Bioorganic &
Medicinal
Chemistry
Letters

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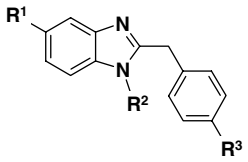
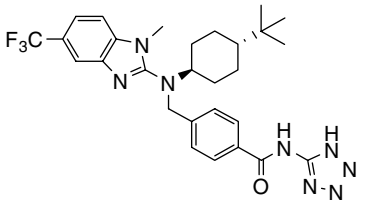
Contents

ARTICLES

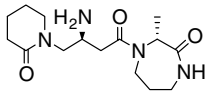


The preparation and evaluation of a novel class of CB2 agonists based on a benzimidazole scaffold are reported.



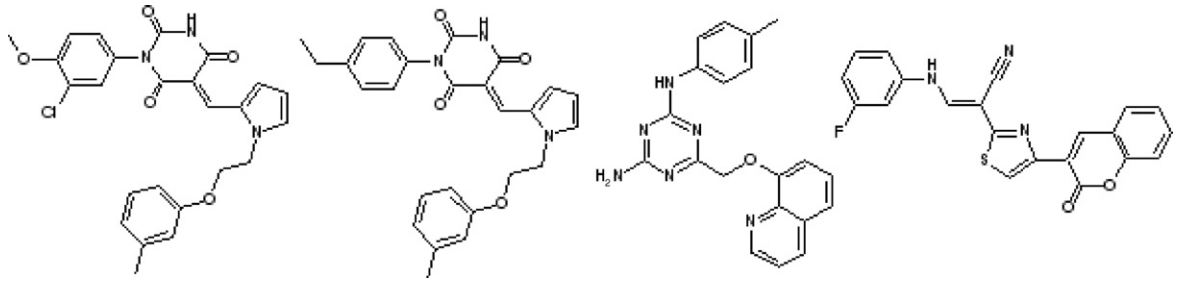
36

Potent and selective aminobenzimidazole glucagon receptor antagonists are described. Compound **36** was orally efficacious in blocking glucagon-dependent glucose production and in lowering glucose levels in an animal model of diabetes.

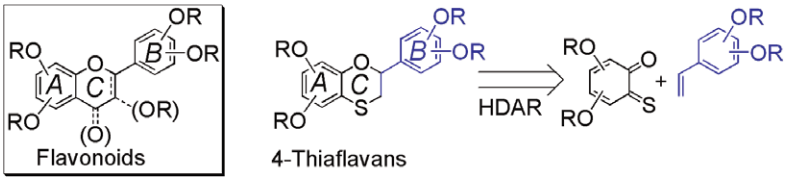


20a: IC_{50} = 20 nM

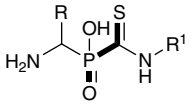
The newly discovered aromatic fluorine H-bond and other binding elements in the DPP-4 inhibition were successfully incorporated into novel DPP-4 inhibitors such as **20a**.



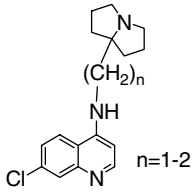
We have discovered four novel α-glucosidase inhibitors with in vivo antidiabetic effects by means of a drug design protocol involving the virtual screening with docking simulations, in vitro enzyme assay, and in vivo efficacy test.



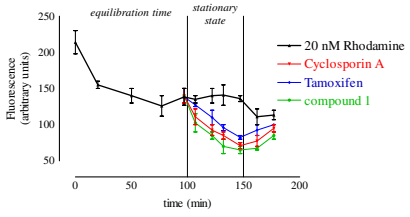
Twelve derivatives tested on 21 microorganisms. MICs ⩾ 8 μg/mL were observed.



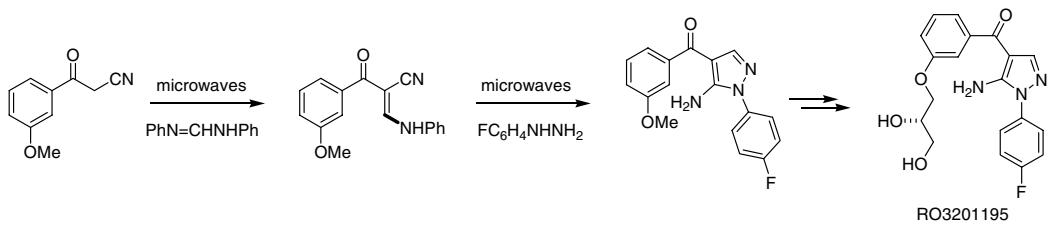
Synthesis of new group of α-aminoalkyl-(N-substituted)thiocarbamoyl-phosphinates and their inhibitory activity towards aminopeptidase N are reported.



