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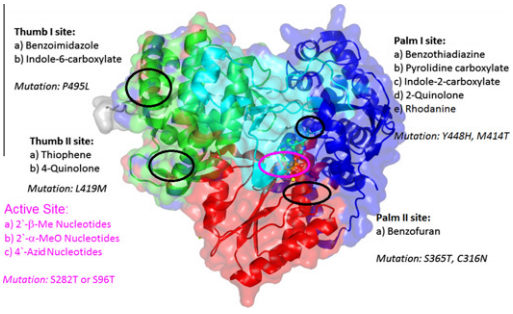
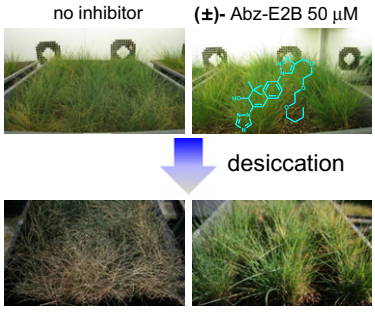
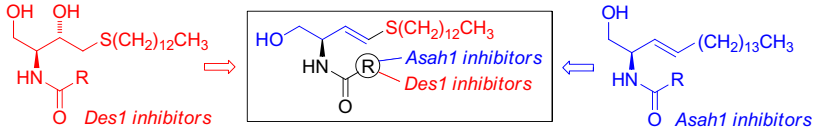
Contents

