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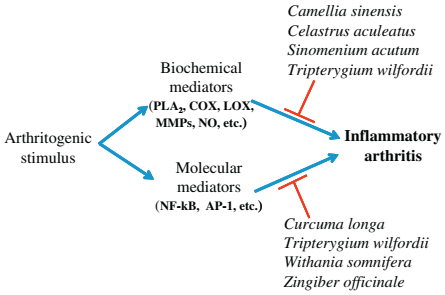
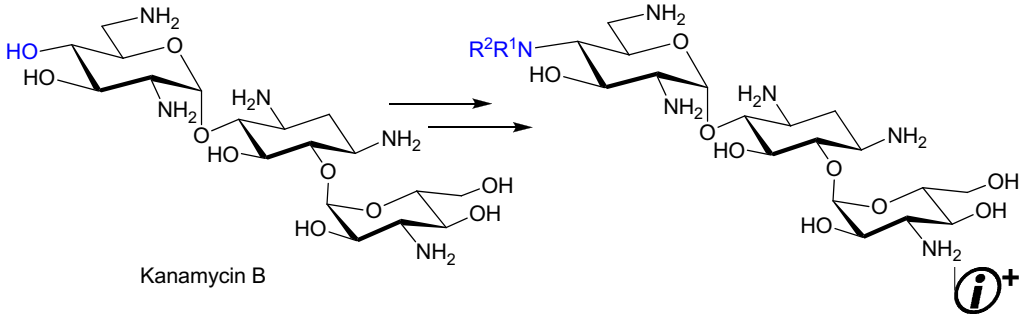
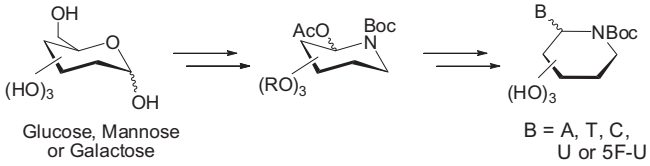
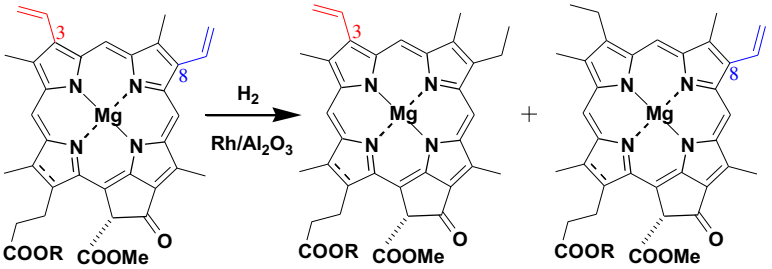
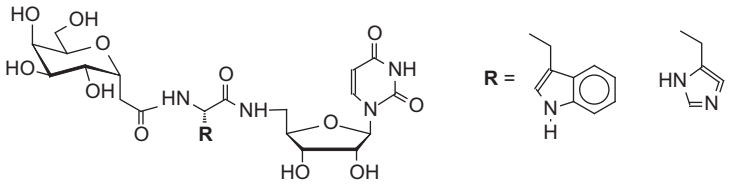
Contents



