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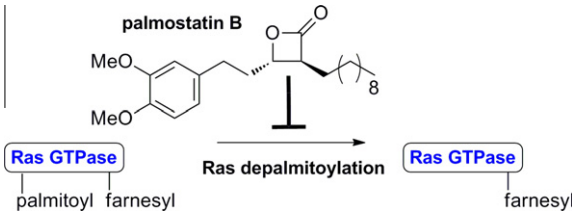
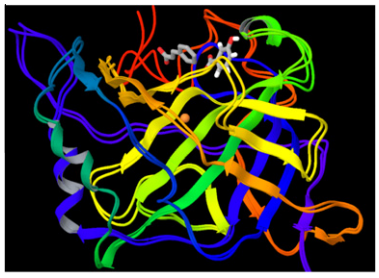
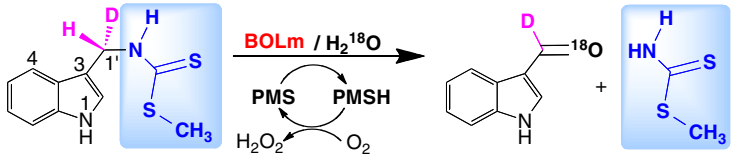
Contents

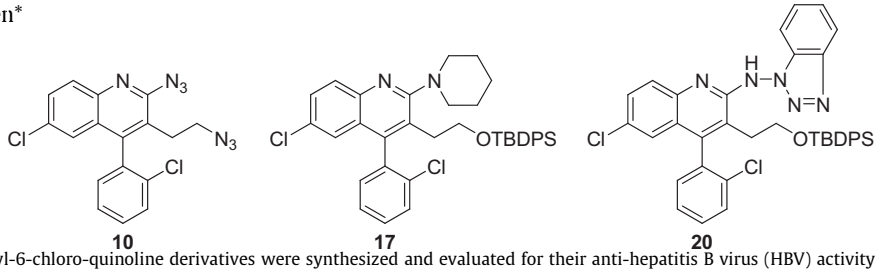




hCA II – 1,3-dimethoxybenzene adduct

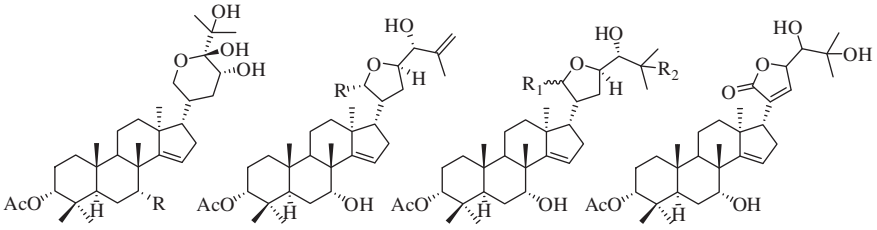


(*S*)-[1′-^{2}H]brassinin



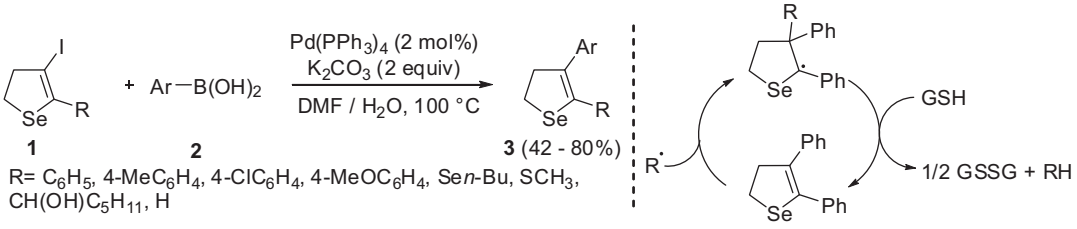
10 17 20

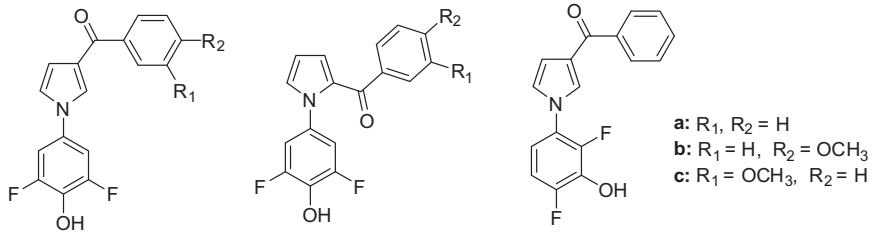
A series of non-nucleoside 4-aryl-6-chloro-quinoline derivatives were synthesized and evaluated for their anti-hepatitis B virus (HBV) activity in HepG2.2.15 cells. Most of the compounds exhibited moderate inhibitory activity against the secretion of HBsAg and HBeAg. Nine compounds (**3**, **5**, **6**, **7**, **10**, **14**, **17**, **20**, **24**) showed significant inhibition