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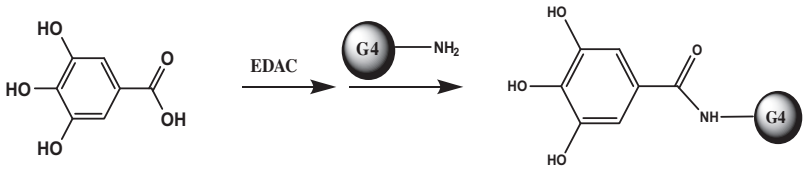
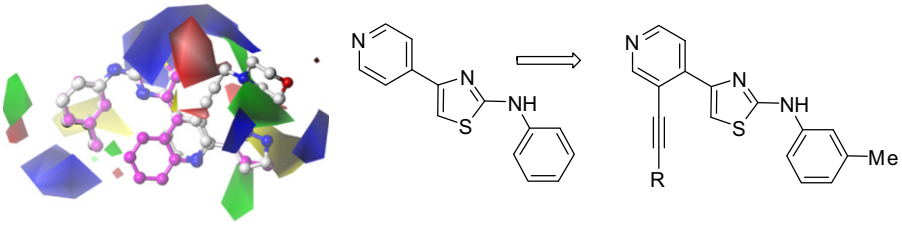
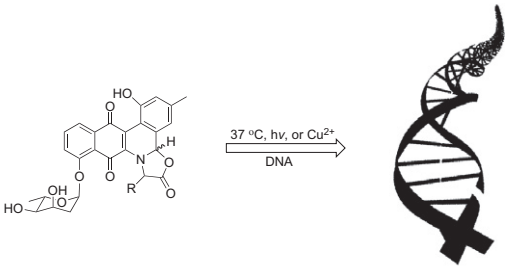
Contents

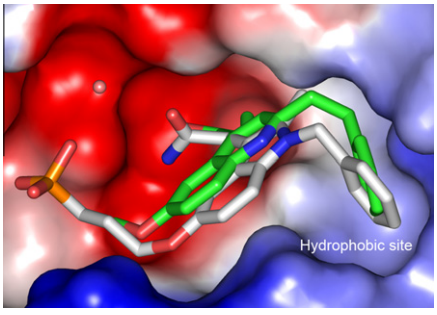
ARTICLES

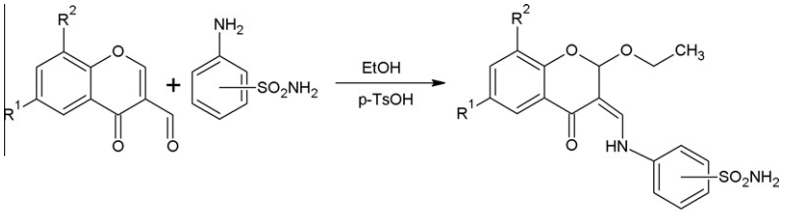


4.0 G PAMAM dendrimer was conjugated with Gallic acid [GA] and characterized through UV, IR, ^{1}H NMR and mass spectroscopy.

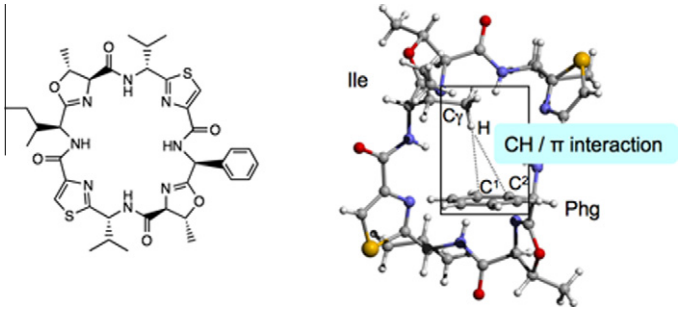


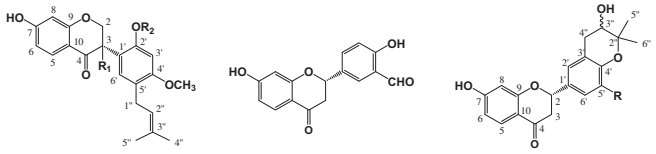






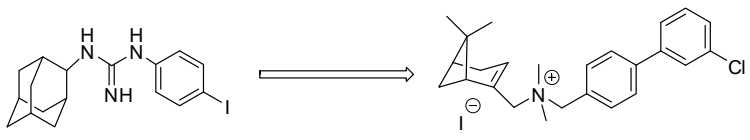
1a-1e; 4-SO_2NH_2 **1a, 2a**; $R^1 = H$; $R^2 = H$ **1d,2d**; $R^1 = Br$; $R^2 = Br$
2a-2e; 3-SO_2NH_2 **1b, 2b**; $R^1 = F$; $R^2 = H$ **1e, 2e**; $R^1 = CH_2CH_3$; $R^2 = H$
1c, 2c; $R^1 = Br$; $R^2 = H$