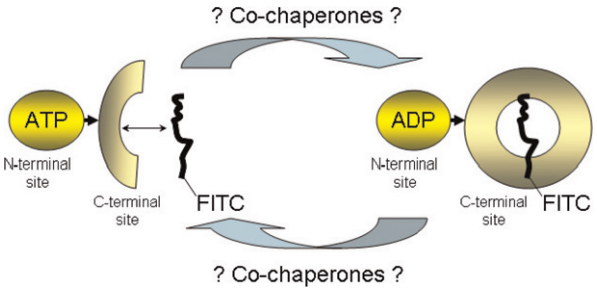
New orally efficacious chloroquine analogs with excellent activity on CQ-R strains of *P. falciparum*.



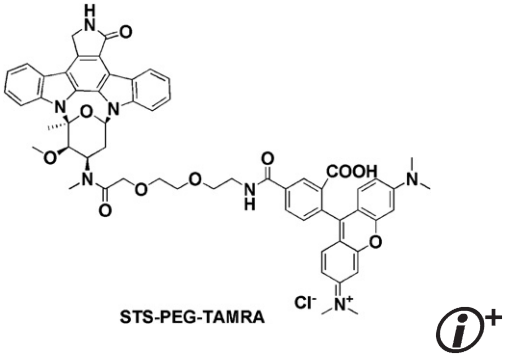
The inhibition of Rhodamine-123 efflux in guinea-pig ileum by several P-gp inhibitors is reported.

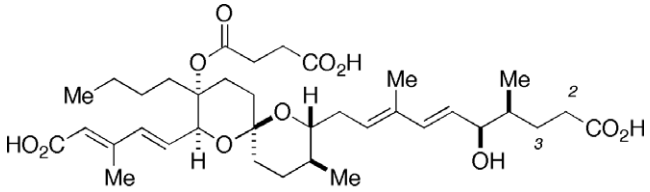


5-Aminopyrazol-4-yl ketones can be prepared rapidly and efficiently using microwave heating for elaboration to the p38α MAP kinase inhibitor, RO3201195, which shows very high selectivity in Werner syndrome cells over JNK kinase.



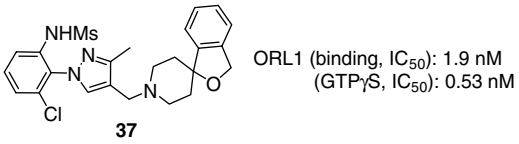
With this probe, we successfully evaluated the inhibitory activities of known inhibitors of ASK1.



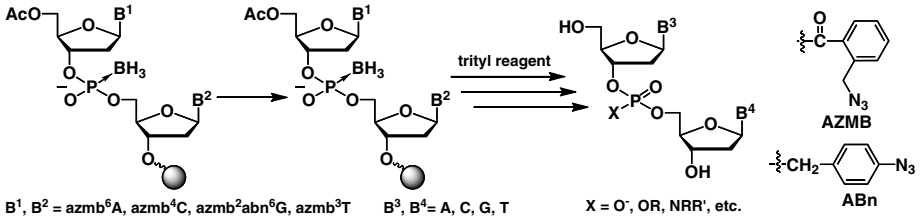


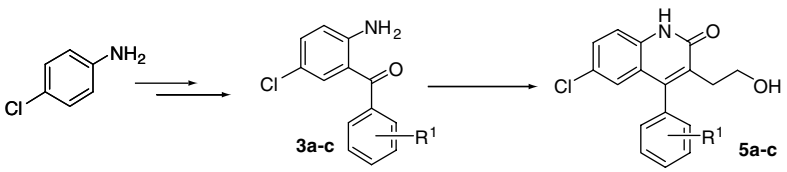
2,3-Dihydroreveromycin A (**10**)

Various derivatives of reveromycin A and spirofungin A were synthesized and their inhibitory effects on both the isoleucyl-tRNA synthetase activity and the survival of osteoclasts were examined.

