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Chemistry

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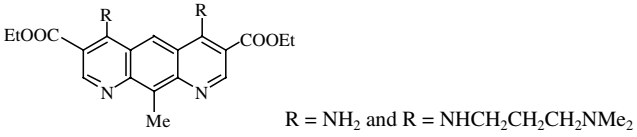
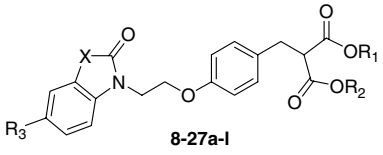
Contents

ARTICLES



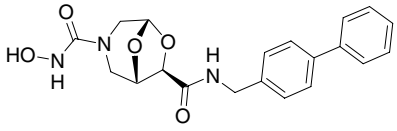
A number of pyrido[3,2-*g*]quinoline derivatives were synthesized and evaluated for antiproliferative activity.

A series of 1,3-dicarbonyl compounds having 2(3*H*)-benzazolonic heterocycles has been synthesized and tested for PPARγ agonist activity. SAR were developed and revealed that 6-acyl-2(3*H*)-benzothiazolone derivatives with 1,3-dicarbonyl group were the most potent. IP administration of compound **22** exhibited comparable levels of glucose and triglyceride correction to PO administration of rosiglitazone in the *ob*/*ob* mouse studies.

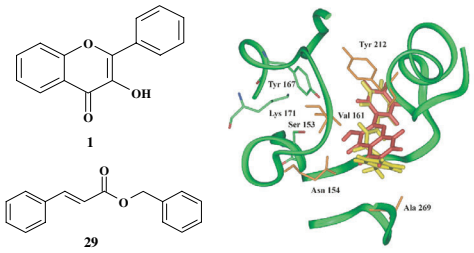


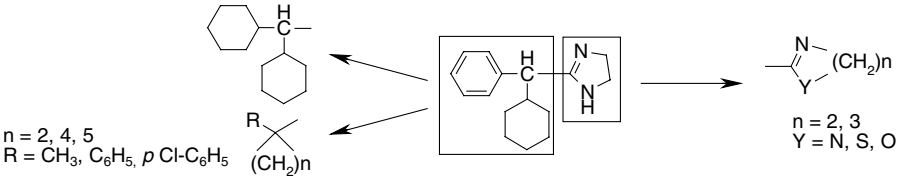
8-27a-l

X= O, S
R_1, R_2= H, CH_3, C_2H_5, $C(CH_3)_3$
R_3= H, COR, CH_2R, CHOHR

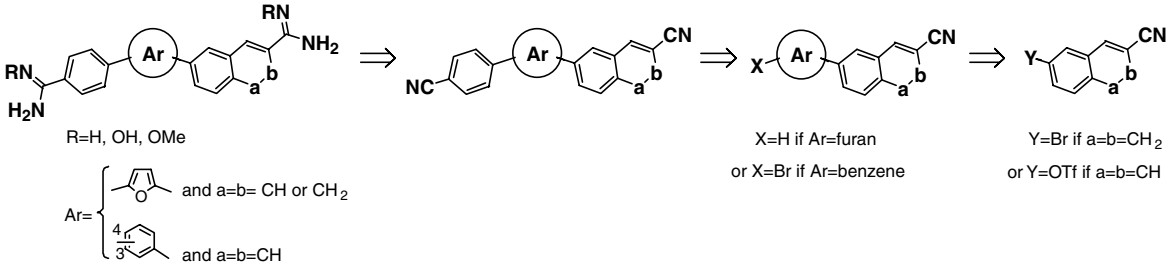


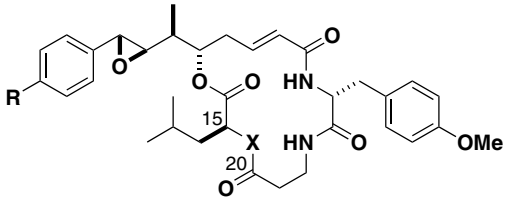
A selective MMP-12 inhibitor based on 3-aza-6,8-dioxa-bicyclo[3.2.1]octane-7-carboxylic acid (BTAa) is described. The observed inhibitory activity and the structural information on protein/inhibitor complexes provided by NMR experiments and X-ray assessments suggest that bicyclic scaffold derivatives (BTAa) may be exploited for the design of new selective MMP inhibitors.



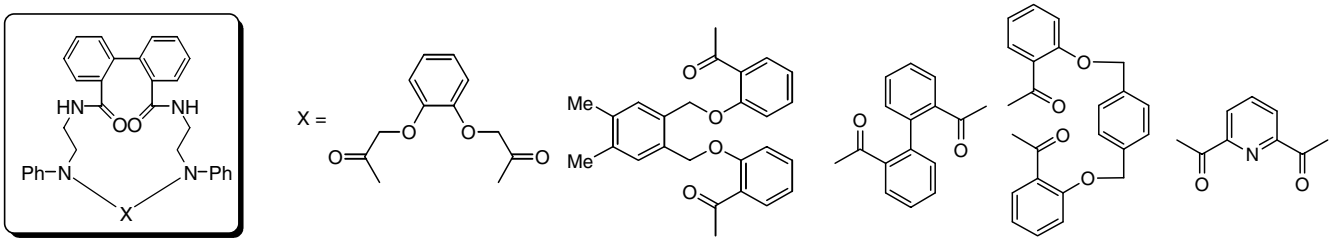


Two series of imidazolines derived of 2-(α-cyclohexyl-benzyl)-4,5-dihydro-1*H*-imidazole were synthesized and evaluated for their in vivo antidiabetic activity in a rat model of type-2 diabetes.

