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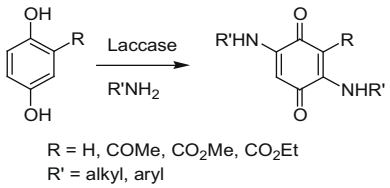
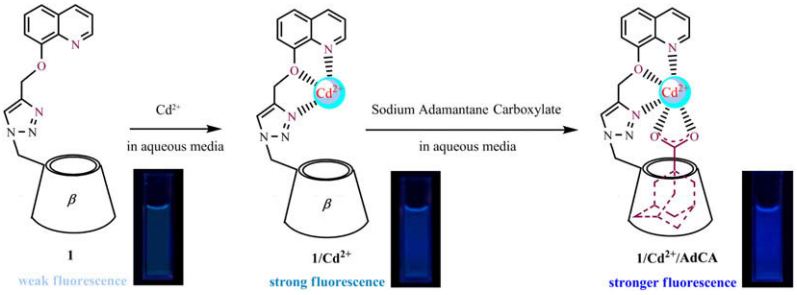
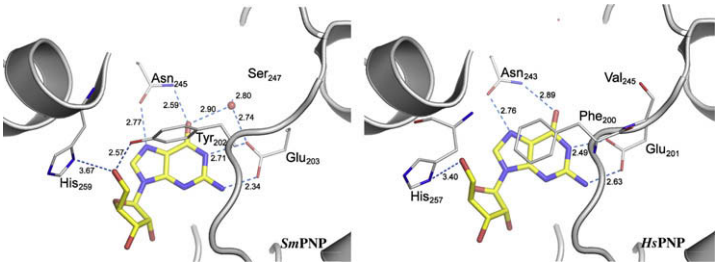
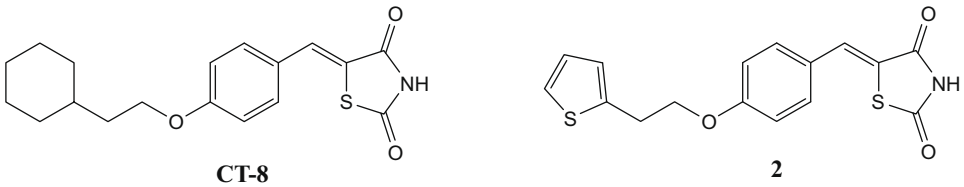
Contents



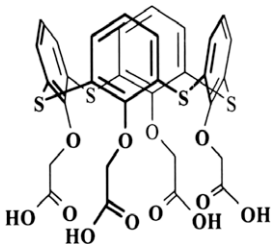
Nuclear diamination of *p*-hydrobenzoquinones with aromatic and aliphatic primary amines was catalysed by a commercial laccase, Denilite® II Base, from Novozymes. The amine and the *p*-hydrobenzoquinone was reacted under mild conditions (at room temperature and at 35 °C) in a reaction vessel open to air in the presence of laccase and a co-solvent to afford, exclusively, the diaminated *p*-benzoquinone. These compounds may have potential antiallergic, antibiotic, anticancer, antifungal, antiviral and/or 5-lipoxygenase inhibiting activity.

