The affinity and selectivity of α-adrenoceptor antagonists, antidepressants and antipsychotics for the human α2A, α2B and α2C-adrenoceptors and comparison with human α1 and β-adrenoceptors.

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Supplementary data

Supplementary Data Table 1 – log K_D values arranged in alphabetical order of ligands
Supplementary Data Table 2 – log KD values arranged in order of α2A-adrenoceptor affinity

Supplementary Data Table 1 – alphabetical order

Alphabetical order for log K_D values of α-antagonists obtained from ^{3}H-rauwolscine whole cell binding to the human α2A, α2B and α2C-adrenoceptors, and from ^{3}H-CGP12177 whole cell binding to human β1 and β2-adrneoceptors stably expressed in CHO cells. Ligand suppliers and their catalogue numbers are also given. Values represent mean ± s.e.mean of n separate experiments.

			^{3}H-rauwolscine whole cell binding							^{3}H-CGP12177 whole cell binding				
ligand	supplier	Catalogue number	Log K_D α2A	n	Log K_D α2B	n	Log K_D α2C	n		Log K_D β1	n		Log K_D β2	n
A80426	Tocris	2341	-7.24 ± 0.08	6	-6.52 ± 0.06	6	-7.46 ± 0.07	6		-6.03 ± 0.05	6		-5.88 ± 0.04	6
AH11110A	Sigma	A3477	-4.70 ± 0.04^{app}	5	IC_{50}>-4	5	-4.86 ± 0.03^{app}	5		-6.23 ± 0.07	6		-6.36 ± 0.07	6
Alfuzosin	Sellakchem	S1409	-5.56 ± 0.04	5	-4.62 ± 0.05	5	-6.14 ± 0.04	5		No binding to -4	5		-4.18 ± 0.09^{app}	5
amisulpiride	Sellakchem	S1280	-5.11 ± 0.09^{app}	5	-4.69 ± 0.13^{app}	5	-5.57 ± 0.07	5		No binding to -4	10		No binding to -4	10
amitriptyline	Sigma	A8404	-5.86 ± 0.05^{app}	5	-7.12 ± 0.05	5	-6.67 ± 0.09	5		IC_{50}>-4	9		IC_{50}>-4	9
anisodamine	Sigma	SML0252	IC_{50}>-3	5	IC_{50}>-3	5	-3.56 ± 0.07^{app}	5		no binding to -3	9		no binding to -3	9
ARC 239	Sigma	A5736	-5.99 ± 0.06	5	-7.32 ± 0.14	6	-7.25 ± 0.14	5		IC_{50}>-5	6		IC_{50}>-5	5
aripirazole	Tocris	5584	-6.68 ± 0.08	5	-6.54 ± 0.08	6	-7.23 ± 0.14	5		-6.15 ± 0.04	6		-6.68 ± 0.08	6
atipamezole	Sigma	A9611	-8.50 ± 0.08	5	-7.85 ± 0.04	5	-8.48 ± 0.09	5		No binding to -4.5	5		No binding to -4.5	5
