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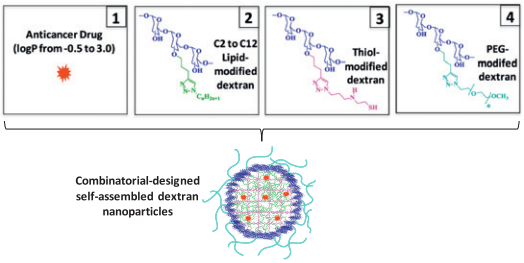
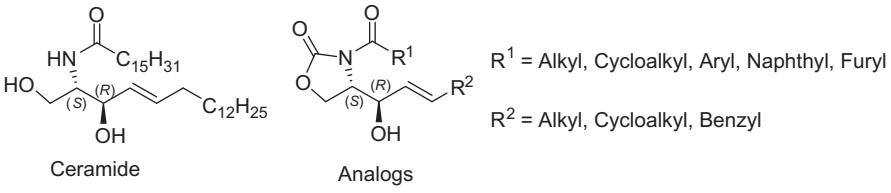
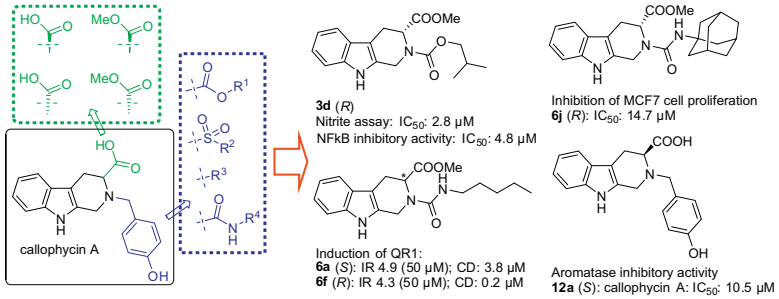
Contents

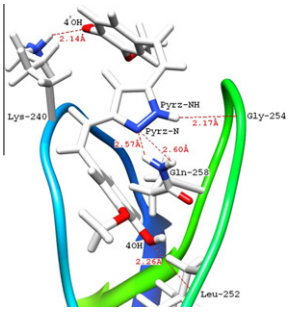
ARTICLES



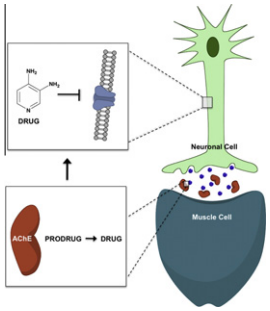
Schematic illustration for combinatorial approach in designing nanoparticle assemblies using C2 to C12 lipid-modified, thiol-modified, and poly(ethylene glycol) (PEG)-modified dextrans.

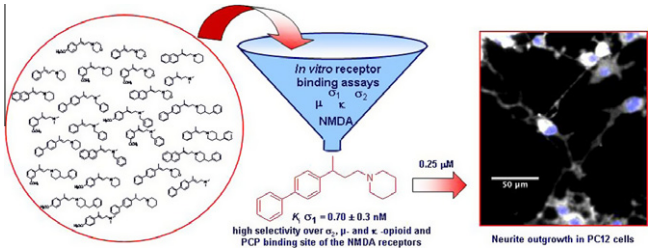


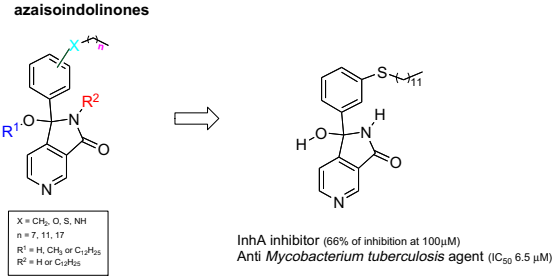


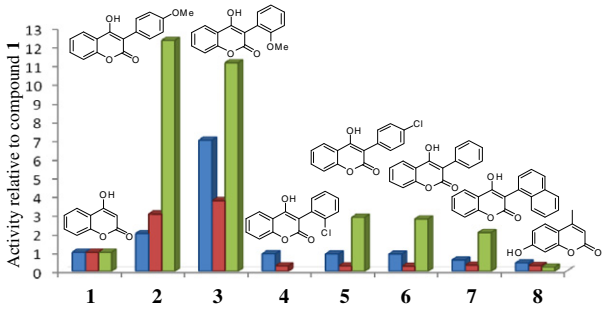


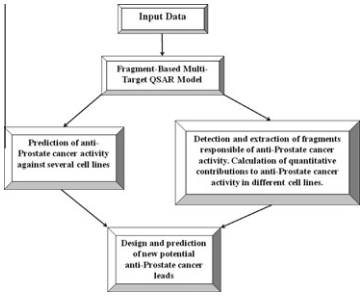
Binding of isoxazole and pyrazole derivatives of curcumin with PKCC1B.

