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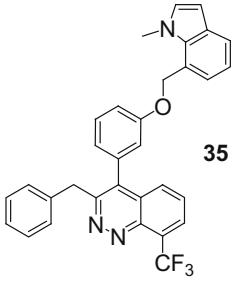
# Bioorganic & Medicinal Chemistry Vol. 17, No. 10, 2009

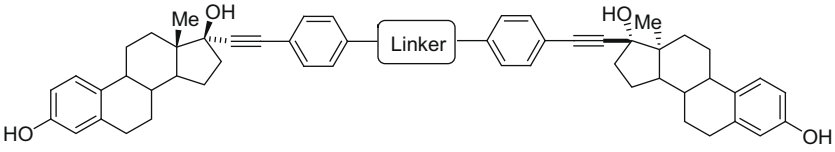
# Contents

## ARTICLES

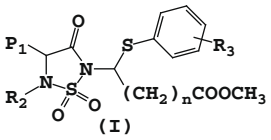




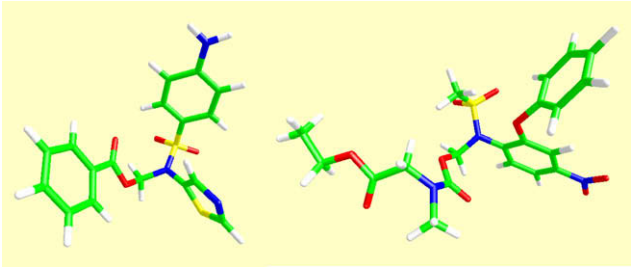




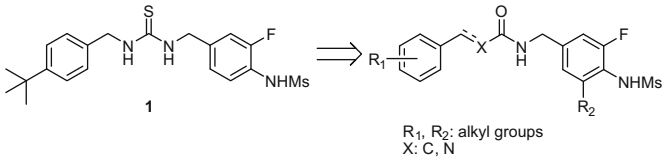




A series of 1,2,5-thiadiazolidin-3-one 1,1-dioxide derivatives were found to be potent and selective inhibitors of human neutrophil elastase but not proteinase 3.

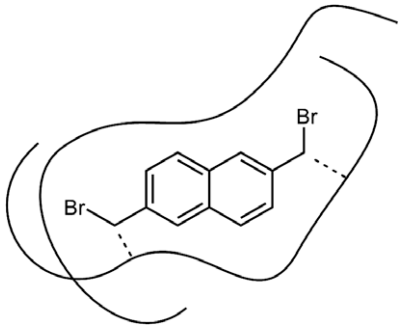


Compounds showing different enzymatic hydrolysis rates: very fast (left) and very slow (right).

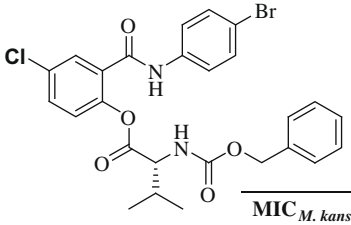




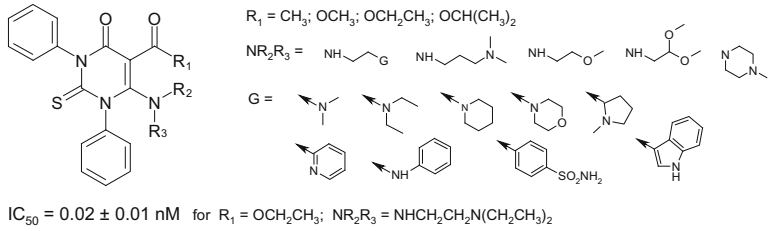


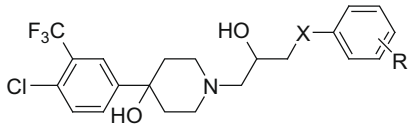



| | | |
|---|---|---|
| $MIC_{M.\ kansasii}$ | 4 | [μmol/L] |
| $MIC_{M.\ tbc}$ | 2 | [μmol/L] |
| $EC_{50}$ | 121.3 | [μmol/L] |
| Selectivity index | 33.35 | |

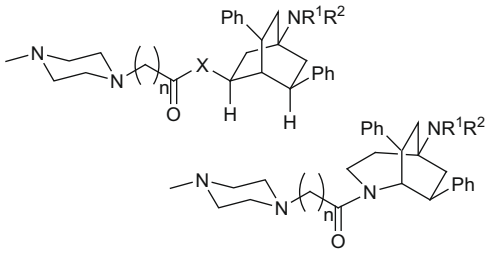




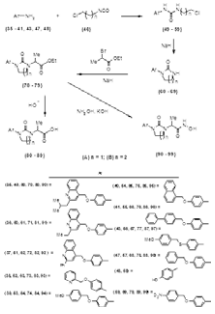
A novel series of piperidinol analogs have been discovered to have good anti-tuberculosis activity.

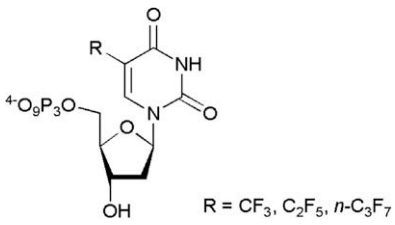




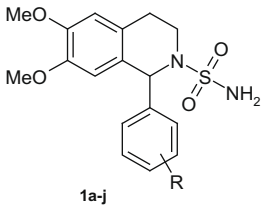
The esters were the more active compounds, exhibiting good antitrypanosomal and remarkable antiplasmodial activity.