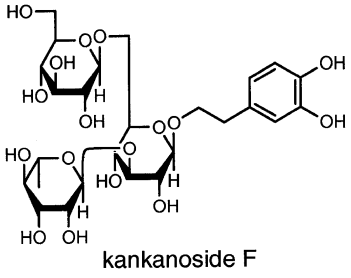


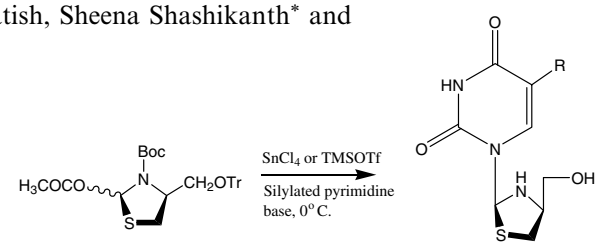


arenastatin A (R = H, X = O)
stable and water-soluble analogue (R = polar substituent, X = NH)



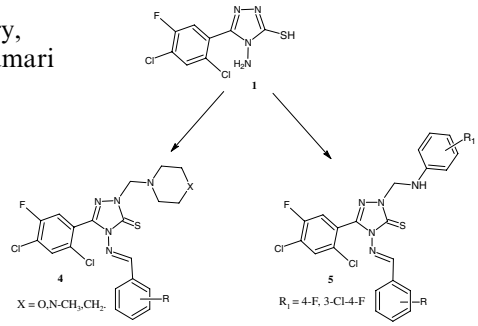
The methanolic extract from the dried stems of *Cistanche tubulosa* (Schrenk) R. Wight was found to show an inhibitory effect on contractions induced by noradrenaline in isolated rat aortic strips. From the extract, new phenylethanoid oligoglycoside constituents, kankanosides F and G, and an acylated oligosugar, kankanose, were isolated together with 14 known compounds. The structures of these new compounds were determined on the basis of their chemical and physicochemical evidence. In addition, principal constituents, kankanoside F, kankanose, echinacoside, acteoside, and cistanoside F, showed vasorelaxant activity, and several structural requirements for the activity were clarified.

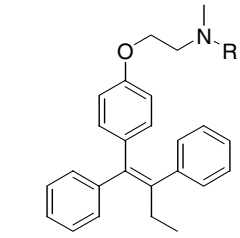




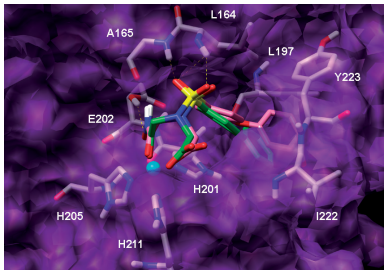
The new class of 1-(4-hydroxymethyl-1,3-thiazolidine-2-yl) pyrimidine nucleoside analogues were synthesized following Vorbruggen procedure. The characterization of the compounds was accomplished by IR, NMR, mass, elemental analysis and NOE experiments. The antibacterial activity of these compounds against 14 human pathogens is highlighted.

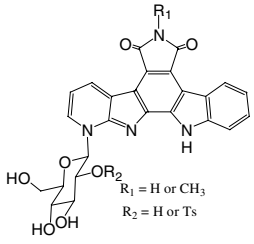
Series of Schiff bases was prepared by condensing triazole with aromatic aldehydes. Aminomethylation of Schiff bases with secondary amines and substituted primary amines gave Mannich bases. Newly synthesized compounds were characterized by spectral data and elemental analysis. All compounds were tested for their antimicrobial activity.

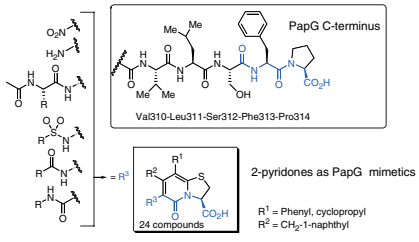




R = CH_2CF_3, $CH_2CH_2CF_3$, $CH_2CH_2OCF_3$







OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2006: The cover figure shows a synthetic multifunctional pore that is composed of rigid-rod staves (para-octiphenyls, tan) and beta-sheet hoops (arrows) and can be activated with external ligands (fullerenes, golden spheres) and closed with internal blockers (alpha-helix, red ribbon) [Gorteau, V.; Bollot, G.; Mareda, J.; Pasini, D.; Tran, D.-H.; Lazar, A. N.; Coleman, A. W.; Sakai, N.; Matile, S. *Bioorg. Med. Chem.* **2005**, *13*, 5171–5180].

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