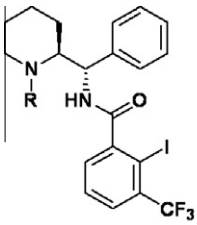




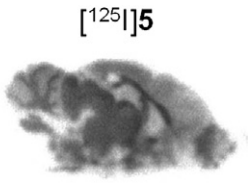




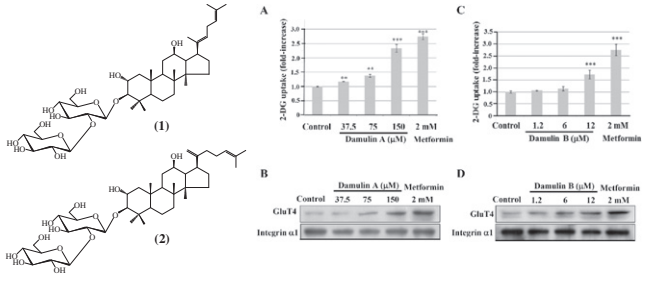




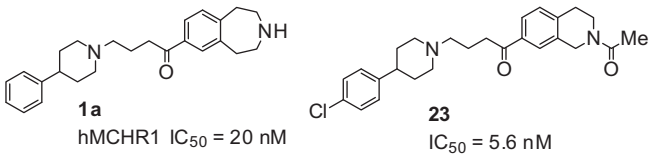
3: R= H
5: R= CH_3

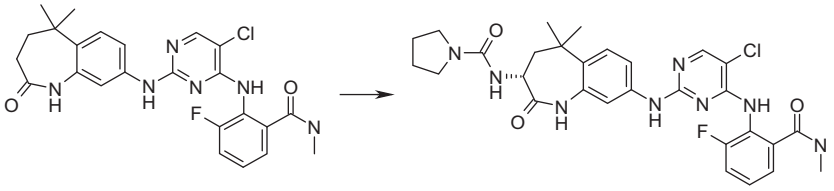


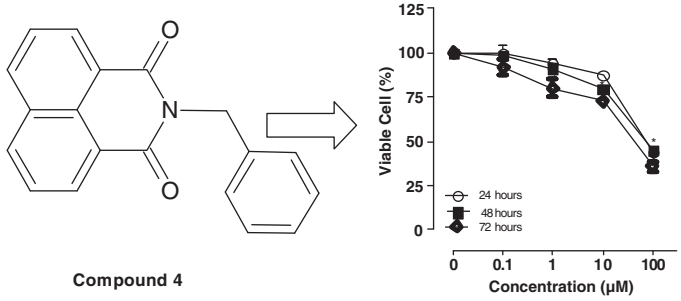
A series of radio-iodinated 1-methylpiperidin-2-yl benzamide derivatives have been synthesized and evaluated in vitro and in vivo as potential SPECT probes for imaging of glycine transporter 1.

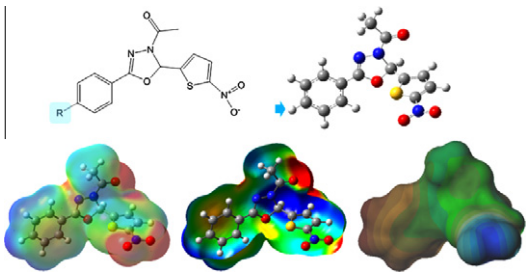


Two novel dammarane-type saponins, damulins A (**1**) and B (**2**), that strongly activate AMPK in cultured L6 myotube cells was isolated from *Gynostemma pentaphyllum*. Damulins A and B also increased β-oxidation and glucose uptake with increasing GluT4 translocation to the plasma membrane in L6 myotube cells. These results indicate that activation of AMPK by damulins A and B may contribute to beneficial effect of *G. pentaphyllum* on glucose and lipid metabolism.

