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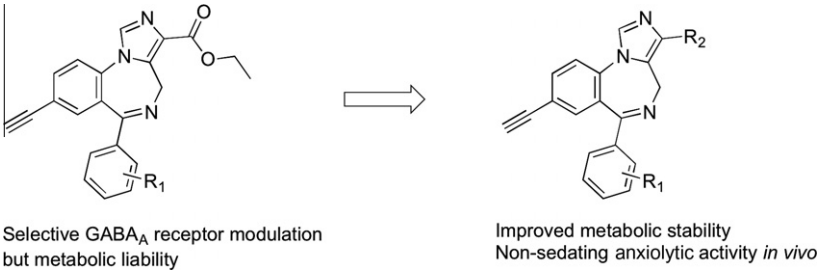
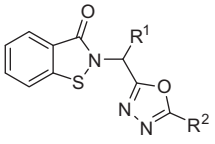
Contents

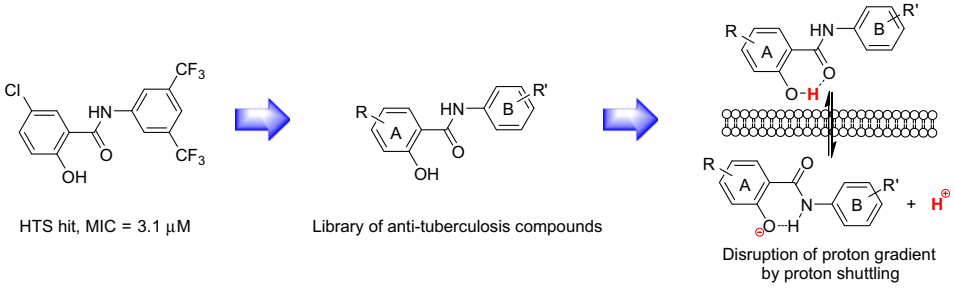
ARTICLES

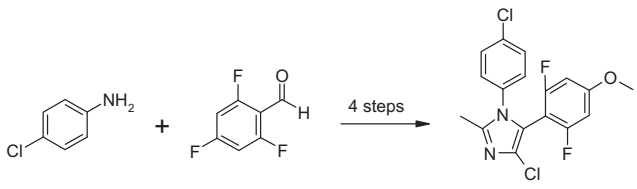




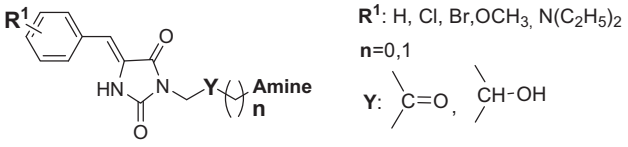
(I)

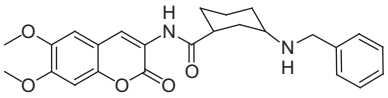
A series of functionalized 1,2-benzisothiazol-3(2*H*)-one—1,3,4-oxadiazole hybrid derivatives (I) were synthesized and shown to inhibit Dengue and West Nile virus proteases. The IC_{50} values of compound **7n** against DENV2 and WNV proteases were determined to be 3.75 ± 0.06 and 4.22 ± 0.07 μM, respectively. The kinetics data support a competitive mode of inhibition by compound **7n**. The results of docking studies corroborate some general activity trends observed in the tested compounds.



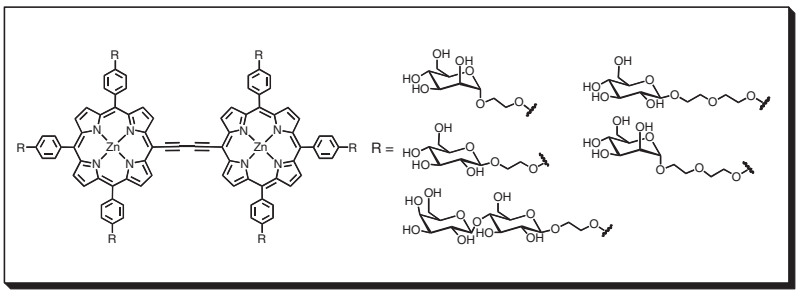


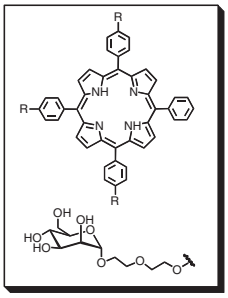
Highly active against *Botrytis cinerea* (grey mould), *Uncinula necator* (grape powdery mildew), *Mycosphaerella graminicola* (wheat leaf blotch) and *Alternaria solani* (potato and tomato early blight).

