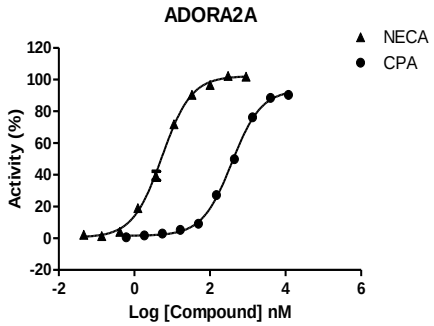
ADORA2A (Adenosine A2A Receptor)

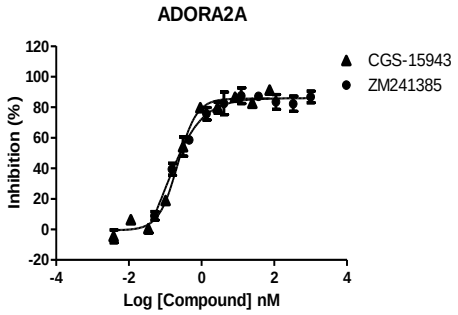
Cell Line Name:	HEK293/A2A/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	ADORA2A ; A2aR; ADORA2; RDC8
Accession Number:	NM_000675
G coupling	Gs

Functional Assay-Calcium Flux Assay

Agonist	NECA
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 5.4 nM



Antagonist	CGS-15943
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	NECA: 40 nM
Antagonist effect	IC50: 0.22 nM

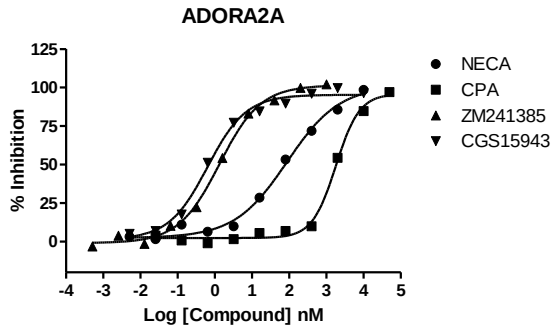


ADORA2A (Adenosine A2A Receptor)

Cellular Background:	HEK293
Species/Source:	Human/Recombinant
Gene Synonyms:	ADORA2A ; A2aR; ADORA2; RDC8
Accession Number:	NM_000675

Radio ligand binding Assay

Ligand	[3H] CGS 21680
Ligand concentration	6 nM
Kd (nM)	47.5 nM
Non specific	NECA (10 µM)
Incubation	120 min/RT
Detection method	Scintillation counting
Reference:	NECA (IC50:82.0 nM)

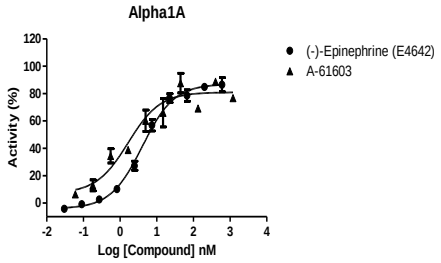


Alpha1A (Alpha-1-adrenergic receptor)

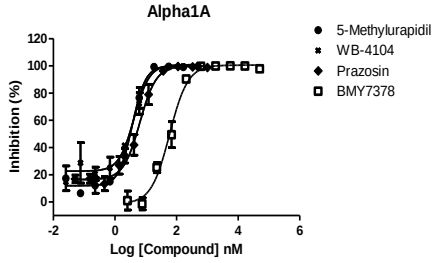
Cell Line Name:	HEK293/Alpha1A
Species/Source:	Human/Recombinant
Gene Synonyms:	ADRA1A; ADRA1C; ADRA1L1; ALPHA1AAR
Accession Number:	NM_000680 (isoform 1)
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	(-)Epinephrine
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 4.0 nM

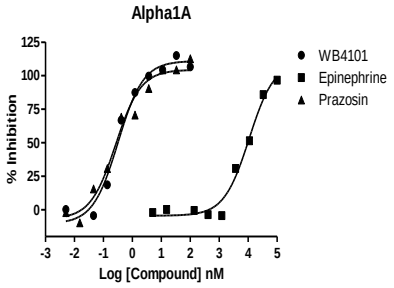


Antagonist	5-Methylurapidil
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	(-)Epinephrine : 6.3 nM
Antagonist effect	IC50: 3.6 nM



Radio ligand binding Assay

Ligand	[3H]prazosin
Ligand concentration	0.2 nM
Kd (nM)	0.09 nM
Non specific	epinephrine (0.1 mM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	WB 4101 (IC50:0.28 nM)

