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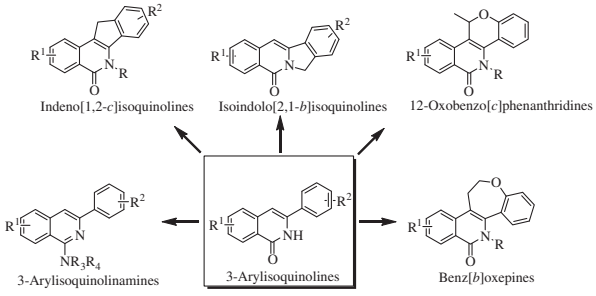
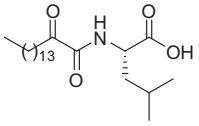
Contents

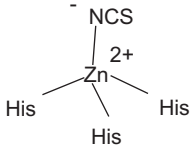
REVIEW

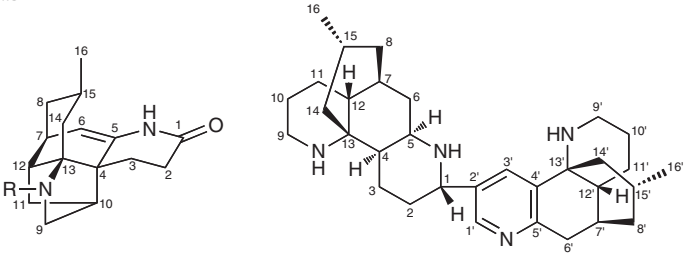


ARTICLES



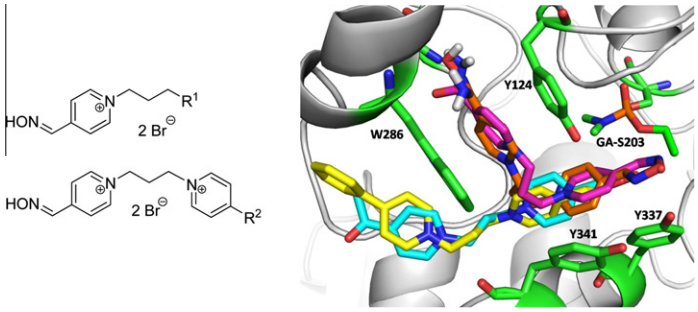
IC_{50} 300 nM and 180 nM on human and mouse GIIA $sPLA_2$s.

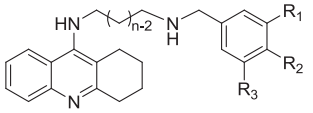




lyconadin D (**1**) : R = CH_3
lyconadin E (**2**) : R = H

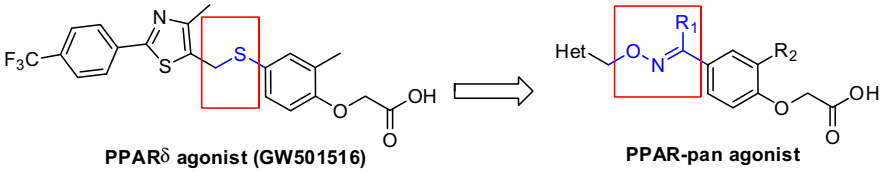
complanadine E (**3**)





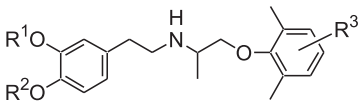
n=4~9, R_1+R_2=OCH_2O, R_3=OMe
n=6~9, R_1=R_2=R_3=OMe
n=6~9, R_1=R_2=OMe, R_3=H

Tacrine-multialkoxybenzene hybrids were synthesized as anti-Alzheimer agents with high inhibition activity for both acetylcholinesterase, butyrylcholinesterase and amyloid beta self-aggregation.



