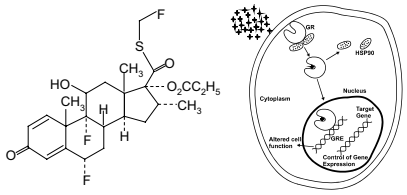
ELSEVIER

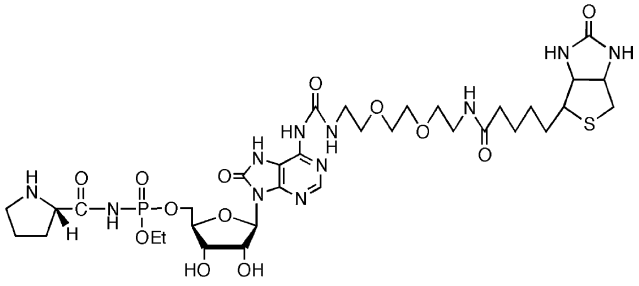
Bioorganic &
Medicinal
Chemistry

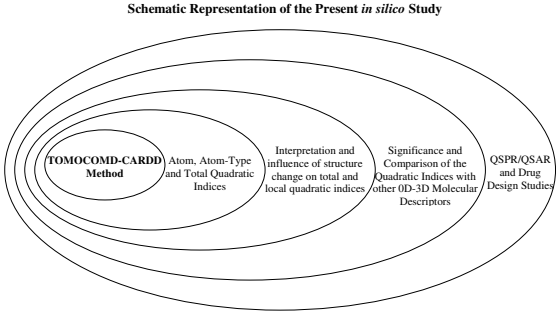
Bioorganic & Medicinal Chemistry Vol. 12, No. 24, 2004

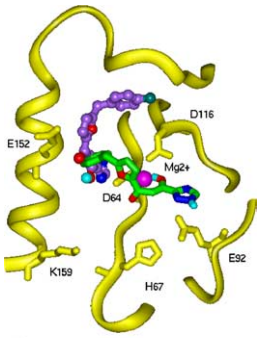
Contents



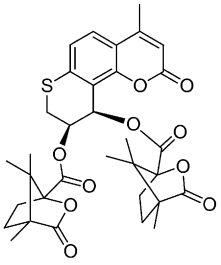
Corticosteroids remain the first line treatment in the management of all grades of asthma.



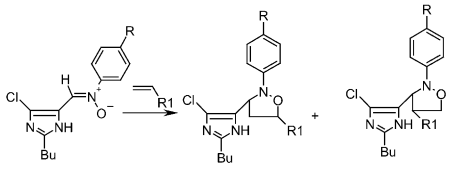


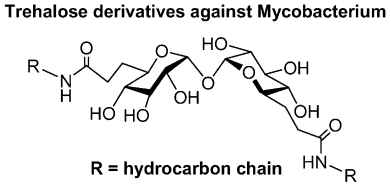


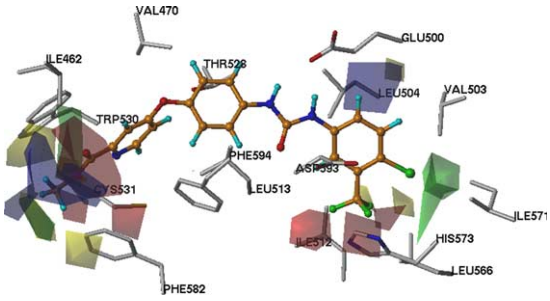
S-1360 inside the HIV-1 IN

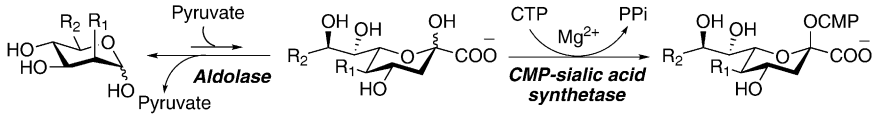

3a

Cycloaddition of *C*-imidazolyl-*N*-phenylnitrones with monosubstituted alkenes afforded 5-imidazolyl substituted isoxazolidines with high regioselectivity. Novel isoxazolidines were screened for their antibacterial activities against *Staphylococcus aureus*, *Escherichia coli* and *Bacillus subtilis* by using streptomycin as a positive control. They were also tested for their antifungal activities against *Fusarium moniliforme*, *Aspergillus niger* and *Cephalosporium acremonium* by using nystatin as positive control. Isoxazolidines, **4a** and **4f** exhibited more potent inhibition towards antifungal activity than the other isoxazolidines prepared.