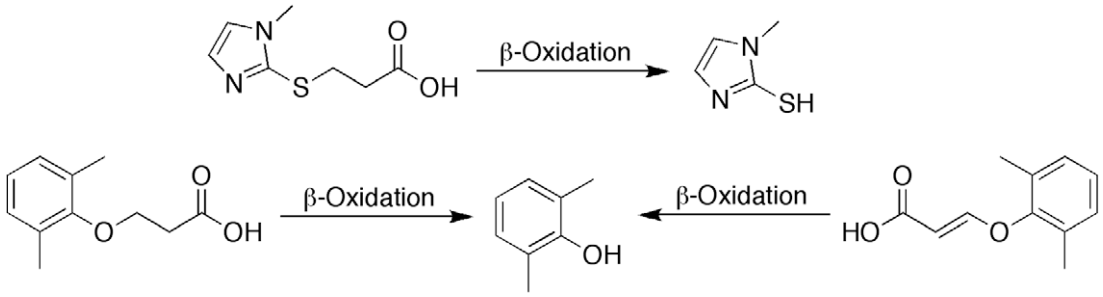


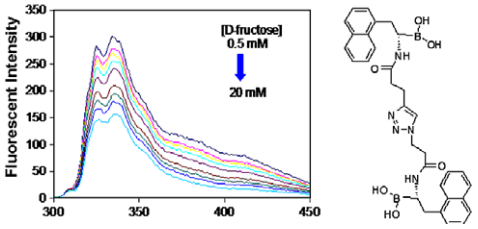


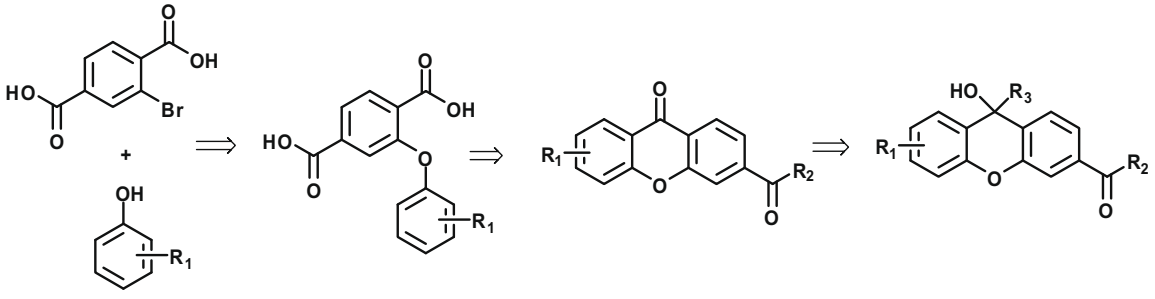


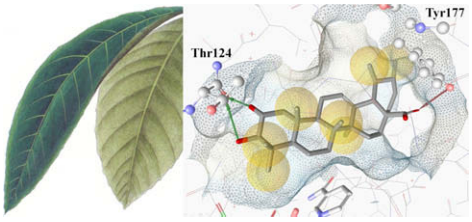
Replacement of the cyclohexylethyl group of **CT-8** with the hetero five-member ring increased the inhibitory potency. Compound **2** is a most potent 15-PGDH inhibitor that was effective in the nanomolar range.



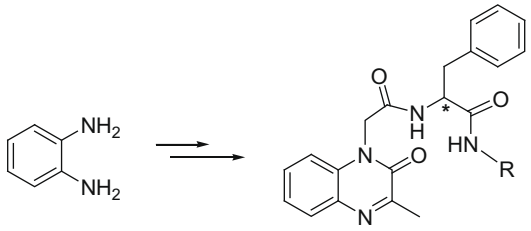








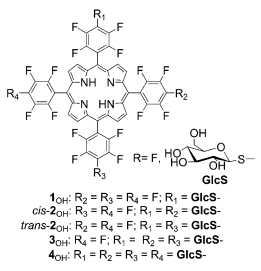
Predicted binding mode of the *Eriobotrya japonica* constituent corosolic acid in the binding site of 11β-hydroxysteroid dehydrogenase 1.



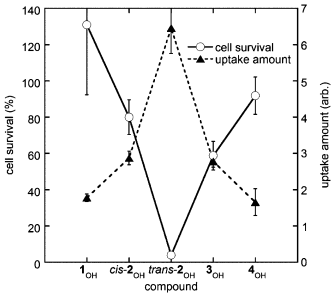
A1-A19

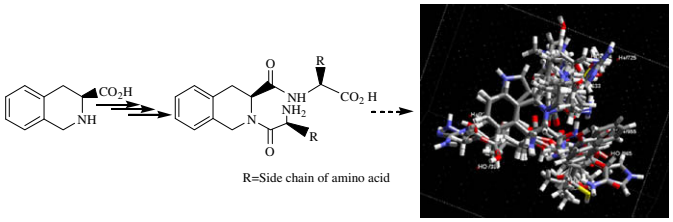
A series of novel quinoxalinone peptidomimetic derivatives (**A1**–**A19**) were synthesized and evaluated for their in vitro enzymatic inhibitory activities against aminopeptidase N (APN/CD13) and MMP-2.

We synthesized five possible perfluorophenylporphyrins having *S*-glucopyranosyl groups. The effect of the glycosylation patterns on the photocytotoxicity was discussed on the basis of photophysical properties as well as cellular uptake in HeLa cells.

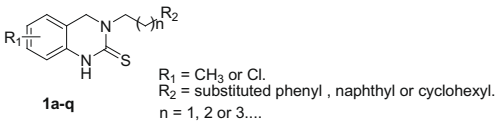


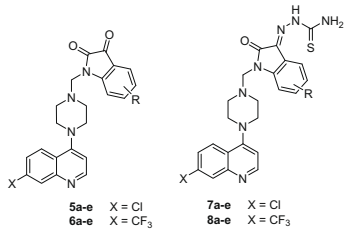
1_{OH}: $R_2 = R_3 = R_4 = F$; R_1 = **GlcS**-
cis-2_{OH}: $R_3 = R_4 = F$; $R_1 = R_2$ = **GlcS**-
trans-2_{OH}: $R_2 = R_4 = F$; $R_1 = R_3$ = **GlcS**-
3_{OH}: $R_4 = F$; $R_1 = R_2 = R_3$ = **GlcS**-
4_{OH}: $R_1 = R_2 = R_3 = R_4$ = **GlcS**-



