Supplementary data – CNS 7056 and CNS 7054

		% Inhibition	
Target receptor / ion channel / transporter	**Radioligand**	**CNS 7056**	**CNS 7054**
		10μM	10μM
Adenosine A_1	[^{3}H]DPCPX	6	9
Adenosine A_{2A}	[^{3}H]CGS21680	20	0
Adenosine A_3	[^{3}H]AB-MECA	0	0
Adrenergic $_{\alpha 1}$	[^{3}H]Prazosin	20	6
Adrenergic $_{\alpha 2}$	[^{3}H]Rauwolscine	9	13
Adrenergic $_{\beta 1}$	[^{125}I]Cyanopindolol	0	0
Adrenergic $_{\beta 2}$	[^{3}H]CGP-12177	0	0
Noradrenaline transporter	[^{125}I]RTI-55	0	0
Calcium channel (L-type)	[^{3}H]Nitredipine	0	2
Cholecystokinin CCK_A	[^{3}H]Me-N-(±)L364,718	0	6
Cholecystokinin CCK_B	[^{3}H]CCK-8	11	10
Dopamine D_1	[^{3}H]SCH23390	16	0
Dopamine D_2	[^{3}H]Spiperone	0	0
Dopamine transporter	[^{125}I]RTI-55	0	0
Endothelin ET_A	[^{125}I]Endothelin-1	4	0
Endothelin ET_B	[^{125}I]Endothelin-1	9	2
Estrogen	[^{3}H]Estrodiol	1	1
$GABA_A$ GABA site	[^{3}H]Muscimol	0	0
$GABA_A$ benzodiazepine site	[^{3}H]Flunitrazepam	**100**	34
$GABA_A$ chloride channel	[^{3}H]TBOB	19	0

		% Inhibition	
Target receptor / ion channel / transporter	**Radioligand**	**CNS 7056**	**CNS 7054**
		10μM	10μM
$GABA_B$	[^{3}H[GABA	15	13
Glutamate, non-selective	[^{3}H]L-Glutamate	0	0
Histamine H_1	[^{3}H]Pyrilamine	7	12
Imidazoline I_2	[^{3}H]Idazoxan	0	4
Insulin	[^{125}I]Insulin	0	5
Leukotriene D_4	[^{3}H]Leukotriene D4	13	2
Muscarinic M_2	[^{3}H]NMS	23	13
Muscarinic M_3	[^{3}H]NMS	24	0
Muscarinic, non-selective	[^{3}H]QNB	6	0
Nicotinic, non-selective	[^{3}H]Cytisine	9	14
Opiate, non-selective	[^{3}H]Naloxone	4	20
Platelet activating factor	[^{3}H]PAF	17	20
K_{ATP} Potassium channel	[^{3}H]Glyburide	6	17
Progesterone	[^{3}H]R-5020	9	10
Serotonin, 5-HT_1	[^{3}H]5-HT	23	3
Serotonin, 5-HT_2	[^{3}H]Ketanserin	0	0
Serotonin transporter	[^{125}I]RTI-55	0	2
Sigma, non-selective	[^{3}H]DTG	4	4
Sodium channel, type 2	[^{3}H]Batrachotoxin	17	7
Testosterone	[^{3}H]Mibolerone	13	8

