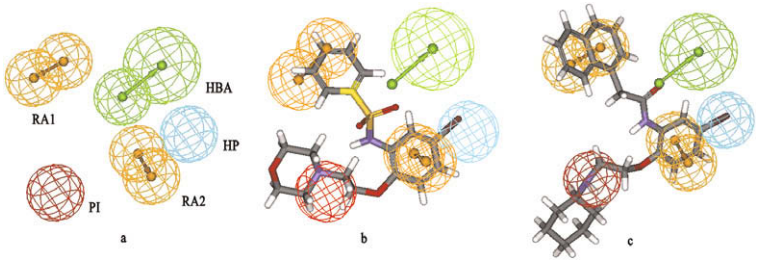
Bioorganic & Medicinal Chemistry

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

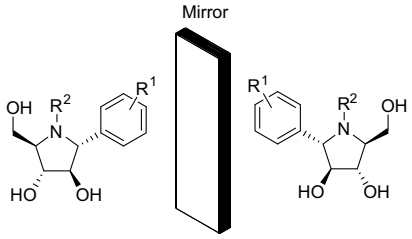
Bioorganic & Medicinal Chemistry Vol. 16, No. 24, 2008

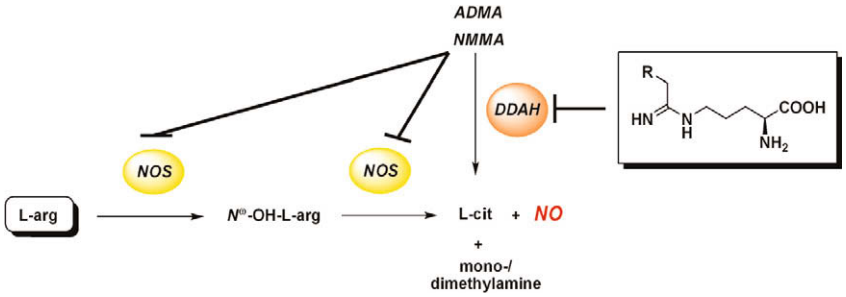
Contents

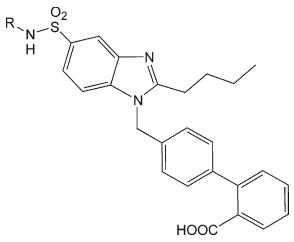
ARTICLES



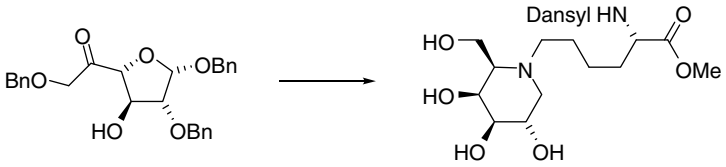
The pharmacophore model of arylpiperazine amide derivatives was built and the validation of the Hypo 1 was ascertained. Eleven *N*-phenyl-1-arylamide, *N*-phenylbenzenesulfonamide derivatives **26–28**, **33a–g** were selected, synthesized and tested the BACE 1 inhibitory activities.

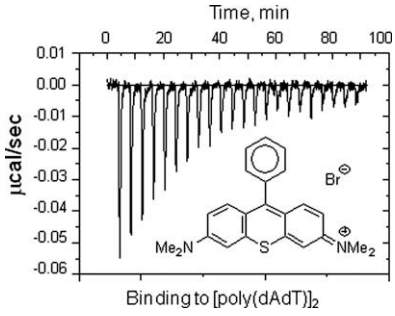


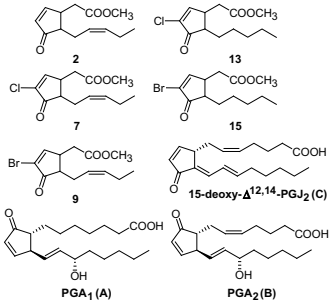


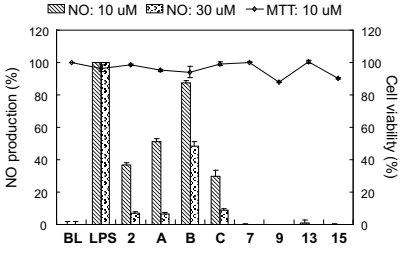


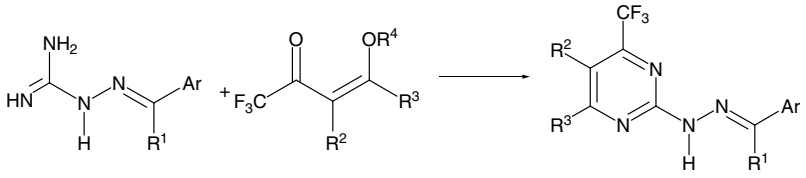
A series of 5-alkylsulfamoyl benzimidazole derivatives have been designed and synthesized as novel angiotensin II (Ang II) receptor antagonists. The compounds have been evaluated for in vitro Ang II antagonism and for in vivo antihypertensive activity on isolated rat aortic ring and desoxycortisone acetate induced hypertensive rats, respectively. The activity is found related to size of alkyl group. The maximum activity is observed with a compact and bulky alkyl group like *tert*-butyl and cyclohexyl. A receptor binding model is also proposed on the basis on the basis of structure–activity relationship in this study.