benoxathian	Sigma	B016	-7.17 ± 0.02	5	-5.96 ± 0.06	5	-7.75 ± 0.03	5		-4.55 ± 0.03^{app}	5		-5.08 ± 0.06	5
BRL44408	Sigma	B4559	-7.19 ± 0.04	7	-5.41 ± 0.04	7	-6.22 ± 0.07	7		No binding to -3	5		No binding to -3	5
bucindolol	Tocris	2658	-5.81 ± 0.05	5	-5.63 ± 0.06	5	-5.95 ± 0.04	5		-9.31#			-9.99#	
BMY7378	Sellakchem	S2691	-5.30 ± 0.03	5	-4.98 ± 0.09^{app}	5	-6.26 ± 0.01	5		IC_{50}>-4	9		IC_{50}>-4	9
carazolol	Sigma	53787	-4.66 ± 0.06^{app}	6	IC_{50}>-4	6	-4.66 ± 0.05^{app}	6		-9.69#			-10.49#	
carvedilol	Tocris	2685	-6.54 ± 0.02	5	-6.31 ± 0.02	5	-7.32 ± 0.05	5		-9.20 ± 0.05	8		-9.98 ± 0.06	8
CGP12177	Sigma	C125	IC_{50}>-3	5	No bind to -3	5	IC_{50}>-3	5		-9.21*			-9.39*	
CGP20712A	Tocris	1024	IC_{50}>-4	5	IC_{50}>-4	5	-5.17 ± 0.03	5		-8.87 ± 0.13	9		-5.74 ± 0.03	10
chlorpromazine	Sigma	C8138	-5.65 ± 0.13^{app}	6	-6.60 ± 0.12	6	-5.93 ± 0.11	6		IC_{50}>-5	5		IC_{50}>-5	5
citalopram	Tocris	1427	IC_{50}>-4	5	IC_{50}>-4	5	IC_{50}>-4	5		No binding to -4	9		No binding to -4	9
clomipramine	Sigma	C7291	-5.71 ± 0.07^{app}	5	-6.10 ± 0.13	5	-5.80 ± 0.02^{app}	5		IC_{50}>-5	7		IC_{50}>-5	7
clozapine	Sigma	16305	-5.86 ± 0.08^{app}	5	-6.20 ± 0.05	5	-6.87 ± 0.08	5		IC_{50}>-5	5		IC_{50}>-5	5
S-cyanopindolol	Tocris	0993	-5.56 ± 0.10	5	-4.82 ± 0.10^{app}	5	-6.15 ± 0.07	5		-10.39#			-11.09#	
cyclazosin	Sigma	C247	-5.00 ± 0.03	5	-5.35 ± 0.13	5	-6.18 ± 0.02	5		No binding to -4	6		-5.30 ± 0.04	6
desipramine	Sigma	D3900	-5.04 ± 0.06	5	-5.78 ± 0.04	5	-5.52 ± 0.03	5		IC_{50}>-4	5		-4.93 ± 0.03^{app}	5
dibenamine	Sigma	291366	-5.80 ± 0.06	10	-6.43 ± 0.06 -4.64 ± 0.07 60.9 ± 3.4% site 1	10	-6.18 ± 0.05	10		-4.60 ± 0.06^{app}	5		-4.94 ± 0.10^{app}	5
domperidone	Sigma	D122	-5.09 ± 0.06^{app}	6	-5.29 ± 0.07	6	-5.78 ± 0.08	6		IC_{50}>-4	5		IC_{50}>-4	5
dosulepin	EPRS	D2962000	-5.16 ± 0.06	5	-6.20 ± 0.06	5	-5.63 ± 0.11	5		IC_{50}>-4	5		IC_{50}>-4	5
doxepin	Tocris	0508	-5.69 ± 0.12	5	-6.67 ± 0.05	5	-6.04 ± 0.07	5		IC_{50}>-4	5		IC_{50}>-4	5
doxazosin	Sigma	D985	-5.35 ± 0.04	6	-4.74 ± 0.07^{app}	6	-6.24 ± 0.02	6		-4.72 ± 0.06^{app}	5		-5.57 ± 0.01	6