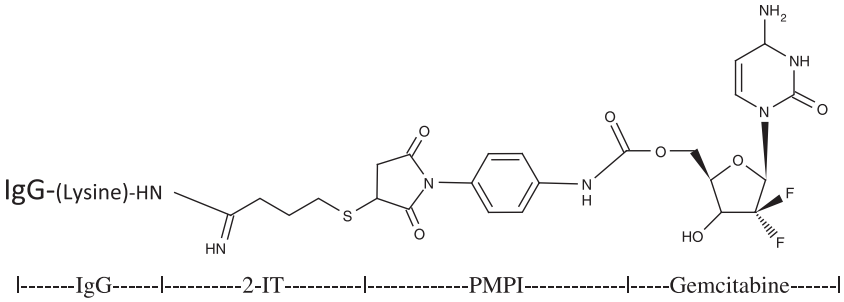


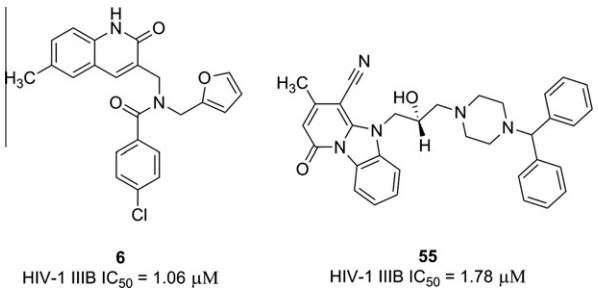


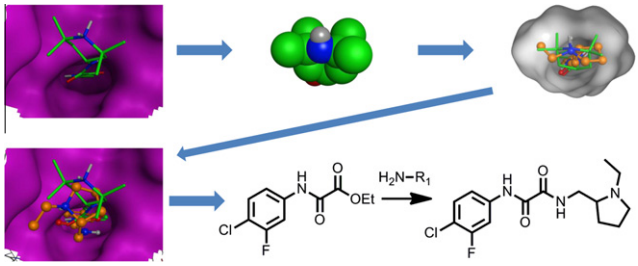


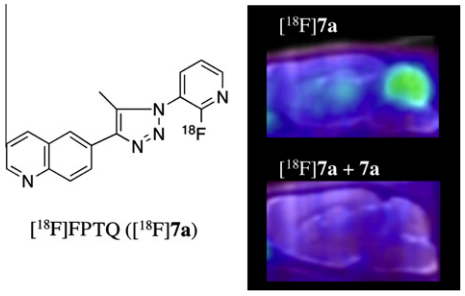


Potential galactosyltransferase inhibitors were synthesized by replacing the diphosphate moiety in sugar donor with a basic amino acid. Analogues containing tryptophan and histidine showed moderate inhibition against mycobacterial GlfT2, which we suggest may arise by mimicking the interaction between the diphosphate metal ion complex with the carboxylate residues present in the active site of the enzyme.

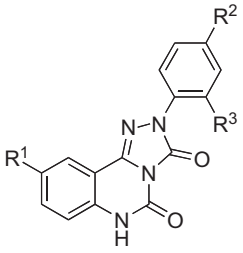




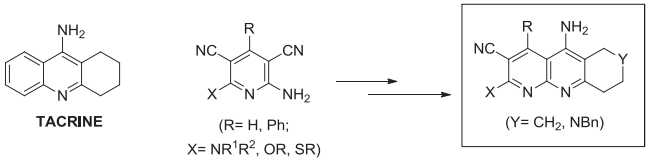




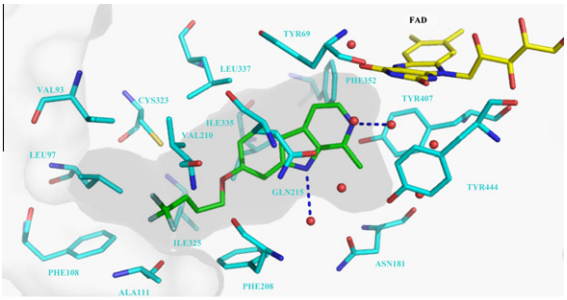
[^{18}F]FPTQ ([^{18}F]**7a**)

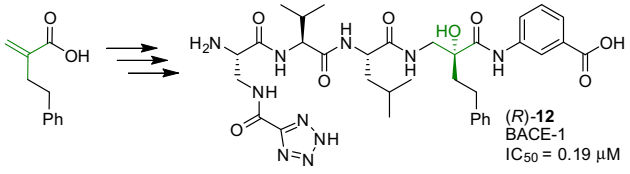


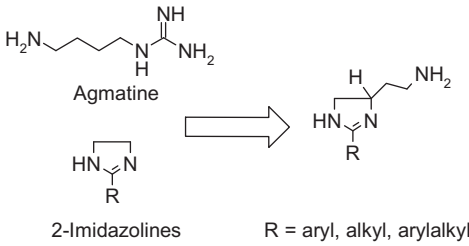
2-Aryl-2,6-dihydro[1,2,4]triazolo[4,3-*c*]quinazoline-3,5-diones were designed based on a pharmacophore model, synthesized and evaluated for their affinity for the benzodiazepine binding site of GABA$_A$ receptors.



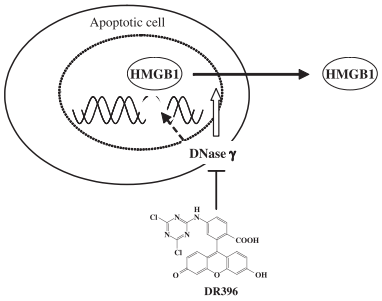
The structures of tacrine, the 2-aminopyridine-3,5-dicarbonitrile precursors, and the new tacrine analogues.

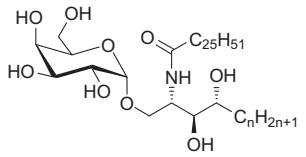


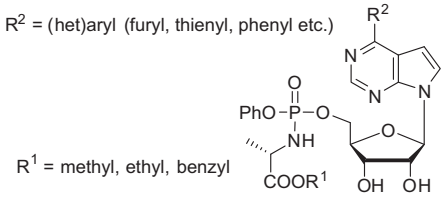


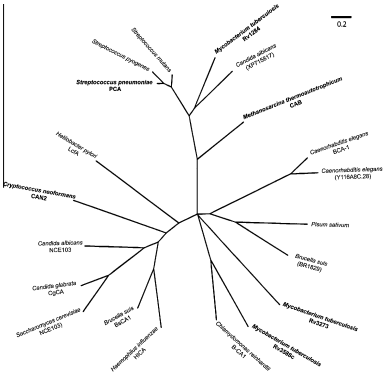


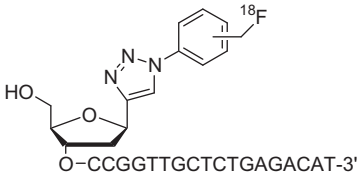
Series of imidazoline 2,4(5)-derivatives, analogues of agmatine and known 2-imidazolines are described. Synthesis and α_2-adrenergic, I_1, I_2 receptor affinity studies are reported.