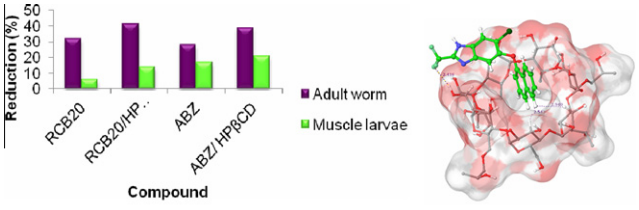
PPARδ agonist (GW501516) PPAR-pan agonist

Effect of incorporation of structurally constrained oxime–ether linker in the chemotype of a PPARδ agonist (GW-501516) towards the modulation of PPAR subtype selectivity was studied. Selected compounds **12a** and **17a** exhibited balanced PPAR-pan agonistic activity (in vitro) and showed excellent anti-hyperglycemic and anti-hyperlipidemic activities in relevant animal models.



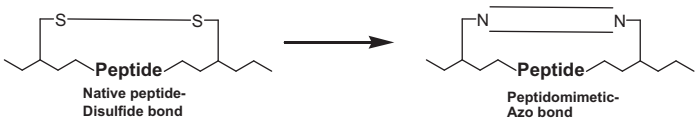
$R^1 = R^2$ = Me, H
R^3 = 4-Cl, 4-Br, 4-CN, 3-Me, 4-Me

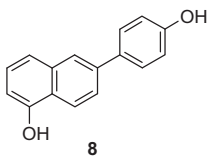
Eleven DDPH analogs were designed based on the probable metabolism pathway of DDPH. Most of the analogs exhibited potent bioactivities toward α1-adrenoceptors.



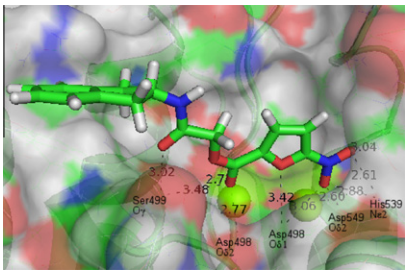
In vivo activity against *T. spiralis* **RCB20/HPβCD**

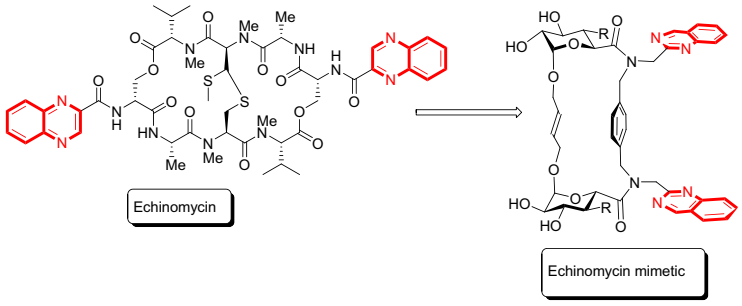
RCB20: 6-chloro-5-(1-naphthyloxy)-2-(trifluoromethyl)-1*H*-benzimidazole
HPBCD: **2**-hydroxypropyl-βcyclodextrin **ABZ**: albendazole