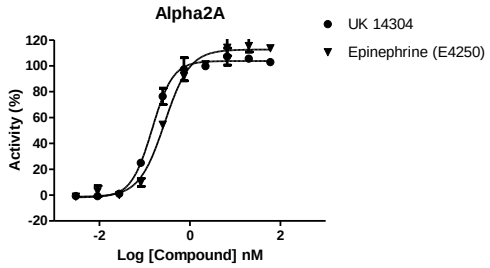


Alpha2A (Alpha-2-adrenergic receptor)

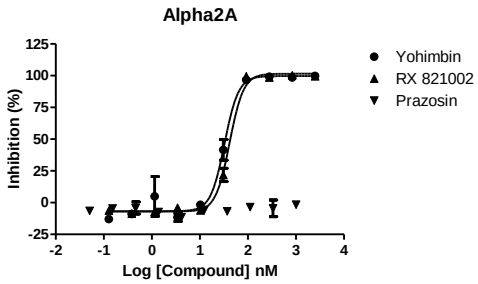
Cell Line Name:	HEK293/Alpha2A/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	ADRA2A; ADRA2; ADRAR; ZNF32; ADRA2R; ALPHA2AAR
Accession Number:	NM_000681 (isoform 1)
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	UK14304
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 0.14 nM

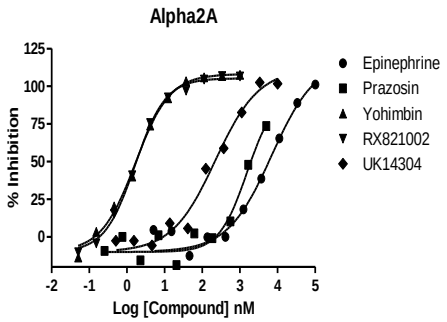


Antagonist	Yohimbine hydrochloride
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	UK14304 : 4.3 nM
Antagonist effect	IC50: 32.6 nM



Radio ligand binding Assay

Ligand	[3H]RX 821002
Ligand concentration	0.5 nM
Kd (nM)	0.46 nM
Non specific	epinephrine (0.1 mM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Rx81002(IC50:2.3 nM)

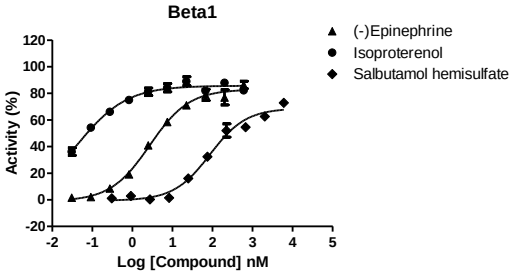


Beta1 (Beta-1 Adrenergic Receptor)

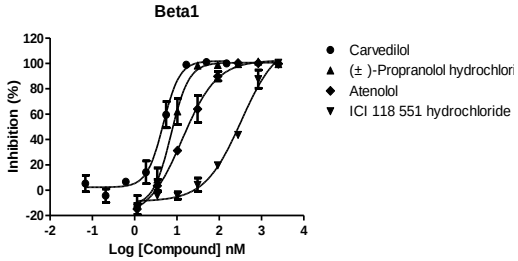
Cell Line Name:	HEK293/Beta1/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	ADRB1; RHR; B1AR; ADRB1R; BETA1AR
Accession Number:	NM_000684
G coupling	Gs

Functional Assay-Calcium Flux Assay

Agonist	(-)Epinephrine
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 2.72 nM

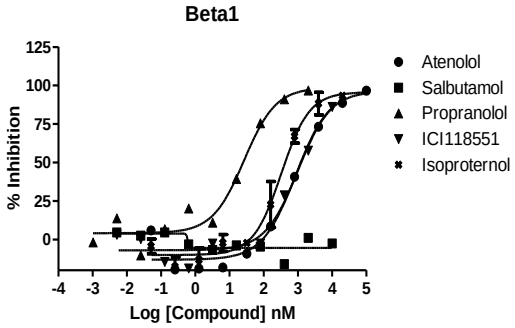


Antagonist	Carvedilol
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	(-)-Epinephrine : 20 nM
Antagonist effect	IC50: 4.75 nM



Radio ligand binding Assay

Ligand	[3H](-)CGP 12177
Ligand concentration	0.3 nM
Kd (nM)	0.04 nM
Non specific	propranolol (2 μM)
Incubation	120 min/RT
Detection method	Scintillation counting
Reference:	Atenolol (IC50:857 nM)