duloxetine	sigma	PHR1865	-5.43 ± 0.06	5	-5.31 ± 0.09	5	-5.67 ± 0.06	5		IC_{50}>-4.5	5		-6.07 ± 0.06	11
eforaxan	Tocris	0792	-7.58 ± 0.05	5	-6.88 ± 0.07	5	-7.44 ± 0.04	5		no binding to -3	5		no binding to -3	5
fluoxetine	Sellakchem	S1333	-4.70 ± 0.10^{app}	5	-4.99 ± 0.03	5	-4.79 ± 0.07^{app}	5		IC_{50}>-4	10		IC_{50}>-4	10
flupenthixol	Tocris	4057	-6.10 ± 0.12	5	-6.28 ± 0.13	5	-6.88 ± 0.14	5		IC_{50}>-5	10		IC_{50}>-5	10
fluvoxamine	Sellakchem	S1336	-4.81 ± 0.04^{app}	6	-4.37 ± 0.08app	5	-4.82 ± 0.07^{app}	6		IC_{50}>-4	10		IC_{50}>-4	10
Haloperidol	Sigma	H1512	-5.38 ± 0.06	5	-5.53 ± 0.10	5	-5.77 ± 0.05	5		IC_{50}>-4	5		-4.94 ± 0.04^{app}	5
HEAT	Tocris	0535	-7.45 ± 0.04	5	-7.72 ± 0.11	5	-8.05 ± 0.19	5		IC_{50} ~-4.5	5		IC_{50}>-4	5
ICI 118551	Sigma	I127	-5.03 ± 0.03	5	IC_{50}>-4	5	-5.05 ± 0.04	5		-6.61 ± 0.05	11		-9.41 ± 0.09	10
idazoxan	Sigma	I6138	-7.17 ± 0.04	5	-6.39 ± 0.05	5	-7.16 ± 0.03	5		IC_{50}>-3	5		IC_{50}>-3	5
ifenprodil	Sellakchem	S4091	-6.01 ± 0.05	5	-6.14 ± 0.06	5	-6.80 ± 0.05	5		IC_{50}>-5	5		IC_{50}>-5	5
imipramine	Sigma	I0899	-5.25 ± 0.04	5	-6.36 ± 0.08	5	-5.89 ± 0.03	5		IC_{50}>-4	5		IC_{50}>-4	5
imiloxan	Sigma	I9531	-5.88 ± 0.03	6	-6.48 ± 0.05	6	-6.27 ± 0.03	6		IC_{50}~-3	5		no binding -3	5
indoramin	Sigma	I2909	-5.13 ± 0.03^{app}	6	-5.46 ± 0.05	6	-5.80 ± 0.05	6		-4.73 ± 0.10^{app}	5		-5.27 ± 0.11^{app}	5
JP1302	Tocris	2666	-5.29 ± 0.04	5	-5.11 ± 0.05	5	-6.92 ± 0.13	5		IC_{50}>-4	5		-5.58 ± 0.08	5
labetolol	Sigma	L1011	-4.62 ± 0.07^{app}	5	-4.71 ± 0.08^{app}	5	-5.27 ± 0.04	5		-7.97 ± 0.04	6		-8.21 ± 0.06	6
lisuride	Tocris	4052	-8.99 ± 0.05	5	-8.52 ± 0.05	5	-9.27 ± 0.05	5		-6.03 ± 0.06	5		-7.48 ± 0.04	5
lurasidone	Sellakchem	S3044	-6.67 ± 0.05	5	-7.36 ± 0.06	5	-7.34 ± 0.03	5		IC_{50}>-5	10		IC_{50}>-5	10
lofepramine	Tocris	2545	-4.86 ± 0.04^{app}	5	-5.60 ± 0.08	5	-5.28 ± 0.06	5		IC_{50}>-4	5		IC_{50}>-4	5
5-methyl-urapidil	Sigma	H101	-5.18 ± 0.05	5	-5.17 ± 0.05	5	-5.81 ± 0.07	5		-6.12 ± 0.04	5		-5.00 ± 0.07	5
MK-912	Sigma	M7065	-8.71 ± 0.05	8	-8.16 ± 0.10	8	-9.82 ± 0.11	9		IC_{50}>-4	6		IC_{50}>-4	6