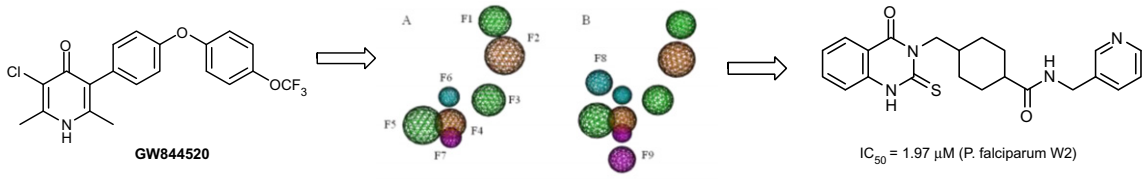


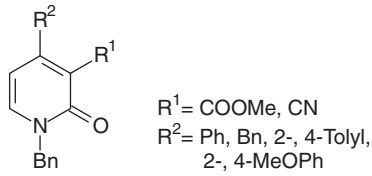


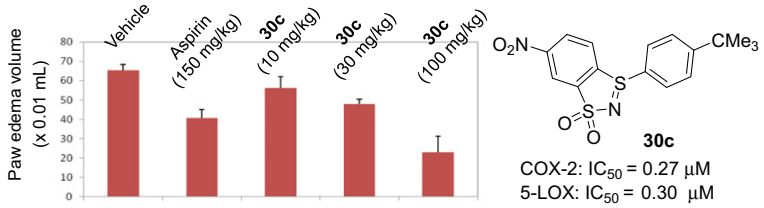




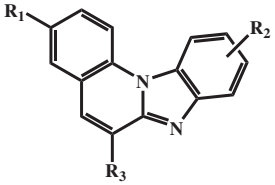
A novel set of 3-acetyl-2,5-disubstituted-2,3-dihydro-1,3,4-oxadiazole has been synthesized and its antiprotozoal, antibacterial and antifungal activities evaluated. Also, their physicochemical and structural properties were explored to establish qualitative property-activity relationships.



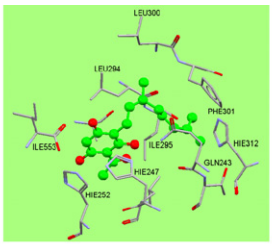




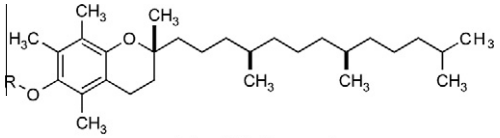
The structure–activity relationship of a series of benzo[1.3.2]dithiazolium ylide 1,1-dioxide derivatives was studied. 6-Nitro group was crucial for dual COX-2/5-LOX inhibitory activity. The most potent compound **30c** exhibited anti-inflammatory activity in the carrageenan-induced paw edema assay in male Wistar rats.



Novel benzimidazo[1,2-*a*]quinolines showed significant growth inhibitory effect towards five tumor cell lines (IC_{50} = 2–19 μM). Fluorescence microscopy study showed cytoplasmic distribution of the compounds, demonstrating that DNA is not the primary target of compounds.

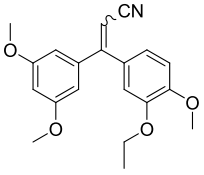


Inhibition on 5-LOX activity by tHGA (IC_{50} = 0.42 μM)

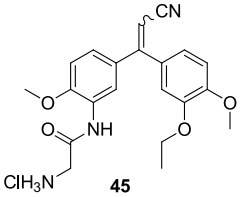


R = PO_3Na_2 **1**
R = $COCH_3$ **2**

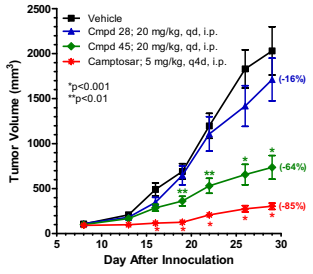
Compound **1** is an excellent inhibitor of inflammatory mediators and serves as a protective agent against exogenous stimulants.

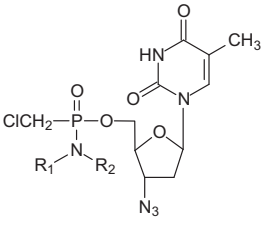


28
HCT-116 IC_{50} 34 nM
PDE4 IC_{50} 54 nM
TNF IC_{50} 43 nM



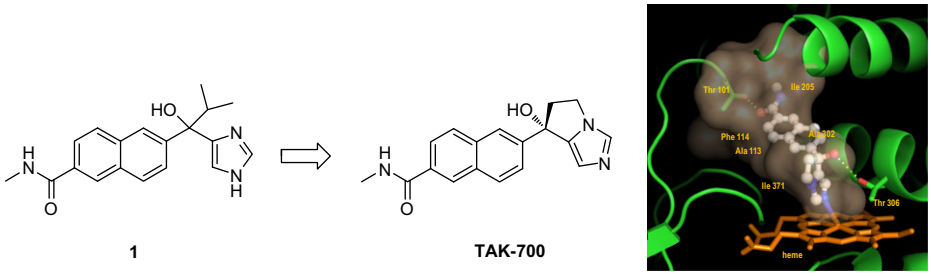
45
HCT-116 IC_{50} 93 nM
PDE4 IC_{50} 400 nM
TNF IC_{50} 31 nM