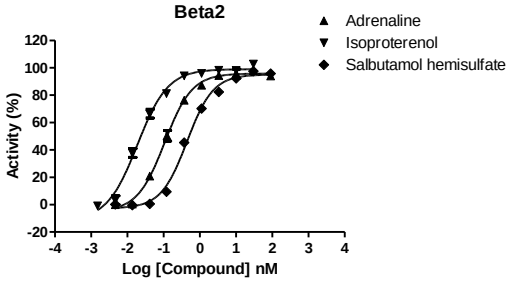


Beta2 (Beta-2 Adrenergic Receptor)

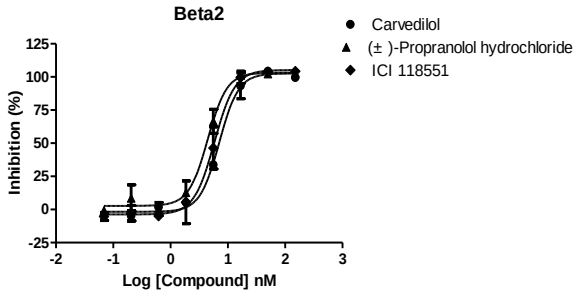
Cell Line Name:	HEK293/Beta2/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	ADRB2; BAR; B2AR; ADRBR; ADRB2R; BETA2AR
Accession Number:	NM_000024
G coupling	Gs/Gi

Functional Assay-Calcium Flux Assay

Agonist	Adrenaline
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 0.11 nM

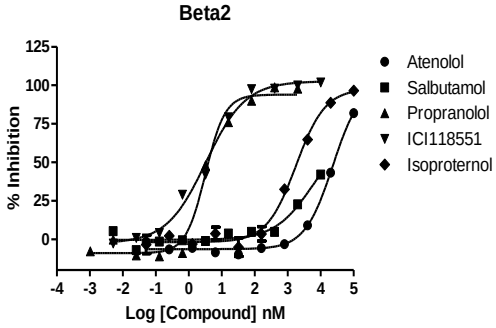


Antagonist	Carvedilol
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Adrenaline: 0.43 nM
Antagonist effect	IC50: 7.07 nM



Radio ligand binding Assay

Ligand	[3H](-)CGP 12177
Ligand concentration	0.3 nM
Kd (nM)	0.1 nM
Non specific	propranolol (2 μM)
Incubation	120 min/RT
Detection method	Scintillation counting
Reference:	ICI118551(IC50:3.3 nM)

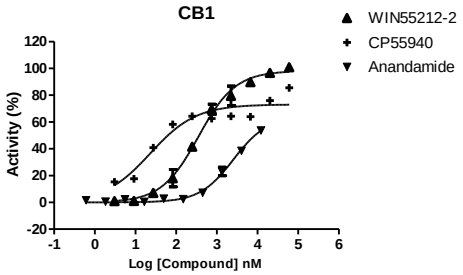


CB1 (Cannabinoid Type 1 Receptor)

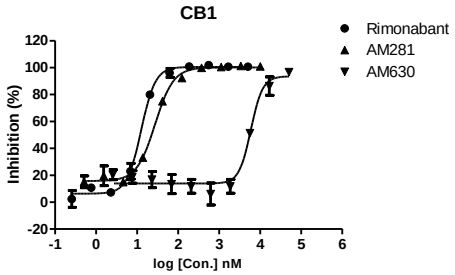
Cell Line Name:	CHO/CB1/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	CNR1; CB1; CNR; CB-R; CB1A; CB1R; CANN6; CB1K5
Accession Number:	NM_016083 (isoform1)
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	WIN55212-2
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50:352 nM



Antagonist	Rimonabant hydrochloride
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	WIN55212-2: 4000 nM
Antagonist effect	IC50: 12.5 nM

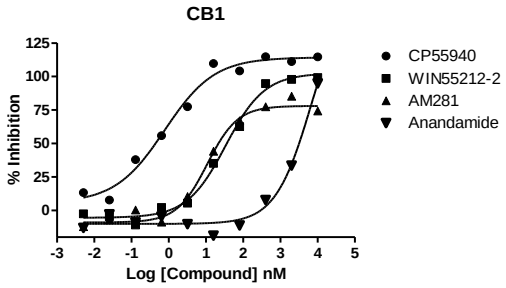


CB1 (Cannabinoid Type 1 Receptor)

Cellular Background:	CHO-K1
Species/Source:	Human/Recombinant
Gene Synonyms:	CNR1; CB1; CNR; CB-R; CB1A; CB1R; CANN6; CB1K5
Accession Number:	X54937

Radio ligand binding Assay

Ligand	[3H]CP 55940
Ligand concentration	0.4 nM
Kd (nM)	3.7 nM
Non specific	WIN 55212-2 (5 μM)
Incubation	120 min/37℃
Detection method	Scintillation counting
Reference:	CP 55940 (0.81 nM)

