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Bioorganic &
Medicinal
Chemistry

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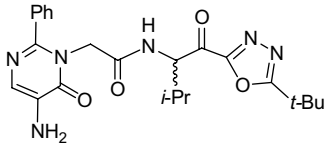
Contents

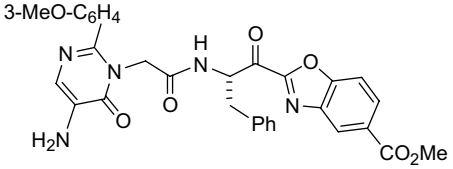
REVIEW



6f K_i (HNE) = 12 nM

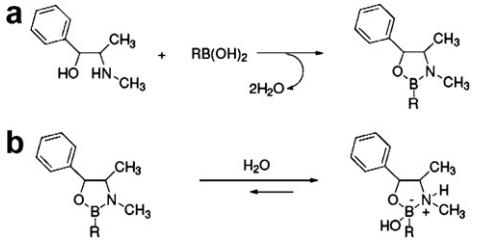
8a

K_i (human thrombin) = 0.2 nM

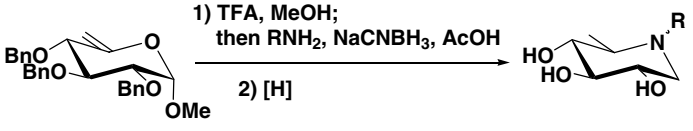


52h K_i (human chymase) = 4.8 nM

ARTICLES

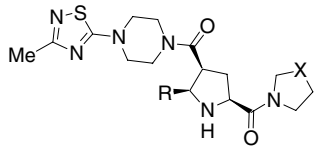


Five oxazaborolidine derivatives were synthesized as described. BNO-1 (R = phenyl) and BNO-5 (R = butyl) were found to have a strong positive effect on luminescence of *Vibrio harveyi* via AI-2 quorum sensing mechanism.

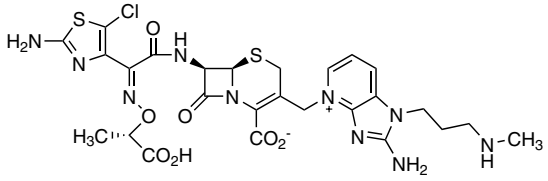


R = H, various alkyl groups

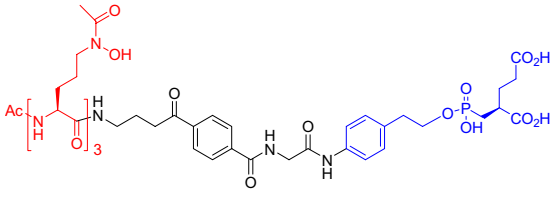
An efficient 'one-pot' approach to the synthesis of 1,6-dideoxy-*N*-alkylated nojirimycin derivatives in good yields and with high stereoselectivity was developed. The synthetic *N*-alkylated iminosugars showed inhibitory effects on the release of the cytokines IFN-γ and IL-4 from the mouse splenocytes.



A series of 4β-[1-*N*-(sulfur-containing hetero-aryl)piperazin-4-ylcarbonyl]-L-prolylpyrrolidine analogs were synthesized as dipeptidyl peptidase IV (DPP-IV) inhibitor. The duration of ex vivo activity and the effect on the plasma glucose level were evaluated.

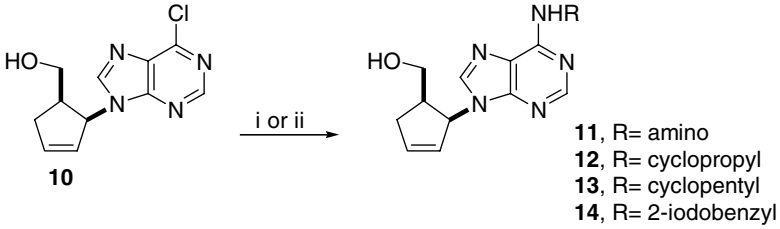


A novel series of 7β-[2-(2-amino-5-chloro-thiazol-4-yl)-2(*Z*)-((*S*)-1-carboxyethoxyimino)acetamido]cephalosporins bearing various pyridinium groups at the C-3′ position were prepared, and their antibacterial activities were evaluated.