Material sources

Cat. #	Target	Material Source	Rad.ligand/Substrate	Solvent
20050	Adenosine A_1	rat brain	NEN, Cat. #NET-974	0.4% DMSO
20061	Adenosine A_{2A}	human recombinant, mammalian	NEN, Cat. #NET-1021	0.4% DMSO
20070	Adenosine A_3	human recombinant, mammalian	NEN, Cat. #NEX-312	0.4% DMSO
20350	Adrenergic α_1, Non-Select.	rat brain	NEN, Cat. #NET-823	0.4% DMSO
20390	Adrenergic α_2, Non-Select.	rat cortex	NEN, Cat. #NET-722	0.4% DMSO
20401	Adrenergic β_1	human recombinant, mammalian	NEN, Cat. #NEX-174	0.4% DMSO
20411	Adrenergic β_2	human recombinant, mammalian	NEN, Cat. #NET-1061	0.4% DMSO
20441	Adrenergic NE Transporter	human recombinant, mammalian	NEN, Cat. #NEX-272	0.4% DMSO
21460	Ca++ Ch.-L, Dihydropyridine	rat cerebral cortex	NEN, Cat. #NET-741	0.4% DMSO
21801	Cholecystokinin CCK_A	human recombinant	NEN, Cat. #NET-971	0.4% DMSO
21811	Cholecystokinin CCK_B	human recombinant	Amersham, Cat. #TRK-755	0.4% DMSO
21950	Dopamine D_1	human recombinant, mammalian	NEN, Cat. #NET-930	0.4% DMSO
21960	Dopamine D_{2L}	human recombinant, mammalian	Amersham, Cat. #TRK-818	0.4% DMSO
22032	Dopamine Transporter	human recombinant, mammalian	NEN, Cat. #NEX-272	0.4% DMSO
22400	Endothelin ET_A	rat A10 cells	NEN, Cat. #NEX-259	0.4% DMSO
22410	Endothelin ET_B	human recombinant, mammalian	NEN, Cat. #NEX-259	0.4% DMSO
22600	Estrogen	Calf uterus	NEN, Cat. #NET-317	0.4% DMSO
22650	$GABA_A$, Agonist Site	rat brain	NEN, Cat. #NET-574	0.4% DMSO
22660	$GABA_A$, Bezodiazepine, Cen.	rat brain	NEN, Cat. #NET-567	0.4% DMSO
22680	$GABA_A$, Chloride Channel	rat brain cortex	Amersham, Cat. #TRK-849	0.4% DMSO
22850	$GABA_B$	rat cerebellum	NEN, Cat. #NET-191	0.4% DMSO
23500	Glutamate, Non-Selective	rat brain	NEN, Cat. #NET-490	0.4% DMSO
23960	Histamine H_1, Peripheral	guinea pig lung	NEN, Cat. #NET-594	0.4% DMSO
24100	Imidazoline I_2, Central	rat brain cortex	Amersham, Cat. #TRK-799	0.4% DMSO
24300	Insulin	rat liver	NEN, Cat. #NEX-196	0.4% DMSO
25060	Leukotriene D_4	guinea pig lung	NEN, Cat. #NET-1019	0.4% DMSO
25270	Muscarinic M_2	human recombinant, insect	NEN, Cat. #NET-636	0.4% DMSO
25280	Muscarinic M_3	human recombinant, insect	NEN, Cat. #NET-636	0.4% DMSO
25400	Muscarinic, Non-Select.	rat cortex	NEN, Cat. #NET-656	0.4% DMSO
25860	Nicotinic Acetylcholine, Cen.	rat cortex	NEN, Cat. #NET-1054	0.4% DMSO
26050	Opiate, Non-Selective	rat brain	NEN, Cat. #NET-719	0.4% DMSO
26500	Platelet Activating Factor	rabbit platelets	NEN, Cat. #NET-668	0.4% DMSO
26560	K+ Channel [K_{ATP}]	Syrian hamster pancreatic beta cells H	NEN, Cat. #NET-1024	0.4% DMSO
26800	Progesterone	Calf uterus	NEN, Cat. #NET-555	0.4% DMSO
27100	Serotonin 5-HT_1	rat cerebral cortex	Amersham, Cat. #TRK-1006	0.4% DMSO
27160	Serotonin 5-HT_2	rat brain	NEN, Cat. #NET-791	0.4% DMSO
27402	Serotonin Transporter	human recombinant, mammalian	NEN, Cat. #NEX-272	0.4% DMSO
27830	Sigma, Non-Selective	guinea pig brain	NEN, Cat. #NET-986	0.4% DMSO
27950	Na+ Channel, Site 2	rat brain	NEN, Cat. #NET-876	0.4% DMSO
28500	Testosterone	rat ventral prostate	NEN, Cat. #NET-919	0.4% DMSO