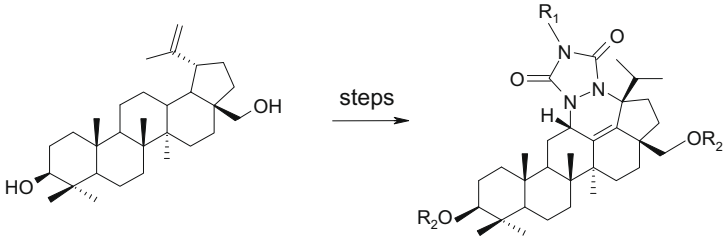


R=Side chain of amino acid

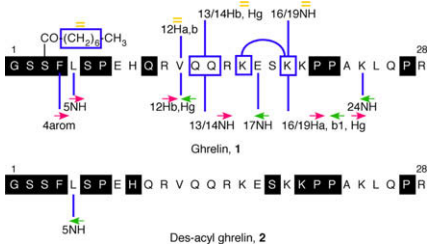




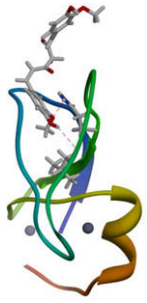
In the present study, synthesis of a new series of 4-piperazinylquinoline derivatives and evaluation of their cell killing activity on cancer and non-breast cancer cells are described.



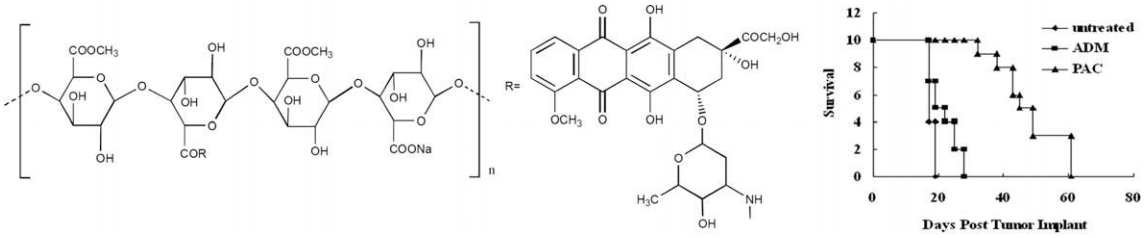
Birch bark extractive betulin was used as a starting material to synthesize new heterocyclic betulin derivatives that were screened against *Leishmania donovani* responsible for the neglected parasitic disease, visceral leishmaniasis.



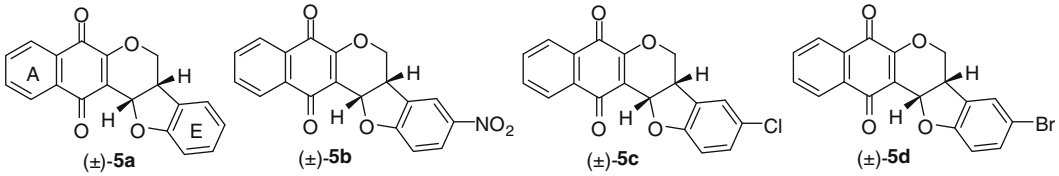
The evaluation of ^{1}H NMR spectra of GHS-R1a stably transfected cell lines and wild type cells has allowed the analysis of the behaviour of ghrelin (**1**) and des-acyl ghrelin (**2**) with the GHS-R1a receptor, under quasi-physiological conditions.



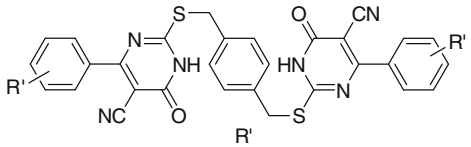
Binding of curcumin and PKC C1B.

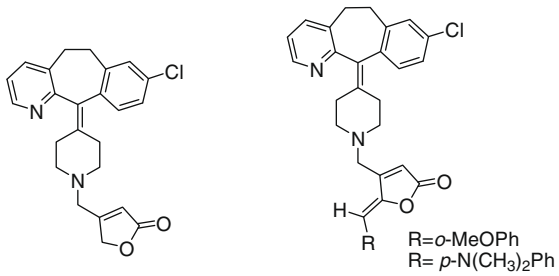


A novel pectin–adriamycin conjugate showed a good therapeutic effect on lung metastases of melanoma in C57BL/6 mice and resulted in a remarkably prolonged survival, which was up to twice as long as that of the mice treated with free ADM.



