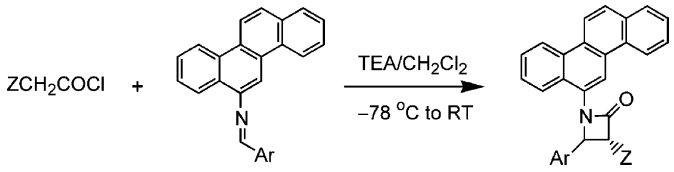
ELSEVIER

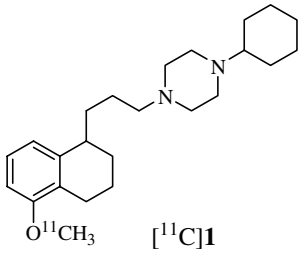
Bioorganic &
Medicinal
Chemistry

Bioorganic & Medicinal Chemistry Vol. 13, No. 11, 2005

Contents

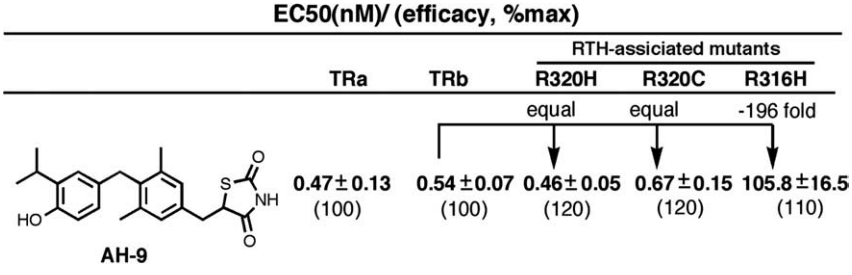
ARTICLES

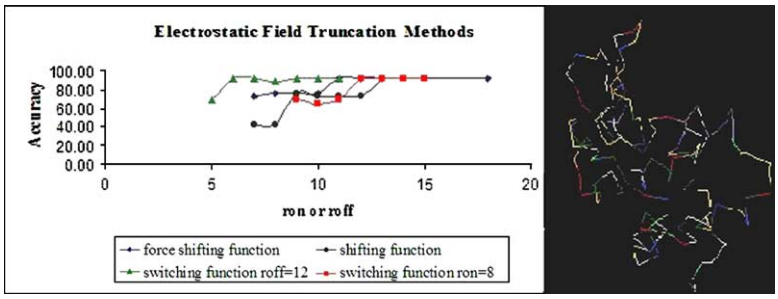


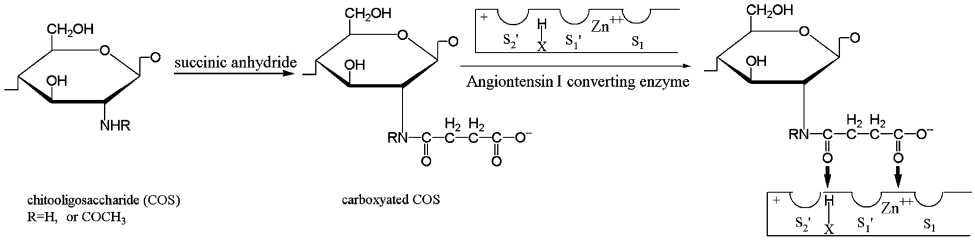


[11C]**1**

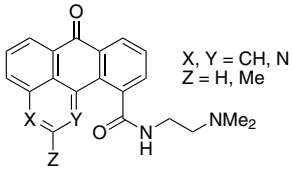
1-Cyclohexyl-4-[3-(5-methoxy-1,2,3,4-tetrahydro-naphthalen-1-yl)-propyl]-piperazine **1** is a high affinity sigma-2 ligand, which has been labelled with carbon-11 ($t_{1/2}$: 20.4 min) and evaluated in vivo in the mouse brain as a potential positron-emission-tomography (PET) radioligand.



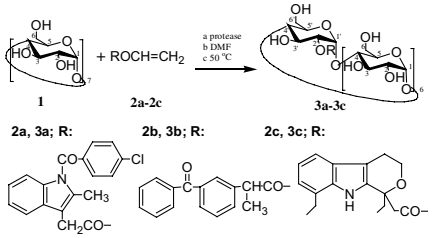




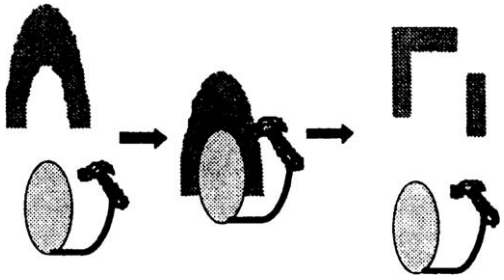
$COCH_2CH_2COO^-$ group, which is similar to Captopril® in structure, was introduced to chitooligosaccharides (COS). Angiotensin I converting enzyme (ACE) inhibitory activity of COS was successfully improved by the introduced group. ACE inhibitory mechanism of COS derivative was competitive via obligatory binding site of the enzyme.