Experimental conditions

Cat. #	Assay Target	*Ligand/Substrate	†Reaction or ‡Nonspecific Ligand	Time/Temp
20050	Adenosine A_1	[^{3}H]DPCPX	10 μM PIA; RBI, Cat.#A-009	90 min. @ 25°C
20061	Adenosine A_{2A}	[^{3}H]-CGS21680	50 μM NECA; Sigma, Cat.#E-2387	90 min. @ 25°C
20070	Adenosine A_3	[^{125}I]AB-MECA	10 μM NECA; Sigma, Cat.#E-2387	60 min. @ 37°C
20350	Adrenergic α_1, Non-Select.	[^{3}H]Prazosin	0.1 μM Prazosin; Sigma, Cat.#P-7791	30 min. @ 25°C
20390	Adrenergic α_2, Non-Select.	[^{3}H]Rauwolscine	1 μM Yohimbine; Tokyo Kasei, Cat.#Y-002	30 min. @ 25°C
20401	Adrenergic β_1	[^{125}I]-cyanopindolol	100μM (s)- Propranolol; RBI, Cat.#P-110	120 min. @ 25°C
20411	Adrenergic β_2	[^{3}H]CGP-12177	10 μM ICI-118551; RBI, Cat.#I-127	60 min. @ 25°C
20441	Adrenergic NE Transporter	[^{125}I]RTI-55	10 μM Desipramine; Merrell	3 hr. @ 4°C
21460	Ca++ Ch.-L, Dihydropyridine	[^{3}H]Nitrendipine	1 μM Nifedipine; Sigma, Cat.#N-7634	90 min. @ 25°C
21801	Cholecystokinin CCK_A	[^{3}H]-Me-N-(±)L364,718	1 μM L-364,718; Merck	60 min. @ 4°C
21811	Cholecystokinin CCK_B	[^{3}H]CCK-8	1 μM PD-135158; RBI, Cat.#P-157	60 min. @ 25°C
21950	Dopamine D_1	[^{3}H]SCH23390	10 μM (+)-Butaclamol; RBI, Cat.#D-033	120 min. @ 37°C
21960	Dopamine D_{2L}	[^{3}H]Spiperone	10 μM Haloperidol; RBI, Cat.#H-100	120 min. @ 25°C
22032	Dopamine Transporter	[^{125}I]RTI-55	10 μM Nomifensine; RBI, Cat.#N-123	3 hr. @ 4°C
22400	Endothelin ET_A	[^{125}I]Endothelin-1	1 μM Endothelin-1; Peninsula, Cat.#6901	120 min. @ 25°C
22410	Endothelin ET_B	[^{125}I]Endothelin-1	1 μM Endothelin-1; Peninsula, Cat.#6901	120 min. @ 37°C
22600	Estrogen	[^{3}H]Estradiol	5.8 μM Diethylstilbestrol; Sigma, Cat.#D-4628	16 hr. @ 4°C
22650	$GABA_A$, Agonist Site	[^{3}H]Muscimol	100 nM Muscimol; Sigma, Cat.#M-1523	10 min. @ 4°C
22660	$GABA_A$, Bezodiazepine, Cen.	[^{3}H]Flunitrazepam	10 μM Diazepam; Sigma, Cat.#D-0899	60 min. @ 25°C
22680	$GABA_A$, Chloride Channel	[^{3}H]TBOB	200 μM Picrotoxin; Sigma, Cat.#P-1675	15 min. @ 25°C
22850	$GABA_B$	[^{3}H]GABA	40 μM Isoguvacine & 100 μM (-)Baclofen; CIBA	20 min. @ 25°C
23500	Glutamate, Non-Selective	[^{3}H]L-Glutamate	50 μM L-Glutamate; Sigma, Cat.#G-2128	10 min. @ 37°C
23960	Histamine H_1, Peripheral	[^{3}H]Pyrilamine	1 μM Mepyramine; Sigma, Cat.#P-5514	30 min. @ 25°C
24100	Imidazoline I_2, Central	[^{3}H]Idazoxan	1 μM Idazoxan; RBI, Cat.#I-115	30 min. @ 25°C
24300	Insulin	[^{125}I]Insulin	1 μM Insulin; Sigma, Cat.#I-5500	16 hr. @ 4°C
25060	Leukotriene D_4	[^{3}H]Leukotriene D_4	0.1 μM Leukotriene D_4; Cayman, Cat.#20310	60 min. @ 25°C
25270	Muscarinic M_2	[^{3}H]NMS	1 μM Atropine; Sigma, Cat.#A-0257	60 min. @ 25°C
25280	Muscarinic M_3	[^{3}H]NMS	1 μM Atropine; Sigma, Cat.#A-0257	60 min. @ 25°C
25400	Muscarinic, Non-Select.	[^{3}H]QNB	100 nM Atropine; Sigma, Cat.#A-0257	60 min. @ 25°C
25860	Nicotinic Acetylcholine, Cen.	[^{3}H]Cytisine	100 μM Nicotine; Sigma, Cat.#N-5260	75 min. @ 4°C
26050	Opiate, Non-Selective	[^{3}H]Naloxone	1 μM Naloxone; Sigma, Cat.#N-7758	40 min. @ 25°C
26500	Platelet Activating Factor	[^{3}H]PAF	1 μM PAF; Sigma, Cat.#P-9525	60 min. @ 25°C
26560	K+ Channel [K_{ATP}]	[^{3}H]Glyburide	1 μM Glyburide; Sigma, Cat.#G-0639	120 min. @ 25°C
26800	Progesterone	[^{3}H]R-5020	410 nM R-5020; Sigma, Cat.#P-0130	16 hr. @ 4°C
27100	Serotonin 5-HT_1	[^{3}H]5-HT	10 μM 5-HT; Sigma, Cat.#H-7752	10 min. @ 37°C
27160	Serotonin 5-HT_2	[^{3}H]Ketanserin	1 μM Ketanserin; Janssen Res. Fdn.	40 min. @ 25°C
27402	Serotonin Transporter	[^{125}I]RTI-55	10 μM Imipramine; RBI, Cat.#I-111	3 hr. @ 4°C
27830	Sigma, Non-Selective	[^{3}H]DTG	10 μM (+) 3-PPP; RBI, Cat.#P-102	30 min. @ 25°C
27950	Na+ Channel, Site 2	[^{3}H]Batrachotoxin	100 μM Veratridine; Sigma, Cat.#V-5754	30 min. @ 37°C
28500	Testosterone	[^{3}H]Mibolerone	2 μM Mibolerone; NEN, Cat.#NLP-024	18 hr. @ 4°C

*Radioligand or Enzyme Substrate, †Enzyme Assays Only, ‡Unlabeled blocking ligand used for Radioligand Binding Assays Only

§Criteria or brief description given for tissue, animal, and anti-infective assays

