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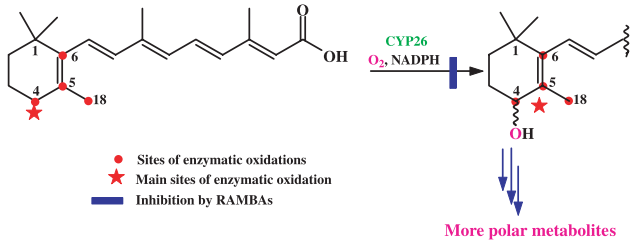
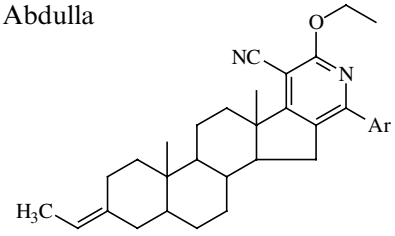
Contents

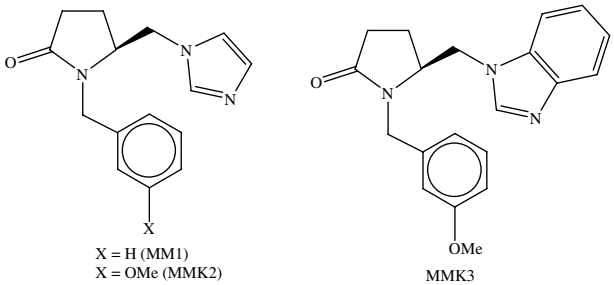
REVIEW

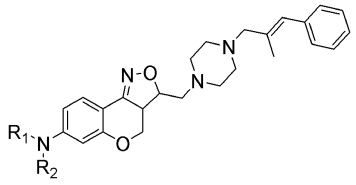


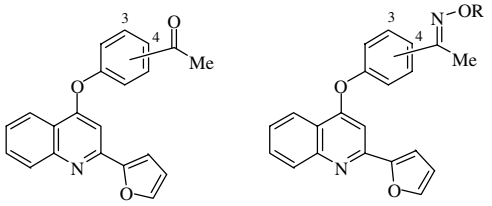
ARTICLES

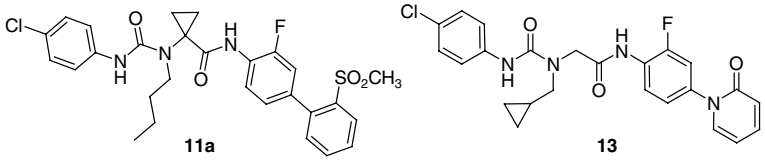


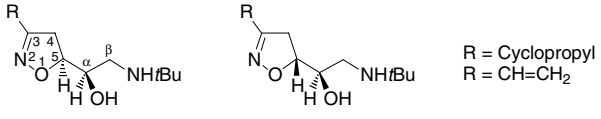
Several new heterocyclic compounds containing cyanopyridone and cyanopyridine rings fused with steroidal moiety were synthesized as anti-inflammatory agents.

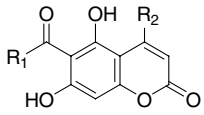






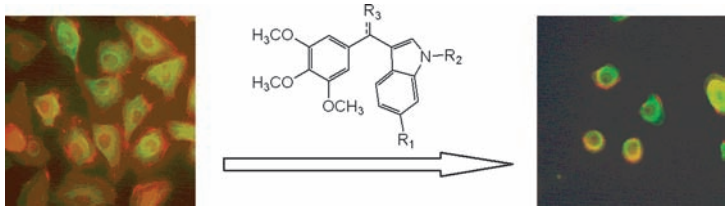


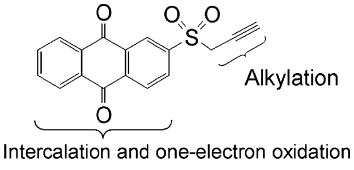




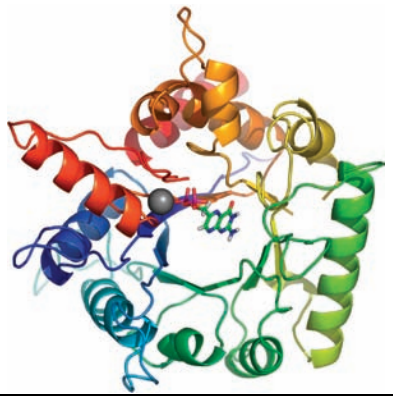
8: $R_1 = CH_2C(CH_3)_3$, $R_2 = CH_2CH_3$

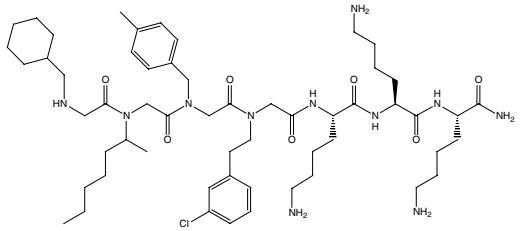
A series of coumarin derivatives were synthesized and anti-inflammatory activities evaluated. Coumarin derivative **8** was the most potent derivative against NO production in LPS-induced RAW 264.7 cells with an IC_{50} value of 7.6 μM and it effectively scavenged the hydroxyl radical production by 50% at 100 μM in the electron spin resonance study.