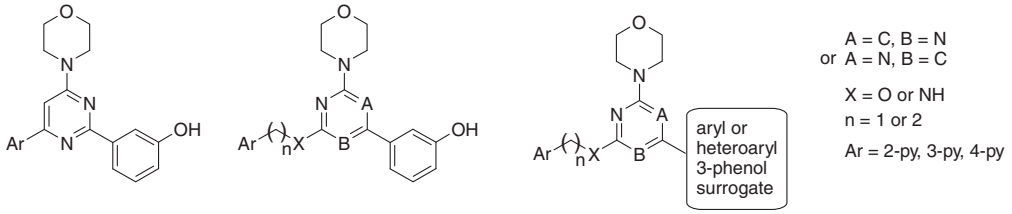




8
IC_{50} = 302 nM



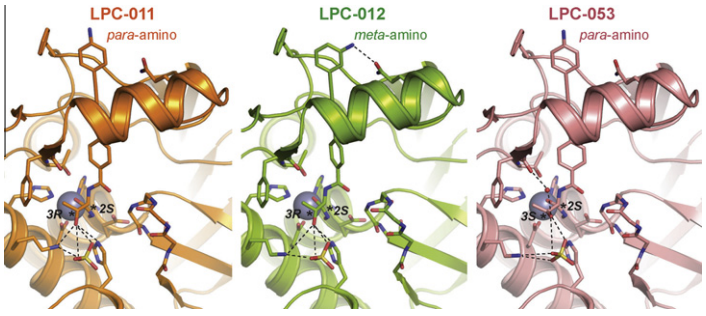


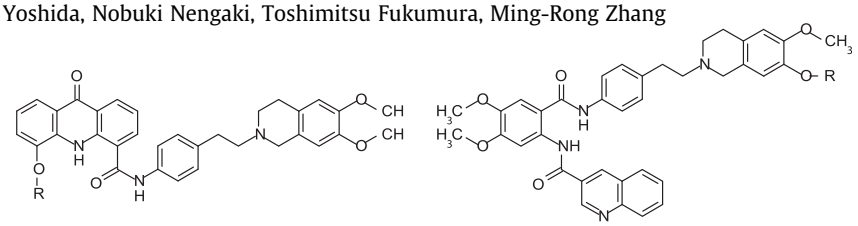


PI3K p110α
IC_{50} 0.062 – 0.687 μM

PI3K p110α
IC_{50} 0.114 – 1.029 μM

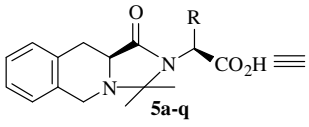
PI3K p110α
IC_{50} 0.44 – > 10 μM





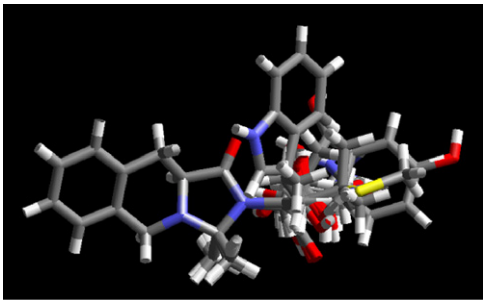
1: R = CH_3
3: R = CH_2CH_2F
[^{11}C]**1**: R = $^{11}CH_3$
[^{18}F]**3**: R = $CH_2CH_2{}^{18}F$

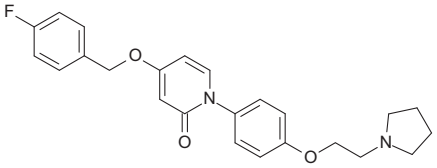
2: R = CH_3
4: R = CH_2CH_2F
[^{11}C]**2**: R = $^{11}CH_3$
[^{18}F]**4**: R = $CH_2CH_2{}^{18}F$