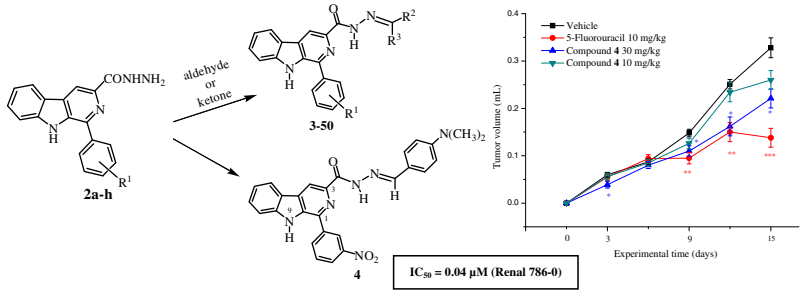


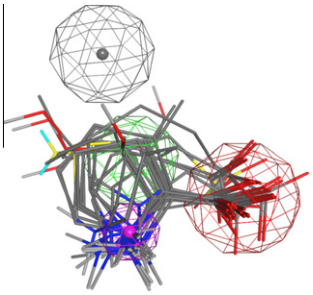


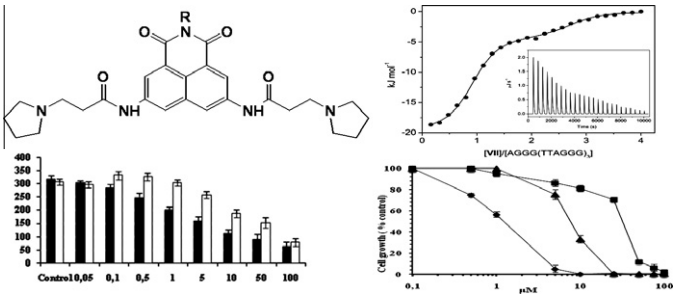
10 examples
the most active compound (R_1 = H, R_2 = n-Pr)
IC_{50} = 3.7 μg/mL (MCF-7)

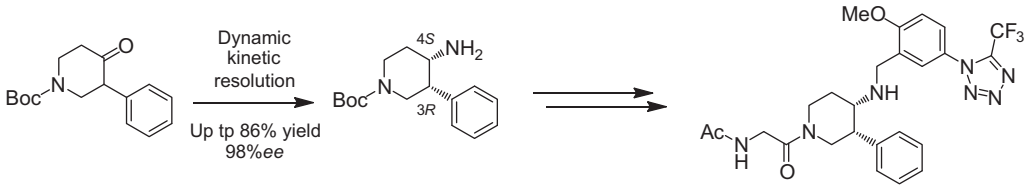
A series of novel *N*-alkyl 5′-chloromethylphosphonates of 3′-azido-3′-deoxythymidine (AZT) were synthesized and evaluated for their cytotoxic activity in two human cancer cell lines: oral (KB) and breast (MCF-7).



