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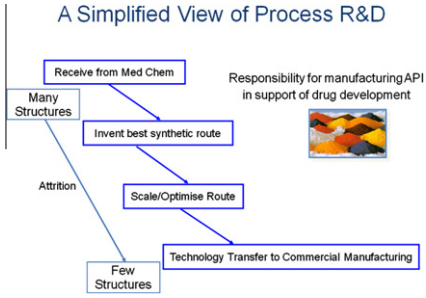
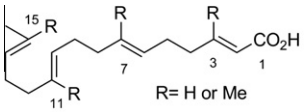
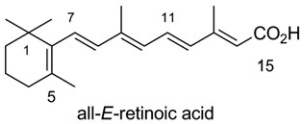
Contents

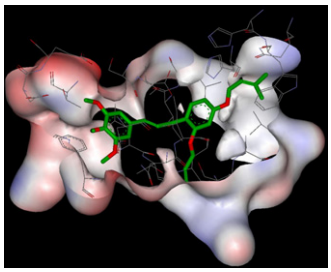




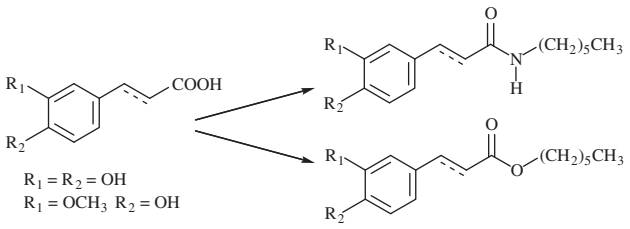
demethyl geranylgeranoic acid


all-*E*-retinoic acid

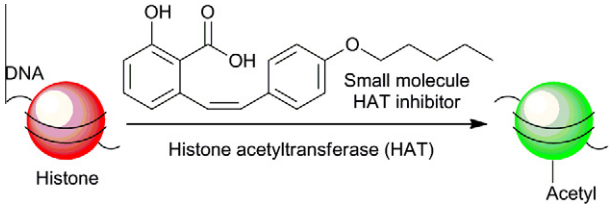
Monodemethylated geranylgeranoic acids were prepared as acyclic retinoid analogs, and evaluated their biological activities. Among the analogs, 3-demethyl derivative exhibited the highest anti-proliferative activity and apoptosis-inducing activity.



In this study, a series of 10 novel mono- and di-*O*-prenylated chalcone derivatives were designed, synthesized, and screened for their in vitro 5-LOX inhibition activity and anti-proliferative activity.

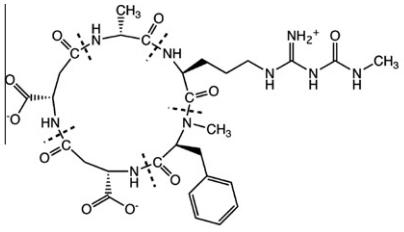


Lipophilic derivatives of cinnamic and hydrocinnamic acids have been prepared. The structure–property–activity relationship data revealed a correlation between the redox potentials and the antioxidant activity. Some compounds showed to have a proper lipophilicity to cross BBB.

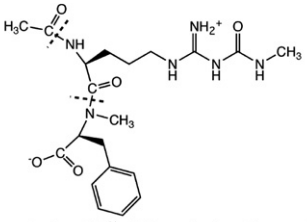


Histone acetyltransferases (HATs) are potential novel drug targets for treatment of inflammation. We present the development of salicylate derivative **6d**, which is a twofold improved inhibitor of PCAF HAT activity and histone acetylation in HEP G2 cells.

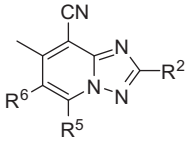
The structural and energetic bases for **2** to possess potent inhibitory activity compared with **1** were explored.



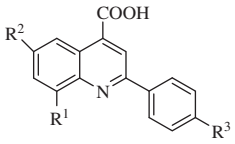
Argifin (**1**) $IC_{50} = 6.4\ \mu M$



N-Acetyl-Arg{$N^{(\omega)}$-(*N*-methylcarbamoyl)}-*N*-methyl-Phe (**2**) $IC_{50} = 3.7\ \mu M$

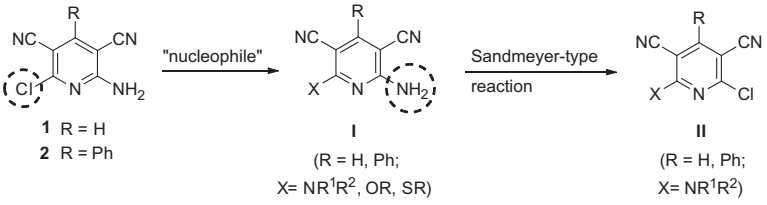


Triazolopyridine was discovered as a promising scaffold for novel antifungal agents, inhibiting β-1,6-glucan synthesis.