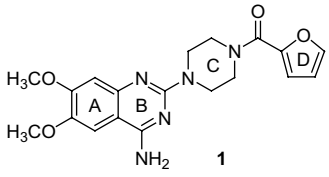


We discuss the synthesis and structure–cytotoxicity relationships of GC-selective naphthoquinoline-11-carboxamides and analogues. Examples showed high activity in the mouse colon 38 tumor model.

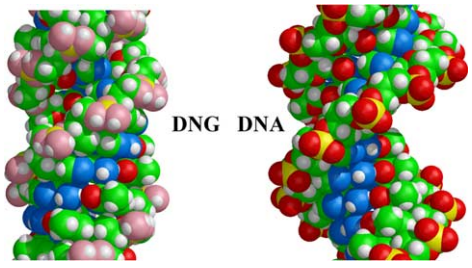


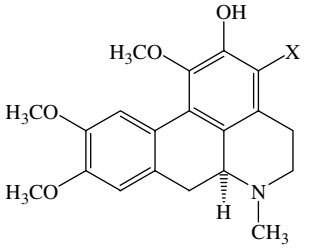
Three 2-*O*-mono-substituted β-cyclodextrin (β-CD) conjugates of indomethacin, ketoprofen and etodolac were synthesized by enzymatic methods.

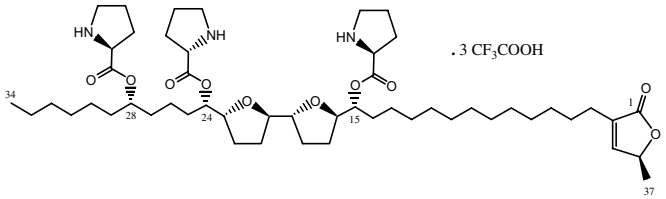




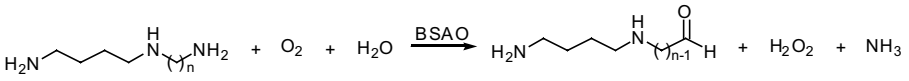
1





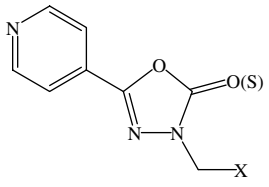


Aminoacyl triesters of squamocin have been synthesized in two to three steps from protected (L)-aminoacids and squamocin, and evaluated for their inhibitory activity of mitochondrial complex I and cytotoxicity against KB 3-1 cells in vitro. All triesters derivatives exhibited an extinction of activity at the enzymatic level, correlated to a reduced though modulated cytotoxicity relatively to squamocin.

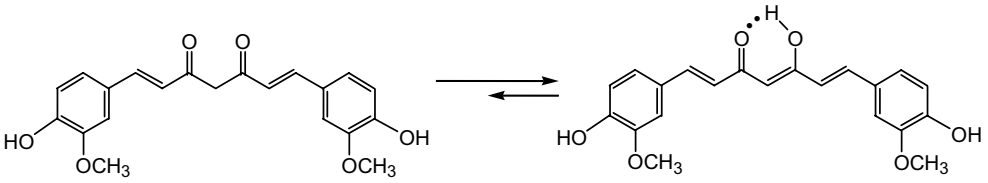


n = 3 Spermidine
n = 4 Homospermidine

The bovine serum amine oxidase (BSAO)-catalysed oxidation of spermidine and homospermidine has been monitored by ^{1}H NMR spectroscopy in water with 10% D_2O.



3*H*-1,3,4-Oxadiazole-2-thione and 3*H*-1,3,4-oxadiazol-2-one derivatives were synthesized and tested for their in vitro antimycobacterial activity. Oxadiazolone derivatives showed an interesting antimycobacterial activity against the tested strain of *Mycobacterium tuberculosis* $H_{37}Rv$, whereas the corresponding thione derivatives were devoid of activity. Molecular modeling investigations showed that the active compounds may interact at the active site of the mycobacterial cytochrome P450-dependent sterol 14α-demethylase in the sterol biosynthesis pathway and that their binding free energy values are in agreement with their MIC values.



Curcumin

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2005: Human liver glycogen phosphorylase A (HLGPa) is an attractive target enzyme for discovering anti-type 2 diabetes drugs. This picture shows the interaction model for a series of indole-2-carboxamides to HLGPa derived from molecular docking simulations [Liu, G.; Zhang, Z.; Luo, X.; Shen, J.; Liu, H.; Shen, X.; Chen, K.; Jiang, H. *Bioorg. Med. Chem.* **2004**, *12*, 4147–4157].

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