mirtazepine	Tocris	2018	-6.80 ± 0.05	5	-6.09 ± 0.06	5	-6.96 ± 0.03	5		No binding to -4	5		No binding to -4	5
2-MPMDQ	Tocris	0661	-6.79 ± 0.04	5	-5.94 ± 0.09	5	-7.50 ± 0.02	5		IC_{50}>-5	6		IC_{50}>-5	6
3-MPPI	Tocris	0581	-6.67 ± 0.05^{ep}	5	IC_{50}>-4	5	-7.01 ± 0.03^{ep}	5		No binding to -4	5		IC_{50}>-4	5
naftapidil	Tocris	0597	-6.55 ± 0.09	5	-6.60 ± 0.07	5	-7.17 ± 0.08	5		-5.97 ± 0.07	6		-7.45 ± 0.06	6
2-niguldipine	Tocris	1123	IC_{50}>-5	5	-5.48 ± 0.11	5	-6.07 ± 0.11	5		IC_{50}>-4	5		IC_{50}>-4	5
Norclomipramine	sigma	N1280	-5.29 ± 0.09^{app}	6	-5.74 ± 0.04^{app}	6	-5.80 ± 0.07^{app}	6		IC_{50}>-4.5	10		IC_{50}>-4.5	10
nortriptyline	Sigma	N7261	-5.65 ± 0.05	5	-6.38 ± 0.02	5	-6.19 ± 0.08	5		-4.64 ± 0.13	5		-5.40 ± 0.08	5
olanzapine	Sigma	O1141	-5.59 ± 0.05	5	-5.47 ± 0.06	5	-5.86 ± 0.02	5		IC_{50}>-3	5		$IC_{50\sim}$>-4	5
2-PMDQ	Tocris	0627	-6.83 ± 0.05	5	-6.14 ± 0.08	5	-7.07 ± 0.02	5		No binding to -4	5		IC_{50}>-4	5
paliperidone	Sellakchem	S1724	-7.12 ± 0.04	5	-7.26 ± 0.05	5	-7.84 ± 0.03	5		IC_{50}>-4.5	10		IC_{50}>-4.5	10
paroxetine	Sellakcham	S3005	IC_{50}>-5	5	IC_{50}>-5	5	IC_{50}>-5	5		IC_{50}>-4.5	10		IC_{50}>-4.5	10
perphenazine	Sellakchem	S4731	-6.00 ± 0.06	6	-7.16 ± 0.05	6	-6.83 ± 0.04	5		IC_{50}>-5	10		IC_{50}>-5	10
PF3774076			-5.59 ± 0.04	6	IC_{50}>-4	6	-5.29 ± 0.09	6		No binding to -4	5		No binding to -4	5
phenoxybenzamine	Sigma	B019	-5.72 ± 0.10	10	-6.44 ± 0.11 -4.89 ± 0.08 51.4 ± 3.3% site 1	10	-6.41 ± 0.11 -4.71 ± 0.13 74.1 ± 4.1% site 1	10		-4.36 ± 0.10^{app}	5		-5.17 ± 0.13^{app}	5
phentolamine	Sigma	P7547	-7.26 ± 0.03	5	-6.69 ± 0.05	5	-6.92 ± 0.04	5		IC_{50}>-4	6		IC_{50}>-4	6
pimozide	Tocris	0937	-5.76 ± 0.12^{ep}	5	-6.30 ± 0.10	5	-6.84 ± 0.05	5		IC_{50}>-4	10		-5.75 ± 0.06	10
prazosin	Tocris	0623	-5.33 ± 0.05	6	-6.17 ± 0.05	6	-6.59 ± 0.04	6		No binding to -4	6		-5.10 ± 0.10^{app}	5
prochlorperazine	Sigma	P9178	-5.78 ± 0.02^{app}	6	-6.46 ± 0.11	6	-6.31 ± 0.09	6		IC_{50}>-5	10		IC_{50}>-5	10
promethazine	Sigma	P4651	-5.58 ± 0.07	5	-6.25 ± 0.06	5	-5.54 ± 0.05	5		IC_{50}>-4	10		IC_{50}>-4	10

