

Suppl. Table 1. Commonalities and differences in the receptor profiles of the three approved partial dopamine agonist antipsychotic drugs.

	Aripiprazole		Brexpiprazole		Cariprazine	
Site	K _D /K _i (nM)	Action	K _D /K _i (nM)	Action	K _D /K _i (nM)	Action
SERT	98–1,080	Inhibitor	65% at 10 μM	Inhibitor		
NET	2,090	Inhibitor	0% at 10 μM	Inhibitor		
DAT	3,220	Inhibitor	90% at 10 μM	Inhibitor		
5-HT _{1A}	1.7–5.6	Partial agonist	0.12	Partial agonist	2.6	Partial agonist
5-HT _{1B}	830	ND	32	ND		
5-HT _{1D}	68	ND	0.47	Antagonist		
5-HT _{1E}	8,000	ND	1.9	Antagonist		
5-HT _{2A}	3.4–35	Antagonist	12–34	Antagonist	18.8	Antagonist
5-HT _{2B}	0.11–0.36	Inverse agonist	140	ND	0.58	Antagonist
5-HT _{2C}	15–180	Partial agonist	58	Antagonist	134	Inverse agonist
5-HT ₃	628	ND	3.7	Antagonist		
5-HT _{5A}	1,240	ND	0.12	Partial agonist		
5-HT ₆	214–786	Antagonist	32	ND		
5-HT ₇	9.6–39	Antagonist	0.47	Antagonist	84.1	Antagonist
α _{1A}	25.9	ND	3.8	Antagonist	155	Antagonist
α _{1B}	34.4	ND	0.17	Antagonist		
α _{1D}			2.6	Antagonist		
α _{2A}	74.3	ND	15	Antagonist		
α _{2B}	102	ND	17	Antagonist		
α _{2C}	37.9	ND	0.59	Antagonist		
β ₁	141	ND	59	Antagonist		
β ₂	163	ND	67	Antagonist		
β ₃			>10,000	ND		
D ₁	265–1,170	ND	160	ND		
D ₂	1.4	Partial agonist	0.35	Partial agonist		
D _{2L}	0.74–1.2	Partial agonist	0.30	Partial agonist	0.49	Partial agonist
D _{2S}	1.2	Partial agonist			0.69	Partial agonist
D ₃	0.8–9.7	Partial agonist	1.1	Partial agonist	0.085	Partial agonist
D ₄	44–514	Partial agonist	6.3	ND		
D ₅	95–2,590	ND	ND	ND		
H ₁	27.9–61	ND	19	Antagonist	23.2	Antagonist
H ₂	>10,000	ND	>10,000	ND		
H ₃	224	ND	>10,000	ND		
H ₄	>10,000	ND				
mACh			52% at 10 μM	ND	>1,000	Antagonist
M ₁	6,780	ND	67% at 10 μM	ND		
M ₂	3,510	ND	>10,000	ND		
M ₃	4,680	ND				
M ₄	1,520	ND				
M ₅	2,330	ND				
NMDA	4,001	Antagonist				
σ			96% at 10 μM	ND		

Note. The higher the K_i values, the lower the binding affinity; % potency of receptor occupancy at 10 micromolar concentrations increases as values increase. Blank boxes, not tested. Abbreviations. D, dopamine receptors; DAT, dopamine transporter; H, histamine receptors; K_i, dissociation constant, inhibitory; M, muscarinic cholinergic receptors; NAT, noradrenaline transporter; ND, not determined; nM, nanomoles; NMDA, N-methyl-D-aspartate glutamate receptors; SERT, serotonin transporter; 5-HT, serotonin receptors; α, alpha adrenoceptors; β, beta-adrenoceptors; μM, micromoles; σ, sigma chaperone intracellular receptors (1 and 2 subtypes). Based on Shapiro et al., 2003 [49] for aripiprazole; Maeda et al., 2014 [47,48] for brexpiprazole; Kiss et al., 2010 [50] and Herman et al., 2018 [51] for cariprazine.