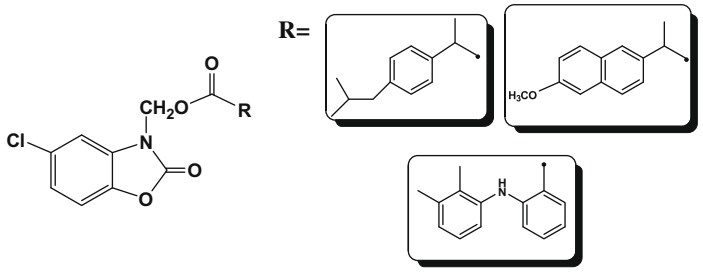




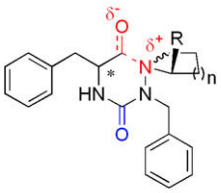


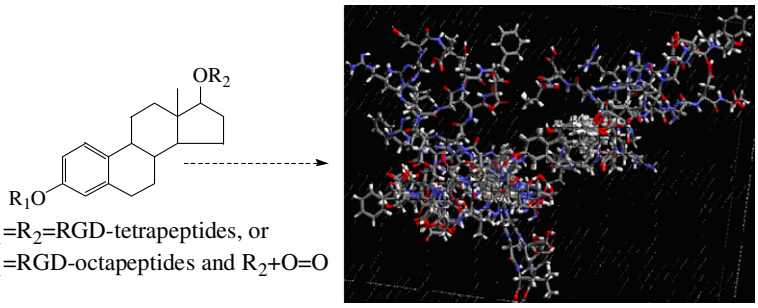





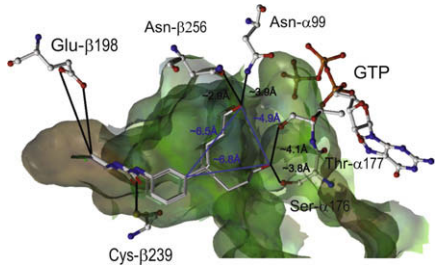





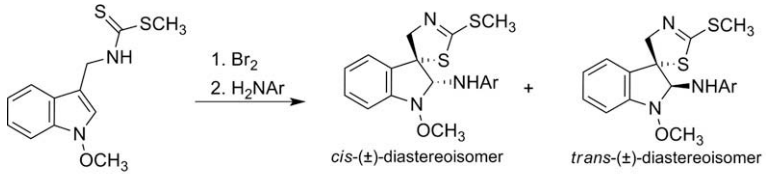





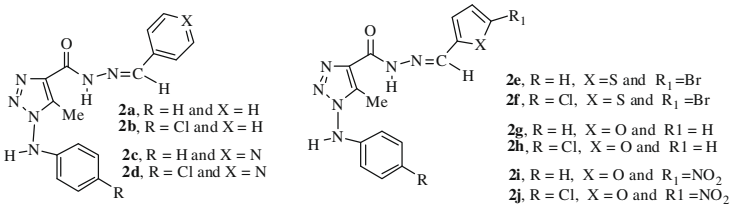


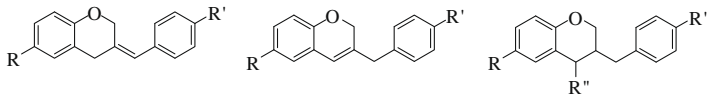




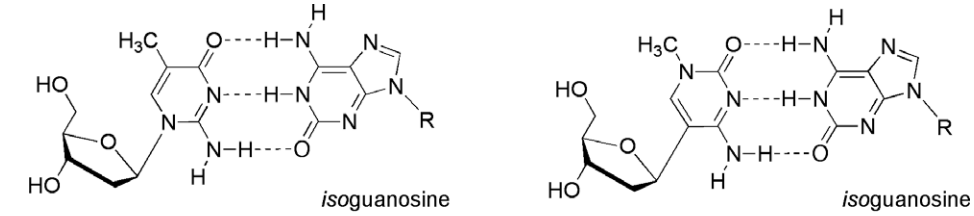




We described the synthesis, antiplatelet and in silico evaluations of novel N-substituted-phenylamino-5-methyl-1*H*-1,2,3-triazole-4-carbohydrazides **2a**–**j**. Among these *NAH* derivatives, the compounds **2a**, **2c**, **2e**, **2g** and **2h** were the most promising molecules with significant antiplatelet activity.



A series of 3-benzyl chromene and chroman were synthesized and evaluated for their anti-rhinovirus activity in cell cultures. Several compounds were proved to be both potent and selective HRV 1B inhibitors.

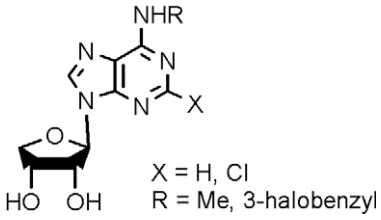




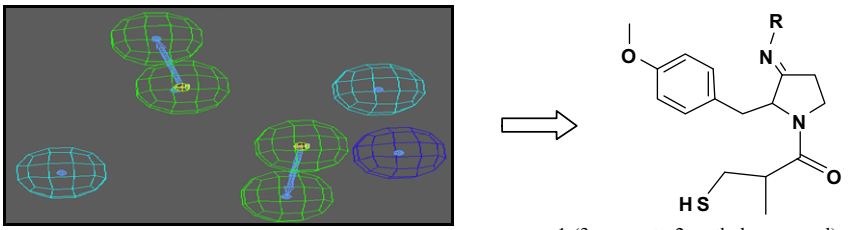

2'-deoxy-5-methylisocytidine

1-deaza-5-aza-5-methyl-*iso*cytidine
(2'-deoxy-1-methylpseudocytidine)
Chemically more stable, cheaper







ACE inhibitors hypothesis

1-(3-mercapto-2-methyl-propanoyl)
pyrrolidine -3-imine derivatives (**VIa-e**)

## 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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