against HBV DNA replication with IC_{50} values in the range of 4.4–9.8 μM. Of them, compounds **10**, **17**, and **20** had low cytotoxicities, resulting in high SI values, >551.2, >143.7, and >284.5, respectively.



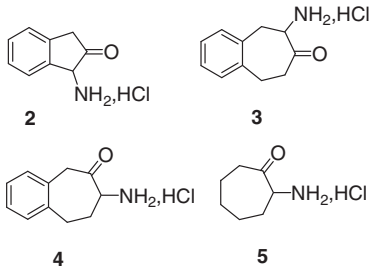
1-2 3-4 5-10 11

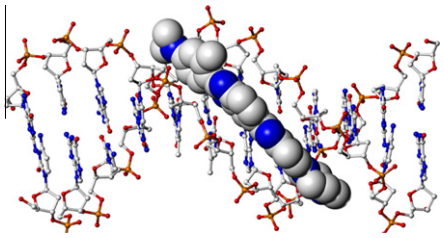
Motivated by positive anti-inflammatory test of crude extracts, 11 new and 13 known protolimonoids were isolated from *Chisocheton paniculatus*. Most protolimonoids showed potent inhibitory activities on LPS-stimulated NO and TNF-α release of RAW 264.7 in vitro.

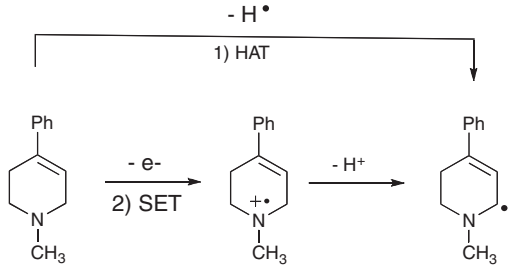


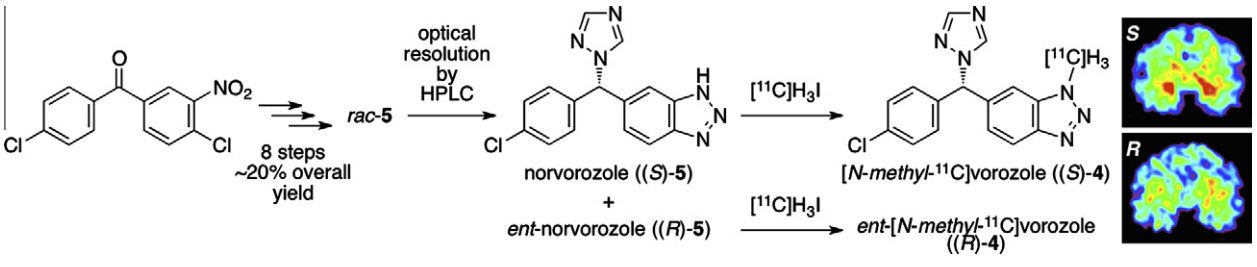


4a-c 5a-c 9



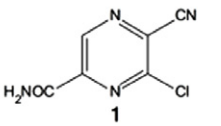




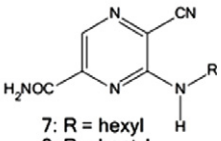


Antimycobacterial activity MIC [μg/mL]