A new series of ketoprofen analogs were synthesized to evaluate their biological activities as selective cyclooxygenase-2 (COX-2) inhibitors. In vitro COX-1/COX-2 structure–activity relationships showed that compounds possessing azido pharmacophore group exhibited highly COX-2 inhibitory selectivity and potency even more than celecoxib.

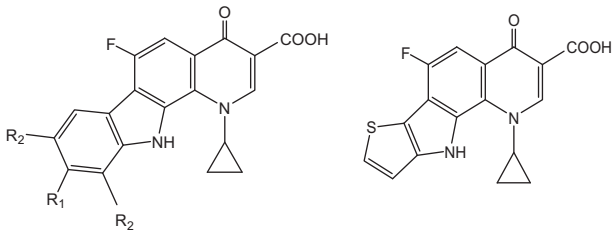
The target 2-aminopyridine-(**I**), and 2-chloropyridine-3,5-dicarbonitriles (**II**).



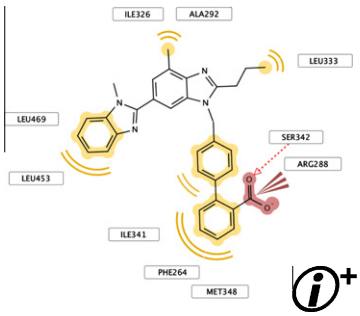
1 R = H
2 R = Ph

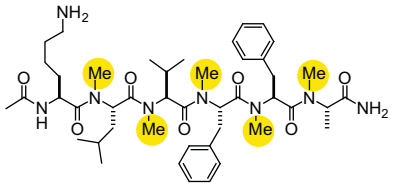
I (R = H, Ph; X= NR^1R^2, OR, SR)

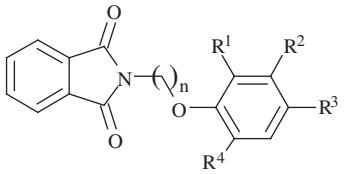
II (R = H, Ph; X= NR^1R^2)



Telmisartan originally designed as AT_1 antagonist, showed properties of a selective PPARγ modulator. Therefore, we evaluated the parts of the molecule essential for activation and analyzed the structures in 3D pharmacophore-driven docking experiments.



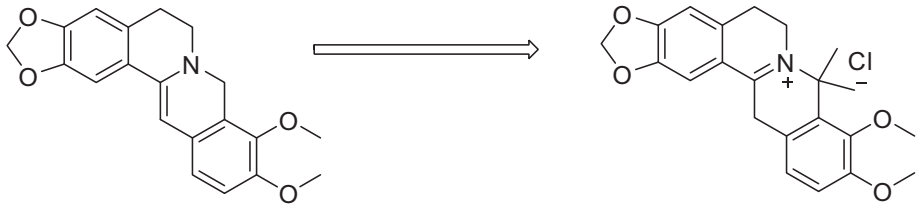




n = 2–6, 8–10

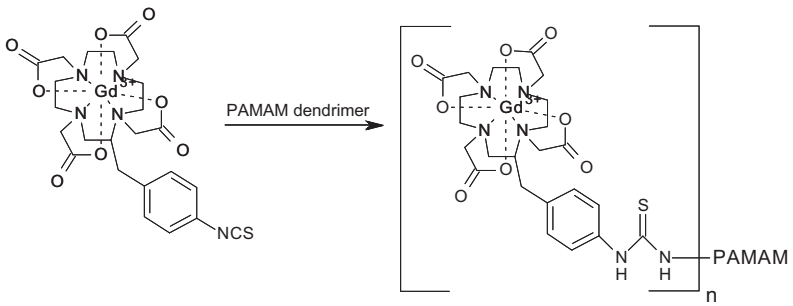
R^1, R^2, R^3, R^4 = H, NO_2, Cl, CH_3, CF_3

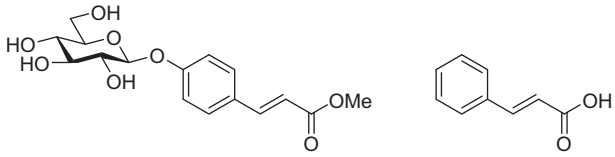
Several members of a new family of non-sugar-type α-glucosidase inhibitors bearing a phthalimide skeleton were synthesized and their activities were investigated. Amongst them we identified some potent α-glucosidase inhibitors which possess activity one to two orders of magnitude higher than that of the known inhibitor 1-deoxynojirimycin.