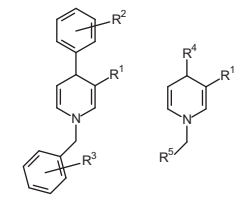




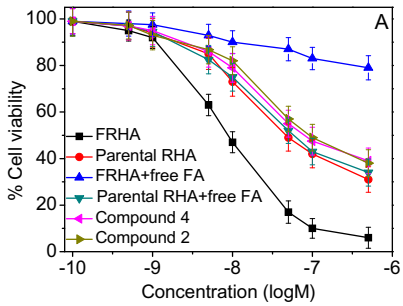
IC_{50} AChE 7.6 nM
BChE 33 μM



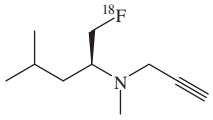




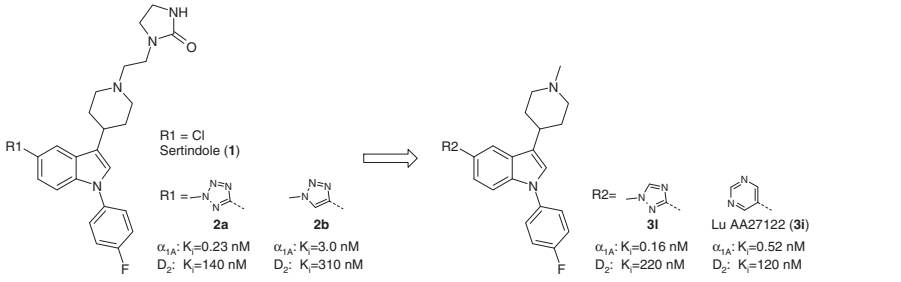
R^1 = COOMe, COOEt
R^2 = 2-OMe, 3-Cl, -Br, $-CF_3$, 4-Me, -OMe
R^3 = 2-OMe, 4-Me, -OMe
R^4 = Ph, 1-Naphthyl; R^5 = 1-Naphthyl, Ph



Viability of FR-positive KB cells after exposure to FRHA or parental RHA or the RHA derivative (compound **2** or compound **4**) with increasing concentrations in the presence or absence of 0.01 mM FA (as a competitor) at 37 °C for 48 h.

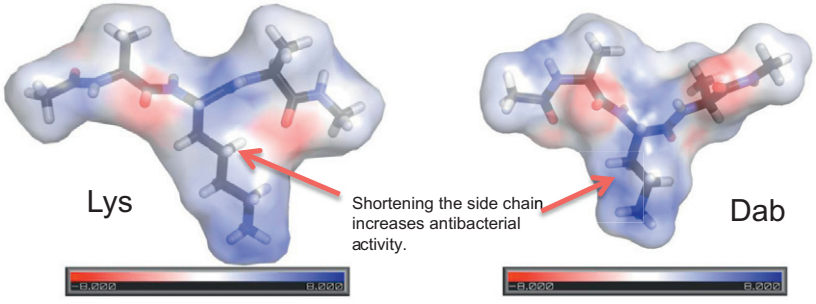


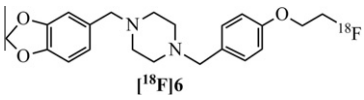
(*S*)-1-[18F]fluoro-*N*,4-dimethyl-*N*-(prop-2-ynyl)pentan-2-amine a new fluorine-18 labelled radioligands for detection of MAO-B activity by PET.



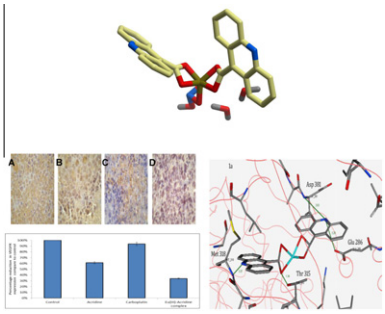
2a and **2b** show no brain exposure in rats and monkeys