propranolol	Sigma	P0884	-4.85 ± 0.02	5	IC_{50}>-4	5	-4.71 ± 0.06	5		-8.16*			-9.08*	
protriptyline	Sigma	P8813	-5.00 ± 0.05	5	-5.39 ± 0.13	5	-5.26 ± 0.07	5		IC_{50}>-4	5		IC_{50}>-4	5
quetiapine	Sellakchem	S1763	-5.81 ± 0.08	5	-6.72 ± 0.08	5	-6.66 ± 0.03	5		IC_{50}>-4	10		IC_{50}>-4	10
Rec15-2615	Tocris	3284	-5.53 ± 0.12[app]	6	IC50>-4.5	6	-6.56 ± 0.13	6		IC_{50}>-4	5		IC_{50}>-4	5
reboxetine	Sellakchem	S3199	IC_{50}>-4	5	IC_{50}>-4	5	-4.56 ± 0.07[app]	4		IC_{50}>-4	10		-5.26 ± 0.06	10
risperidone	Sigma	R3030	-7.30 ± 0.09	5	-7.47 ± 0.08	5	-8.04 ± 0.03	5		No binding to -4	5		IC_{50}>-4	5
RS100329	Tocris	1352	-7.00 ± 0.03	5	-6.47 ± 0.04	5	-7.82 ± 0.03	5		IC_{50}>-3	5		-4.77 ± 0.07	5
RS17053	Tocris	0985	-6.20 ± 0.11	5	-5.65 ± 0.07	5	-6.35 ± 0.08	5		-5.44 ± 0.04	6		-6.42 ± 0.06	6
RS79948	Tocris	0987	-8.93 ± 0.03	5	-8.57 ± 0.03	5	-9.36 ± 0.04	5		-3.84 ± 0.05	5		IC_{50}>-3	5
RX 821002	Sigma	R9525	-8.10 ± 0.07	5	-7.45 ± 0.06	5	-8.14 ± 0.02	5		-4.55 ± 0.05	5		-3.95 ± 0.11[app]	5
S32212	Tocris	4508	-6.62 ± 0.13	8	-7.80 ± 0.10	8	-7.18 ± 0.10	8		IC_{50}>-5	5		IC_{50}>-5	5
SDZ21009	Tocris	1516	-4.86 ± 0.07[app]	6	IC_{50}>-4	6	IC_{50}>-4.5	6		-8.94#			-10.28#	
sertindole	Sigma	S8072	-5.95 ± 0.06	5	-5.81 ± 0.07	5	-6.17 ± 0.03	5		IC_{50}>-5	5		IC_{50}>-5	5
sertraline	Sellakchem	S4053	-5.67 ± 0.07[app]	6	-5.62 ± 0.11[app]	6	-5.64 ± 0.05[app]	6		IC_{50}>-5	10		IC_{50}>-5	10
silodosin	Sellakchem	S1613	-5.49 ± 0.06[app]	6	IC_{50}>-5	6	-6.12 ± 0.06[app]	6		IC_{50}>-5	6		-7.52 ± 0.10	6
SKF86466	Tocris	3866	-6.29 ± 0.05	5	-6.17 ± 0.047	5	-6.39 ± 0.04	5		-5.92 ± 0.08	6		-6.60 ± 0.07	6
SNAP5089	Tocris	2398	IC_{50}>-5	5	IC_{50}>-5	5	-5.65 ± 0.06	5		IC_{50}>-5	5		IC_{50}>-5	5
spiroxatrine	Tocris	0631	-6.97 ± 0.03	6	-7.87 ± 0.07	6	-8.74 ± 0.04	6		IC_{50}>-4.5	5		IC_{50}>-4.5	5
sulpiride	Sigma	S8010	-4.50 ± 0.02	5	-4.37 ± 0.06	5	-4.67 ± 0.07	5		IC_{50}>-3	10		IC_{50}>-3	10
sunepitron	Sigma	PZ0279	-7.28 ± 0.04	6	-6.65 ± 0.08	6	-8.11 ± 0.04	6		IC_{50}>-3	5		IC_{50}>-3	5
tamsulosin	Sigma	T1330	-6.33 ± 0.04	5	-5.31 ± 0.04	5	-6.41 ± 0.03	5		-6.26 ± 0.06	5		-6.08 ± 0.05	5
terazosin	Tocris	1506	-5.18 ± 0.03	5	-6.08 ± 0.05	5	-6.27 ± 0.08	5		No binding to -4	5		No binding to -4	5
trazodone	Sigma	T6154	-6.17 ± 0.08	5	-5.96 ± 0.07	5	-6.69 ± 0.04	5		IC_{50}>-4	10		-5.14 ± 0.05	10
trifluoperazine	Sigma	T8516	-5.60 ± 0.05	5	-6.22 ± 0.12	5	-6.20 ± 0.06	5		IC_{50}>-5	10		IC_{50}>-5	10
trimipramine	Sigma	T3146	-5.67 ± 0.03	5	-6.22 ± 0.05	5	-6.37 ± 0.03	5		IC_{50}>-4	5		IC_{50}>-4	5
urapidil	Tocris	1772	-5.49 ± 0.05	5	-5.78 ± 0.08	5	-6.34 ± 0.05	5		-5.32 ± 0.06	5		-5.00 ± 0.02	5
venlafaxime	Sellakchem	S1441	-3.46 ± 0.03[app]	5	IC_{50}>-3	5	-3.74 ± 0.11[app]	5		-3.80 ± 0.11app	5		-4.13 ± 0.13app	5
vortioxetine	Sellakchem	S8021	-5.63 ± 0.06[app]	5	-5.32 ± 0.04[app]	6	-5.84 ± 0.05	6		-6.37 ± 0.03	11		-6.75 ± 0.04	11
WB4104	Tocris	0946	-7.55 ± 0.05	6	-6.77 ± 0.05	6	-8.17 ± 0.05	6		IC_{50}>-4	5		IC_{50}>-4	5
yohimbine	Sigma	Y3125	-8.48 ± 0.07	5	-7.66 ± 0.10	5	-8.52 ± 0.05	5		No binding to -4	5		No binding to -4	5
ziprasidone	Sellakchem	S1444	-6.36 ± 0.11	5	-6.59 ± 0.08	5	-6.77 ± 0.08	5		No binding to -4	5		No binding to -4	5