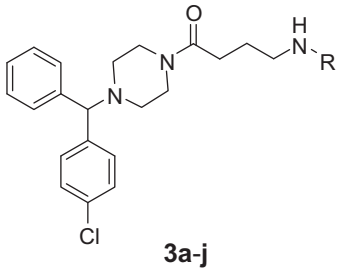


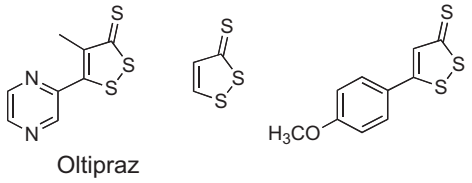
dhBBR Di-Me

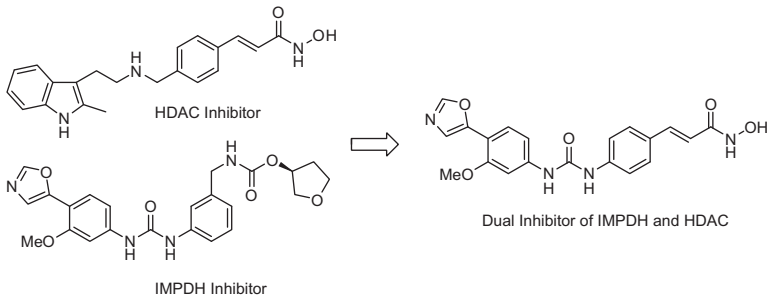
8,8-Disubstituted dihydroberberine hydrochlorides were synthesized and evaluated. Di-Me shows enhanced chemical stability, bioavailability and oral efficacy on obese and diabetic mouse models.

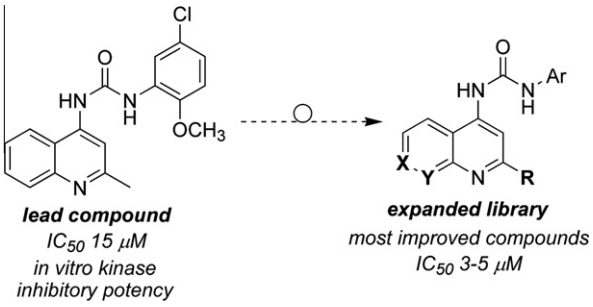


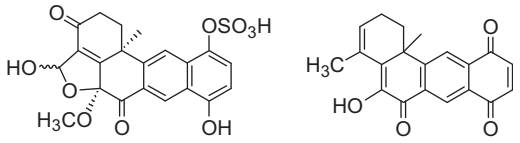




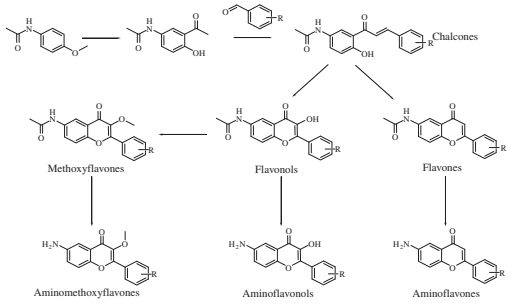


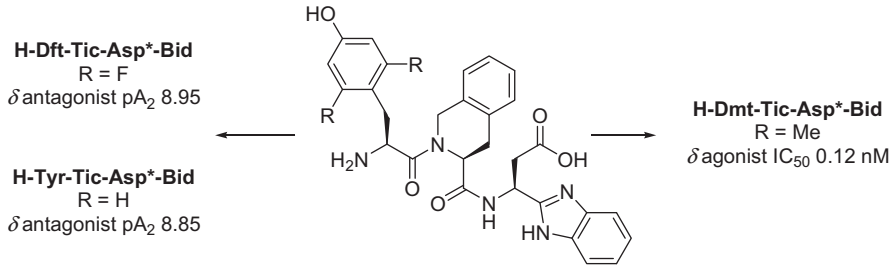


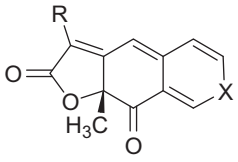


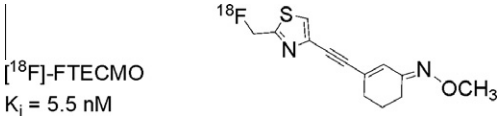


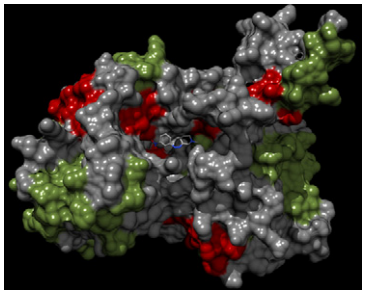
Two new derivatives named xestosaprol C methylacetal **7** and orhalquinone **8** of halenaquinone-type polyketides were isolated from marine sponges of the genus *Xestospongia* collected in South Pacific. Structure–activity relationship studies of PLA_2, FTase, and *Plasmodium falciparum* inhibitors are presented.

