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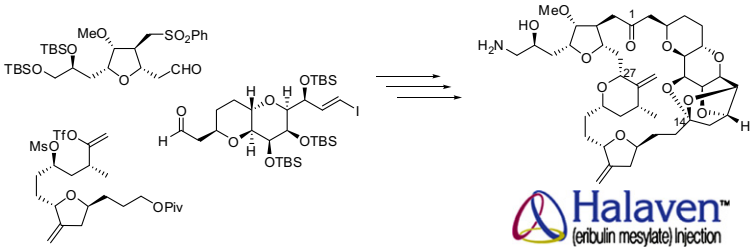
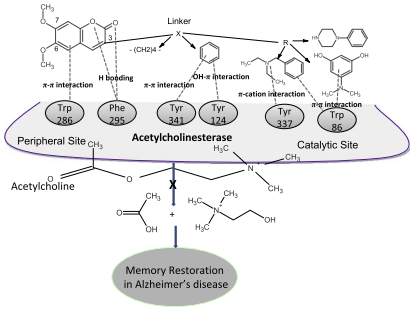
Contents

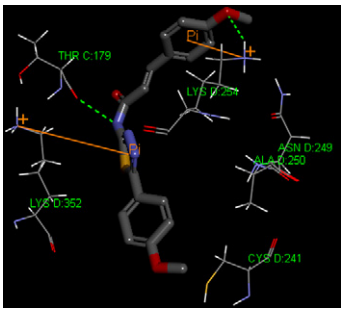
REVIEWS



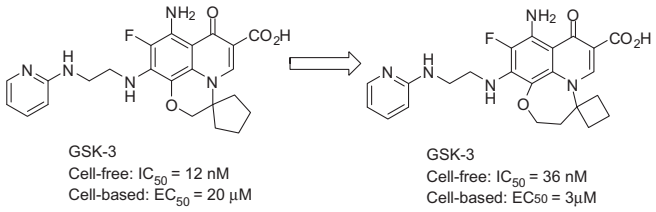
Plus the 14 other new drugs marketed in 2010.

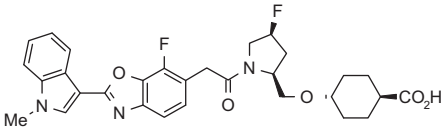


ARTICLES



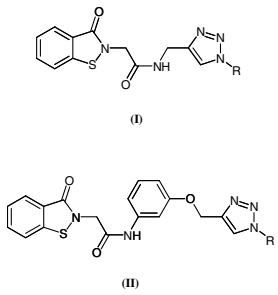
A series of cinnamic acyl 1,3,4-thiadiazole amide derivatives have been designed and synthesized, and their biological activities were also evaluated as potential antiproliferation and tubulin polymerization inhibitors. Compound **10e** possessed the most potent tubulin polymerization inhibitory activity (IC_{50} = 1.16 μg/mL) and anticancer activities (IC_{50} = 0.28 μg/mL for MCF-7 and IC_{50} = 0.52 μg/mL for A549). Docking simulation was performed to insert compound **10e** into the crystal structure of tubulin to determine the probable binding model.

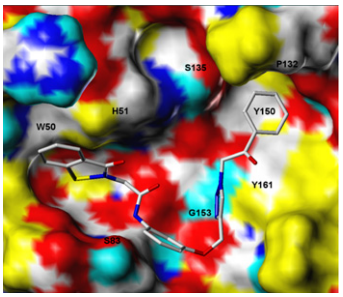




7e: VLA-4/VCAM-1 binding assay, IC50 = 4.7 nM

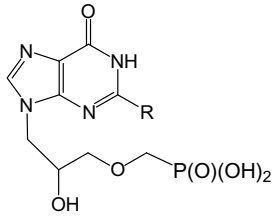
Compound **7e** with 7-fluoro-2-(1-methyl-1*H*-indol-3-yl)-1,3-benzoxazolyl group significantly inhibited eosinophil infiltration into bronchoalveolar lavage fluid at 15 mg/kg in an *Ascaris*-antigen-induced murine bronchial inflammatory model.





Two click chemistry-derived focused libraries based on the benz[*d*]isothiazol-3(2*H*)-one scaffold were synthesized and screened against Dengue virus and West Nile virus NS2B-NS3 proteases. Several compounds (**4l**, **7j**–**n**) displayed noteworthy inhibitory activity toward Dengue virus NS2B-NS3 protease in the absence and presence of added detergent.

Inhibition of hypoxanthine-guanine-(xanthine)-phosphoribosyltransferase:



iso-HPMPHx (R = H)

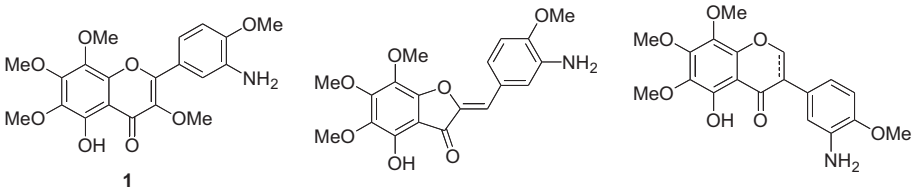
K_i (*Pf*) HGXPRT: 1.9 μM

K_i (*human*) HGPRT: no inhibition

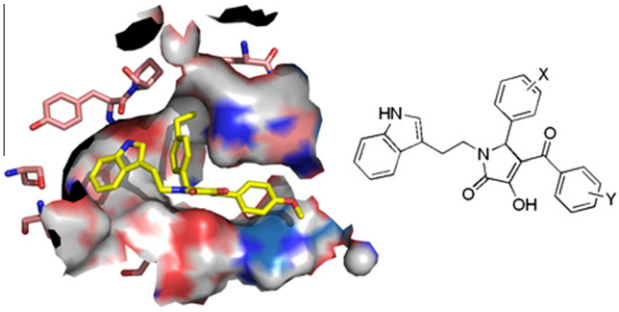
iso-HPMPG (R = NH_2)