[app] = apparent affinity. The maximum concentration of competing ligand inhibited most but not all of specific binding. An IC_{50} was determined by extrapolating the curve assuming that all specific binding would be inhibited if a higher concentration of competing ligand were possible. Thus an apparent K_D was calculated.

[ep] = early plateau, the competing ligand did not fully inhibit specific binding and the inhibition curve reached a plateau of maximal inhibition of binding. The specific binding inhibited by 3-MPPI was 75.6 ± 0.9% at α2A and 87.1 ± 1.5% at α2C and for pimozide was 79.1 ± 6.0% at α2A.

#from [29]
*from [28]

Supplementary Data Table 2 – in order of α2A-adrenoceptor affinity

Affinity (log K_D values) of α-antagonists obtained from ^{3}H-rauwolscine whole cell binding to the human α2A, α2B and α2C-adrenoceptors stably expressed in CHO cells. Ligands are arranged in order of α2A-adrenoceptor affinity. Values represent mean ± s.e.mean of n separate experiments. Selectivity ratios are also given where a ratio of 1 demonstrates no selectivity for a given receptor subtype over another.

	Log K_D values determined from ^{3}H-rauwolscine whole cell binding							Selectivity ratios					
ligand	Log K_D α2A	n	Log K_D α2B	n	Log K_D α2C	n		α2A vs α2B		α2A vs α2C		α2B vs α2C	
lisuride	-8.99 ± 0.05	5	-8.52 ± 0.05	5	-9.27 ± 0.05	5		3.0			1.9		5.6
RS79948	-8.93 ± 0.03	5	-8.57 ± 0.03	5	-9.36 ± 0.04	5		2.3			2.7		6.2
MK-912	-8.71 ± 0.05	8	-8.16 ± 0.10	7	-9.82 ± 0.11	9		3.6			12.9		45.7
atipamezole	-8.50 ± 0.08	5	-7.85 ± 0.04	5	-8.48 ± 0.09	5		4.5		1.0			4.3
yohimbine	-8.48 ± 0.07	5	-7.66 ± 0.10	5	-8.52 ± 0.05	5		6.6			1.1		7.2
RX 821002	-8.10 ± 0.07	5	-7.45 ± 0.06	5	-8.14 ± 0.02	5		4.5			1.1		4.9
eforaxan	-7.58 ± 0.05	5	-6.88 ± 0.07	5	-7.44 ± 0.04	5		5.0		1.4			3.6
WB4104	-7.55 ± 0.05	6	-6.77 ± 0.05	6	-8.17 ± 0.05	6		6.0			4.2		25.1
HEAT	-7.45 ± 0.04	5	-7.72 ± 0.11	5	-8.05 ± 0.19	5			1.9		4.0		2.1
risperidone	-7.30 ± 0.09	5	-7.47 ± 0.08	5	-8.04 ± 0.03	5			1.5		5.5		3.7
sunepitron	-7.28 ± 0.04	6	-6.65 ± 0.08	6	-8.11 ± 0.04	6		4.3			6.8		28.8
phentolamine	-7.26 ± 0.03	5	-6.69 ± 0.05	5	-6.92 ± 0.04	5		3.7		2.2			1.7
A80426	-7.24 ± 0.08	6	-6.52 ± 0.06	6	-7.46 ± 0.07	6		5.2			1.7		8.7
benoxathian	-7.17 ± 0.02	5	-5.96 ± 0.06	5	-7.75 ± 0.03	5		16.2			3.8		61.7
BRL44408	-7.19 ± 0.04	7	-5.41 ± 0.04	7	-6.22 ± 0.07	7		60.3		9.3			6.5
idazoxan	-7.17 ± 0.04	5	-6.39 ± 0.05	5	-7.16 ± 0.03	5		6.0		1.0			5.9
paliperidone	-7.12 ± 0.04	5	-7.26 ± 0.05	5	-7.84 ± 0.03	5			1.4		5.2		3.8
RS100329	-7.00 ± 0.03	5	-6.47 ± 0.04	5	-7.82 ± 0.03	5		3.4			6.6		22.4
spiroxatrine	-6.97 ± 0.03	6	-7.87 ± 0.07	6	-8.74 ± 0.04	6			7.9		58.9		7.4
2-PMDQ	-6.83 ± 0.05	5	-6.14 ± 0.08	5	-7.07 ± 0.02	5		4.9			1.7		8.5
mirtazepine	-6.80 ± 0.05	5	-6.09 ± 0.06	5	-6.96 ± 0.03	5		5.1			1.4		7.4
2-MPMDQ	-6.79 ± 0.04	5	-5.94 ± 0.09	5	-7.50 ± 0.02	5		7.1			5.1		36.3
aripirazole	-6.68 ± 0.08	5	-6.54 ± 0.05	6	-7.23 ± 0.14	5		1.4			3.5		4.9
lurasidone	-6.67 ± 0.05	5	-7.36 ± 0.06	5	-7.34 ± 0.03	5			4.9		4.7		1.0
3-MPPI	-6.67 ± 0.05^{ep}	5	IC_{50}>-4	5	-7.01 ± 0.03^{ep}	5					2.2		
naftapidil	-6.55 ± 0.09	5	-6.60 ± 0.07	5	-7.17 ± 0.08	5			1.1		4.2		3.7