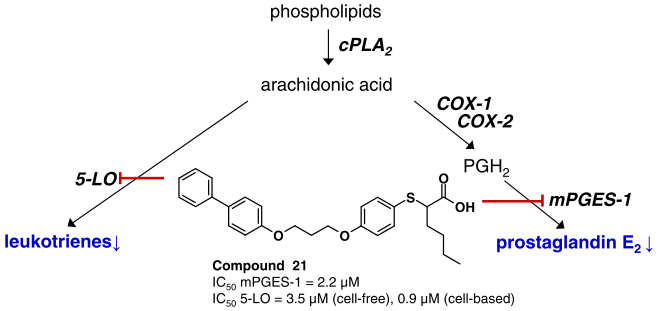


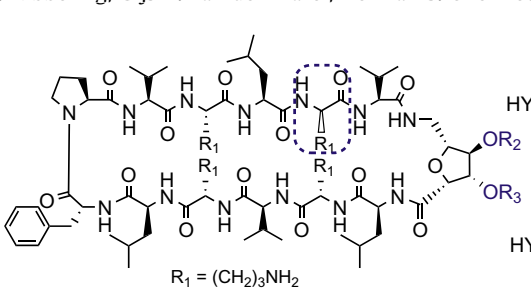


1 $R_1 = H$, $R_2 = CH_3$ **6** **9** R = H
13 $R_1 = OH$, $R_2 = H$ **12** R = prenyl

Five new 5-deoxyflavonoids along with eight known analogues were isolated from an EtOAc-soluble extract of the root bark of *Erythrina abyssinica*. All compounds showed dose-dependant inhibitory effects on the enzyme activity of protein tyrosine phosphatase 1B (PTP1B) in an in vitro assay with IC_{50} values ranging from 14.9 ± 1.6 to 72.9 ± 9.7 μM. Compounds with prenyl and methoxy groups on the B ring possessed higher activity than those bearing the 2,2-dimethylpyrano ring in the structure.



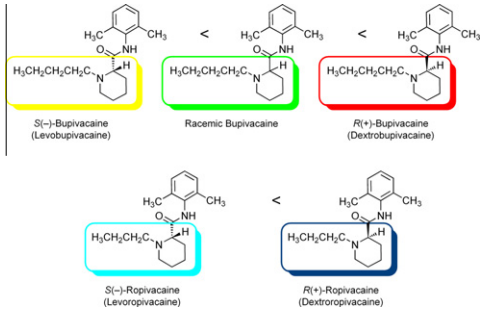


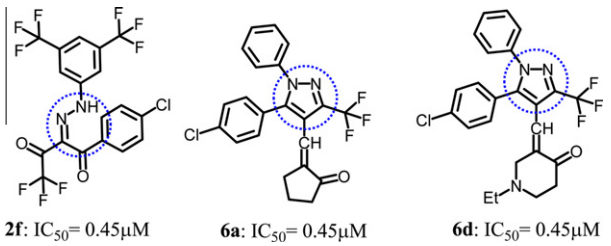


HYDROPHOBIC

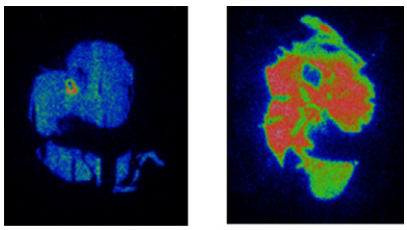
HYDROPHILIC

		ACTIVITY: HEMOLYTIC	GRAM+	GRAM-
5	R_1 = L-Orn, R_2= R_3= Bn	++	++	++
6	R_1 = L-Orn, R_2= H R_3= Bn	++	++	++
7	R_1 = L-Orn, R_2= R_3= H	+	++	++
8	R_1 = D-Orn, R_2= R_3= Bn	-	+	+-
9	R_1 = D-Orn, R_2= H R_3= Bn	-	+	+-
10	R_1 = D-Orn, R_2= R_3= H	- -	-	-

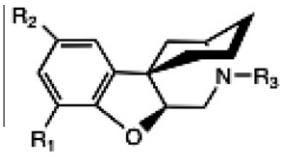




A series of hydrazone and pyrazole derivatives were prepared and evaluated for their anti-inflammatory activities and selectivity as COX-2 inhibitor.