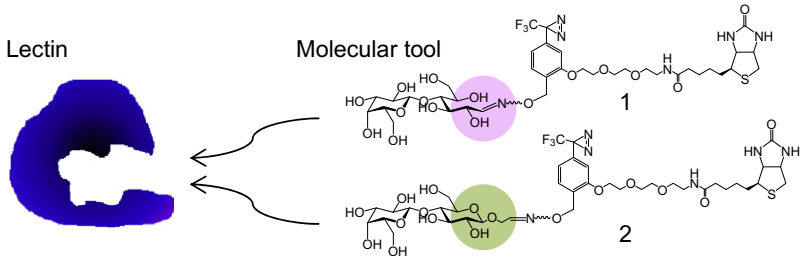
5a-q

R = Side chain of amino acids

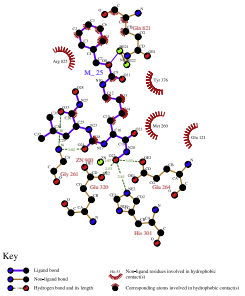


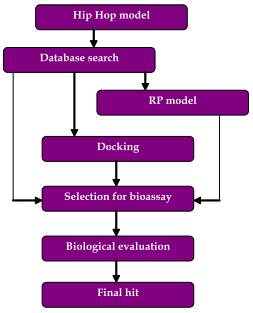


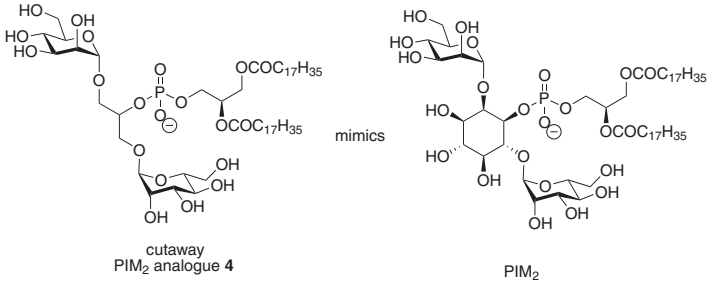
The synthesis and evaluation of a series of phenylpyridone derivatives as MCH1R antagonists are described.

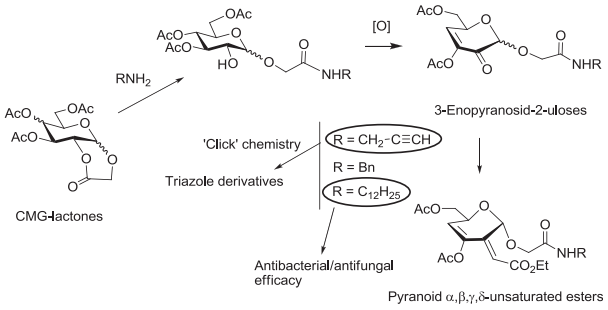


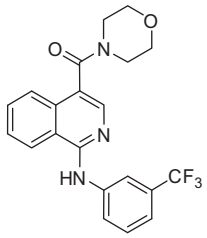
Effect on binding affinity of carbohydrate for lectin by structural difference of the reducing end sugar, open ring type 1 and close ring type 2, were examined by photoaffinity labeling.







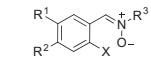




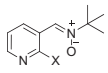
40e

CB2 -logEC $_{50}$ = 7.8

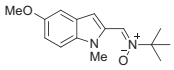
CB1 -logEC $_{50}$ = 5.0



6 R^1, R^2, X= H, R^3= Me
7 R^1, R^2, X= H, R^3= t-Bu
8 R^1, R^2, X= H, R^3= Bn
9 R^1= OH, R^2= OMe, X= Br, R^3= t-Bu
10 R^1= OH, R^2= OMe, X= Br, R^3= Bn
11 R^1, R^2= OMe, X= Br, R^3= t-Bu
12 R^1, R^2= OMe, X= Br, R^3= Bn
13 R^1= OMe, R^2= OH, X= H, R^3= Me
14 R^1= OMe, R^2= OH, X= H, R^3= Bn
15 R^1= OMe, R^2= OH, X= Br, R^3= Bn



18 X = Br
19 X = Cl



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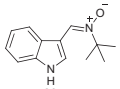

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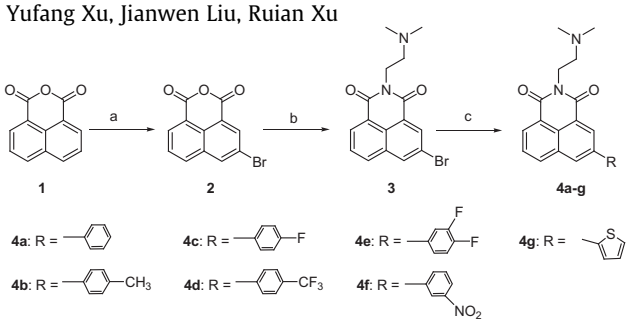
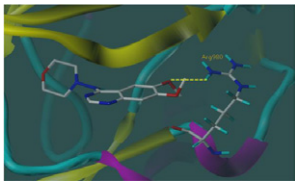
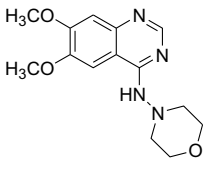
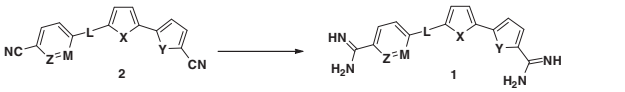