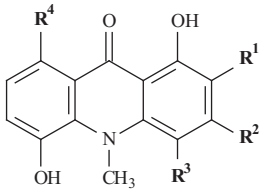
Compd.	*M. tbc* H37Rv	*M. kansasii* 235/80	*M. avium* 80/72	*M. avium* 152/73	Clog *P*
1	25	25	25	25	- 0.606
7	12.5	>200	>200	>200	2.297
8	12.5	100	100	100	2.826
PZA	12.5 - 25	>200	>200	>200	- 0.676



1

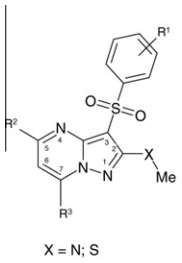


7: R = hexyl
8: R = heptyl

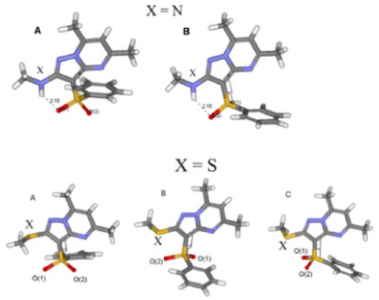


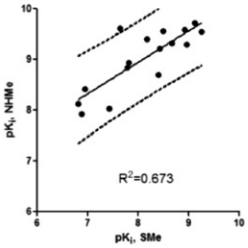
7 R^1=R^2=R^3=OMe, R^4=H

In this work, we describe the inhibitory effects of acridone alkaloids isolated from *Swinglea glutinosa* a plant belonging to the Rutaceae family. This class of compounds showed to be potent inhibitors of the enzyme cathepsin V. Some of the alkaloids displayed IC_{50} values of inhibitory activity in the range of low μM. Mechanistic studies have clearly shown competitive inhibition with respect to substrate, with low dissociation constants: (**2**, K_i = 1.2 μM; **6**, K_i = 1.0 μM; **7**, K_i = 0.2 μM; and **11**, K_i = 1.7 μM).