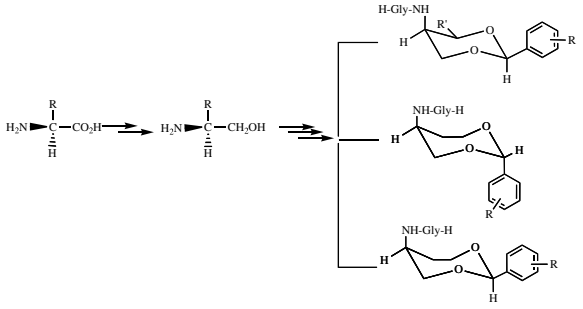
6

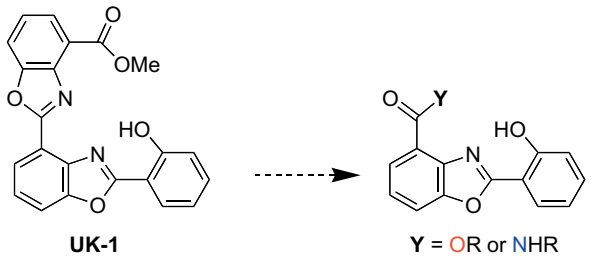
siderophore----------linker----------inhibitor

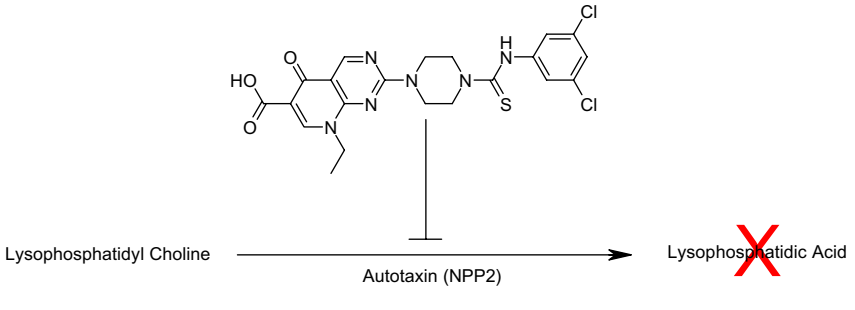


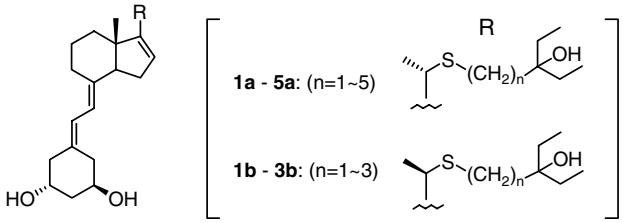
10

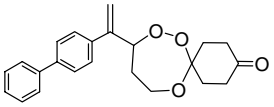
11, R= amino
12, R= cyclopropyl
13, R= cyclopentyl
14, R= 2-iodobenzyl