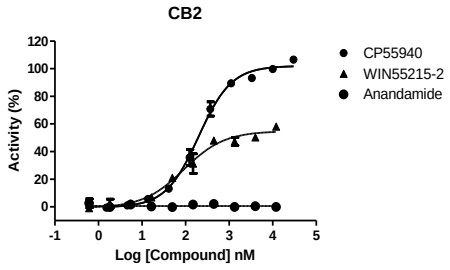


CB2 (Cannabinoid Type 2 Receptor)

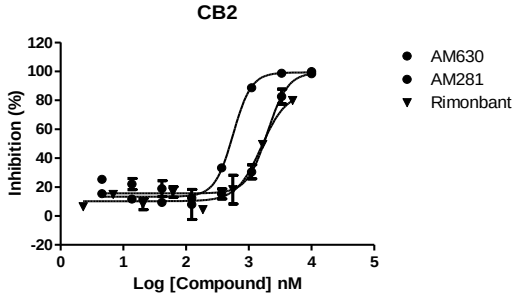
Cell Line Name:	CHO/CB2/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	CNR2; CB2; CX5; CB-2
Accession Number:	NM_001841
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	CP55940
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 199 nM

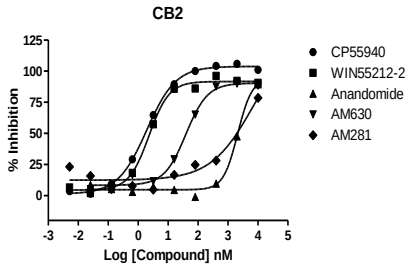


Antagonist	AM630
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	CP55940: 300 nM
Antagonist effect	IC50: 563 nM



Radio ligand binding Assay

Ligand	[3H]CP 55940
Ligand concentration	0.5 nM
Kd (nM)	5.1 nM
Non specific	WIN 55212-2 (5 μM)
Incubation	120 min/37℃
Detection method	Scintillation counting
Reference:	WIN55212-2(IC50: 2.2nM)

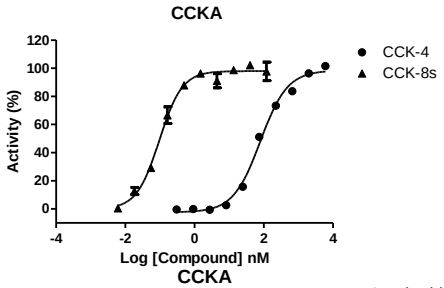


CCKA (Cholecystokinin A Receptor)

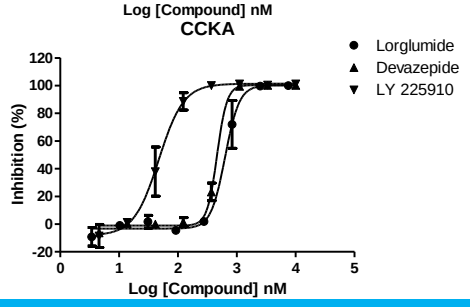
Cell Line Name:	HEK293/CCKA
Species/Source:	Human/Recombinant
Gene Synonyms:	CCKAR; CCK-A; CCK1R; CCKRA; CCK1-R
Accession Number:	NM_000730
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	CCK-4
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 80 nM



Antagonist	Lorglumide
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	CCK-4: 557 nM
Antagonist effect	IC50: 635 nM

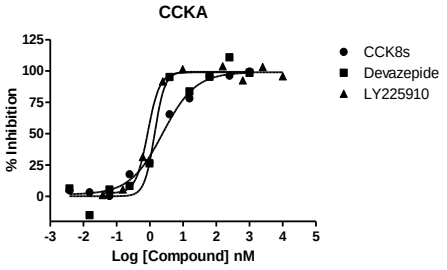


CCKA (Cholecystokinin A Receptor)

Cellular Background:	1321-N1
Species/Source:	Human/Recombinant
Gene Synonyms:	CCKAR; CCK-A; CCK1R; CCKRA; CCK1-R
Accession Number:	L19315

Radio ligand binding Assay

Ligand	[3H]CCK-8s
Ligand concentration	0.5 nM
Kd (nM)	0.22 nM
Non specific	CCK-8s (1 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	CCK-8s(IC50: 2.4 nM)

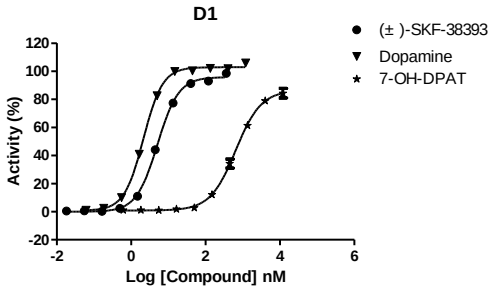


D1 (Dopamine D1 Receptor)