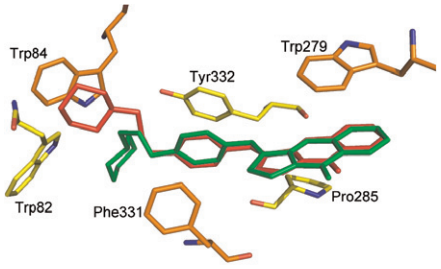


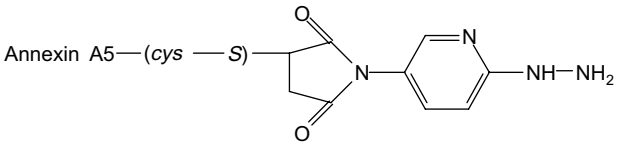
A focused library of biarylmethyl bound to the nitrogen atom of spiropiperidine was designed. Systematic modifications allowed the discovery of a synthetically feasible and highly potent ORL1 antagonist **37**.



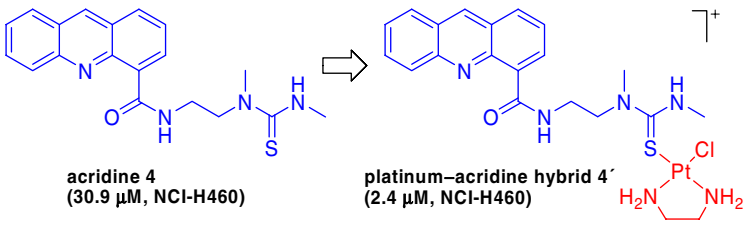


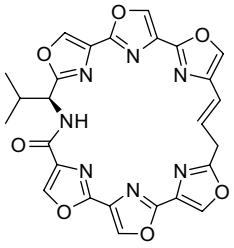
3-Hydroxylethyl-4-aryl-6-chloro-quinolin-2-ones (**5a–c**) exhibited inhibitory activities on the secretion of HBsAg and HBeAg in HBV infected Hep G2.2.15 cells.



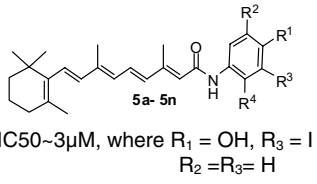


The study describes the preliminary evaluation of technetium-99m-labeled novel 'site-specific' HYNIC-*cys*-annexin A5 as an apoptosis imaging radiotracer in animal models of hepatic apoptosis and acute myocardial infarction.

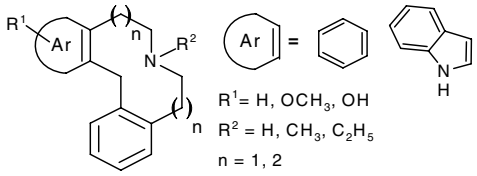




Ring-closing metathesis was employed for the synthesis of a highly G-quadruplex selective and cytotoxic macrocyclic hexaoxazole.

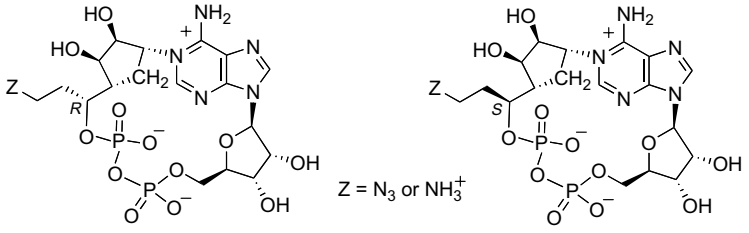


Synthetic Derivatives of 4-HPR with halogen substitutions are active against rhabdoid tumors.



pK_i for D_1: 6.79 to 9.45; for D_2: 6.41 to 8.45; pA_2 for 5-HT_{2A} : 7.21 to 9.97

A cross-target SAR was conducted with 13 azecine-styled compounds on D_1, D_2 and 5-HT_{2A} receptors. Surprisingly, molecular modifications aect the affinity for the D_1 receptor in the same manner as the 5-HT_{2A} receptor. The protein–ligand interactions were discussed with respect to the dierent binding pockets.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Overlay of high resolution co-crystal structures of *R*-**22**-ADP (cyan) and **1**-ADP (green) bound in an allosteric binding site of the mitotic kinesin KSP. [Roecker, A. J.; Coleman, P. J.; Mercer, S. P.; Schreier, J. D.; Buser, C. A.; Walsh, E. S.; Hamilton, K.; Lobell, R. B.; Tao, W.; Diehl, R. E.; South, V. J.; Davide, J. P.; Kohl, N. E.; Yan, Y.; Kuo, L. C.; Li, C.; Fernandez-Metzler, C.; Mahan, E. A.; Prueksaritanont, T.; Hartman, G. D. *Bioorg. Med. Chem. Lett.* **2007**, *17*, 5677.]

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