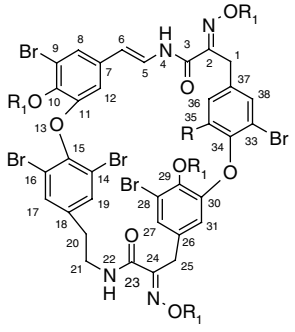


A novel propargylic sulfone derivative conjugated with an anthraquinone structure was designed and synthesized as a potent DNA cleaving agent.

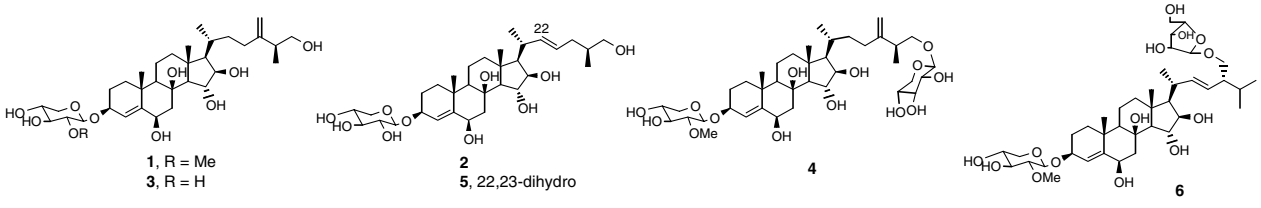




We describe the synthesis and screening of a positional scanning library made up of *N*-alkylglycines and lysines. Compounds with potent antibacterial activity and low hemolytic activity were identified including [*N*-(cyclohexylmethyl)glycyl]-[*N*-(1-methylhexyl)glycyl]-[*N*-(4-methylbenzyl)glycyl]-[*N*-(2-(3-chlorophenyl)ethyl)glycyl]-lysyl-lysyl-lysine amide.



(**1**) R = Br, R_1 = H
(**2**) R = H



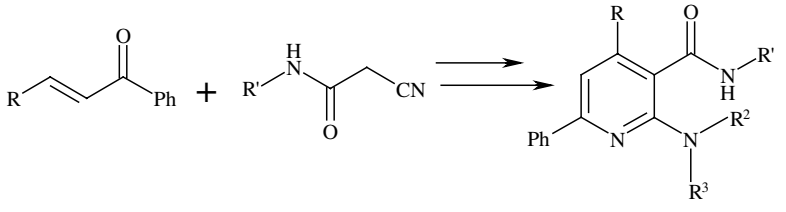
1, R = Me
3, R = H

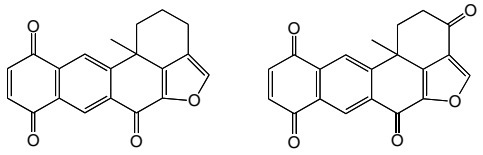
2
5, 22,23-dihydro

4

6

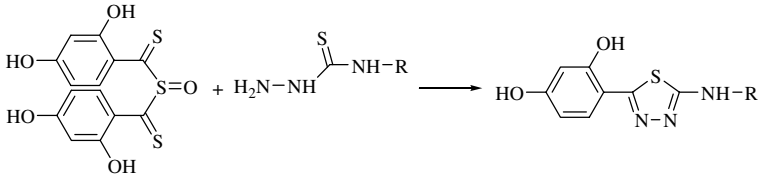
Six new steroid glycosides **1**–**6** were isolated from the Okinawan blue starfish *Linckia laevigata*. Their structures and neuritogenic activity were investigated.





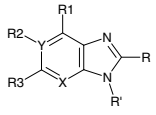
1 **2**

Xestoquinone **1** and halenaquinone **2** were isolated from a Vanuatu marine sponge *Xestospongia* sp. and tested for their *Plasmodium falciparum* protein kinase inhibitory activity (Pfnek-1). The antimalarial activity of xestoquinone was assessed in vitro and in vivo.

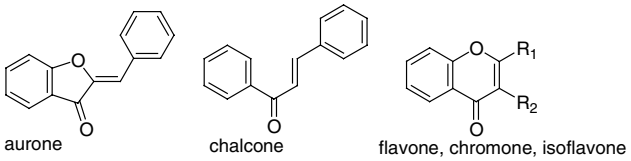


STB R: alkyl, aryl, morpholinoalkyl

2-Amino-5-(2,4-dihydroxyphenyl)-1,3,4-thiadiazole derivatives have been synthesized and evaluated for their antiproliferative activity against human cancer cell lines.

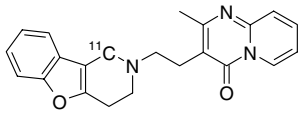


Design, synthesis and structure–activity relationships of benzimidazole derivatives as activators of the AMP-activated protein kinase are presented in this paper.

