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Bioorganic & Medicinal Chemistry Letters

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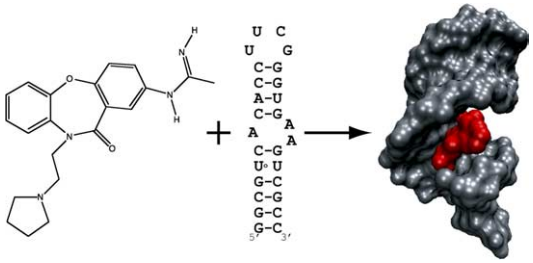
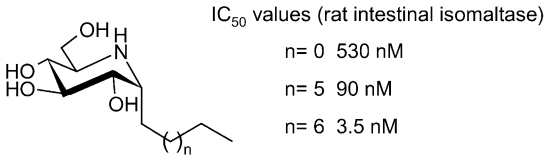
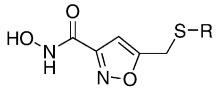
Contents

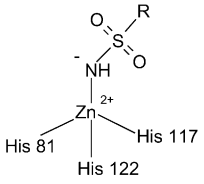


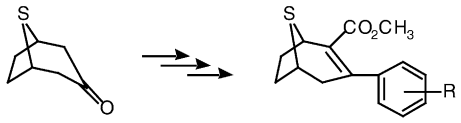
We report the identification of a novel compound that binds to the *Escherichia coli* ribosomal A-site. We observed binding using NMR, mass spectrometry, and docking techniques and demonstrate that the compound binds in the same position as occupied by aminoglycoside antibiotics.

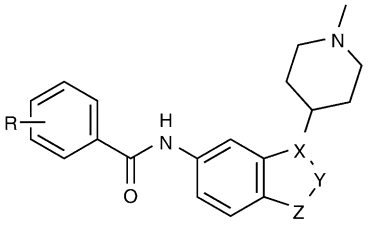




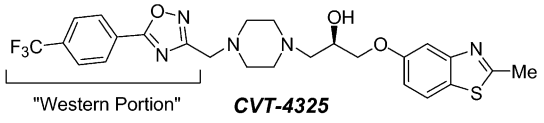
The synthesis and initial in vitro evaluation of isoxazole-3-hydroxamic acid derivatives as a new class of PDF inhibitors are reported.



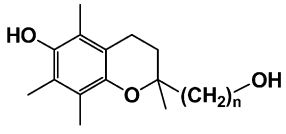




The synthesis and evaluation of structurally novel 5-HT_{1F} receptor agonists are reported.

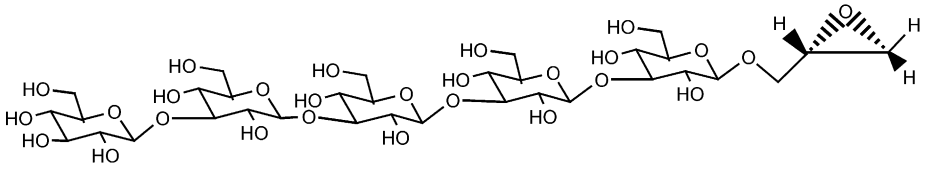


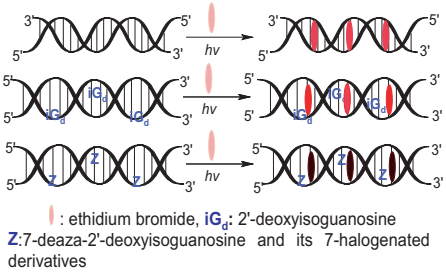
New inhibitors of palmitoyl-CoA oxidation are based on the introduction of nitrogen heterocycles in the 'Western Portion' of the molecule. SAR studies led to the discovery of CVT-4325, a potent FOXi (IC_{50} = 380 nM, rat mitochondria) with favorable PK properties (F = 93%, $t_{1/2}$ = 13.6 h, dog).



1a-d

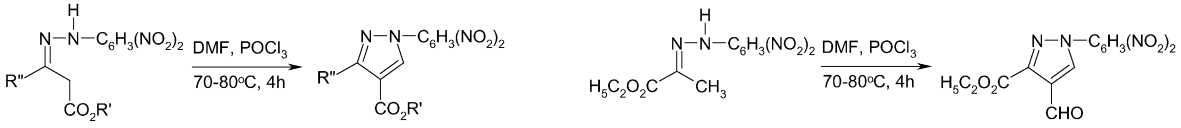
Tocopherol derivatives were found to strongly modulate microglial activation induced by lipopolysaccharide.





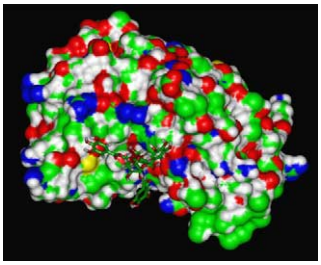
The fluorescence quenching of ethidium bromide in base-modified parallel-stranded DNA was studied.

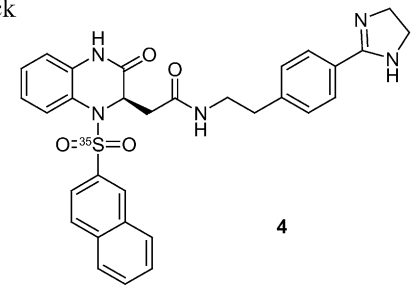
Synthesis of 1*H*-pyrazole carboxylates



Where R' = C_2H_5, $CH_2C_6H_5$, $C(CH_3)_3$
R"= CH_3, C_6H_5, *p*-ClC_6H_4, *o*-FC_6H_4, $ClCH_2$

Under the guidance of bioassay, we purified 1,2,6-tri-*O*-galloyl-β-D-glucose, 1,2,3,6-tetra-*O*-galloyl-D-glucose, and 1,2,3,4,6-penta-*O*-galloyl-β-D-glucose from *Galla Chinese*, inhibited HCV NS3 protease with IC_{50} of 1.89, 0.75, and 1.60 μM, respectively.