Reference compound data

CAT. # TARGET	†K_d	†B_{max}	†*%SPEC.	REF. CMPD.	SUPPLIER	†IC_{50}	†K_i
20050 Adenosine A_1	0.68 nM	730 fmol/mg	95%	R(-)PIA	RBI, Cat. #A-009	21 nM	17 nM
20061 Adenosine A_{2A}	64 nM	7.0 pmol/mg	85%	CGS-21680	RBI, Cat. #C-141	464 nM	261 nM
20070 Adenosine A_3	1.43 nM	204 fmol/mg	80%	NECA	Sigma, Cat. #E-2387	144 nM	113 nM
20350 Adrenergic α_1, Non-Select.	0.09 nM	120 fmol/mg	90%	Prazosin	Sigma, Cat. #P-7791	0.64 nM	0.17 nM
20390 Adrenergic α_2, Non-Select.	7.0 nM	250 fmol/mg	80%	Yohimbine	Tokyo Kasei, Cat. #Y-002	19 nM	17 nM
20401 Adrenergic β_1	0.041 nM	72 fmol/mg	95%	Propranolol	RBI, Cat. #P-110	1.8 nM	1.0 nM
20411 Adrenergic β_2	0.2 nM	678 fmol/mg	95%	Propranolol	RBI, Cat. #P-110	0.78 nM	0.39 nM
20441 Adrenergic NE Transporter	24 nM	2.5 pmol/mg	75%	Desipramine	Merrell	0.93 nM	0.92 nM
21460 Ca++ Ch.-L, Dihydropyridine	0.18 nM	230 fmol/mg	91%	Nifedipine	Sigma, Cat. #N-7634	2.7 nM	1.7 nM
21801 Cholecystokinin CCK_A	0.2 nM	130 fmol/mg	80%	L-364,718	Merck	0.08 nM	0.02 nM
21811 Cholecystokinin CCK_B	1.2 nM	264 fmol/mg	80%	PD135158	RBI, Cat. #P-157	1.1 nM	0.63 nM
21950 Dopamine D_1	0.9 nM	1.6 pmol/mg	90%	SCH23390	RBI, Cat. #D-054	1.4 nM	0.6 nM
21960 Dopamine D_{2L}	0.08 nM	0.48 pmol/mg	85%	Spiperone	Sigma, Cat. #S-7395	0.61 nM	0.023 nM
22032 Dopamine Transporter	0.58 nM	47 fmol/mg	90%	GBR-12909	RBI, Cat. #D-052	0.49 nM	0.39 nM
22400 Endothelin ET_A	0.15 nM	360 fmol/mg	80%	Endothelin-1	Peninsula, Cat. #6901	2.4 nM	2.1 nM
22410 Endothelin ET_B	43 pM	260 fmol/mg	95%	Endothelin-1	Peninsula, Cat. #6901	33 pM	19 pM
22600 Estrogen	0.06 nM	42 fmol/mg	75%	Diethylstilbestrol	Sigma, Cat. #D-4628	0.65 nM	0.025 nM
22650 $GABA_A$, Agonist Site	1.5 nM	550 fmol/mg	90%	GABA	Sigma, Cat. #A-2129	12 nM	7.2 nM
22660 $GABA_A$, Bezodiazepine, Cen.	4.4 nM	1.2 pmol/mg	91%	Diazepam	Sigma, Cat. #D-0899	16 nM	13 nM
22680 $GABA_A$, Chloride Channel	18 nM	450 fmol/mg	80%	Picrotoxin	Sigma, Cat. #P-1675	120 nM	99 nM
22850 $GABA_B$	23 nM	210 fmol/mg	65%	GABA	Sigma , Cat. #A-2129	44 nM	31 nM
23500 Glutamate, Non-Selective	440 nM	13 pmol/mg	85%	L-Glutamate	Sigma, Cat. #G-2128	940 nM	940 nM
23960 Histamine H_1, Peripheral	*0.33 nM	*57 fmol/mg	70%	Mepyramine	Sigma, Cat. #P-5514	3.4 nM	0.26 nM
24100 Imidazoline I_2, Central	4.0 nM	140 fmol/mg	85%	Idazoxan	RBI, Cat. #I-115	3.2 nM	2.1 nM
24300 Insulin	7.7 nM	1.1 pmol/mg	89%	Insulin	Sigma, Cat. #I-5500	6.1 nM	6.1 nM
25060 Leukotriene D_4	0.2 nM	240 fmol/mg	85%	LTD_4	Cayman , Cat. #20310	1.4 nM	0.72 nM
25270 Muscarinic M_2	0.16 nM	4.9 pmol/mg	96%	4-DAMP	RBI, Cat. #D-104	13 nM	4.6 nM
25280 Muscarinic M_3	0.078 nM	3.2 pmol/mg	96%	4-DAMP	RBI, Cat. #D-104	0.63 nM	0.13 nM
25400 Muscarinic, Non-Select.	74 pM	1.2 pmol/mg	97%	Atropine	Sigma, Cat. #A-0257	1.2 nM	0.39 nM
25860 Nicotinic Acetylcholine, Cen.	3.2 nM	55 fmol/mg	75%	Nicotine	Sigma, Cat. #N-5260	16 nM	9.8 nM
26050 Opiate, Non-Selective	1 nM	130 fmol/mg	77%	Naloxone	Sigma, Cat. #N-7758	2.3 nM	1.2 nM
26500 Platelet Activating Factor	0.73 nM	4.6 pmol/mg	93%	PAF	Sigma, Cat. #P-9525	9.0 nM	5.8 nM
26560 K+ Channel [K_{ATP}]	0.64 nM	1.0 pmol/mg	90%	Glyburide	Sigma, Cat. #G-0639	3.6 nM	1.2 nM
26800 Progesterone	0.3 nM	510 fmol/mg	85%	Progesterone	Sigma, Cat. #P-0130	0.98 nM	0.13 nM
27100 Serotonin 5-HT_1	1.5 nM	130 fmol/mg	73%	5-HT	Sigma, Cat. #H-7752	8.3 nM	3.6 nM
27160 Serotonin 5-HT_2	0.82 nM	520 fmol/mg	92%	Ketanserin	Janssen Res. Fdn.	2.1 nM	1.3 nM
27402 Serotonin Transporter	0.17 nM	405 fmol/mg	95%	GBR 12909	RBI, Cat. #D-052	108 nM	57 nM
27830 Sigma, Non-Selective	25 nM	2.3 pmol/mg	85%	Haloperidol	Sigma, Cat. #H-1512	3.8 nM	3.7 nM
27950 Na+ Channel, Site 2	13 nM	880 fmol/mg	85%	Dibucaine	Sigma, Cat. #D0638	870 nM	780 nM
28500 Testosterone	430 pM	27 fmol/mg	70%	Testosterone	Nutr. Biochem., Cat. #6312	7.8 nM	1.4 nM