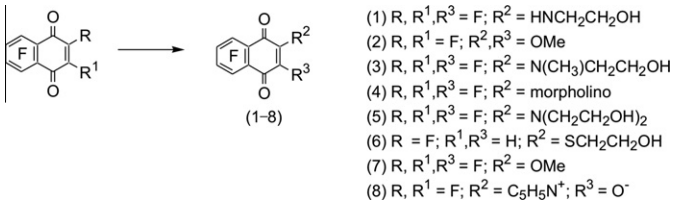




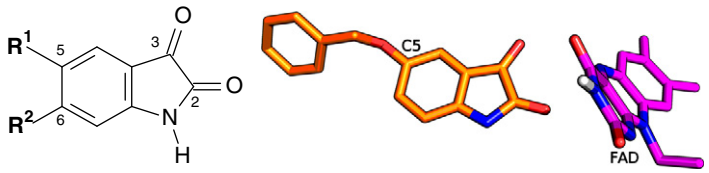


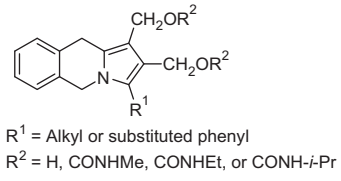


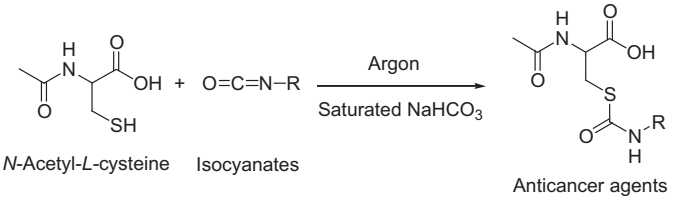


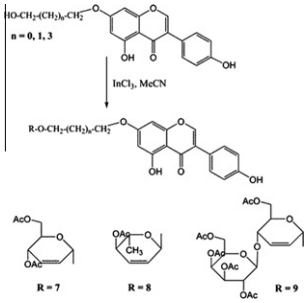


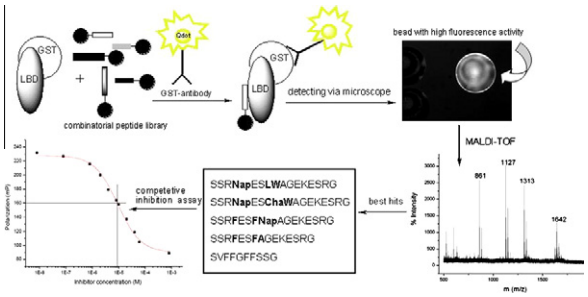
Eight new derivatives of polyfluoro-l,4-naphthoquinonc were synthesized and their cytotoxicity in human myeloma (RPMI 8226), human mammary adenocarcinoma (MCF-7), mouse fibroblasts (LMTK), and primary mouse fibroblast cells (PMF) were studied. It was shown that average efficiency of suppressing of two lines of tumor cells decrease from **1** to **8** compound and all compounds are less toxic toward LMTK and PMF cells.