3i and **3l** are predicted to show brain exposure in rats, monkeys and humans

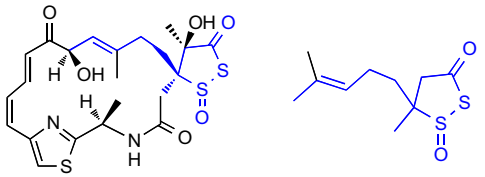




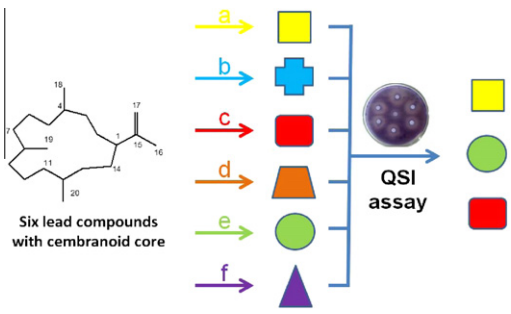
[^{18}F]6

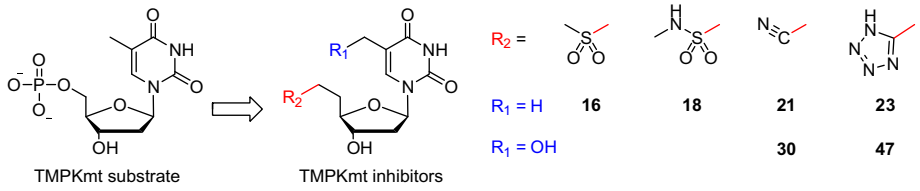


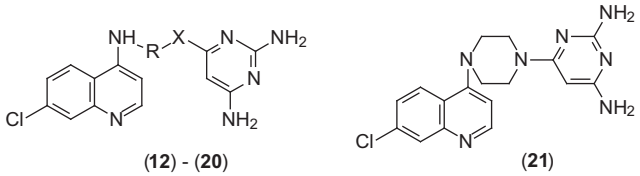
New bis(acridine-9-carboxylate)-nitro-europium(III) dihydrate complex was synthesized and characterized, the in vivo activity of the new complex showed that europium(III)-acridine-9-carboxylate complex is a promising antitumor agent with a good antiangiogenic and apoptotic activity.



A small analogue of the natural product leinamycin was prepared containing the core set of functional groups required to enable thiol-triggered generation of both redox active polysulfides and an episulfonium ion intermediate via the complex reaction cascade first seen in the natural product leinamycin.

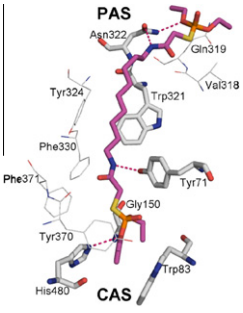




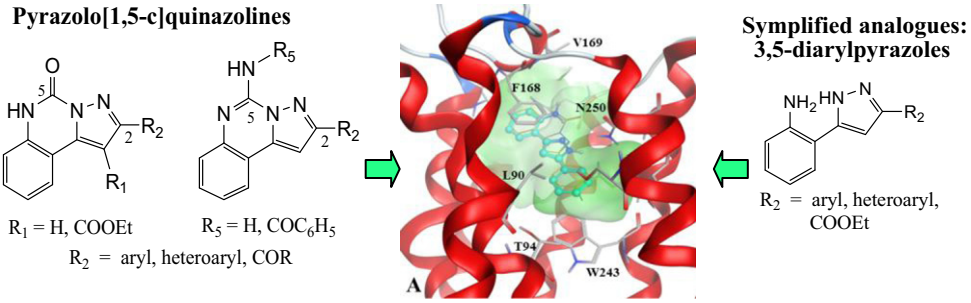


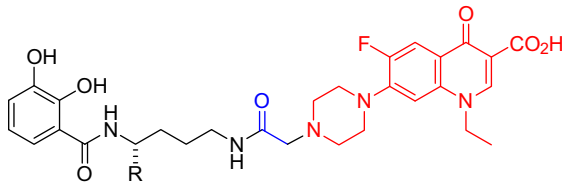
IC_{50}/D10: 0.157- 0.85 μM
IC_{50}/Dd2: 0.107- 0.58 μM