Historical values obtained at Panlabs are shown for each protocol, †Historical K_d, B_{max} and % Specific Binding are shown for radioligand binding assays and were experimentally determined at **MDS-Panlabs** by saturation analysis. Historical reference ligand K_i values shown for binding assays only.

Literature references

20050 **Lohse, M.J., Klutz, K.N., Lindenborn-Fotinos, J., Reddington, M., Schwabe, U., Olsson, R.A. (1987)**
8-cyclopentyl-1, 3-dipropylxanthine DPCPX: a selective high affinity antagonist radioligand for A_1 receptors. Naunyn-Schmiedeberg's Arch. Pharmacol. 336:204-210.

Murphy, K.M. and Snyder, S.H. (1982)
Heterogeneity of adenosine receptor binding in tissue. Mol. Pharmacol. 23:250-257.

20061 **Varani, K., Gessi, S., Dalpiaz, A. and Borea, P. A. (1996)**
Pharmacological and biochemical characterization of purified A_{2A} adenosine receptors in human platelet membranes by [^{3}H]CGS21680 binding. Br. J. Pharmacol. 117:1693-1701, 1996.

Jarvis, M.F., Schulz, R., Hutchison, A.J., Do, U.H., Sills, M.A., Williams, M. (1989)
Tritiated CGS-21680, a selective A_2 adenosine receptor agonist directly labels A_2 receptors in rat brain. J. Pharmacol. Exp. Ther. 251:888-

20070 **Salvatore, C.A., Jacobson, M.A., Taylor, H.E., Linden, J., Johnson, R.G. (1993)**
Molecular cloning and characterization of the human A_3 receptor. Proc. Natl. Acad. Sci. USA 90:10365-10369.

Olah, M.E., Gallo-Rodriguez, C., Jacobson, K.A., and Stiles, G.L. (1994)
^{125}I 4-aminobenzyl-5'-N-methylcarboxamido adenosine, a high affinity radioligand for the rat A_3 adenosine receptor. Mol. Pharmacol. 45:978-982.

20350 **Greengrass, P., and Bremner, R. (1979)**
Binding characteristics of [^{3}H]prazosin to rat brain α-adrenergic receptors. Eur. J. Pharmacol. 55:323-326, 1979.

20390 **Boyajian, C.L., and Leslie, F.M. (1987)**
Pharmacological evidence for α_2-adrenoceptor heterogeneity; differential binding properties of tritiated rauwolscine and tritiated idazoxan in rat brain. J. Pharmacol. Exp. Ther. 241:1092-1098.

Broadhurst, A.M., Alexander, B.S., and Wood, M.D. (1988)
Heterogeneous tritiated rauwolscine binding sites in rat cortex; two α_2-adrenoceptor subtypes or an additional non-adrenergic interaction. Life Sci. 43:83-92.