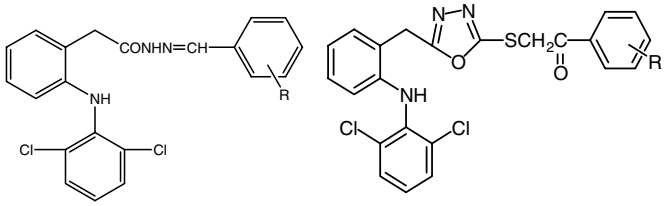


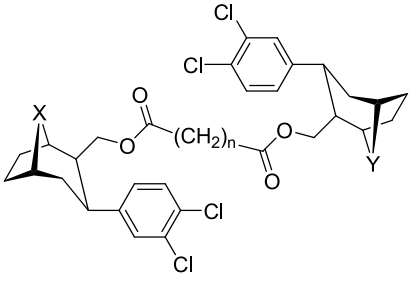


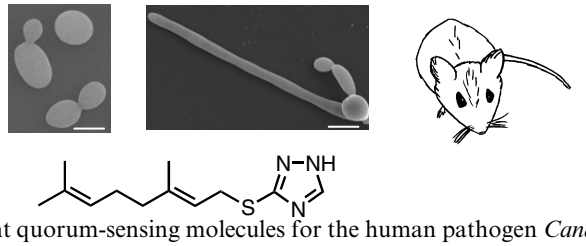


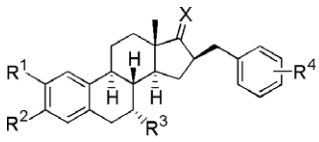






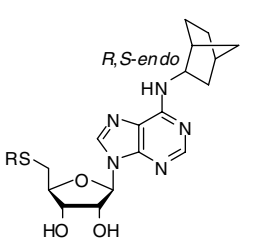




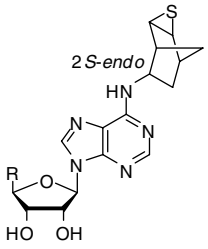


X = 17β-OH or O
R^1 = H or OCH_3
R^2 = OH, OCH_3 or H
R^3 = H or $(CH_2)_{10}CONBuMe$
R^4 = $CONH_2$ meta or para position

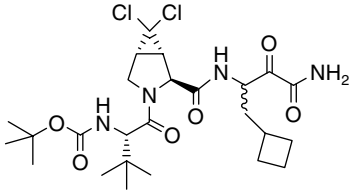
Two series of 5′-modified ENBA analogues were synthesised and evaluated as A_1 adenosine receptor agonists. The ultimate goal of this research was to identify novel and potent partial agonists. However, in an unanticipated outcome, a number of highly potent full agonists were discovered.

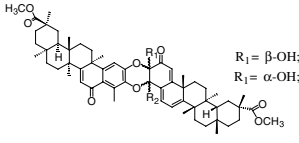


R = Pr IC_{50} = 15 nM
R = 2-F-Ph IC_{50} = 21 nM



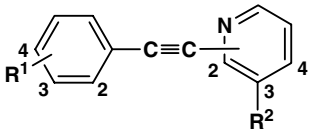
R = C(O)NHEt IC_{50} = 0.12 nM
R = CH_2Cl IC_{50} = 0.3 nM

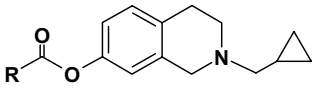




R_1 = β-OH; R_2 = β-Me ; Xuxuarine Eα
R_1 = α-OH; R_2 = α-Me ; Xuxuarine Eβ

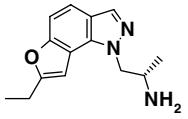
Biomimetic synthesis of the biscelastroloids, xuxuarine Eα and Eβ, from pristimerin is reported leading to the revision of structures proposed for *Rzedowskia* bistriterpenoids I and II.





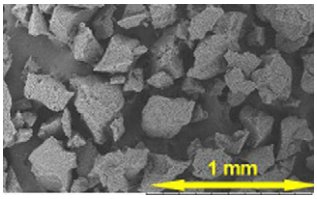
12

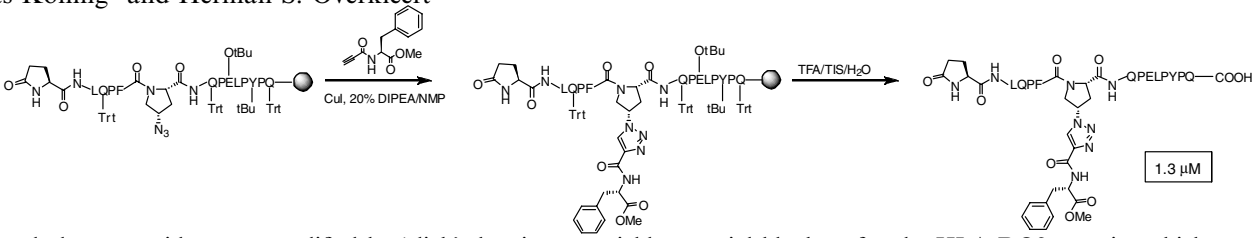
A type of *N*-cyclopropylmethyl-tetrahydroisoquinolines (**12**) was delicately synthesized and shown to possess both sAPPα-releasing stimulation and γ-secretase inhibitory potency in cell models.



YM348

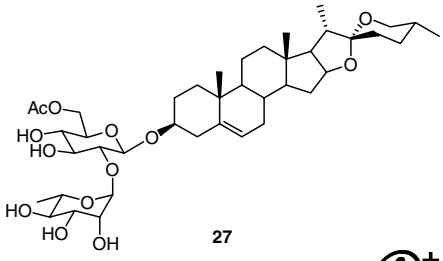
A novel series of indazole derivatives were prepared, and their binding affinities for 5-HT$_{2C}$ and 5-HT$_{2A}$ receptors were evaluated. Synthesis and structure–activity relationships, including in vivo evaluation, are discussed.