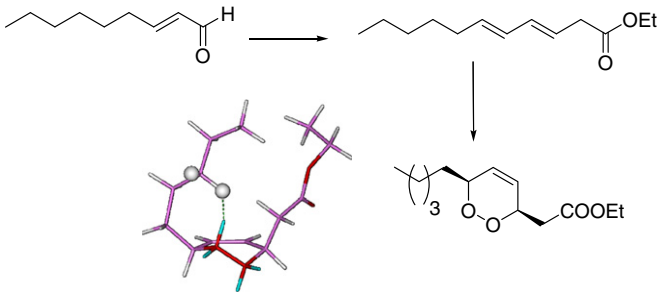


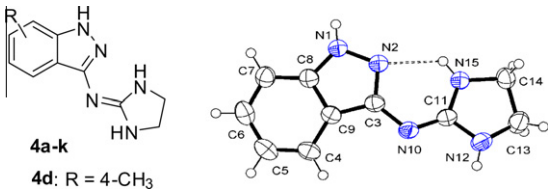




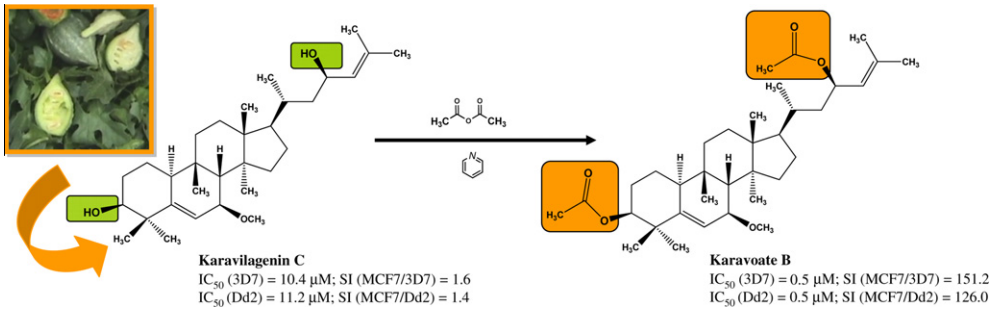






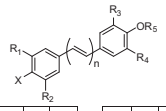


3[(Imidazolidin-2-yl)imino]indazole derivatives **4a–k** were synthesized as positional analogues of marsanidine. Compound **4d** proved to be partial α_2-adrenoceptor agonist with high α_2-adrenoceptor selectivity relative to the imidazoline I_1 receptor and was shown to possess pronounced hypotensive activity.



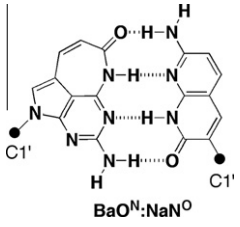
Karavilagenin C, a major constituent of the African plant medicinal plant *Momordica balsamina*, as lead for the development of new antimalarials.

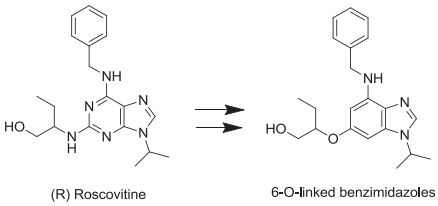
A series of hydroxystilbenoid derivatives were synthesized and screened positive for neuroprotective activity and lack of interference with estrogen and aryl hydrocarbon receptor-mediated transcription.



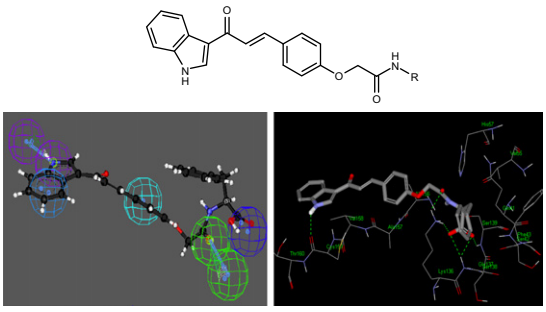
n=1	R_1	R_2	R_3	R_4	R_5	X
1	OH	OH	$CHEt_2$	H	H	H
2	OH	OH	$CHEt_2$	$CHEt_2$	H	H
3	OH	OH	^{t}Bu	H	H	H
4	OH	OH	^{t}Bu	^{t}Bu	H	H
15	OH	OH	^{t}Bu	^{t}Bu	Me	H
17	OH	OMe	^{t}Bu	^{t}Bu	H	H

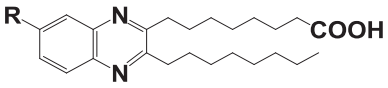
n=2	R_1	R_2	R_3	R_4	R_5	X
5	OH	OH	$CHEt_2$	H	H	H
6	OH	OH	$CHEt_2$	$CHEt_2$	H	H
7	OH	OH	^{t}Bu	H	H	H
8	OH	OH	^{t}Bu	^{t}Bu	H	H
9	OH	OH	H	H	H	H
16	OH	OH	^{t}Bu	^{t}Bu	Me	H





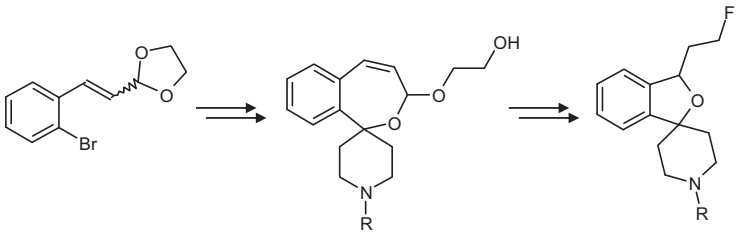
Beginning with the structure of Roscovitine, a moderately selective CDK5 the design, synthesis, and testing of a series of 1-isopropyl-4-aminobenzyl-6-ether-linked benzimidazoles is presented.