S32212	-6.62 ± 0.13	8	-7.80 ± 0.10	8	-7.18 ± 0.10	8			15.1		3.6	4.2	
carvedilol	-6.54 ± 0.02	5	-6.31 ± 0.02	5	-7.32 ± 0.05	5		1.7			6.0		10.2
ziprasidone	-6.36 ± 0.11	5	-6.59 ± 0.08	5	-6.77 ± 0.08	5			1.7		2.6		1.5
tamsulosin	-6.33 ± 0.04	5	-5.31 ± 0.04	5	-6.41 ± 0.03	5		10.5			1.2		12.6
SKF86466	-6.29 ± 0.05	5	-6.17 ± 0.047	5	-6.39 ± 0.04	5		1.3			1.3		1.7
RS17053	-6.20 ± 0.11	5	-5.65 ± 0.07	5	-6.35 ± 0.08	5		3.5			1.4		5.0
trazodone	-6.17 ± 0.08	5	-5.96 ± 0.07	5	-6.69 ± 0.04	5		1.6			3.3		5.4
ifenprodil	-6.01 ± 0.05	5	-6.14 ± 0.06	5	-6.80 ± 0.05	5			1.3		6.2		4.6
perphenazine	-6.00 ± 0.06	6	-7.16 ± 0.05	6	-6.83 ± 0.04	5			14.5		6.8	2.1	
ARC 239	-5.99 ± 0.06	5	-7.32 ± 0.14	6	-7.25 ± 0.14	5			21.4		18.2	1.2	
sertindole	-5.95 ± 0.06	5	-5.81 ± 0.07	5	-6.17 ± 0.03	5		1.4			1.7		2.3
flupenthixol	-6.10 ± 0.12	5	-6.28 ± 0.13	5	-6.88 ± 0.14	5			1.5		6.0		4.0
imiloxan	-5.88 ± 0.03	6	-6.48 ± 0.05	6	-6.27 ± 0.03	6			4.0		2.5	1.6	
amitriptyline	-5.86 ± 0.05^{app}	5	-7.12 ± 0.05	5	-6.67 ± 0.09	5			18.2		6.5	2.8	
clozapine	-5.86 ± 0.08^{app}	5	-6.20 ± 0.05	5	-6.87 ± 0.08	5			2.2		10.2		4.7
quetiapine	-5.81 ± 0.08	5	-6.72 ± 0.08	5	-6.66 ± 0.03	5			8.1		7.1	1.1	
bucindolol	-5.81 ± 0.05	5	-5.63 ± 0.06	5	-5.95 ± 0.04	5		1.5			1.4		2.1
dibenamine	-5.80 ± 0.06	10	-6.43 ± 0.06 -4.64 ± 0.07 60.9 ± 3.4% site 1	10	-6.18 ± 0.05	10			4.3		2.4	1.8	
prochlorperazine	-5.78 ± 0.02^{app}	6	-6.46 ± 0.11	6	-6.31 ± 0.09	6			4.8		3.4	1.4	
pimozide	-5.76 ± 0.12^{ep}	5	-6.30 ± 0.10	5	-6.84 ± 0.05	5			3.5		12.0		3.5
phenoxybenzamine	-5.72 ± 0.10	10	-6.44 ± 0.11 -4.89 ± 0.08 51.4 ± 3.3% site 1	10	-6.41 ± 0.11 -4.71 ± 0.13 74.1 ± 4.1% site 1	10			5.2		4.9	1.1	
clomipramine	-5.71 ± 0.07^{app}	5	-6.10 ± 0.13	5	-5.80 ± 0.02^{app}	5			2.5		1.2	2.0	
doxepin	-5.69 ± 0.12	5	-6.67 ± 0.05	5	-6.04 ± 0.07	5			9.5		2.2	4.3	
trimipramine	-5.67 ± 0.03	5	-6.22 ± 0.05	5	-6.37 ± 0.03	5			3.5		5.0		1.4
sertraline	-5.67 ± 0.07^{app}	6	-5.62 ± 0.11^{app}	6	-5.64 ± 0.05^{app}	6		1.1		1.1		1.0	
chlorpromazine	-5.65 ± 0.13^{app}	6	-6.60 ± 0.12	6	-5.93 ± 0.11	6			8.9		1.9	4.7	
nortriptyline	-5.65 ± 0.05	5	-6.38 ± 0.02	5	-6.19 ± 0.08	5			5.4		3.5	1.5	
vortioxetine	-5.63 ± 0.06^{app}	5	-5.32 ± 0.04^{app}	6	-5.84 ± 0.05	6		2.0			1.6		3.3
trifluoperazine	-5.60 ± 0.05	5	-6.22 ± 0.12	5	-6.20 ± 0.06	5			4.2		4.0	1.0	
olanzapine	-5.59 ± 0.05	5	-5.47 ± 0.06	5	-5.86 ± 0.02	5		1.3			1.9		2.5