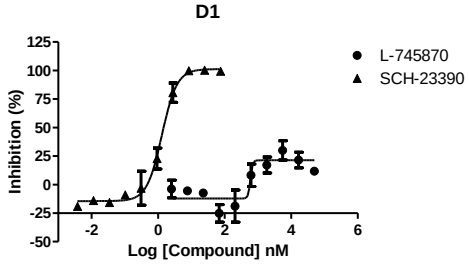
Cell Line Name:	HEK293/D1/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	DRD1; DADR; DRD1A
Accession Number:	NM_000794
G coupling	Gs

Functional Assay-Calcium Flux Assay

Agonist	(±)-SKF-38393
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 5.1 nM

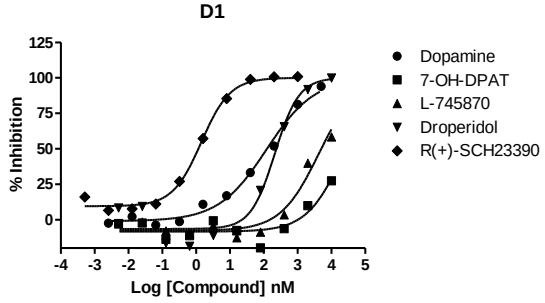


Antagonist	R(+)-SCH-23390 hydrochloride
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	(±)-SKF-38393: 11 nM
Antagonist effect	IC50: 1.3 nM



Radio ligand binding Assay

Ligand	[3H]SCH 23390
Ligand concentration	0.3 nM
Kd (nM)	0.6 nM
Non specific	droperidol (10 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	R(+)-SCH23390(IC50:1.7 nM)

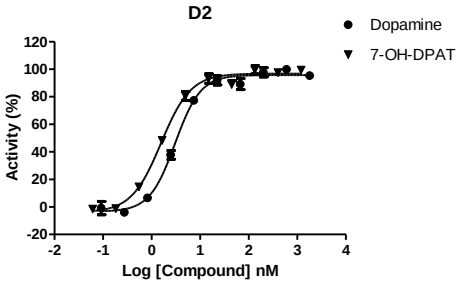


D2 (Dopamine D2 Receptor)

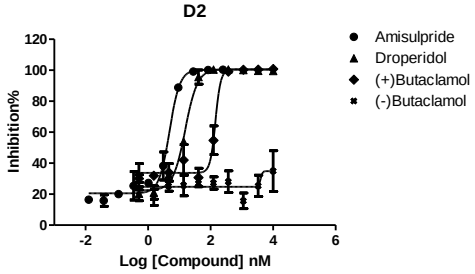
Cell Line Name:	HEK293/D2/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	DRD2; D2R; D2DR
Accession Number:	NM_000795
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	Dopamine
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 3.1 nM

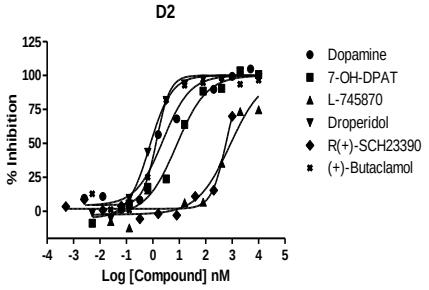


Antagonist	Amisulpride
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Dopamine: 10.5 nM
Antagonist effect	IC50: 4.8 nM



Radio ligand binding Assay

Ligand	[3H]7-OH-DPAT
Ligand concentration	1 nM
Kd (nM)	1.7 nM
Non specific	droperidol (10 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	(+)-Butaclamol(IC50:1.4 nM)

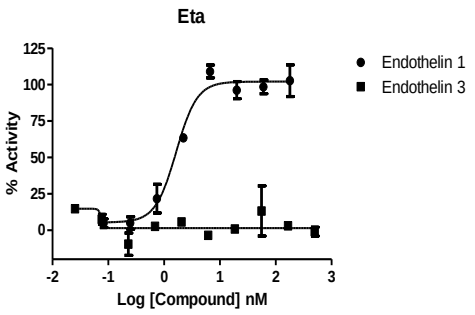


Eta (Endothelin Receptor Type A)

