

Supporting Information

(Biphenyl-4-yl)methylammonium Chlorides: Potent Anticonvulsants That Modulate Na⁺ Currents

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Supplementary Table 1. Receptor Binding Profiles for Compounds 2-11.^a

Cmpd No. ^b		2	3	4	5	6	7	8	9	10	11
Serotonergic	5-HT 1A	50.0	9.2	8.2	-0.1	24.7	28.2	50.0	50.0	18.4	3.6
	5-HT 1B	-8.2	7.9	-16.5	-15.2	-9.1	35.0	6.2	10.3	-11.4	-16.4
	5-HT 1D	16.7	3.3	-0.9	-4.3	9.9	38.5	20.1	50.0	ND	-4.4
	5-HT 1E	7.8	ND	-4.3	-4.8	-14.1	ND	ND	-6.0	-7.0	-6.0
	5-HT 2A	50.9	59.2	76.6	53.0	56.2	83.8	51.9	51.5	80.6	14.9
	5-HT 2B	42.8	34.4	70.6	16.8	33.1	49.8	67.8	31.9	40.3	-7.8
	5-HT 2C	6.4	28.0	39.9	80.8	28.7	16.3	6.1	0.6	18.8	-0.8
	5-HT 3	-1.3	-1.4	0.0	10.7	7.1	15.7	25.2	-12.6	7.5	-11.4
	5-HT 5A	32.2	66.2	62.3	22.8	77.4	64.8	48.6	51.9	73.1	70.9
	5-HT 6	12.1	9.2	5.0	34.4	25.6	44.6	35.3	42.8	31.3	25.4
5-HT 7	80.7	24.2	53.8	69.9	90.8	39.5	88.2	66.8	58.3	81.5	
Adrenergic	Alpha 1A	-8.1	1.3	-11.4	-16.5	-10.7	4.9	-7.7	-5.3	-8.8	-0.8
	Alpha 1B	-14.7	-19.4	-6.9	-17.8	-11.8	-13.1	-11.8	-16.2	-3.6	-1.4
	Alpha 1D	-19.9	-14.4	-1.1	-11.4	-14.3	18.1	-12.4	-9.5	-1.5	4.0
	Alpha 2A	53.4	57.2	56.9	56.8	ND	70.7	75.9	75.1	68.8	81.6
	Alpha 2B	50.6	59.8	46.0	22.4	-0.1	59.8	33.2	32.0	52.5	18.5
	Alpha 2C	47.8	53.1	53.3	41.8	48.0	51.4	23.5	0.2	65.5	88.4
	Beta 2	13.0	21.1	5.0	9.5	1.8	58.9	7.1	13.8	40.1	-7.0
	Beta 3	-9.1	1.5	17.7	2.2	9.1	16.7	-17.5	0.7	16.0	19.0
BZP	Rat Brain Site	-16.5	0.3	1.9	0.2	-4.6	-0.1	5.7	-0.3	-1.9	-1.9
	PBR	2.0	17.8	13.5	-3.2	1.8	21.6	10.4	32.1	11.5	-1.2
	GABA A	-18.7	-18.6	-14.2	-10.8	-15.9	-19.1	-17.6	-10.4	-2.8	ND
Dopaminergic	D1	-9.3	-4.4	3.7	0.1	-6.8	5.6	9.9	4.5	5.8	3.4
	D2	-9.2	7.9	19.7	6.1	12.6	67.9	-7.5	-15.0	16.1	-6.5
	D3	40.2	33.9	13.0	19.4	25.2	89.8	62.5	20.8	58.2	55.9
	D4	49.1	38.1	36.1	67.3	12.3	96.3	50.0	5.4	51.9	-7.4
	D5	-13.1	-10.8	-4.2	-4.1	-0.8	-7.6	0.9	9.6	0.3	3.8
Opioid	DOR	1.1	7.3	11.0	17.0	24.0	19.0	22.0	7.7	29.0	20.0
	KOR	39.6	52.0	64.3	-0.2	8.0	51.5	42.4	45.0	3.5	44.2
	MOR	-8.7	9.0	14.4	-4.1	30.0	23.2	47.7	-5.6	31.0	51.6
Sigma	Sigma 1	92.4	ND	79.8	85.1	85.4	87.9	95.6	95.7	92.7	31.2
	Sigma 2	41.9	ND	74.8	81.5	63.0	87.5	90.3	88.1	85.2	8.6
Histamine	H1	-2.8	11.8	13.7	-2.2	10.6	74.6	10.1	4.0	19.2	-13.0
	H2	-5.7	-16.3	-13.9	ND	ND	8.8	28.5	-3.9	-16.2	ND
	H3	29.1	25.6	33.2	-2.7	-5.0	15.9	-12.8	-6.2	-5.1	3.8
Muscarinic	M1	-1.2	50.0	50.0	27.4	52.6	0.8	-8.4	-14.0	12.1	63.6
	M2	-7.2	-2.7	4.6	17.3	25.8	-6.8	-9.5	-8.3	3.2	20.6
	M3	-16.5	2.9	-1.6	2.5	-4.3	-12.8	-15.9	-20.3	4.1	-21.5
	M4	-0.1	-6.2	-7.1	-0.8	-5.8	-2.6	-7.2	-1.0	-6.3	-5.2
	M5	-1.1	0.8	5.8	2.8	7.4	1.7	-3.6	ND	12.4	3.9
Transporter	DAT	63.4	74.0	40.0	66.3	51.9	53.9	75.1	58.4	73.2	8.2
	NET	87.3	86.6	74.4	76.5	83.5	72.5	85.0	84.9	96.8	17.5
	SERT	79.0	99.2	ND	96.1	95.0	90.1	101.7	80.3	102.8	-0.4

^a Data represent mean % inhibition (N = 4 determinations) for compound tested at receptor subtypes. Significant inhibition is considered > 50%. In cases where negative inhibition (-) is seen, this represents a stimulation of binding. Occasionally, compounds at high concentrations will non-specifically increase binding. The default concentration for primary binding experiments is 10 μ M. ^b Compound number. ND; not determined.