PF3774076	-5.59 ± 0.04	6	IC_{50}>-4	6	-5.29 ± 0.09	6				2.0			
promethazine	-5.58 ± 0.07	5	-6.25 ± 0.06	5	-5.54 ± 0.05	5			4.7	1.1		5.1	
cyanopindolol	-5.56 ± 0.10	5	-4.82 ± 0.10^{app}	5	-6.15 ± 0.07	5		5.5			3.9		21.4
alfuzosin	-5.56 ± 0.04	5	-4.62 ± 0.05	5	-6.14 ± 0.04	5		8.7			3.8		33.1
Rec15-2615	-5.53 ± 0.12^{app}	6	IC_{50}>-4.5	6	-6.56 ± 0.13	6					10.7		
urapidil	-5.49 ± 0.05	5	-5.78 ± 0.08	5	-6.34 ± 0.05	5			1.9		7.1		3.6
silodosin	-5.49 ± 0.06^{app}	6	IC_{50}>-5	6	-6.12 ± 0.06^{app}	6					4.3		
duloxetine	-5.43 ± 0.06	5	-5.31 ± 0.09	5	-5.67 ± 0.06	5		1.3			1.7		2.3
haloperidol	-5.38 ± 0.06	5	-5.53 ± 0.10	5	-5.77 ± 0.05	5			1.4		2.5		1.7
doxazosin	-5.35 ± 0.04	6	-4.74 ± 0.07^{app}	6	-6.24 ± 0.02	6		4.1			7.8		31.6
prazosin	-5.33 ± 0.05	6	-6.17 ± 0.05	6	-6.59 ± 0.04	6			6.9		18.2		2.6
BMY7378	-5.30 ± 0.03	5	-4.98 ± 0.09^{app}	5	-6.26 ± 0.01	5		2.1			9.1		19.1
norclomipramine	-5.29 ± 0.09^{app}	6	-5.74 ± 0.04^{app}	6	-5.80 ± 0.07^{app}	6			2.8		3.2		1.1
JP1302	-5.29 ± 0.04	5	-5.11 ± 0.05	5	-6.92 ± 0.13	5		1.5			42.7		64.6
imipramine	-5.25 ± 0.04	5	-6.36 ± 0.08	5	-5.89 ± 0.03	5			12.9		4.4	3.0	
terazosin	-5.18 ± 0.03	5	-6.08 ± 0.05	5	-6.27 ± 0.08	5			7.9		12.3		1.5
5-methyl-urapidil	-5.18 ± 0.05	5	-5.17 ± 0.05	5	-5.81 ± 0.07	5		1.0			4.3		4.4
dosulepin	-5.16 ± 0.06	5	-6.20 ± 0.06	5	-5.63 ± 0.11	5			11.0		3.0	3.7	
indoramin	-5.13 ± 0.03^{app}	6	-5.46 ± 0.05	6	-5.80 ± 0.05	6			2.1		4.7		2.2
amisulpiride	-5.11 ± 0.09^{app}	5	-4.69 ± 0.13^{app}	5	-5.57 ± 0.07	5		2.6			2.9		7.6
domperidone	-5.09 ± 0.06^{app}	6	-5.29 ± 0.07	6	-5.78 ± 0.08	6			1.6		4.9		3.1
desipramine	-5.04 ± 0.06	5	-5.78 ± 0.04	5	-5.52 ± 0.03	5			5.5		3.0	1.8	
ICI 118551	-5.03 ± 0.03	5	IC_{50}>-4	5	-5.05 ± 0.04	5				1.0			
cyclazosin	-5.00 ± 0.03	5	-5.35 ± 0.13	5	-6.18 ± 0.02	5			2.2		15.1		6.8
protriptyline	-5.00 ± 0.05	5	-5.39 ± 0.13	5	-5.26 ± 0.07	5			2.5		1.8	1.3	
lofepramine	-4.86 ± 0.04^{app}	5	-5.60 ± 0.08	5	-5.28 ± 0.06	5			5.5		2.6	2.1	
SDZ 21009	-4.86 ± 0.07^{app}	6	IC_{50}>-4	6	IC_{50}>-4.5	6							
propranolol	-4.85 ± 0.02	5	IC50>-4	5	-4.71 ± 0.06	5				1.4			
fluvoxamine	-4.81 ± 0.04^{app}	6	-4.37 ± 0.08^{app}	5	-4.82 ± 0.07^{app}	6		2.8			1.0		2.8
fluoxetine	-4.70 ± 0.10^{app}	5	-4.99 ± 0.03	5	-4.79 ± 0.07^{app}	5			1.9		1.2	1.6	
AH11110A	-4.70 ± 0.04^{app}	5	IC_{50}>-4	5	-4.86 ± 0.03^{app}	5					1.4		
carazolol	-4.66 ± 0.06^{app}	6	IC_{50}>-4	6	-4.66 ± 0.05^{app}	6					1.0		
labetolol	-4.62 ± 0.07^{app}	5	-4.71 ± 0.08^{app}	5	-5.27 ± 0.04	5			1.2		4.5		3.6
sulpiride	-4.50 ± 0.02	5	-4.37 ± 0.06	5	-4.67 ± 0.07	5		1.3			1.5		2.0

venlafaxime	-3.46 ± 0.03^{app}	5	IC_{50}>-3	5	-3.74 ± 0.11^{app}	5					1.9		
2-niguldipine	IC_{50}>-5	5	-5.48 ± 0.11	5	-6.07 ± 0.11	5							3.9
SNAP5089	IC_{50}>-5	5	IC_{50}>-5	5	-5.65 ± 0.06	5							
paroxetine	IC_{50}>-5	5	IC_{50}>-5	5	IC_{50}>-5	5							
citalopram	IC_{50}>-4	5	IC_{50}>-4	5	IC_{50}>-4	5							
CGP20712A	IC_{50}>-4	5	IC_{50}>-4	5	-5.17 ± 0.03	5							
reboxetine	IC_{50}>-4	5	IC_{50}>-4	5	-4.56 ± 0.07^{app}	4							
anisodamine	IC_{50}>-3	5	IC_{50}>-3	5	-3.56 ± 0.07^{app}	5							
CGP12177	IC_{50}>-3	5	No binding -3	5	IC_{50}>-3	5							

^{app} = apparent affinity. The maximum concentration of competing ligand inhibited most but not all of specific binding. An IC_{50} was determined by extrapolating the curve assuming that all specific binding would be inhibited if a higher concentration of competing ligand were possible. Thus an apparent K_D was calculated.

^{ep} = early plateau, the competing ligand did not fully inhibit specific binding and the inhibition curve reached a plateau of maximal inhibition of binding. The specific binding inhibited by 3-MPPI was 75.6 ± 0.9% at α2A and 87.1 ± 1.5% at α2C and for pimozide was 79.1 ± 6.0% at α2A.