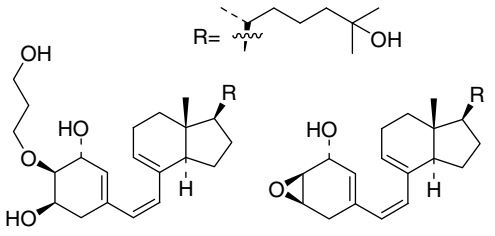


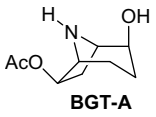




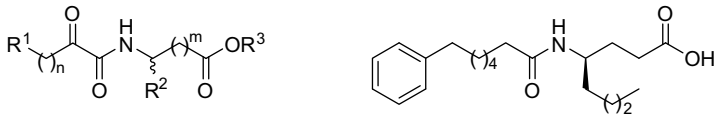






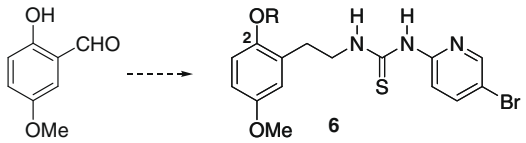


The results of functional studies and radioreceptor binding assays to both enantiomers of bioactive analogs of muscarinic agonist BGT-A indicated the 6*S* configuration was beneficial for the molecules to bind with the muscarinic receptors.



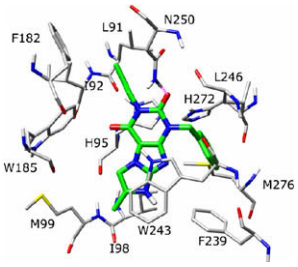
n: 6, 13
m: 0-4

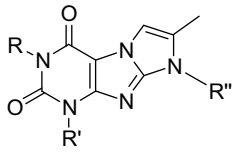
R^1: Me, Ph
R^2: Me, n-Bu, i-Bu
R^3: Me, Et, Bu^t, Bn, All

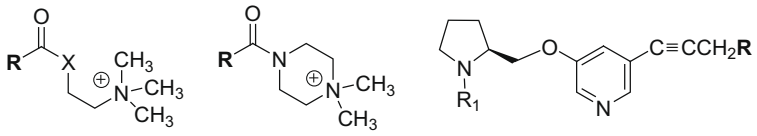


R = various
For HI-236, R = Me

A small library of HI-236 derivatives substituted at the C-2 phenolic oxygen have been synthesized and evaluated as HIV NNRTI inhibitors. A number of them have superior antiviral activity to HI-236 as evaluated in both cell culture and in an RT inhibition assay.

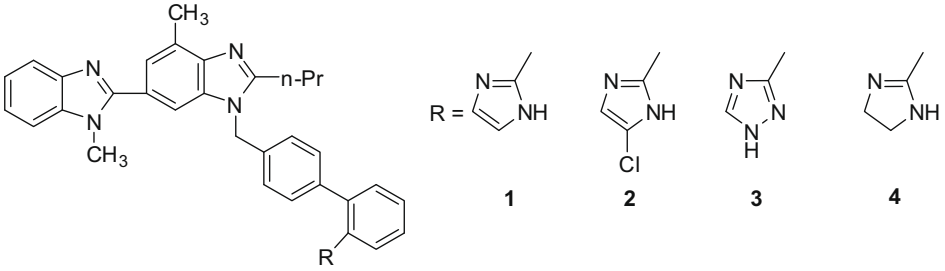




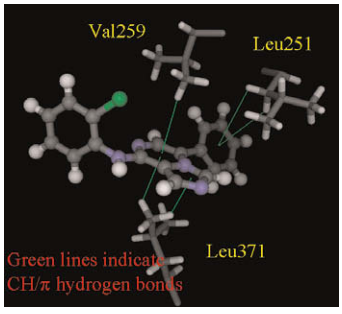


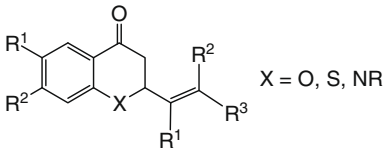
$R = CH_3(OCH_2CH_2)_nO$

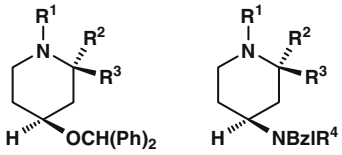
Three series of homologated ligands were synthesized and assessed for nicotinic receptor binding in rat cerebral cortex. Each series displayed affinity at nicotinic receptors that decreased slowly with increasing chain length.



