

Supporting Information

Efficient lead finding, activity enhancement and preliminary selectivity control of nuclear receptor ligands bearing a phenanthridinone skeleton

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Table S1. Reproducibility of reporter gene assays

Compound	LXR α ¹	LXR β ¹	AR ¹	GR ¹
6	290 \pm 81 (13) ²	130 \pm 30 (12) ²		
RU486				2.0 \pm 0.48 (10)
OHF			170 \pm 32 (11)	
7	19000 \pm 390 (4)	15000 \pm 200 (2)	17000	>20000
8	17000 \pm 930 (4)	16000 \pm 2300 (2)	11000	>20000
9	17000 \pm 1200 (4)	16000 \pm 2200 (2)	19000	19000 \pm 50 (2)
10	>20000	>20000	17000	>20000
11	>20000	>20000	9600	>20000
12	8400 \pm 370 (4)	3700 \pm 1400 (3)	1800 \pm 50 (2)	7400 \pm 500 (2)
1			100	>20000
13			500	>20000
14	14000 \pm 3600 (4)	6600 \pm 430 (3)	1700	>20000
15	12000 \pm 1300 (4)	6900 \pm 1900 (3)	5600	17000 \pm 750 (2)
16	16000 \pm 2300 (4)	10000 \pm 120 (2)	4000	>20000
17	>20000	>20000	15000	>20000
18	>20000	>20000	>20000	>20000
19	8400 \pm 2400 (2)	9200 \pm 650 (2)	1100	1300 \pm 250 (2)
20	6900 \pm 700 (2)	5500 \pm 500 (2)	2400	3900 \pm 650 (2)
21	11000 \pm 2100 (2)	12000 \pm 1100 (2)	9400	14000 \pm 1100 (2)
22	12000 \pm 3200 (2)	11000 \pm 200 (2)	1000	8200 \pm 100 (2)
23	7000 \pm 1300 (2)	2400 \pm 300 (2)	>20000	10000 \pm 400 (2)
24	4600 \pm 1300 (2)	4300 \pm 450 (2)	>20000	>20000
25	8500 \pm 610 (4)	9600	6100	>20000
26	>20000	>20000	540	760 \pm 75 (2)
27	17000 \pm 1600 (5)	18000 \pm 650 (2)	580	1700 \pm 0 (2)
28	>10000	>10000	1700	5200 \pm 200 (2)
29	3200	3200	4600	7900
30	>20000	>20000	>20000	>20000
31	8800	8900	1600	17000
32	3100 \pm 100 (2)	4600 \pm 1200 (2)	390 \pm 30 (2)	6900 \pm 300 (2)
33	3100	3100	460	9800
34	7900	6000	680	>20000
35	6400	5400	920	7000
36	12000 \pm 2900 (6)	3000 \pm 530 (3)	6400	16000 \pm 100 (2)
2	9200 \pm 3000 (7)	880 \pm 180 (7)	5200	10000 \pm 1800 (2)
37	7100 \pm 1200 (6)	4400 \pm 800 (3)	>20000	>20000
38	7000 \pm 600 (4)	5500 \pm 160 (3)	>3000	>20000
39	>20000	>20000	>20000	>20000
40	>20000	>20000	>20000	>20000
41	>20000	>20000	14000	>20000
42	>20000	20000	5400	16000
43	5300 \pm 850 (2)	>20000	59 \pm 9.5 (2)	>20000
44 ³	>20000	>20000	1700 \pm 100 (2)	>20000
3 ³	>20000	>20000	300	>20000

¹ Antagonistic activity: mean IC₅₀ value (nM) \pm SEM from 1 or *N* times independent experiments. ² Agonistic activity: mean EC₅₀

value (nM) \pm SEM from *N* times independent experiments..