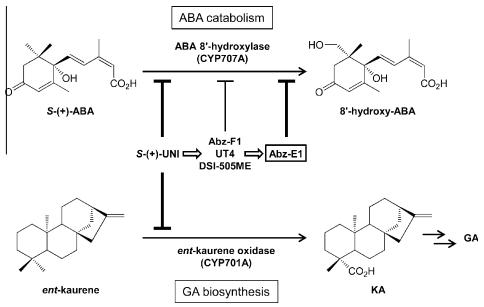


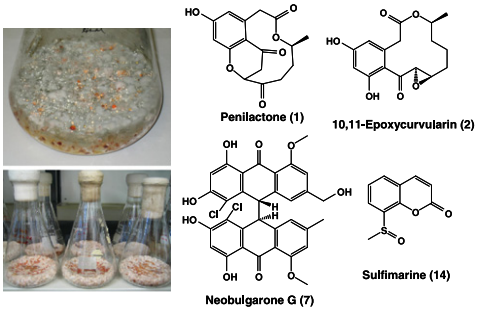


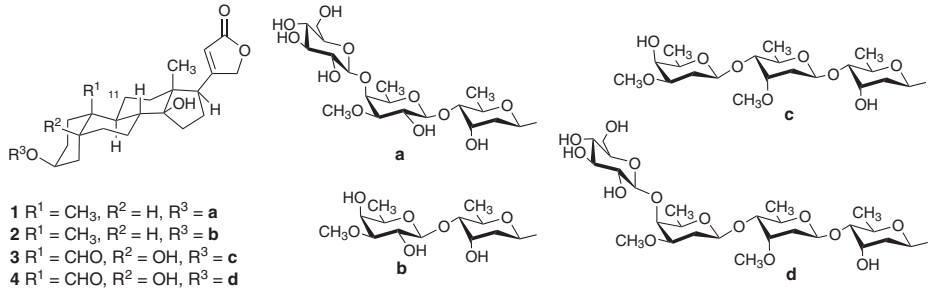
R = -H (3)
= $-CH_3$ (3a)
= -Cl (3b)
= $-NO_2$ (3c)

A series of 19 heterocyclic homoprostanoids were synthesized from easily available oleic and ricinoleic acid and evaluated for their antioxidant, anti-inflammatory and anti-hyperlipidaemic activities. Heterocyclic homoprostanoids with quinoxaline ring and their derivatives (**3**, **3a**, **3b** and **3c**) have shown good anti-inflammatory and anti-hyperglycaemic activity.

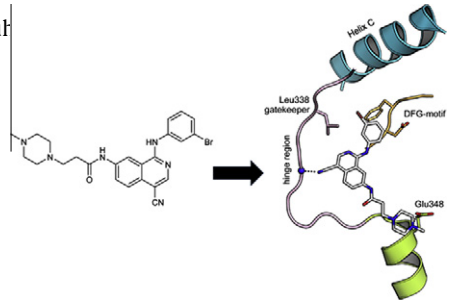


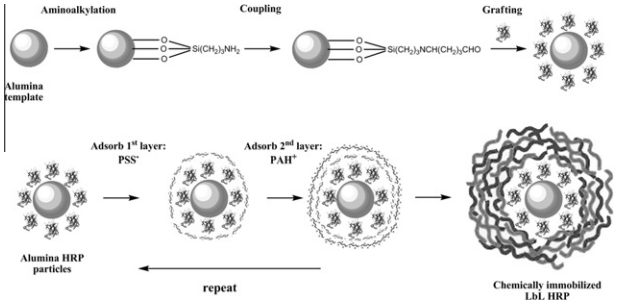


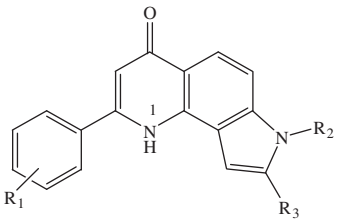




1 $R^1 = CH_3$, $R^2 = H$, $R^3 =$ **a**
2 $R^1 = CH_3$, $R^2 = H$, $R^3 =$ **b**
3 $R^1 = CHO$, $R^2 = OH$, $R^3 =$ **c**
4 $R^1 = CHO$, $R^2 = OH$, $R^3 =$ **d**



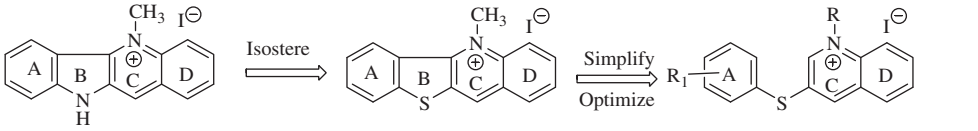




Pyrroloazaflavones

7-Et-2-PPyQ **8**
7-CyprMe-2-PPyQ **12**
7-EtPr-2-PPyQ **16**
2-PPyQ **20**
3'-OMe-2-PPyQ **21**
3'-NO_2-2-PPyQ **22**

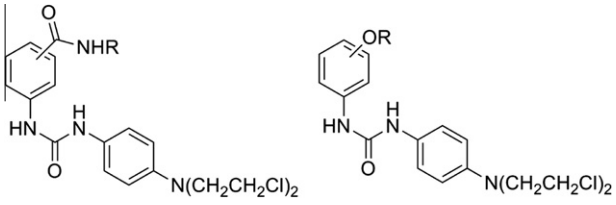
Pyrroloazaflavones or 2-phenyl-1*H*-pyrrolo[2,3-*h*]quinolin-4(7*H*)-ones show in vitro and in vivo anti-angiogenic activity and counteract the pro-angiogenic effects of FGF-2 at non-cytotoxic concentrations.