20401 **Feve, B., Elhadri, K., Quignard-Boulange, A., Pairault, J. (1994)**
Transcriptional down-regulation by insulin of the β_3-adrenergic receptor expression in 3T3-F442A adipocytes: a mechanism for repressing the cAMP signalling pathway. Proc. Natl. Acad. Sci. USA 91:5677-5681.

20411 **McCrea, K.E. and Hill S.J. (1993)**
Salmeterol, a long-acting β_2-adrenoceptor agonist mediating cyclic AMP accumulation in a neuronal cell line. Brit. J. Pharmacol. 110:619-

20441 **Galli, A., De Felice, L., Duke, B.-J., Moore, K., Blakely, R. (1995)**
Sodium dependent norepinephrine induced currents in norepinephrine transporter transfected HEK293 cells blocked by cocaine and

21460 **Gould R.J., Murphy, K.M.M., Snyder, S.H. (1982)**
[^{3}H]nitrendipine-labeled calcium channels discriminate inorganic calcium agonists and antagonists. Proc. Natl. Acad. Sci. USA 79:3656-

Ehlert, F.J., Roeske, W.R., Itoga, E., and Yamamura, H.I. (1982)
The binding of [^{3}H]nitrendipine to receptors for calcium channel antagonists in the heart, cerebral cortex and ileum of rats. Life Sci. 30:2191-2202.

21801 **Jensen, R.T., Qian, J. M., Lin, J. T., Mantey, S. A., Pisegna, J. R. and Wank, S. A. (1994)**
Distinguishing multiple CCK receptor subtypes. Ann. N.Y. Acad. Sci. 713:88-106.

21811 **Jensen, R.T. et al. (1994)**
Distinguishing multiple CCK receptor subtypes. Ann. N.Y. Acad. Sci. 713:88-106.

Rassmusen, K., Stockton, M.E., Czachura, J.F., and Howbert, J.J. (1991)
Cholecystokinin and schizophrenia: the selective CCK_B ligand LY262691 decreases midbrain dopamine unit activity. Eur. J. Pharmacol. 209:135-138.

21950 **Dearry, A., Gingrich, J.A., Falardeau, P., Fremeau, R.T.Jr., Bates, M.D., Caron, M.G. (1990)**
Molecular cloning and expression of the gene for a human D_1 dopamine receptor. Nature 347:72-76.

Sunahara, R.K., Niznik, H.B., Weiner, D.M., Stormann, T.M., Brann, M.R., Kennedy, J.L., Gelernter, J.E., Rozmahel, R., Yang, Y., Israel, Y., Seeman, P., and O'Dowd, B.F. (1990)
Human Dopamine D_1 receptor encoded by an intronless gene on chromosome 5. Nature 347:80-83.

Zhou, Q.-Y., Grandy, D.K., Thambi, L., Kushner, J.A., Van Tol, H.H.M., Cone, R., Pribnow, D., Salon, J., Bunzow, J.R., and Civelli, O. (1990)
Cloning and expression of human and rat D_1 dopamine receptors. Nature 347:76-80.

21960 **Grandy, D.K., Marchionni, M.A., Makam, H., Stofko, R.E., Alfano, M., Frothingham, L, Fischer, J.B., Burke-Howie, K.J., Bunzow, J.R., Seiver, A.C., Civelli, O. (1989)**
Cloning of the cDNA and gene for a human D_2 dopamine receptor. Proc. Natl. Acad. Sci. USA 86:9762-9766.

Bunzo, J.R., Van Tol, H.H.M., Grandy, D.K., Albert, P., Salon, J., Christie, M., Machida, C.A., Neve, K.A., and Civelli, O. (1988)
Cloning and expression of rat D_2 dopamine receptor cDNA. Nature 336:783-787.

Hayes, G., Biden, T.J., Selbie, L.A., and Shine, J. (1992)
Structural subtypes of the dopamine D_2 receptor are functionally distinct: Expression of the clone D_{2A} and D_{2B} subtypes in a heterologous cell line. Molec. Endocrin. 6:920-926.

22032 **Gu, H., Wall, S., Rudnick, G. (1994)**
Stable expression of biogenic amine transporters reveals differences in inhibitor sensitivity, kinetics, and ion dependence. J. Biol. Chem. 169(10):7124-7130, 1994.

Giros, B., Caron, M.G. (1993)
Molecular characterization of the dopamine transporter. Trends Pharmacol. Sci. 14:43-49.

Giros, B., Mestikawy, S. El, Godinot, N., Zheng, K., Han, H., Feng, T.Y., Caron, M.G. (1992)
Cloning, pharmacological characterization, and chromosome assignment of the human dopamine transporter. Mol. Pharmacol. 43:383-

22400 **Williams D., Jones, K., Pittibone, D., Lio, E., Clineschmidt, B. (1991)**
Sarafotoxin S6c: an agonist which distinguishes between endothelin receptor subtypes. Biochem. Biophys. Res. Comm. 175:556-561.

Arai, H., Hori, S., Aramori, I., Ohkubo, H., and Nakanishi, S. (1990)
Cloning and expression of a cDNA encoding an endothelin receptor. Nature 348:730-732.