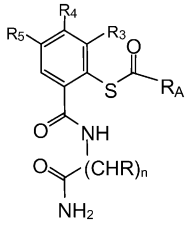


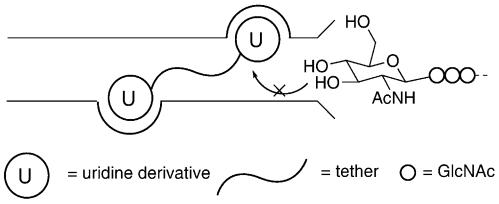


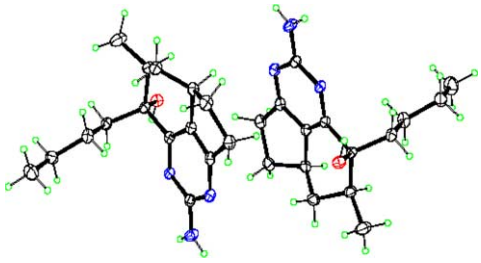


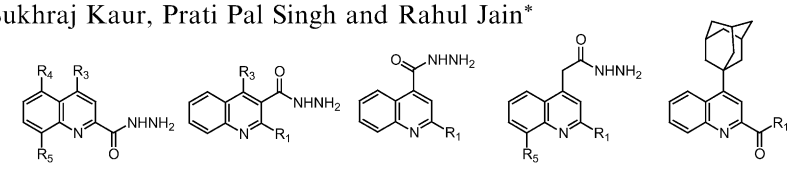


a. $R_1 = NH(CO)CH_3$, $R_2 = CH_2OH$
b. $R_1 = NH(CO)CH_2OH$, $R_2 = CH_2OH$
c. $R_1 = NH(CO)CH_2N_3$, $R_2 = CH_2OH$
d. $R_1 = NHCbzGly$, $R_2 = CH_2OH$
e. $R_1 = N_3$, $R_2 = CH_2OH$
f. $R_1 = OH$, $R_2 = CH_2OH$
g. $R_1 = OH$, $R_2 = CH_2N_3$
h. $R_1 = OH$, $R_2 = H$

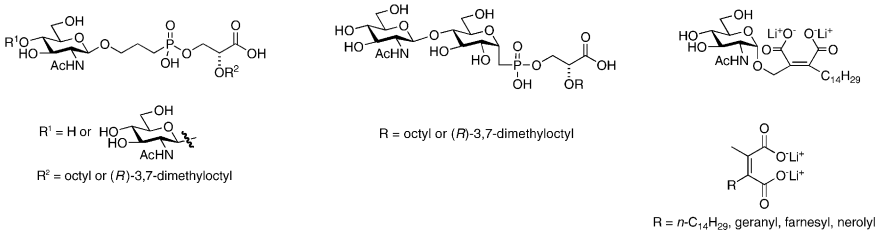




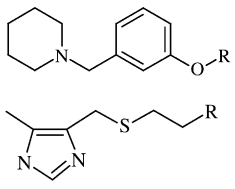




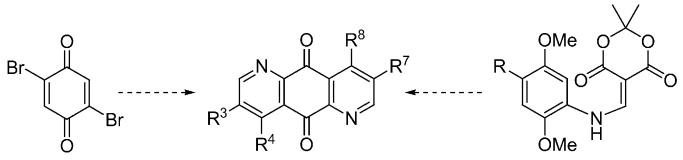
The antimycobacterial activities of ring-substituted quinolinecarbohydrazide and ring-substituted quinolinecarboxamide analogues (series 1–5) against *M. tuberculosis H37Rv* strains are described. The most effective analogues have exhibited excellent antimycobacterial efficacy.

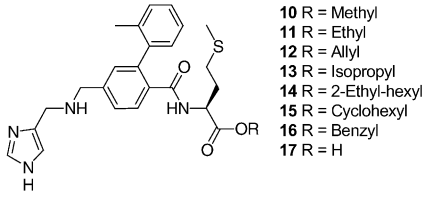


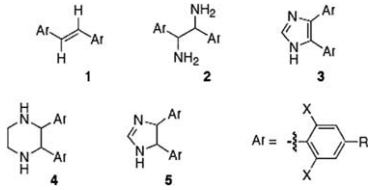
Three types of mono- and disaccharides as well as some chaetomellic acid A analogs were synthesized and evaluated for inhibitory activity against the *E. coli* transglycosylase PBP1b.

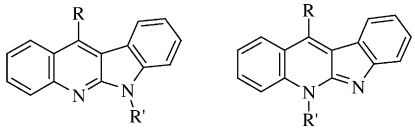


Fluorescent 3-[3-(piperidinomethyl)phenoxy]alkyl and 2-(5-methyl-4-imidazolyl)methylthioethyl derivatives were synthesized and exhibited H_2 receptor affinity ranging in pK_i from <4 to 8.85.

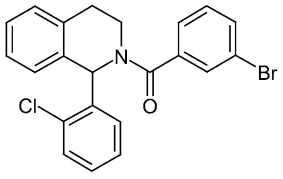






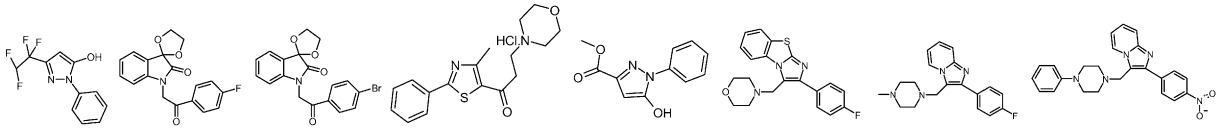


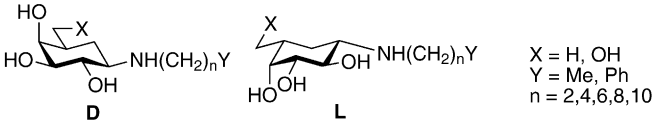
R = OCH_3, NHPh, NHPh-4-OCH_3
R' = H, CH_3

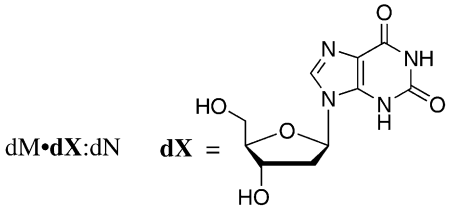


TQP-3

Four analogs proved to be potential antiplatelet aggregation agents, and compound **9** (TQP-3, applying for patent), which inhibits ADP-induced human platelet aggregation with IC_{50} values of approximately 0.206 nM was the most active.







OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover illustrates the modulation of gene expression by interaction of glucocorticoids with intracellular glucocorticoid receptors. [Gupta, R.; Jindal, D. P.; Kumar, G. *Bioorg. Med. Chem.* **2004**, *12*, 6331–6342].

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