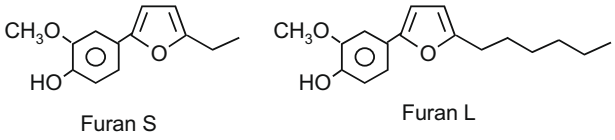
The synthesis and biological activities of a new series of benzimidazole derivatives bearing a heterocyclic ring as AT_1 receptor antagonists is described.



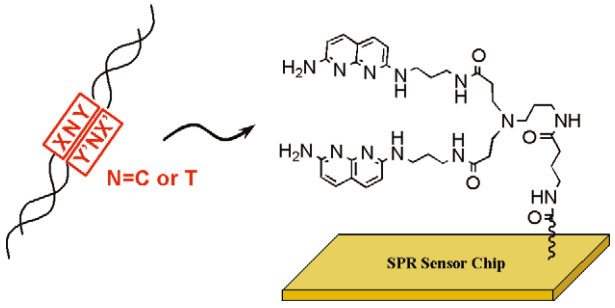




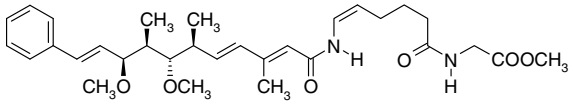
Piperidine derivatives with strong H_1-antagonistic and antimycobacterial potencies were synthesized and investigated for a possible correlation between both activities.



In the present study, we examined the radical scavenging activity and cytoprotective effects of novel furan compounds, which have potent inhibitory activity against oxygenases such as COX-1, COX-2 and 5-LOX.

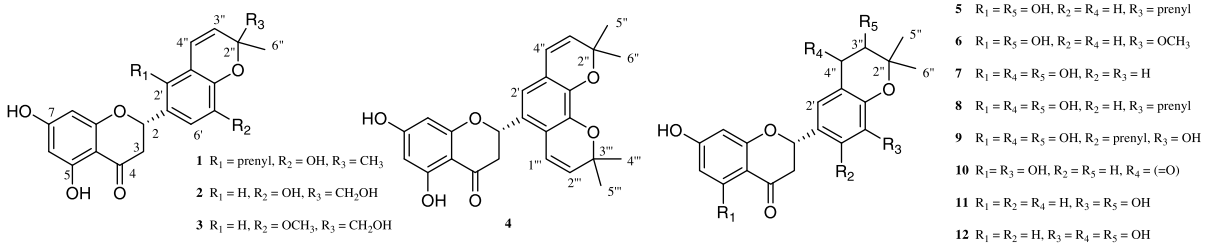


We have developed a sensor for SPR assay system to detect C–C, C–T and T–T mismatch duplexes by employing a surface upon which mismatch-binding ligands (MBLs) are immobilized.



crocacin D

Molecular modeling was used to aid the designs of analogues of the natural products crocacins A and D which inhibited mitochondrial respiration and had activity against several plant pathogens on plants.



1 R_1 = prenyl, R_2 = OH, R_3 = CH_3
2 R_1 = H, R_2 = OH, R_3 = CH_2OH
3 R_1 = H, R_2 = OCH_3, R_3 = CH_2OH
4
5 R_1 = R_5 = OH, R_2 = R_4 = H, R_3 = prenyl
6 R_1 = R_5 = OH, R_2 = R_4 = H, R_3 = OCH_3
7 R_1 = R_4 = R_5 = OH, R_2 = R_3 = H
8 R_1 = R_4 = R_5 = OH, R_2 = H, R_3 = prenyl
9 R_1 = R_4 = R_5 = OH, R_2 = prenyl, R_3 = OH
10 R_1= R_3 = OH, R_2 = R_5 = H, R_4 = (=O)
11 R_1 = R_2 = R_4 = H, R_3 = R_5 = OH
12 R_1 = R_2 = H, R_3 = R_4 = R_5 = OH

Twelve new flavanones bearing a 2,2-dimethylpyrano ring were isolated from a MeOH extract of the stem bark of *Erythrina abyssinica*. Compounds **1**, **3**, **5**, **6**, **8**, and **9** exhibited inhibitory effects on the enzyme activity of protein tyrosine phosphatase 1B(PTP1B) in an in vitro assay with IC50 values ranging from 13.9 ± 2.1 to 19.0 ± 1.8 μM. These results suggest that prenyl and methoxy groups on the B ring contribute to the inhibitory activity of flavanones against PTP1B.

OTHER CONTENTS

*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].

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ISSN 0968-0896