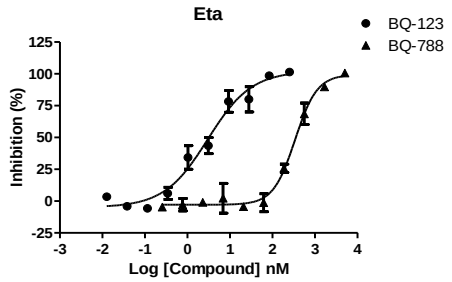
Cell Line Name:	HEK293/ETA
Species/Source:	Human/Recombinant
Gene Synonyms:	EDNRA; ETA; ET-A; ETAR; ETRA; MFDA; ETA-R; hET-AR
Accession Number:	NM_001957
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	Endothelin 1
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 1.6 nM

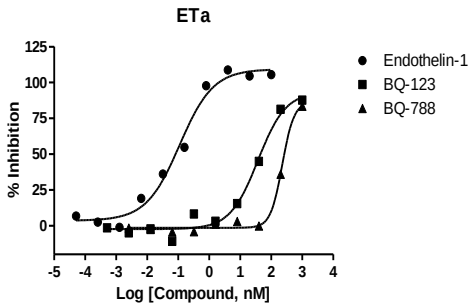


Antagonist	BQ-123
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Endothelin 1: 3.2 nM
Antagonist effect	IC50: 3.0 nM



Radio ligand binding Assay

Ligand	[125I]endothelin-1
Ligand concentration	0.03 nM
Kd (nM)	0.03 nM
Non specific	endothelin-1 (0.1 µM)
Incubation	120 min/37°C
Detection method	Scintillation counting
Reference:	endothelin-1(IC50:0.12 nM)

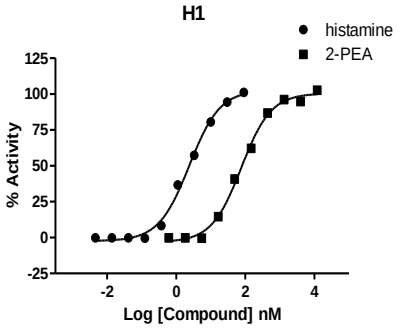


H1 (Histamine H1 receptor)

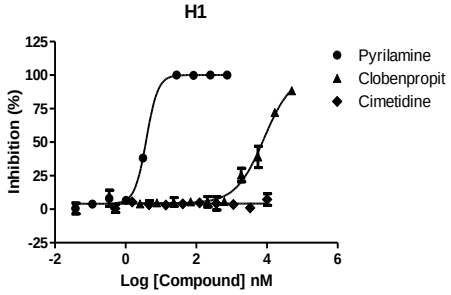
Cell Line Name:	HEK293/H1
Species/Source:	Human/Recombinant
Gene Synonyms:	HRH1; H1R; H1-R; HH1R; hisH1
Accession Number:	NM_000861
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	Histamine
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 2.39 nM

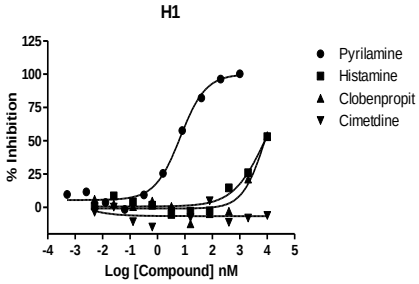


Antagonist	Pyrilamine maleate salt
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Histamine: 6.3 nM
Antagonist effect	IC50: 3.87 Nm



Radio ligand binding Assay

Ligand	[3H]pyrilamine
Ligand concentration	1 nM
Kd (nM)	2.3 nM
Non specific	Pyrilamine (1 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Pyrilamine(IC50:6.8nM)

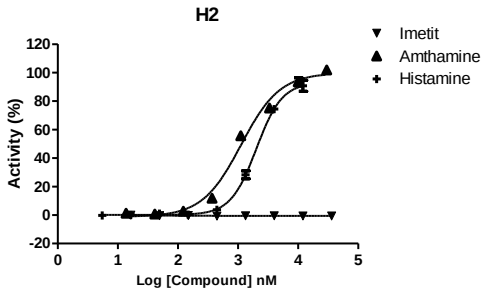


H2 (Histamine H2 receptor)

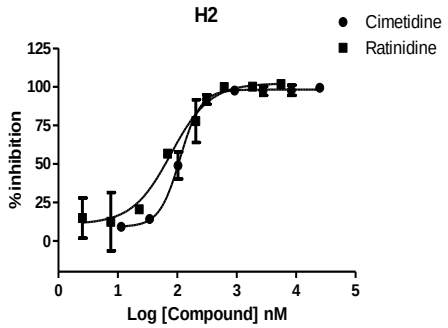
Cell Line Name:	HEK293/H2
Species/Source:	Human/Recombinant
Gene Synonyms:	H2; HRH2; H2R
Accession Number:	NM_022304
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	Amthamine dihydrobromide
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 1125 nM

