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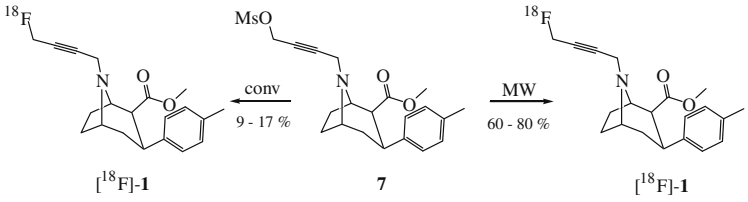
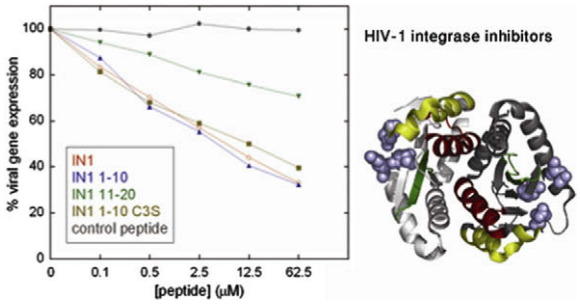
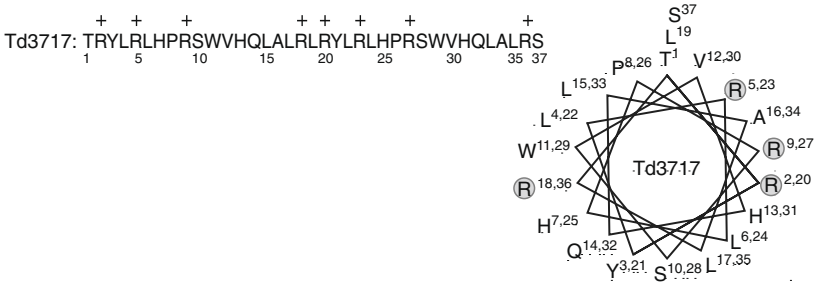
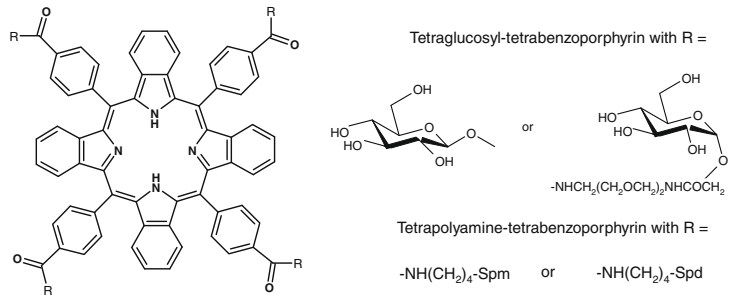
Contents