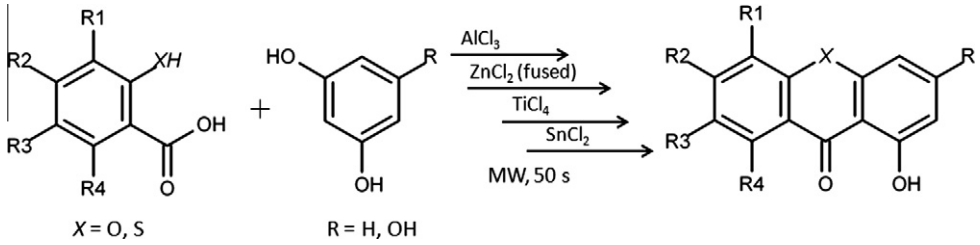
REVIEW

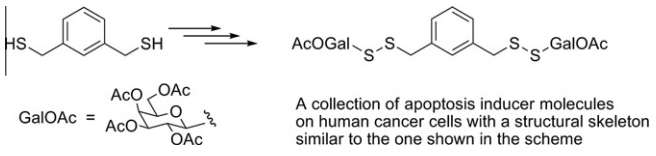


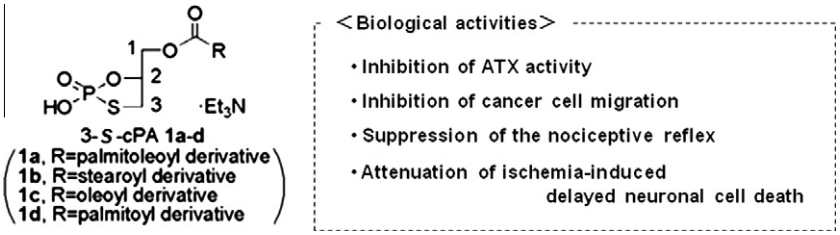
ARTICLES

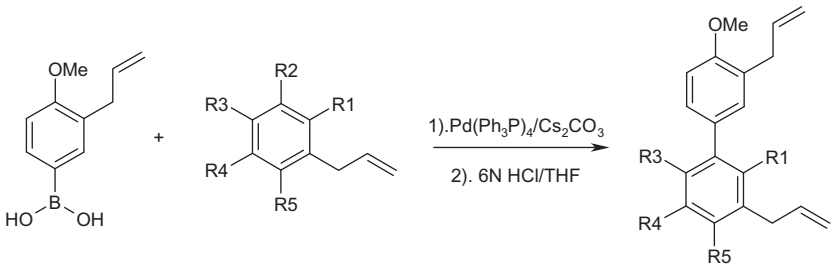


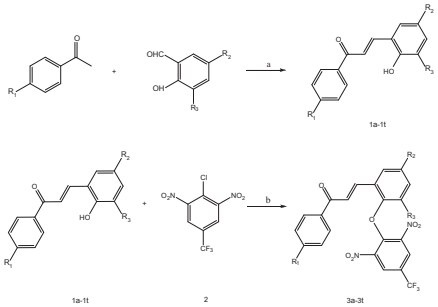




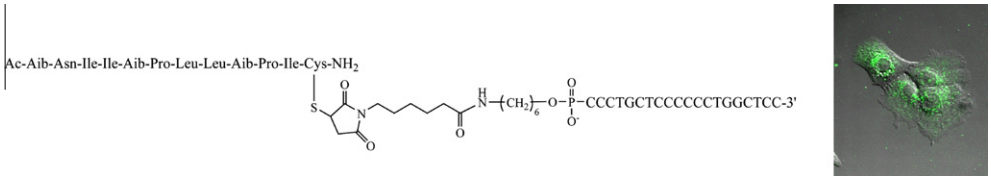


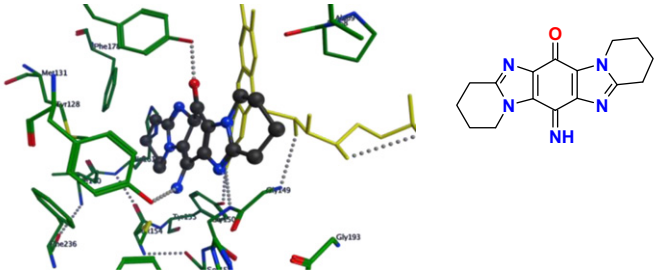


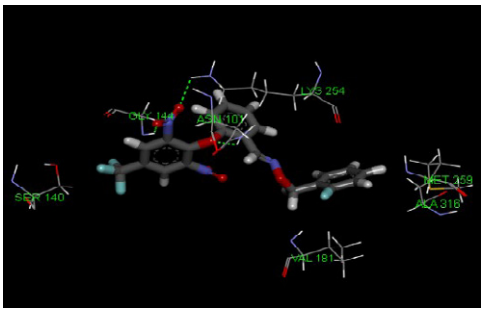




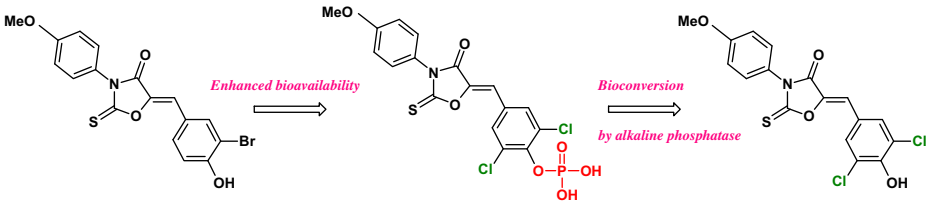
A series of novel chalcone derivatives have been designed and synthesized, and their biological activities were also evaluated as potential inhibitors of tubulin. These compounds were assayed for growth-inhibitory activity against MCF-7 and A549 cell lines in vitro. Compound **3d** showed the most potent antiproliferative activity against MCF-7 and A549 cell lines with IC_{50} values of 0.03 and 0.95 μg/mL and exhibited the most potent tubulin inhibitory activity with IC_{50} of 1.42 μg/mL. Docking simulation was performed to insert compound **3d** into the crystal structure of tubulin at colchicines binding site to determine the probable binding model. Based on the preliminary results, compound **3d** with potent inhibitory activity in tumor growth may be a potential anticancer agent.







A series of 2,6-dinitro-4-(trifluoromethyl)phenoxysalicylaldoxime derivatives (**1h**–**20h**) have been designed and synthesized, and their biological activities were also evaluated as potential antiproliferation and tubulin polymerization inhibitors. Among all the compounds, **2h** showed the most potent activity in vitro, which inhibited the growth of MCF-7, Hep-G2 and A549 cell lines with IC_{50} values of 0.70 ± 0.05, 0.68 ± 0.02 and 0.86 ± 0.05 μM, respectively. Compound **2h** also exhibited significant tubulin polymerization inhibitory activity (IC_{50} = 3.06 ± 0.05 μM). The result of flow cytometry (FCM) demonstrated that compound **2h** induced cell apoptosis. Docking simulation was performed to insert compound **2h** into the crystal structure of tubulin at colchicine binding site to determine the probable binding model. Based on the preliminary results, compound **2h** with potent inhibitory activity in tumor growth may be a potential anticancer agent.