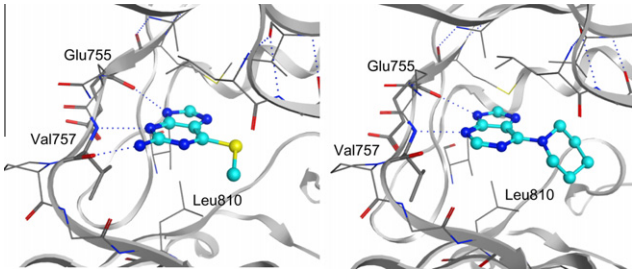


5-Methyl-10*H*-Indolo[3,2-*b*]quinolinium Iodide, or Cryptolepine Hydroiodide (**1**)

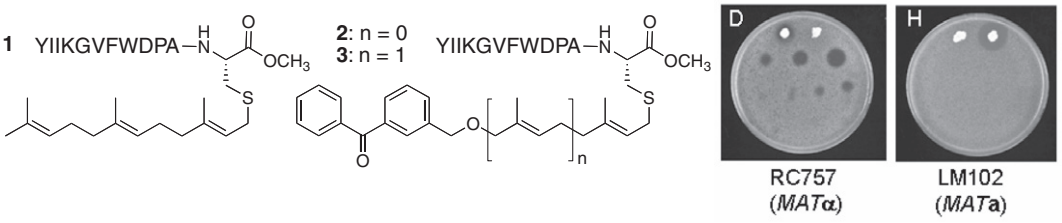
5-Methyl-Benzothieno[3,2-*b*] quinolin-5-ium Iodide (**2**)

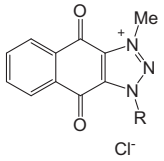
Ring-opened Analogs of 5-substituted Benzothienoquinolinium iodide (**3**)



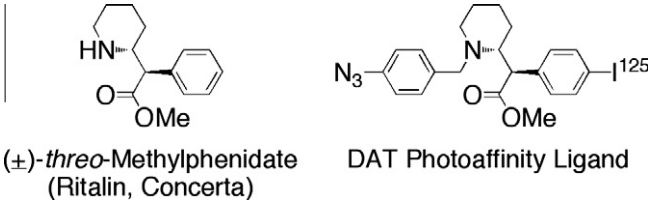


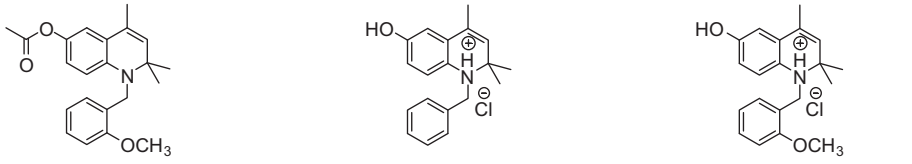
Predicted binding modes of novel ASK1 inhibitors identified by virtual screening.





In the process of investigating the factors that control the selectivity and the biological activity associated with 1-alkyl-1*H* and 2-alkyl-2*H*-naphtho[2,3-*d*]triazole-4,9-diones, a novel class of antibacterial cationic anthraquinone analogs has been developed. Although these compounds are structurally similar, different antibacterial profiles are noted. One lead compound, **4e** manifests high potency (MIC < 1 μg/mL) and selectivity against Gram positive (G+) pathogens including methicillin-resistant *Staphylococcus aureus* (MRSA) while exerting only modest activity against Gram negative (G−) bacteria. Other lead compounds (**4f** and **4g**) exhibit broad antibacterial activity including MRSA and vancomycin-resistant *Enterococcus faecalis* (VRE) that is comparable to other commercially available cationic antiseptic chemicals. This unique difference in antibacterial profile may pave the way for the development of new therapeutic agents.