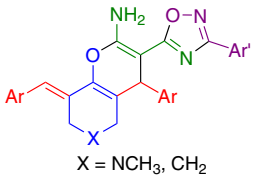


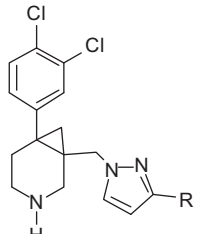
Autoradiograms of ^{99m}Tc-HYNIC-**1** uptake in normal or anti-Fas treated liver.

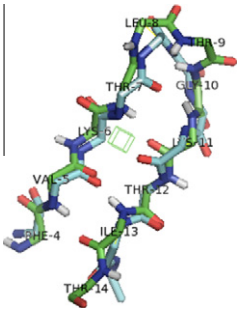


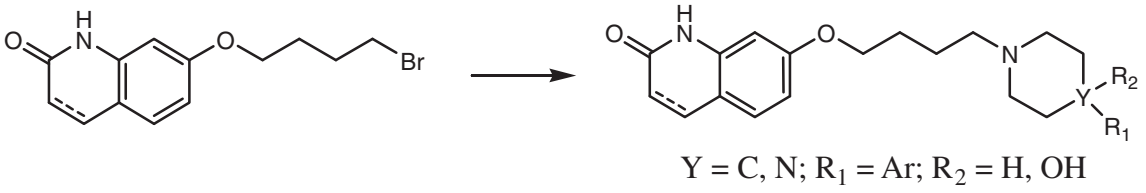
R_1	R_2	R_3
OH	H	Me
H	OH	PhEt
H	OH	Me
OH	H	PhEt

rac-ortho- and *para*-c Oxide-bridged phenylmorphans

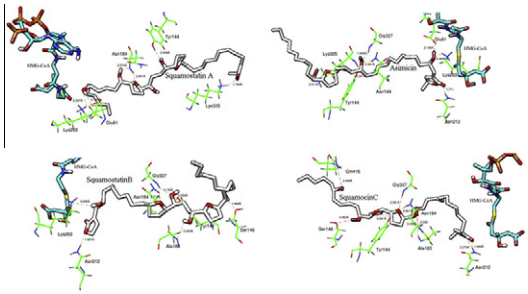


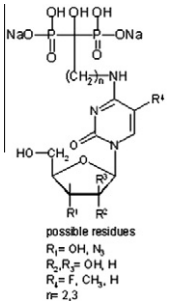




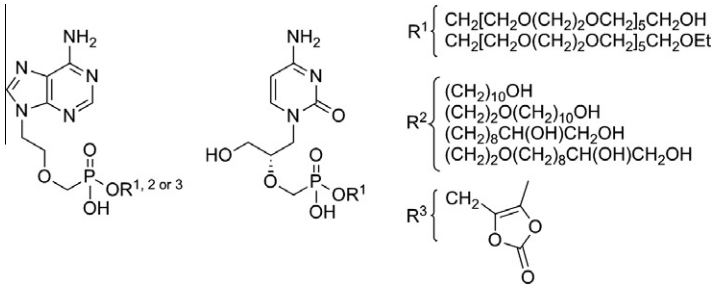


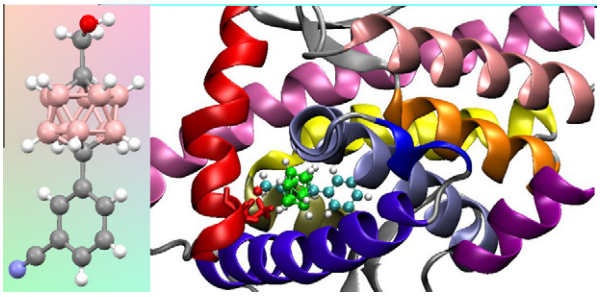
A series of structural congeners of aripiprazole was synthesized and found to have a high affinity and selectivity for dopamine D_2 versus D_3 and D_4 receptors. These compounds were found to be partial agonists at the D_2 receptor.