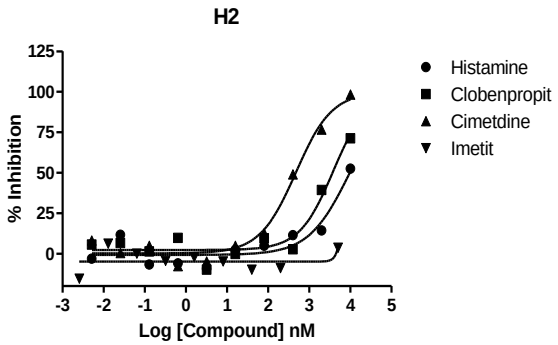


Antagonist	Cimetidine
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Amthamine dihydrobromide: 8000 nM
Antagonist effect	IC50: 111.8 nM



Radio ligand binding Assay

Ligand	[3H]Tiotidine
Ligand concentration	1 nM
Kd (nM)	0.8 nM
Non specific	Cimetdine(10uM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Cimetdine(IC50:472.7nM)

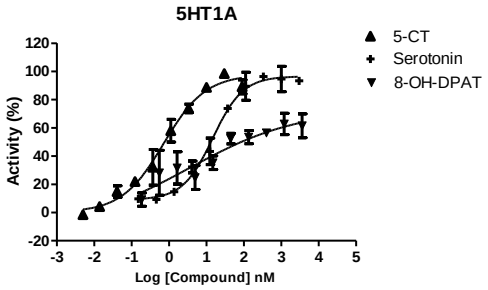


5HT1A (5-HT1A Receptor)

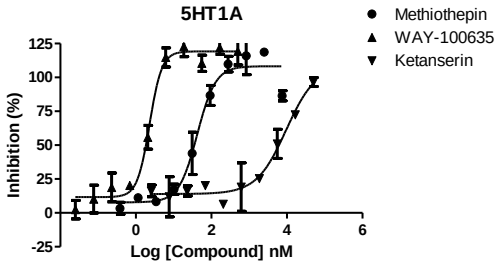
Cell Line Name:	HEK293/5-HT1A/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	HTR1A; G-21; 5HT1a; PFMCD; 5-HT1A; 5-HT-1A; ADRBRL1; ADRB2RL1
Accession Number:	NM_000524
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	5-CT
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 0.72 nM

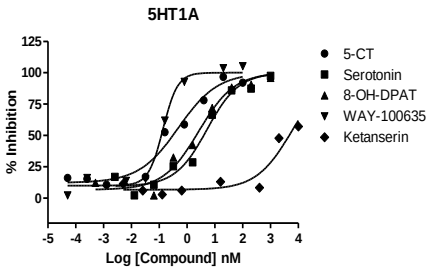


Antagonist	Methiothepin mesylate salt
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	5-CT: 20 nM
Antagonist effect	IC50: 42.3 nM



Radio ligand binding Assay

Ligand	[3H]8-OH-DPAT
Ligand concentration	0.3 nM
Kd (nM)	0.6 nM
Non specific	8-OH-DPAT (10 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	8-OH-DPAT(IC50:1.6nM)

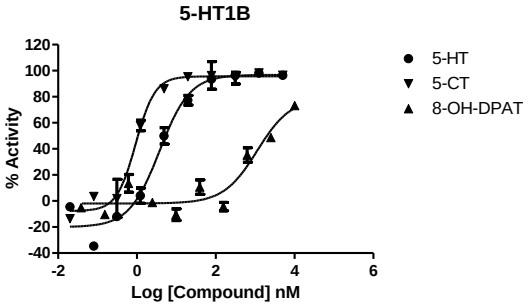


5HT1B (5-HT1B Receptor)

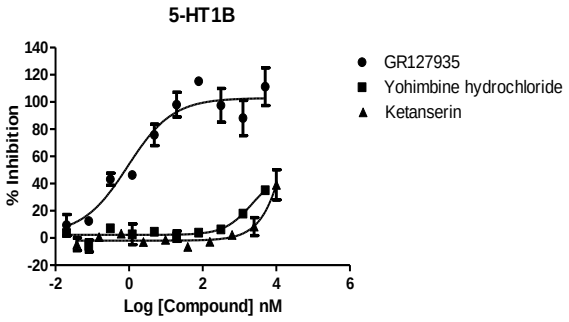
Cell Line Name:	CHO-K1/5-HT1B
Species/Source:	Human/Recombinant
Gene Synonyms:	5-HT-1B; 5-HT-1D-beta; 5-HT1B; 5-HT1DB; HTR1D2; HTR1DB; S12
Accession Number:	NM_000863
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	5-HT
Measurement:	cAMP Assay
Detection method:	HTRF
Incubation	25℃
Agonist effect	EC50: 3.75 nM