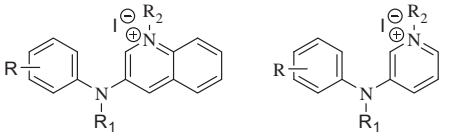


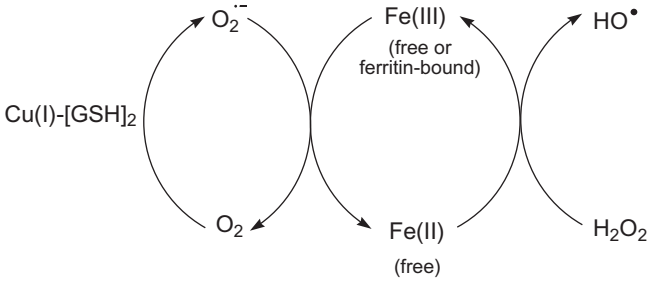


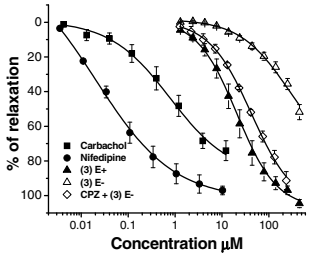
4c IC_{50} vs. *T. b. rhodesiense* = 11 nM

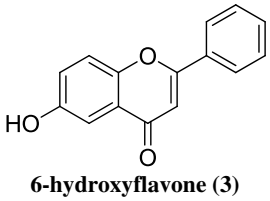
5a IC_{50} vs. *T. b. rhodesiense* = 13 nM

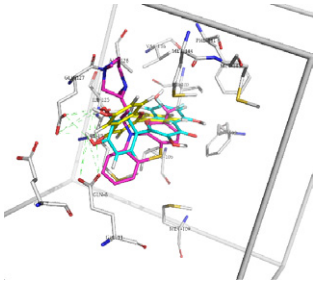
5c IC_{50} vs. *T. b. rhodesiense* = 14 nM

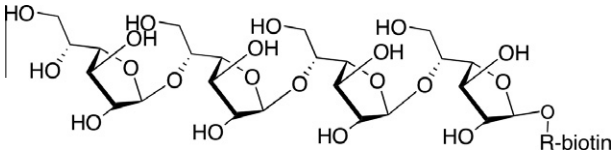


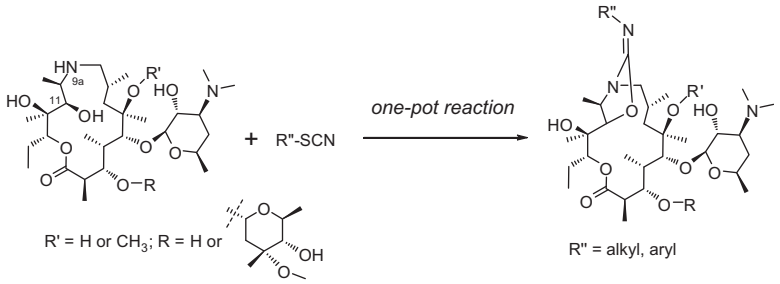


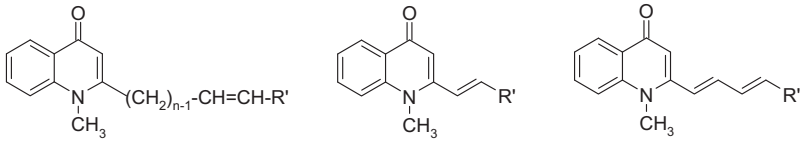




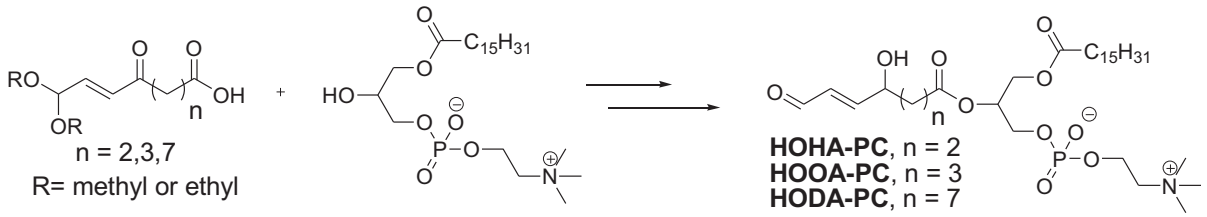




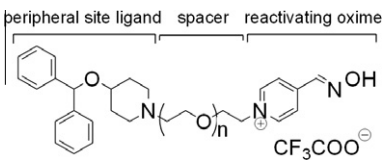


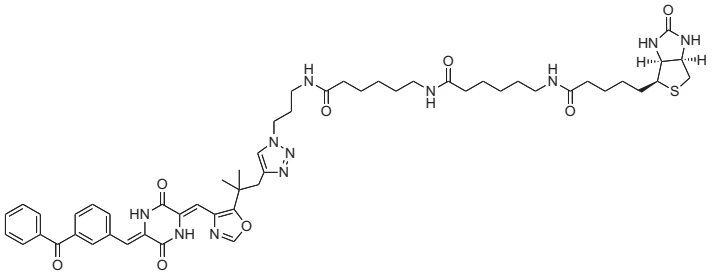


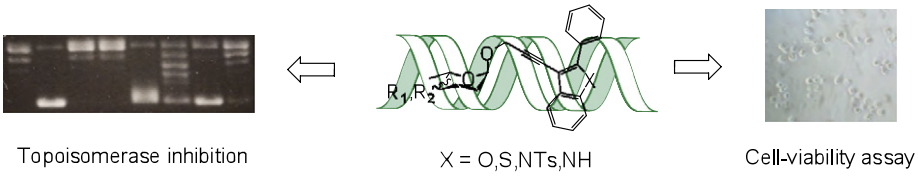
A series of new 1-methyl-2-alkenyl-4(1*H*)-quinolones lacking carboxyl, fluorine and piperazinyl at position-3, -6 and -7, respectively, have been synthesized and tested in vitro against fast growing species of mycobacteria.