22410 **Mihara, S.I., Nakajima, S., Matumura, S., Kohnoike, T., Fujimoto, M. (1994)**
Pharmacological characterization of a potent nonpeptide endothelin receptor antagonist, 97-139. J. Pharmacol. Exp. Ther. 268:1122-1128.

Ozaki, S., Ihara, M., Saiki, T., Fukami, T., Ishikawa, K., and Yano, M. (1994)
Endothelin ET_B receptors couple to two distinct signalling pathways in porcine kidney epithelial LLC-PK_1 cells. J. Pharmacol. Exp. Ther. 270:1035-1040.

22600 **McGuire, W.L. (1978)**
Steroid receptors in human breast cancer. Cancer Research 38:4289-4291

22650 **Martinin, C., Rigacci, T., Lucacchini, A. (1983)**
[^{3}H]muscimol binding site on purified benzodiazepine receptor. J. Neurochem. 41:1183-1185.

Snodgrass, S.R. (1978)
Use of [^{3}H]muscimol for GABA receptor studies. Nature 273:392-394.

Enna, S.J., and Snyder, S.H. (1976)
Influences of ions, enzymes and detergents on gamma-aminobutyric acid-receptor binding in synaptic membranes of rat brain. Mol. Pharmacol. 13:442-453.

22660 **Damm, H.W., Müller, W.E., Schläfer, U., Wollert, U. (1978)**
[^{3}H]flunitrazepam: Its advantages as a ligand, for the identification of benzodiazepine receptors in rat brain membranes. Res. Comm. Chem. Pathol. Pharmacol. 22:597-600.

Speth, R.C., Wastek, G.J., and Yamamura, H.I. (1979)
Benzodiacepam receptors: temperature dependence of [^{3}H]flunitrazepam binding. Life Sci. 24:351-357.

22680 **Lewin, A.H., de Costa, B.R., Rice, K.C., Skolnick, P. (1989)**
Meta- and para-isothiocyanato-t-butylbicycloorthobenzoate: Irreversible ligands of the γ-aminobutyric acid-regulated chloride ionophore. Mol. Pharmacol. 35:189-194.

Schwartz, R.D. and Mindlin, M.C. (1988)
Inhibition of the GABA receptor-gated chloride ion channel in brain by noncompetitive inhibitors of the nicotinic receptor-gated cation channel. J. Pharmacol. Exp. Ther. 244:963-970.

22850 **Bowery, N.G., and Pratt, G.D. (1992)**
$GABA_B$ receptors as targets for drug action. Arzneimittelforschung 42(2A):215-223.

Bonnano, C. and Raiteri, M. (1993)
Multiple $GABA_A$ receptors. Trends Pharmacol. Sci. 14:259-261.

Bowery, N.G., Hill, D.R., and Hudson, A.L. (1983)
Characteristics of $GABA_B$ receptor binding sites on rat whole brain synaptic membranes. Br. J. Pharmacol. 78:191-206.

23500 **Foster, A.C. and Fagg, G.E. (1987)**
Comparison of [^{3}H]L-glutamate, [^{3}H]D-aspartate, DL[^{3}H]AP5 and [^{3}H]NMDA as ligands for NMDA receptors in crude postsynaptic densities from rat brain. Eur. J. Pharmacol. 133:291-300.

23960 **Dini, S., Caselli, G.F., Ferrari, M.P., Giani, R., Clavenna, G. (1991)**
Heterogeneity of [^{3}H]-mepyramine binding sites in guinea pig cerebellum and lung. Agents and Actions 33:181-184.

24100 **Brown, C.M., Mackinnon, A.C., McGrath, J.C., Spedding, M., Kilpatrick, A.T. (1990)**
a_2-Adrenoceptor subtypes and imidazoline-like binding in the rat brain. Br. J. Pharmacol. 99:803-809.

Michel, M.C. and Ernberger, P. (1992)
Keeping and eye on the I site: imidazoline-preferring receptor. Trends. Pharmacol. Sci. 13:369-370.

24300 **Koch, R. and Weber U. (1981)**
Partial purification of the solubilized insulin receptor from rat liver membranes by precipitation with concanavalin A. Hoppe-Seylor's Z. Physiol. Chem. Biol. 362:347-351.

25060 **Bruns, R.F., Thomsen, W.J., Pugsley, T.A. (1983)**
Binding of leukotrienes C_4 and D_4 to membranes from guinea pig lung: regulation by ions and guanine nucleotides. Life Sci. 33:645-653.

Mong, S., Wu, H.-L, Hogabaoom, G.K., Clark, M.A., Crooke, S.T. (1984)
Characterization of the leukotriene D4 receptor in guinea pig lung. Eur. J. Pharmacol. 102:1-11.

25270 **Buckley, N.J., Bonner, T.I., Buckley, C.M., Brann, M.R. (1989)**
Antagonist binding properties of five clonal muscarinic receptors expressed in CHO-K1 cell. Mol. Pharmacol. 35:469-476.