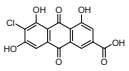
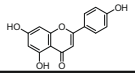
A new pterocarpanquinone (**5a**) was synthesized through a palladium catalyzed oxyarylation reaction and was transformed, through electrophilic substitution reaction, into derivatives **5b**–**d**. These compounds showed to be active against human leukemic cell lines and human lung cancer cell lines.

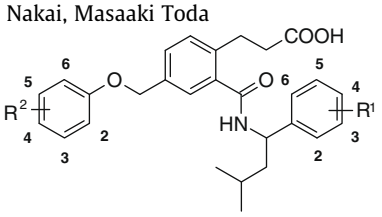




A novel family of desloratadine derivatives as antagonist of histamine were prepared. Above three optimum structures display potent activity inhibiting histamine-induced effects.

Novel inhibitors of *Trypanosoma cruzi trans*-sialidase, discovered from natural product library, are reported.

Structure	IC_{50}(μM)	
	T. cruzi trans-sialidase	Human Neu 2
	0.58	> 100
	78	570

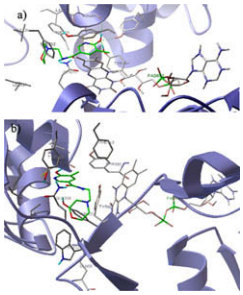


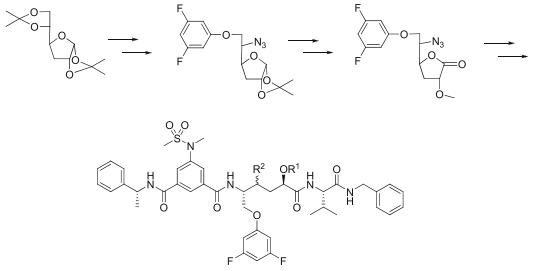
R^1; 3-OMe, 4-F, 3-Me-4-OMe, 3-Me-4-F, 3-Me-5-Me etc.

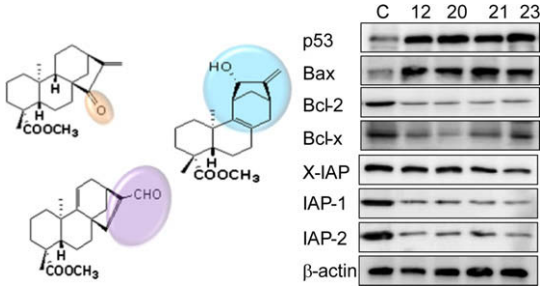
R^2; 4-F, 3-Cl, 3-CN, 2-Me, 3-Me, 2-F-3-F, 2-F-5-F etc.

We identified a series of 3-[2-{[(3-methyl-1-phenylbutyl)amino]carbonyl}-4-(phenoxymethyl)phenyl]propanoic acid analogs as potent and selective EP3 receptor antagonists. Introduction of substituents into the two benzene nucleus resulted in the increased in vitro activities. Several compounds exhibited potent inhibitory effect against the PGE_2-induced uterine contraction in pregnant rats.

A series of nicotinamide derivatives (**1**–**26**) have been designed, synthesized and evaluated in vitro for their monoamine oxidase inhibitory activity and selectivity. Most of these synthesized compounds proved to be potent, and selective inhibitors of MAO-A rather than of MAO-B. 5-Chloro-6-hydroxy-*N*-(2-morpholinoethyl)nicotinamide (**13**) displayed the highest MAO-A inhibitory potency (IC_{50} = 0.045 μM) and a good selectivity. 2-Bromo-*N*-(2-morpholinoethyl)nicotinamide (**3**) was the most potent MAO-B inhibitor with the IC_{50} value of 0.32 μM, but it was not selective. Molecular dockings of compound **13** were performed in order to give structural insights regarding the MAO-A selectivity.







*Corresponding author

ⓘ+ Supplementary data available via ScienceDirect

COVER

Cyanobacteria produce natural products that are inhibiting the growth of competing phototrophs. These algicidal allelochemicals can display powerful antiplasmodial activity, as they might be targeting the apicoplast, an organelle of algal origin in Plasmodium. Nostocarboline is an unusual chlorinated freshwater metabolite and is active in an in vivo P. berghei mouse model. Screening allelochemicals from cyanobacteria might thus constitute an ecological rationale for the identification of novel antimalarial agents. [Bonazzi, S.; Barbaras, D.; Patiny, L.; Scopelliti, R.; Schneider, P.; Cole, S. T.; Kaiser, M.; Brun, R.; Gademann, K. *Bioorg. Med. Chem.* **2010,** *18,* 1464.]

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