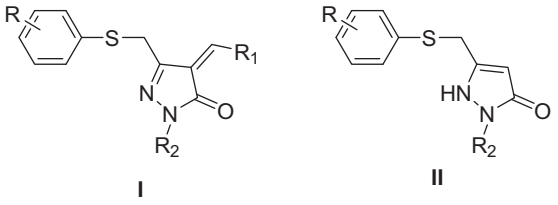


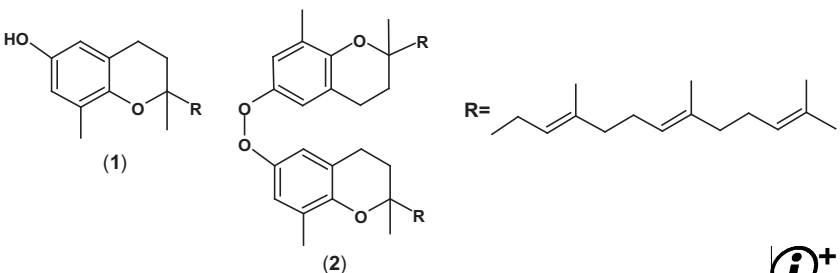
Herein, we report efficient total synthesis of HOOA-, HODA-, and HOHA-phosphatidylcholines.

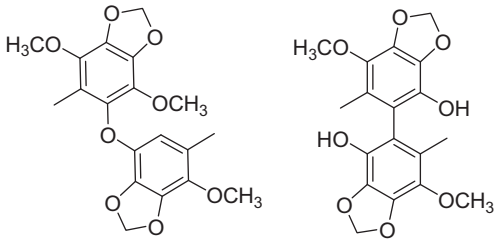




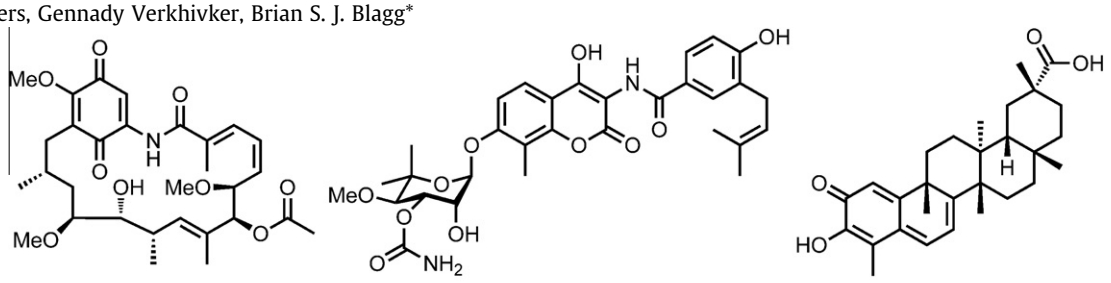




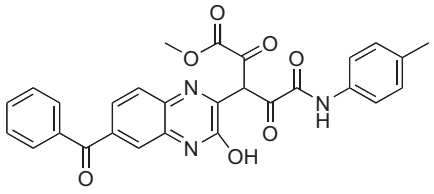




4 **5**

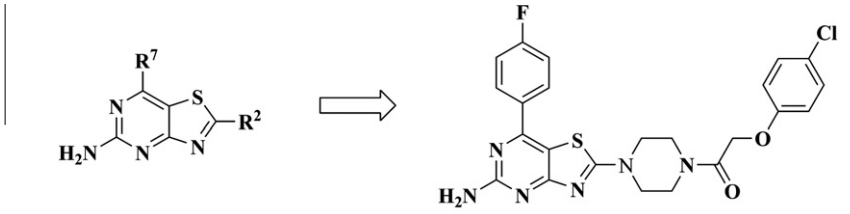


Geldanamycin (GDA) **Novobiocin (NB)** **Celastrol**



$K_d = 3.06 \pm 0.77 \mu M$

A succession of computational ligand design regimes followed by experimental binding affinity measurements was conducted to identify a novel class of Grb7 antagonists.



R^2, R^7 = alkoxy, amine, vinyl or aryl

SecA *E. coli* : IC_{50} = 135 μM

OTHER CONTENT

*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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