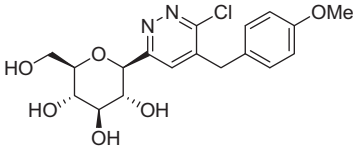




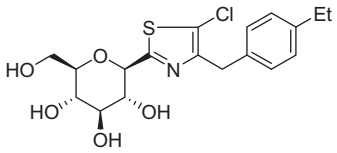






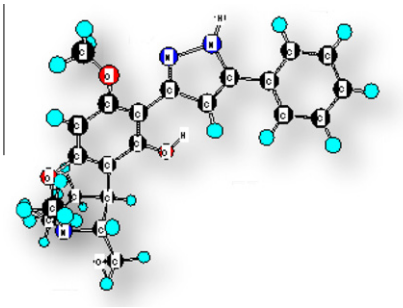


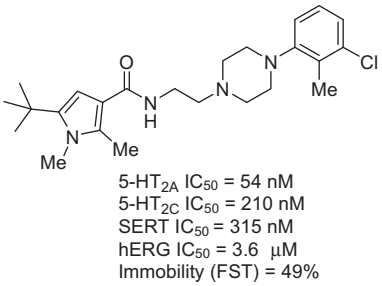
3 (IC_{50} = 610 nM)

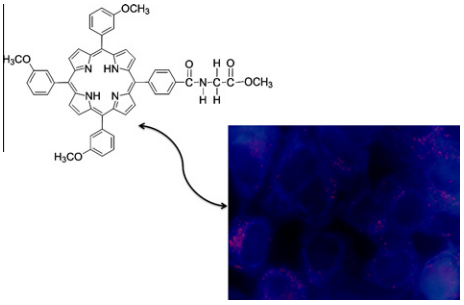


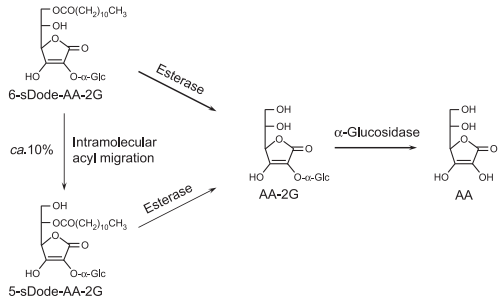
37b (IC_{50} = 121 nM)

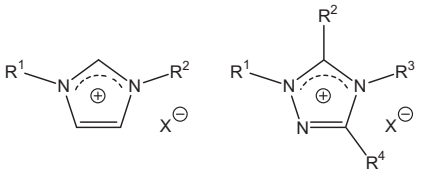
Pyridazine and thiazole analogs were synthesized and subsequently tested against *h*SGLT2. A couple of compounds exhibited moderate inhibitory activity against *h*SGLT2.





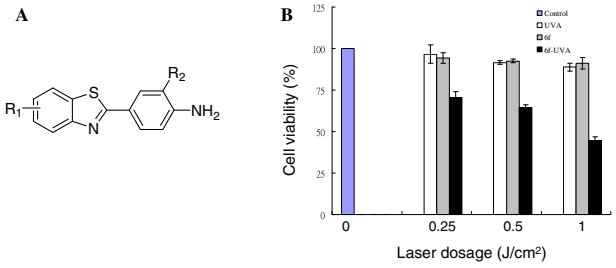






R^1, R^2, R^3, R^4 = substituted alkyl or substituted aryl

Novel imidazolium and triazolium salts have been synthesized that show potent and selective anti-*Plasmodium* activity.



(A) Structure of the 2-(4-aminophenyl)benzothiazoles (**6**). (B) Effect of **6f**-UVA cell viability on basal cell carcinoma.

*Corresponding author
Supplementary data available via ScienceDirect

COVER

The molecules of robalzotan (slightly purplish in color) and esomeprazole (ball-and-stick model) together with autoclaves intended for high pressure reactions (courtesy of Solvias AG who are thanked for generously making this photograph available) against a background of formulated product in the form of tablets. [Federsel, H.-J. *Bioorg. Med. Chem.* **2010,** *18,* 5775–5794].

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