Delmendo, R.E, Michel, A.D., and Whiting, R.L. (1989)
Affinity of muscarinic receptor antagonists for the three putative muscarinic binding sites. Br. J. Pharmacol. 96:457-464.

25280 **Buckley, N.J., Bonner, T.I., Buckley, C.M., Brann, M.R. (1989)**
Antagonist binding properties of five clonal muscarinic receptors expressed in CHO-K1 cell. Mol. Pharmacol. 35:469-476.

25400 **Luthin, G.R. and Wolfe, B.B. (1984)**
Comparison of [^{3}H] pirenzipine and [^{3}H] quinuclidinylbenzilate binding to muscarinic cholinergic receptors in rat brain. J. Pharmacol. Exp. Ther. 228:648-655.

25860 **Pabreza, L.A., Dhawan, S., Kellar, K.J.(1991)**
[^{3}H]cytisine binding to nicotinic cholinergic receptors in brain. Mol. Pharmacol. 39:9-12.

26050 **Childers, S.R., Creese, I., Snowman, A.M., Snyder, S.H. (1979)**
Opiate receptor binding affected differentially by opiates and opioid peptides. Eur. J. Pharmcol. 55:11-18.

Pasternak, G.W., Wilson, H.A., and Snyder, S.H. (1975)
Differential effects of protein modifying effects on receptor binding of opiate agonists and antagonists. Mol. Pharmacol. 11:340-351.

26500 **Hwang, S.B., Lee, C.S.C., Cheah, M.J., Shen, J.Y. (1983)**
Specific receptor sites for 1-O-alkyl-2-O-acetyl-sn-glycero-3-phosphocholine (platelet activating factor) on rabbit platelet and guinea pig smooth muscle membranes. Biochemistry 22:4756-4763.

26560 **Gaines, K.L., Hamilton, S. Boyd, A.E. 3rd (1988)**
Characterizatrion of the sulfonylurea receptor on beta cell membranes. J. Biol. Chem. 263:2589-2592.

26800 **Hurd, C., and Moudgil, V. (1988)**
Characterization of R5020 and R7486 binding to progesterone receptor from calf uterus. Biochemistry 27:3618-3623.

Theofan, G. and Notides, A.C. (1984)
Characterization of the calf uterine progesterone receptor and its stabilization by nucleic acids. Endocrinology 114:1173-1179.

Skafar, D.F. (1991)
Differential DNA binding by calf uterine estrogen and progesterone receptors results from differences in oligomeric states. Biochem. 30:6148-6154.

27100 **Middlemiss, D.N. (1984)**
Stereoselective blockade at [^{3}H]5-HT binding sites and at the 5-HT autoreceptor by propranolol. Eur J Pharmacol 101:289-293.

27160 **Leysen, J.E., Niemegeers, C.J.E., Van Nueten, J.M., Laduron, P.M. (1982)**
[^{3}H]Ketanserin (R 41 468), a selective [^{3}H]ligand for serotonin 2 receptor binding sites. Mol Pharmacol 21:301-314, 1982.

27402 **Gu, H., Wall, S., Rudnick, G. (1994)**
Stable expression of biogenic amine transporters reveals differences in inhibitor sensitivity, kinetics, and ion dependence. J. Biol. Chem. 269(10):7124-7130.

Arango, V., Underwood, M.D., Gubbi, A.V., Mann, J.J. (1995)
Localized alterations in pre- and postsynaptic serotonin binding sites in the ventrolateral prefrontal cortex of suicide victims. Brain Res. 688:121-133.

27830 **Weber, E., Sonders, M., Quarum, M., McLean, S., Pou, S., Keana, J.F. (1986)**
1,3-Di-(2-[5-^{3}H]tolyl)-quinidine: a selective ligand that labels sigma-type receptors for psychotomimetic opiates and antipsychotic drugs. Proc. Natl. Acad. Sci. USA 83:8784-8788.

27950 **Catterall, W.A., Morrow, C.S., Daly, J.W., Brown, G.B. (1981)**
Binding of batrachotoxin A 20-alpha-benzoate to a receptor site associated with sodium channels in synaptic nerve ending particles. J. Biol. Chem. 256:8922-8927, 1981.

28500 **Traish, A., A.M., Williams, D.F., Wotiz, H.H. (1986)**
Binding of [^{3}H]17α, 17α-dimethyl-19-nortestosterone (Mibolerone) to androgen and progesterone receptors in human and animal tissues. Endocrinology 118:1327-1333, 1986.

Schilling, K. and Shutsung, L. (1984)
The use of radioactive 7α, 17α-dimethyl-19-nortestosterone (mibolerone) in the assay of androgen receptors. The Prostate 5:581-588.

Liao, S., Witte, D., Schilling, K., and Chang, C. (1984)
The use of hydroxyapatite-filter steroid receptor assay method in the study of the modulation of androgen receptor interaction. J. Steroid Biochem. 20:11-17.