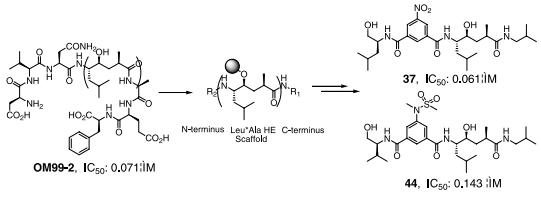


aurone chalcone flavone, chromone, isoflavone

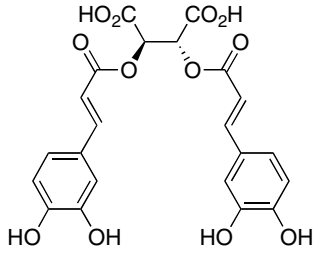
We investigated the effect of 13 flavonoid derivatives on [^{14}C]paclitaxel transport through P-glycoprotein inhibition. A correlation between their binding affinity to NBD2 of P-gp and paclitaxel transport was performed.



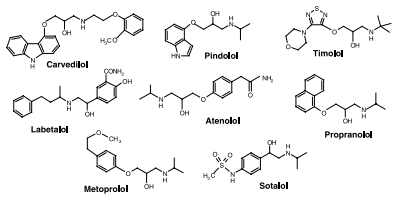
[^{11}C]R107474

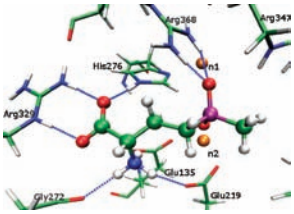


A series of analogs of the potent HIV-1 integrase (HIV IN) inhibitor chicoric acid (CA) was designed with the intention of ameliorating some of the parent natural product's undesirable properties, in particular its toxicity, instability, and poor membrane permeability. More than 70 analogs were synthesized and assayed for three types of activity: (1) inhibition of 3′-end processing and strand transfer reactions in vitro, (2) toxicity against a CD4+ lymphoblastoid cell line, and (3) anti-HIV activity against HIV_{LAI}.

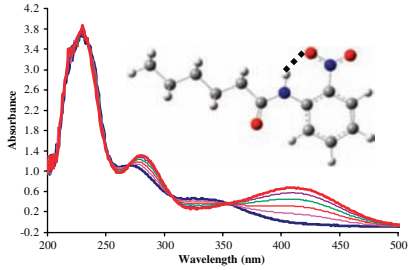


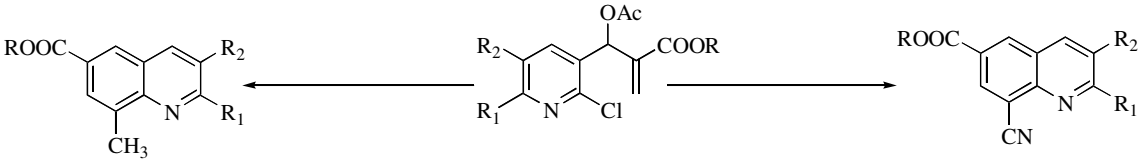
The β-blockers atenolol, labetalol, metoprolol, pindolol, propranolol, sotalol, timolol, and carvedilol were tested for their putative scavenging activity for ROS ($O_2^{\bullet-}$, H_2O_2, $HO^{\bullet}$, HOCl, and $ROO^{\bullet}$) and RNS ($^{\bullet}NO$ and $ONOO^-$). Some of the studied compounds are effective ROS and/or RNS scavengers, these effects being possibly useful in preventing oxidative damage verified in hypertension as well as in other cardiovascular diseases that frequently emerge in association with oxidative stress.



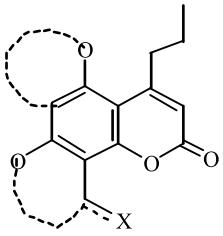


A series of substituted anilides were examined as substrates for aryl acylamidase activity. The cholinesterase-catalyzed hydrolysis of anilides generally required the presence of a hydrogen-bonding moiety, such as a nitro group, in the 2-position of the aniline ring and the specificity and efficiency of hydrolysis was strongly influenced by the size of the acyl group. Larger straight chain alkyl acyl derivatives represent highly specific substrates that are efficiently hydrolyzed by the aryl acylamidase activity of butyrylcholinesterase.





Pyranocoumarin compounds were identified to embody a novel and unique pharmacophore for anti-TB activity.



X = O, OH, NH_2

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2006: The cover figure shows a synthetic multifunctional pore that is composed of rigid-rod staves (para-octiphenyls, tan) and beta-sheet hoops (arrows) and can be activated with external ligands (fullerenes, golden spheres) and closed with internal blockers (alpha-helix, red ribbon) [Gorteau, V.; Bollot, G.; Mareda, J.; Pasini, D.; Tran, D.-H.; Lazar, A. N.; Coleman, A. W.; Sakai, N.; Matile, S. *Bioorg. Med. Chem.* **2005**, *13*, 5171–5180].

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