IC_{50}/D10: 0.07 μM
IC_{50}/Dd2: 0.157 μM

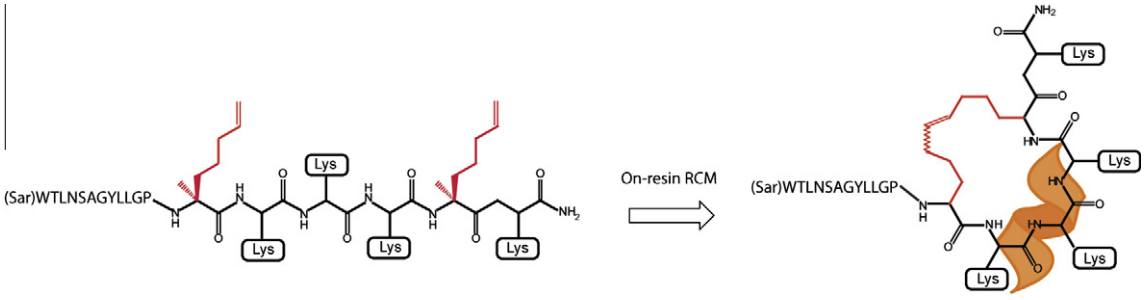


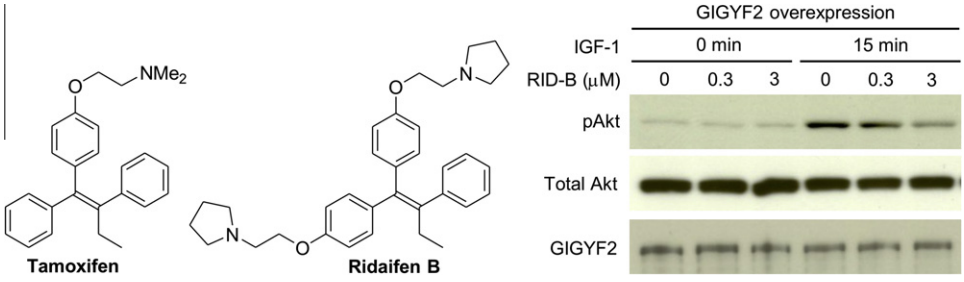
The molecular doking between **4H** and 1QO9

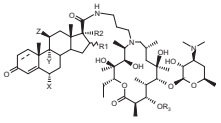




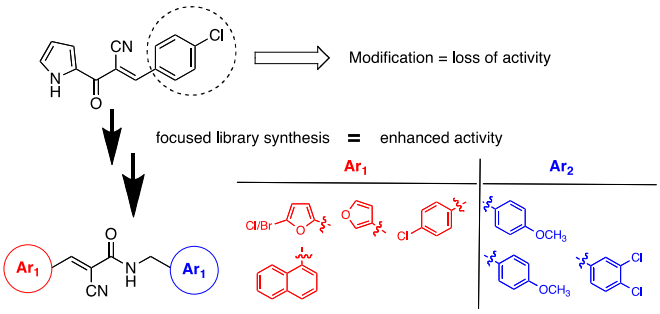
R = -H, -COOMe, -COOH, -(C=O)-L-Ser

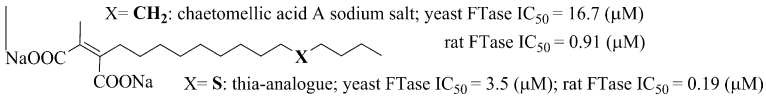


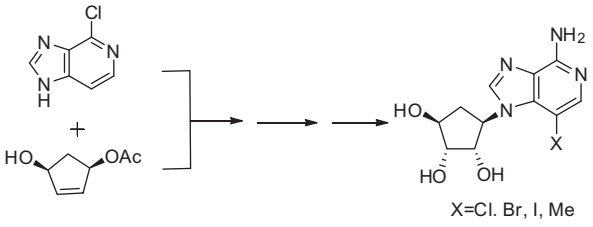


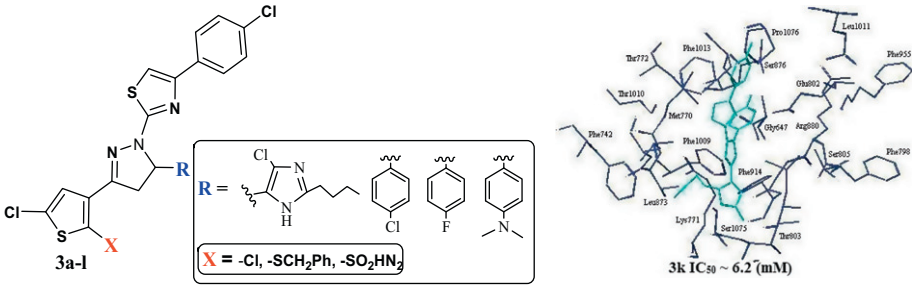


Comp.	X	Y	Z	Δ	R^1	R^2	R^3
1	H	F	OH	+	α-CH_3	OH	-
44	H	F	OH	+	α-CH_3	OH	Lclad.
45	H	F	OH	+	α-CH_3	H	Lclad.
46	F	H	OH	+	α-CH_3	OH	Lclad.
47	H	Cl	OH	+	β-CH_3	OH	Lclad.
48	F	F	OH	+	α-CH_3	OH	Lclad.
49	F	F	OH	+	α-CH_3	H	Lclad.
50	F	Cl	OH	+	α-CH_3	OH	Lclad.
51	H	H	OH	+	H	OH	Lclad.
52	H	H	OH	-	H	H	Lclad.
53	H	H	OH	-	H	OH	Lclad.
54	H	F	OH	+	α-CH_3	H	H
55	F	H	OH	+	α-CH_3	OH	H
56	F	F	OH	+	α-CH_3	OH	H
57	F	Cl	OH	+	α-CH_3	OH	H
58	H	H	OH	-	H	OH	H









*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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