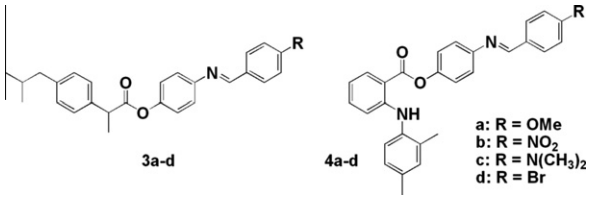
K_i (*Pf*) HGXPRT: 1.4 μM

K_i (*human*) HGPRT: 8 μM

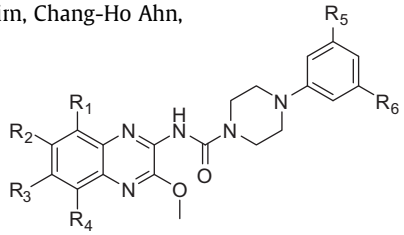


Aurone, isoflavone and isoflavanone analogs of the cytotoxic flavone **1** were synthesized. Cytotoxicity of these new compounds (and fifteen other ones) was evaluated, and SARs discussed.

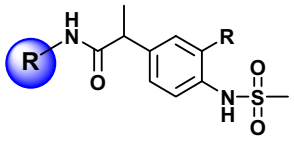


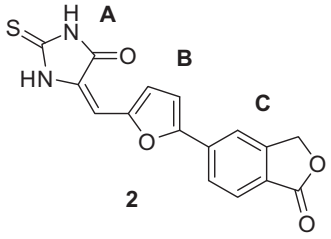


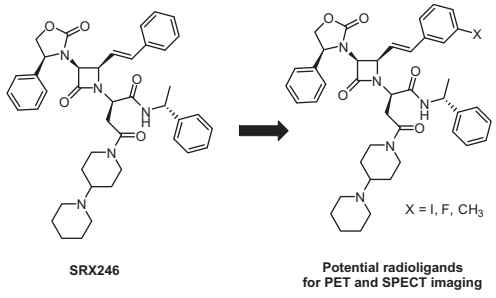
The ibuprofenic acid and mefenamic acid esters coupled with [4-(4-substituted benzylidene amino)phenols] Schiff's bases revealed remarkable analgesic anti-inflammatory activities with surprising non-ulcerogenic effects. A correleation between their biological activities and AutoDock binding free energies was obtained by comparative docking into Cox1 and Cox2.

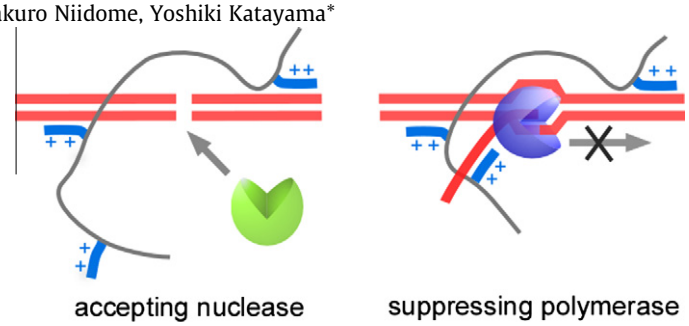


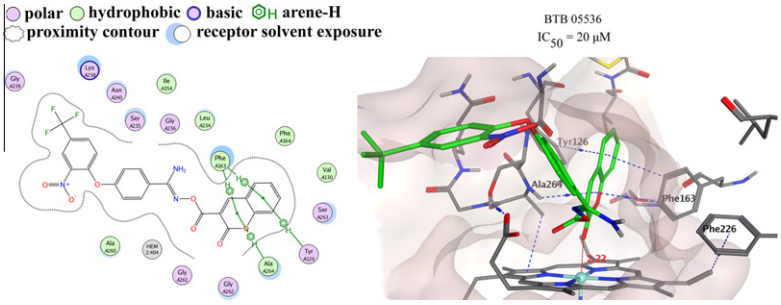
A series of novel 7 or 8-substituted compounds on the quinoxaline ring of 1-[(2-alkoxyquinoxalin-3-yl)aminocarbonyl-4-(hetero)arylpiperazine derivatives were newly synthesized and tested as a prominent anticancer agent in various types of human cancer cell lines. Among representative compounds substituted on the quinoxaline ring, in vitro cell line and pharmacokinetic studies suggest that compound **6k** has a strong anticancer efficacy and desirable pharmacokinetic properties. These data support further development of compound **6k** to achieve an orally available anticancer drug.











*Corresponding author
Supplementary data available via SciVerse ScienceDirect

COVER

Formulating a new basis for the treatment against botulinum neurotoxin intoxication: 3,4-Diaminopyridine prodrug design and characterization. [Zakhari, J.S.; Kinoyama, I.; Hixon, M.S.; Di Mola, A.; Globisch, D.; Janda, K.D.; *Bioorg. Med. Chem.* **2011**, *19,* 6203–6209.]

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