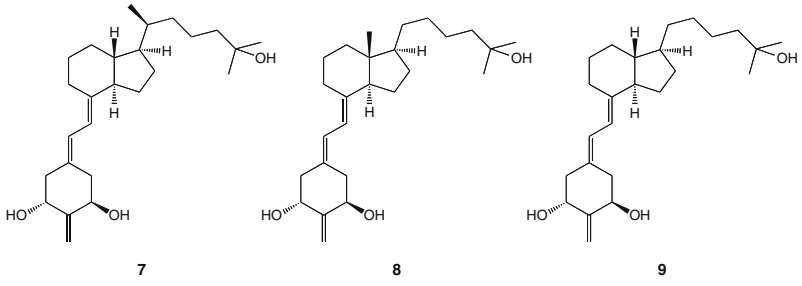
ARTICLES

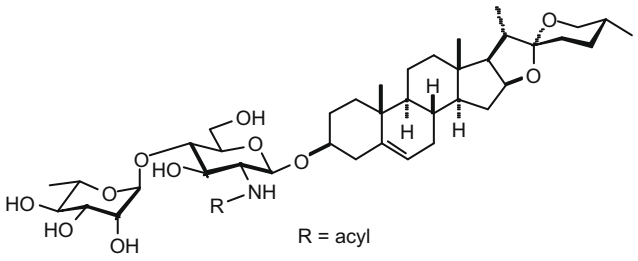


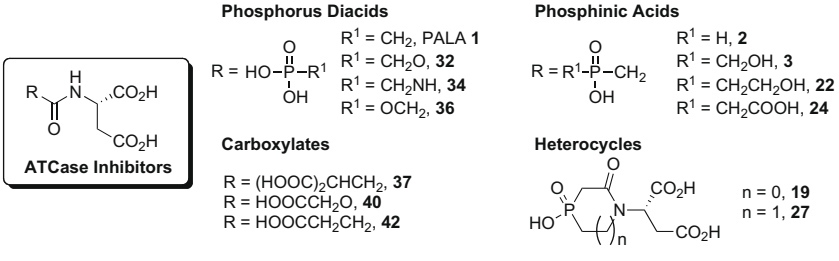


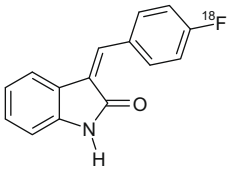




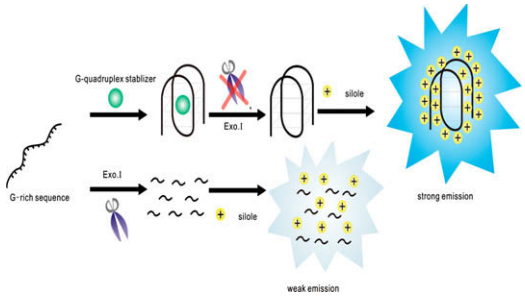




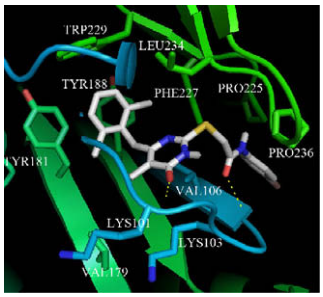


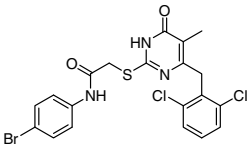


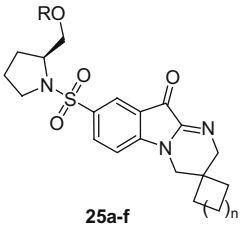
The radiosynthesis and radiopharmacological evaluation of 3-[4′-[^{18}F]fluorobenzylidene]indolin-2-one as possible tyrosine kinase inhibitor as potential PET radiotracer for imaging of angiogenic processes is described. The radiosynthesis was accomplished by Knoevenagel condensation of 4-[^{18}F]fluorobenzaldehyde with oxindole, the biodistribution was studied in Wistar rats, small animal PET studies with FaDu tumour bearing mice were performed.



The compound **4b3** was docked into the NNRTIs binding pocket (NNIBP) of HIV-1 RT (PDB code: 1RT2) using Autodock Vina [http://vina.scripps.edu]. The docking result of **4b3** is showed by PyMOL [http://pymol.sourceforge.net].