2a HSD IC_{50} = 13 nM — *Rapid metabolism*

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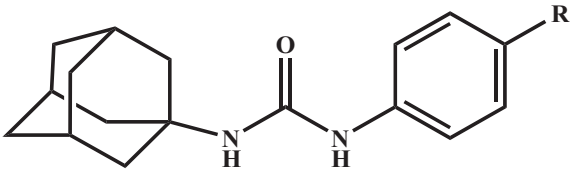
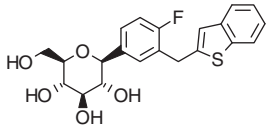
11g HSD IC_{50} = 12 nM — *No-glucuronic acid conjugation* *In vivo potency*

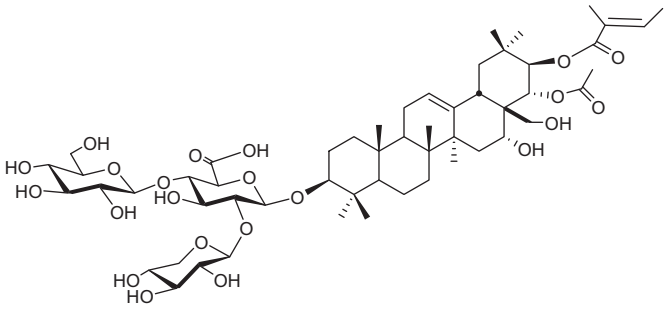


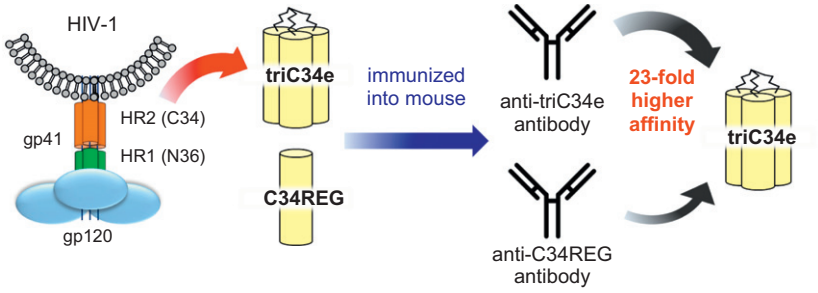
A library of 1600 ureas was screened in vitro for activity against *Mycobacterium tuberculosis*.

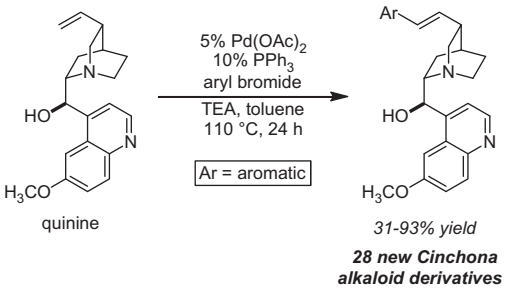


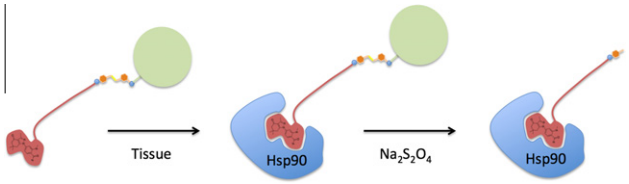
14h; Ipragliflozin (ASP1941)

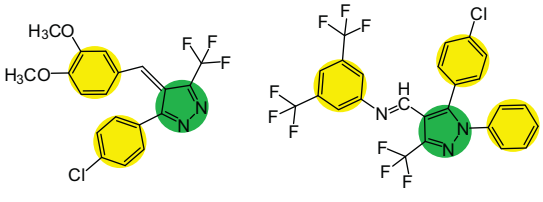
A series of *C*-glucosides with various heteroaromatics has been synthesized and its inhibitory activity toward SGLTs evaluated and a novel benzothiophene derivative (**14h**; ipragliflozin, ASP1941) was discovered as a highly potent and selective SGLT2 inhibitor that reduced blood glucose levels in a dose-dependent manner in diabetic model mice and rats.











3d: IC_{50}= 0.29μM **8d:** IC_{50}= 0.26μM

OTHER CONTENTS

*Corresponding author
Supplementary data available via SciVerse ScienceDirect

COVER

Dipyrone (metamizol) is a common antipyretic drug and the most popular non-opioid analgesic in many countries. In spite of its long and widespread use, molecular details of its fate in the body are not fully known. Two unknown metabolites were now found, viz. arachidonoyl amides, and positively tested for cannabis receptor binding (CB1 and CB2) and cyclooxygenase inhibition. Two more puzzle pieces of the dipyrone story found! (Rogosch, T.; Sinning, C.; Podlewski, A.; Watzer, B.; Schlosburg, J.; Lichtman, A.H.; Cascio, M.G.; Bisogno, T.; Di Marzo, V.; Nüsing, R.; Imming, P. *Bioorg. Med. Chem.* **2012,** *20,* 103–109.]

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