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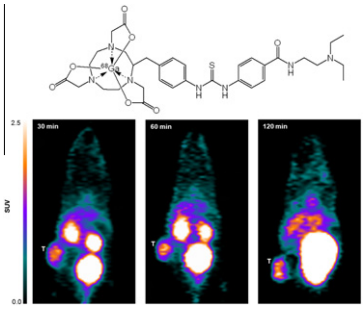
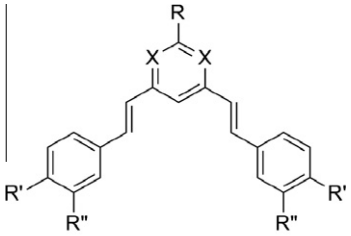
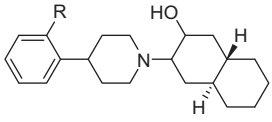
Contents

ARTICLES

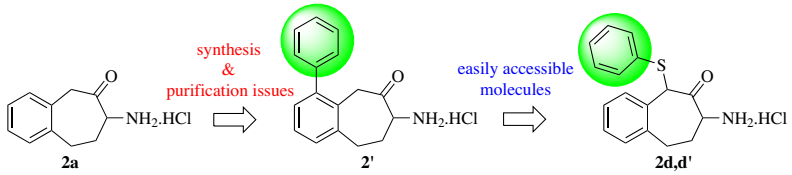




X = N,C
R = H, OH, OCH_3, SCH_3
R', R" = H, OH, OCH_3, $N(CH_3)_2$

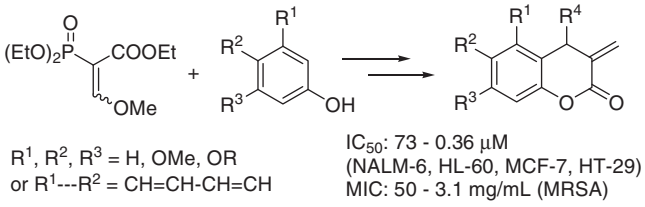


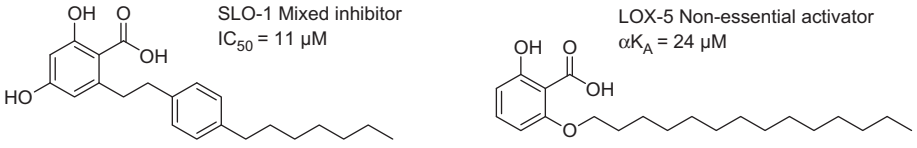
R = Br: OBDV
R = I: OIDV

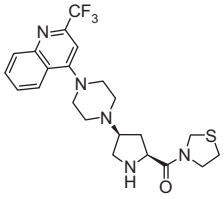


2a — APN K_i = 1 μM — selective over a panel of proteases
2' — APN K_i = 7 nM — selective over a panel of proteases
2d,d' — thio substituted series — APN K_i = 60 nM

Some racemic aminobenzosuberone **2b**–**h** were readily synthesized and evaluated as inhibitors of a panel of zinc-dependent aminopeptidases. K_i values in the nanomolar range were obtained selectively against the one zinc aminopetidase APN/CD13. The phenylthioether derivative **2d**,**d'** is among the most potent newly synthesized APN inhibitor and may exhibit a new type of binding mode.

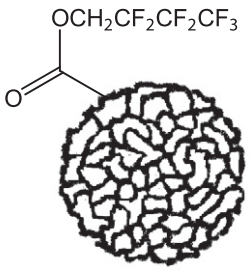


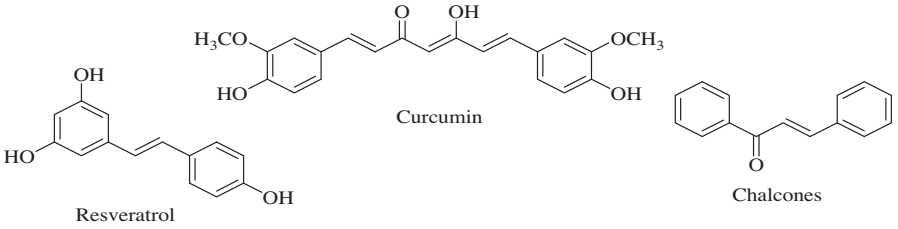


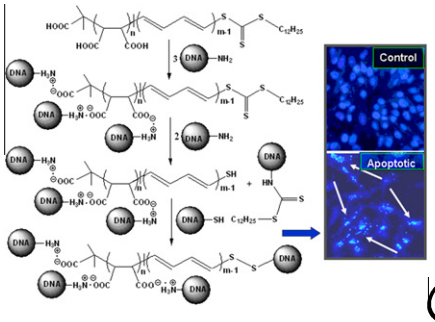


8g, IC_{50} = 0.37 nmol/L

A series of prolylthiazolidines with fused bicyclic heteroarylpiperazine substitution has been discovered as superior DPP-4 inhibitors, and the most potent **8g** showed high selectivity and in vivo efficacy.







Novel carboxyl-trithiocarbonate functionalized polymer with super selective antitumor activity synthesized by a RAFT controlled/living polymerization method. This multifunctional polymer surfactant with conjugated double bond in main chain used in the interactions with cancer and normal cells. The results of these investigations indicated that PMA–RAFT polymer exhibits higher cytotoxicity, apoptotic and necrotic effects against HeLa cells while it was non-cytotoxic toward Fibroblast cells in vitro. These results allow us recommend PMA–RAFT polymer for the cancer chemotherapy applications.

*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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