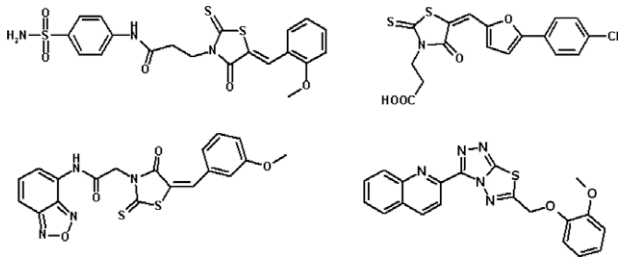




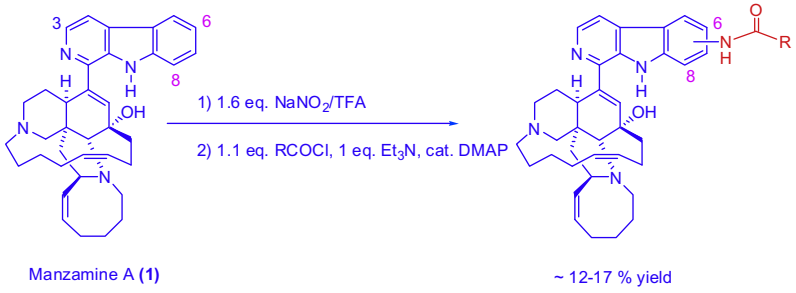


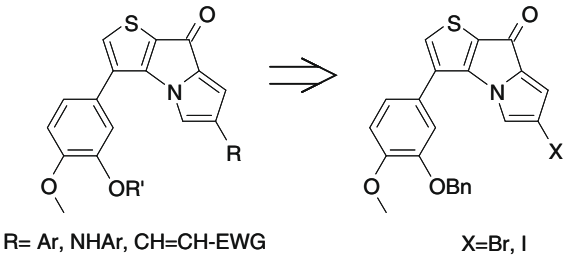
25a-f
R = CH_3 or Ph
n= 1-3

As part of a program to develop a small molecule inhibitor of caspase-3, a novel series of 3,4-dihydropyrimido(1,2-*a*)indol-10(*2H*)-ones (pyrimidoindolones) was identified. The synthesis, biological evaluation and structure–activity relationships of the pyrimidoindolones are described.

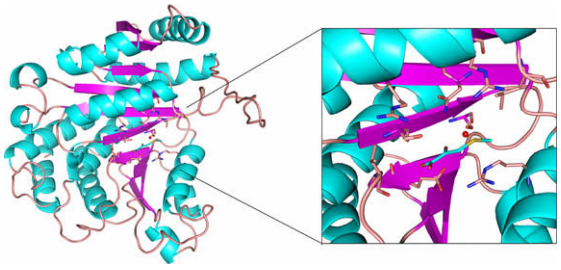


We have identified new novel FIH1 inhibitors with the chelating groups for the active-site ferrous ion by means of a drug design protocol involving virtual screening and enzyme inhibition assay.

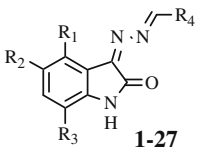




We describe herein the synthesis and the biological evaluation of a novel series of a potent anticancer agents: the tripentones. For the first time, a halogen atom was introduced in high yields on the pyrrole ring of the tricycle. This synthesis and the reactivity of the novel halogenated tripentones in metallo-catalysed cross-coupling reactions will be described in that article. Finally their influence on biological activity will be discussed.

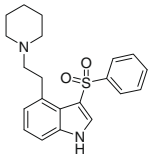


The crystal structure of isopropylmalate dehydrogenase derived from *Thermus thermophilus* in a ternary complex with NAD^+ and a designed inhibitor, (2*S*,3*S*)-(−)-3-methylmercaptomalic acid, has been determined.



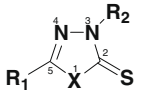
1-27

A series of bis-Schiff bases of isatin **1**–**27** has been synthesized and screened for their antiglycation activity in vitro. Where R_1, R_2, and R_3 are mono- and disubstituted chloro analogs while R_4 may be substituted aryl groups.



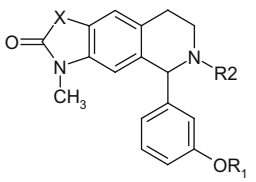
18i

hNET K_i 27 nM
h5-HT_{2A} K_i 59 nM



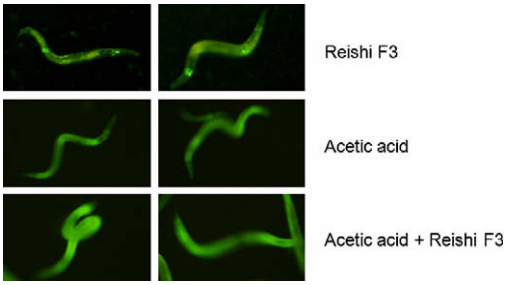
R may be any alkyl or aryl group

A series of 1,3,4-oxadiazole-2 (3*H*)-thiones and 1,3,4-thiadiazole-2 (3*H*)-thiones were synthesized and evaluated for their inhibitory activities against the two nucleotide pyrophosphatase phosphodiesterase 1 enzymes. Dixon, as well as Lineweaver–Burk plots, and their secondary replots have indicated that the inhibition was of pure non-competitive type, against both snake venom and pure human recombinant enzymes.



X = O, S
R_1 = H, CH_3, Bz
R_2 = H, C_3H_7, C_9H_{19}

A series of benzazolone derivatives was synthesized. Their antioxidant activity was determined by inhibition of lipid peroxidation. Their protective actions against the cytotoxicity were evaluated with lactate dehydrogenase (LDH) activity and cellular vitality.



Acetic acid and Reishi polysaccharide exhibit a greater combined lifespan-promoting effect on the DAF-16 expression in *Caenorhabditis elegans*.

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (http://www.pymol.org). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

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