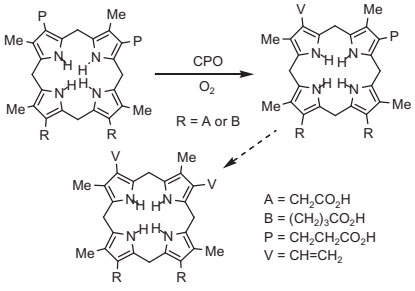


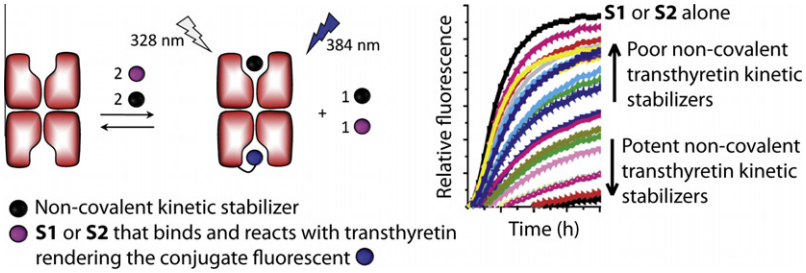
X = N; S

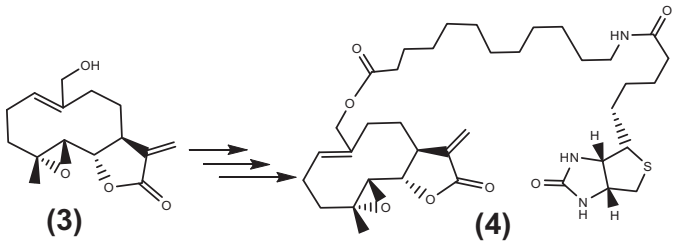




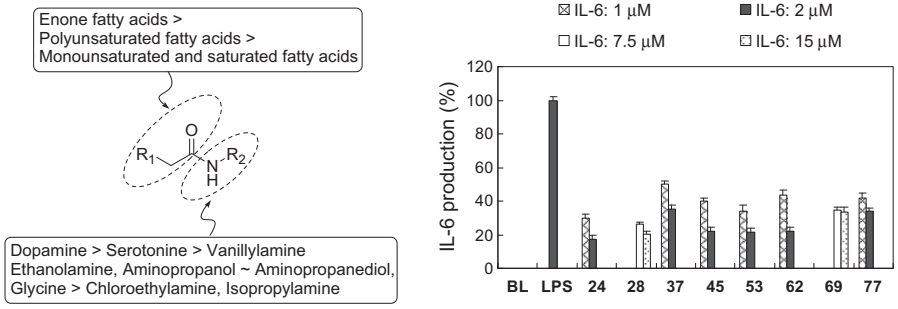
Coproporphyrinogen analogues with acetate or butyrate groups in place of the usual propionate moieties on rings C and D have been used to probe the substrate binding requirements for coproporphyrinogen oxidase.

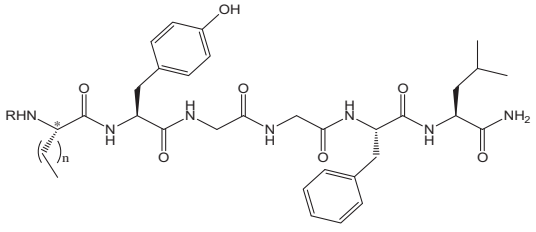




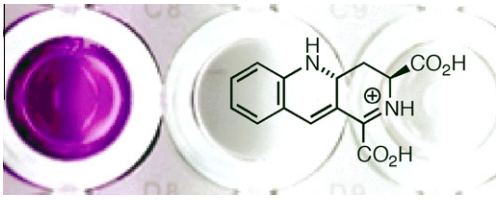


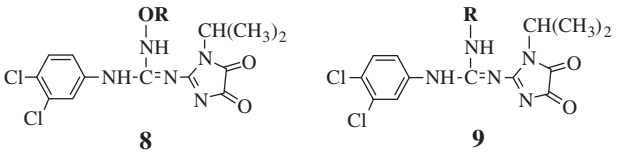
Melampomagnolide B (**3**) has been identified as a new antileukemic sesquiterpene. A biotin-conjugated derivative (**4**) of melampomagnolide B was designed and synthesized in order to elucidate its mechanism of action. A study of the biochemical interactions of the biotin probe suggests that melampomagnolide B derives its remarkable selectivity for leukemic cells over normal hematopoietic cells from its unique ability to exploit biochemical differences between the two cell types.



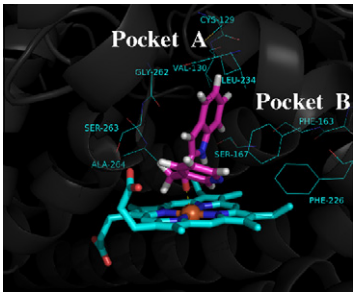


	R = H	R = CH_3CO
n = 5	C_8-Enk-NH_2 (**1**)	Ac-C_8-Enk-NH_2 (**3**)
n = 9	C_{12}-Enk-NH_2 (**2**)	Ac-C_{12}-Enk-NH_2 (**4**)





R = alkyl-, aryl-, alkylaryl-, aminoalkyl-



*Corresponding author
Supplementary data available via ScienceDirect

COVER

Mutational activation of Ras GTPases is found in many types of cancers. The newly developed small molecule inhibitor, palmostatin B, inhibits depalmitoylation of Ras GTPases. This results in a loss of the proper localization of Ras GTPases and consequently their oncogenic signalling capacity is reduced. This provides a conceptually new approach for development of potential therapeutic agents that target oncogenic Ras signalling. The development of palmostatin B and its applications is summarized by Dekker and Hedberg in this issue. [Dekker, F. J.; Hedberg, C. *Bioorg. Med. Chem.* **2011,** *19*, 1376–1380.]

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