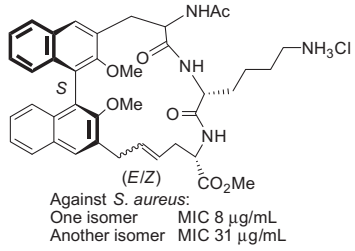




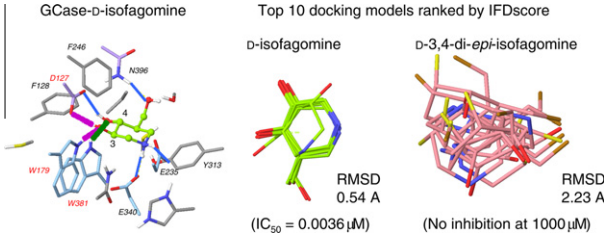
New cytostatic antimetabolite-bisphosphonates.



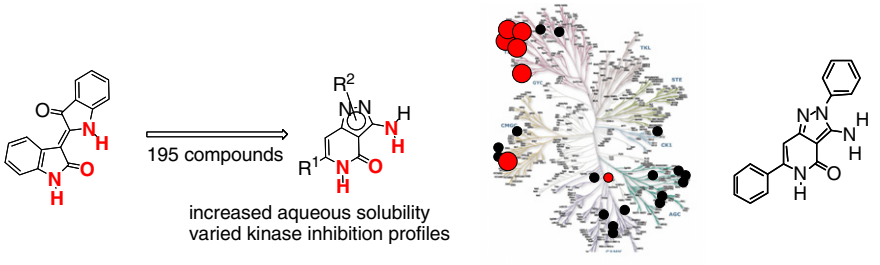


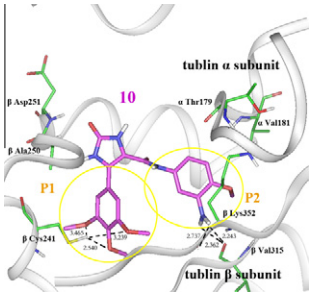


Twelve macrocyclic derivatives with anti-bacterial activity against *Staphylococcus aureus* are reported.

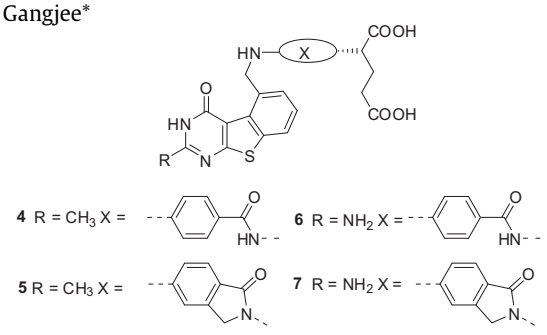


A molecular docking study revealed that D-3-*epi*-isofagomine missed the hydrogen bond interactions between Asp127 and the 3-OH group and between Trp179 and the 3-OH group. Furthermore, the top 10 docking models ranked by IFDscore suggested that D-3,4-di-*epi*-isofagomine can not bind to β-glucocerebrosidase (Gcase) at a stable interaction mode.





Several CA-4 analogues bearing an imidazolone–amide moiety showed cytotoxicity and tubulin polymerization inhibitory activity. The binding mode of compound **10** with optimal bioactivity to tubulin was obtained by molecular docking.



*Corresponding author
Supplementary data available via ScienceDirect

COVER

The known veterinary anthelmintic and proton ionophore, closantel, was recently discovered to also exhibit potent chitinase inhibition activity and inhibit molting in the parasitic nematode, *Onchocerca volvulus*, the causative agent of the neglected tropical disease onchocerciasis. [C. Gloeckner, A. L. Garner, F. Mersha, Y. Oksov, N. Tricoche, L. M. Eubanks, S. Lustigman, G. F. Kaufmann, K. D. Janda, Repositioning of an existing drug for the neglected tropical disease Onchocerciasis, *Proc. Natl. Acad. Sci., U.S.A.* **2010**, *107*, 3424.]

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