1 **2** **3** **4a-g**

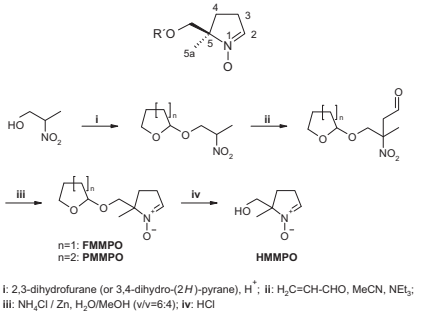
4a: R = **4b**: R = **4c**: R = **4d**: R = **4e**: R = **4f**: R = **4g**: R =





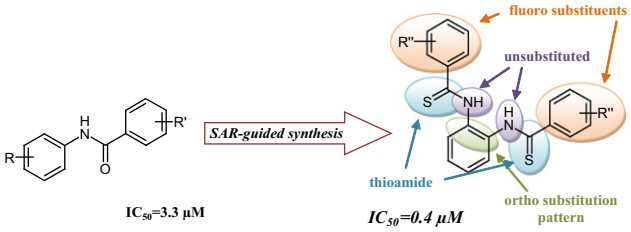


	X	Y 1	L	M	Z	Yield (%)
a	O	O	-	CH	N	65
b	S	S	-	CH	N	71
c	O	O	-	CH	CH	73
d	O	S	-	CH	CH	70
e	S	S	-	CH	CH	81
f	S	O	-	CH	CH	77
g	O	O	C≡C	CH	CH	78
h	O	O	-	N	CH	59

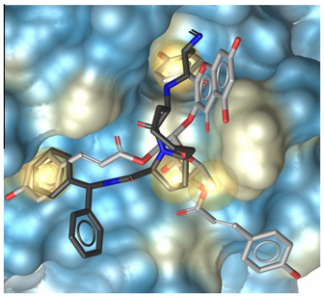


i: 2,3-dihydrofurane (or 3,4-dihydro-(2*H*)-pyrane), H^+; ii: H_2C=CH-CHO, MeCN, NEt_3;
iii: NH_4Cl / Zn, H_2O/MeOH (v/v=6:4); iv: HCl

Synthesis and spin trapping properties of 5-hydroxymethyl-5-methyl-pyrroline *N*-oxide and two derivatives are reported.



Predicted placement of compound **3** (light gray) from loquat overlaid with the Smac mimetic compound (dark gray, 2JK7) on the XIAP BIR3 protein surface coloured by hydrophobicity (LigandScout).



OTHER CONTENTS

*Corresponding author

ⓘ+ Supplementary data available via ScienceDirect

COVER

Hydrophobic contacts with the XIAP BIR3 protein surface. Blue surface colouring indicates polar areas, while yellow corresponds to hydrophobic areas. Yellow spheres located on the ligand side illustrate hydrophobic chemical feature locations as detected by LigandScout. Compound 3 carbon atoms are shown in light gray, the ones of the Smac mimetic compound (2JK7) in dark gray. [Pfisterer, P. H.; Shen, C.; Nikolovska-Coleska, Z.; Schyschka, L.; Schuster, D.; Rudy, A.; Wolber, G.; Vollmar, A. M.; Rollinger, J. M.; Stuppner, H. *Bioorg. Med. Chem.* **2011,** *19,* 1013.]

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