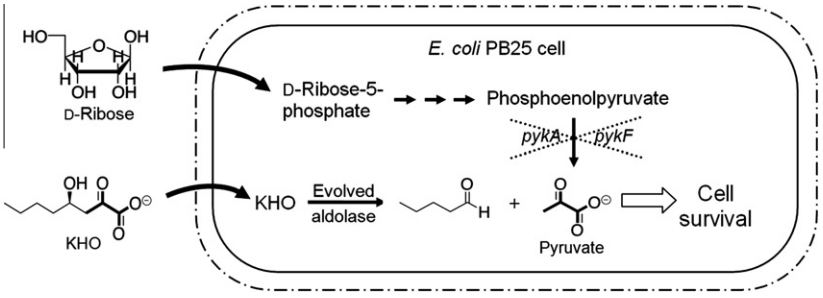


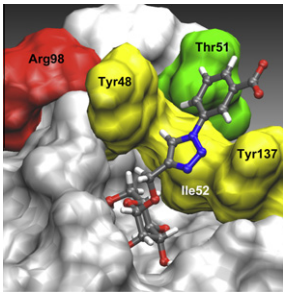




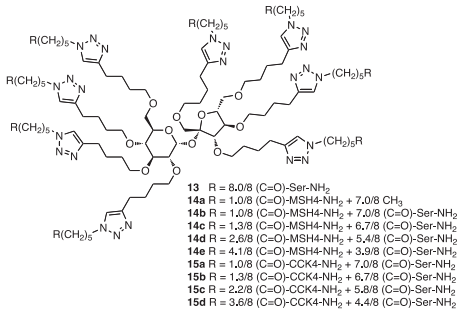


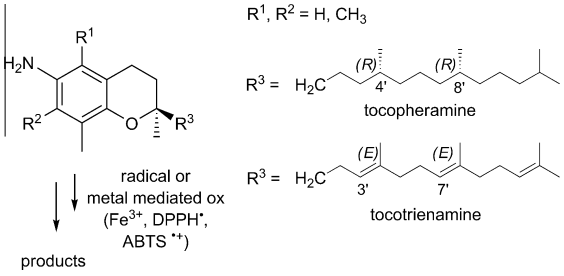
A series of novel and potent 3-phenyl-4-benzylaminopiperidine tachykinin NK_1 antagonists are described. Highly efficient asymmetric synthesis of this series is achieved via dynamic kinetic resolution.

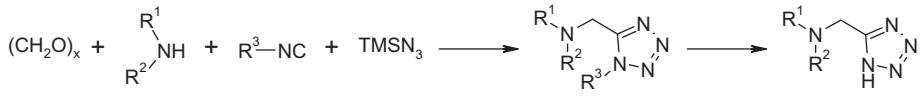


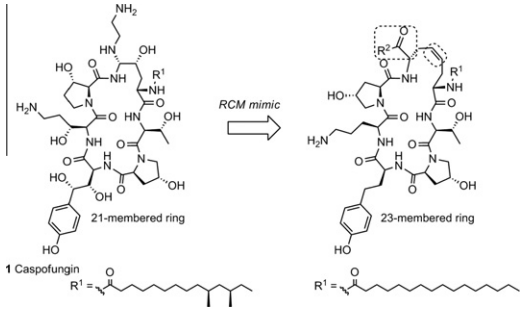


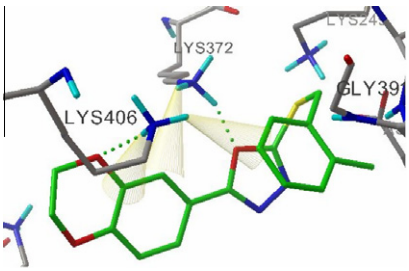
X-ray structures of FimH co-crystallized with two different ligands, the physiological binding epitope oligomannose-3 and a biphenyl α-D-mannoside revealed different binding modes, an *in-docking-mode* and an *out-docking-mode*, respectively. To accomplish the *in-docking-mode*, that is the docking mode where the ligand is hosted by the so-called tyrosine gate, FimH antagonists with increased flexibility were designed, synthesized and evaluated.



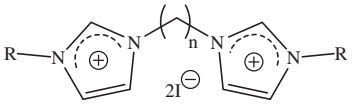








A series of new 1,3,4-oxadiazole derivatives containing 1,4-benzodioxan moiety (**6a**–**6s**) as potential telomerase inhibitors have been designed, synthesized, structurally determined, and their biological activities were also evaluated as potential anticancer agents. The bioassay tests demonstrated that compounds **6k**, **6l**, **6m**, **6n** and **6s** exhibited broad-spectrum antitumor activity with IC_{50} concentration range from 7.21 μM to 25.87 μM against the four cancer cell lines HEPG2, HELA, SW1116 and BGC823. All the title compounds were assayed for telomerase inhibition using the TRAP-PCR-ELISA assay. The results showed compound **6k** possessed the most potent telomerase activity (IC_{50} = 1.27 ± 0.05 μM). Docking simulation was performed to position compound **6k** into the active site of telomerase (3DU6) to determine the probable binding model.



Bis-imidazolium salts
R = alkyl
n = 2, 4, 6, 8, 10–16, 18, 20

Novel bis-imidazolium salts have been synthesized and showed highly potent and selective anti-*Plasmodium* activity.

*Corresponding author
Supplementary data available via SciVerse 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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