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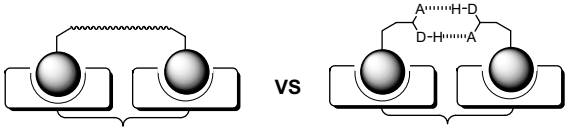
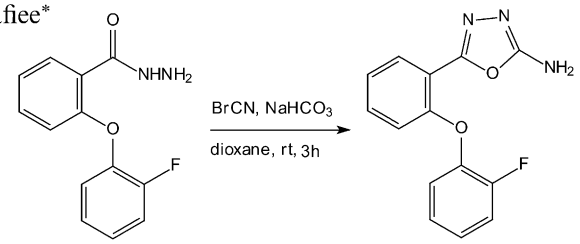
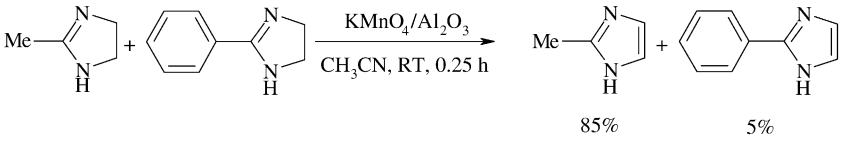
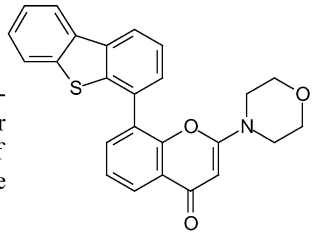


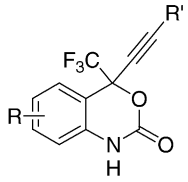




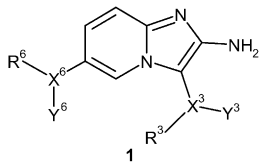
An efficient method for the oxidation of 2-imidazolines to their corresponding imidazoles using $KMnO_4/Al_2O_3$ under very mild reaction conditions is reported. Selective oxidation of 2-alkylimidazolines was also performed in the presence of 2-arylimidazolines and other functional groups such as sulfide, ether, aldehyde, acetal and THP ether.



A solution-phase multiple-parallel synthesis approach was employed for the preparation of 6-, 7- and 8-aryl-substituted chromenone libraries, which were screened as inhibitors of the DNA repair enzyme DNA-dependent protein kinase (DNA-PK). These studies resulted in the identification of 8-dibenzothiophen-4-yl-2-morpholin-4-yl-chromen-4-one (NU7441) as a highly potent and selective DNA-PK inhibitor (IC_{50} = 14 nM), exhibiting ATP-competitive inhibition kinetics.



A novel series of HIV-1 reverse transcriptase inhibitors was subjected to quantitative structure–activity relationship analysis (QSAR) employing a novel set of P_VSA descriptors.



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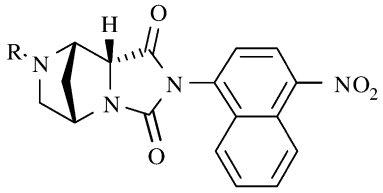
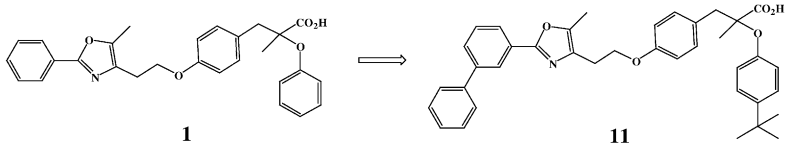
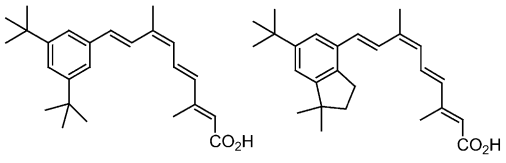
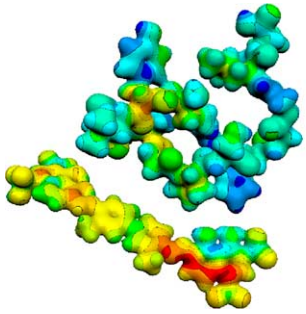
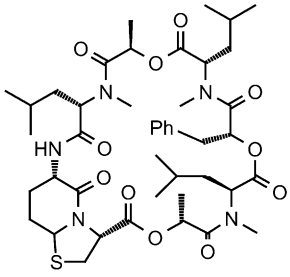
Synthesis of 2-aminoimidazo[1,2-*a*]pyridines **1** and their evaluation as CDK2 inhibitors is described.











OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover graphic shows the schematic representation both of the nitric oxide (NO•) pathway in vascular homeostasis and of the oxidative hypothesis of atherosclerosis. Antioxidant/NO-donor hybrids could display protective roles against atherosclerosis [Cena, C.; Boschi, D.; Tron, G. C.; Chegaev, K.; Lazzarato, L.; Di Stillo, A.; Aragno, M.; Fruttero, R.; Gasco, A. *Bioorg. Med. Chem. Lett.* **2004**, *14*, 5971–5974]. This graphic has been adapted from a figure by T. Eberhardt and J. Loscalzo in *Nitric Oxide and the Cardiovascular System*; Loscalzo, J., Vita, J. A., Eds.; Humana Press: Totowa, 2000; p 275.

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