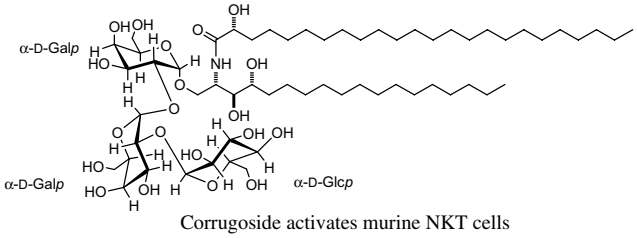


Natural gluten peptides were modified by 'click' chemistry to yield potential blockers for the HLA-DQ2 protein, which presents immunogenic gluten to T-cells inducing a gluten-specific T-cell response, and thus plays an important role in Celiac disease.

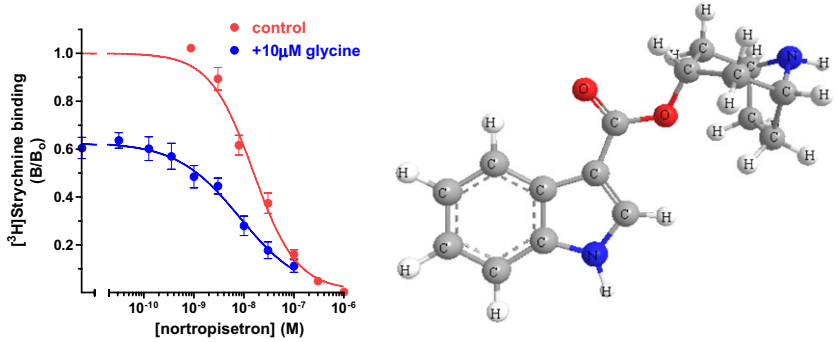
To create your abstract, type over the instructions in the template box below. Fonts or abstract dimensions should not be changed or altered. This paper describes the cytotoxic effect induced by new synthetic spirostanic saponins on human tumor cell lines (human myeloid leukemia and human melanoma cells).

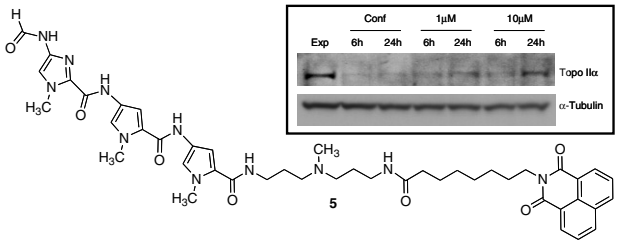


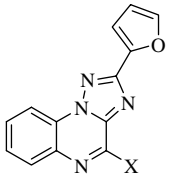
Axinella corrugata

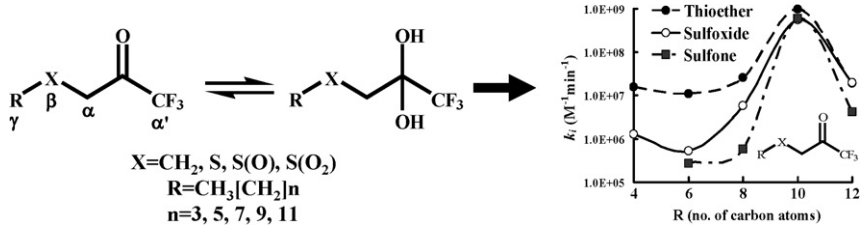


Corrugoside activates murine NKT cells









OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Trifluoromethyl ketone (TFK)-containing inhibitors exist in a dynamic equilibrium between the *gem*-diol and ketone forms prior to binding to the enzyme. The inhibitors form a transition state analog enzyme:inhibitor complex via a reversible covalent bond in which the alkyl chain length (**R**) and chemical moiety beta to the ketone (**X**) greatly affect the binding kinetics [Wheelock, C. E.; Nishi, K.; Ying, A.; Jones, P. D.; Colvin, M. E.; Olmstead, M. M.; Hammock, B. D. *Bioorg. Med. Chem.* **2008**, *16,* 2114–2130].

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