Antagonist	GR127935
Measurement:	cAMP Assay
Detection method:	HTRF
Incubation	25℃
Stimulant	5-HT: 20 nM
Antagonist effect	IC50: 0.92 nM

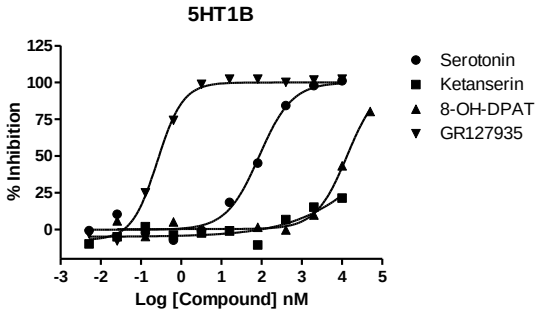


5HT1B (5-HT1B Receptor)

Cellular Background:	Chem-1
Species/Source:	Human/Recombinant
Gene Synonyms:	5-HT-1B; 5-HT-1D-beta; 5-HT1B; 5-HT1DB; HTR1D2; HTR1DB; S12
Accession Number:	NM_000863

Radio ligand binding Assay

Ligand	[3H]GR125743
Ligand concentration	4 nM
Kd (nM)	4.7 nM
Non specific	Serotonin (10 µM)
Incubation	120 min/RT
Detection method	Scintillation counting
Reference:	Serotonin(IC50:85.4 nM)

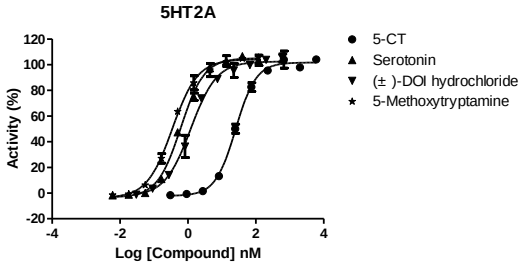


5HT2A (5-HT2A Receptor)

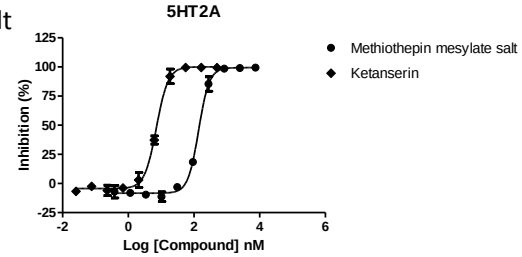
Cell Line Name: HEK293/5-HT2A
Species/Source: Human/Recombinant
Gene Synonyms: HTR2A; HTR2; 5-HT2A
Accession Number: NM_000621
G coupling Gq

Functional Assay-Calcium Flux Assay

Agonist 5-CT
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation 25℃
Agonist effect EC50: 25.5 nM



Antagonist Methiothepin mesylate salt
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation 25℃
Stimulant 5-CT: 47 nM
Antagonist effect IC50: 138.5 nM

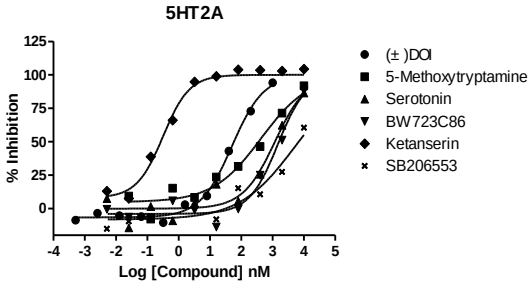


5HT2A (5-HT2A Receptor)

Cellular Background: CHO-K1
Species/Source: Human/Recombinant
Gene Synonyms: HTR2A; HTR2; 5-HT2A
Accession Number: X57830

Radio ligand binding Assay

Ligand [3H]-Ketanserin
Ligand concentration 0.5 nM
Kd (nM) 0.6 nM
Non specific (±)DOI (1 µM)
Incubation 60 min/RT
Detection method Scintillation counting
Reference: (±)DOI (IC50:41.0nM)

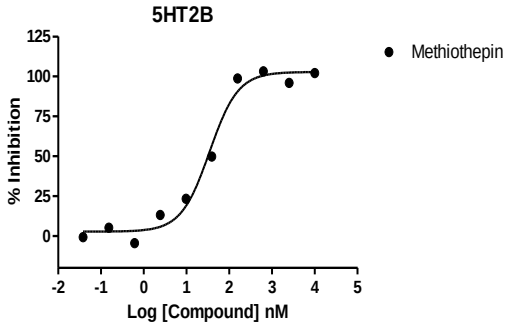


5HT2B (5-HT2B Receptor)

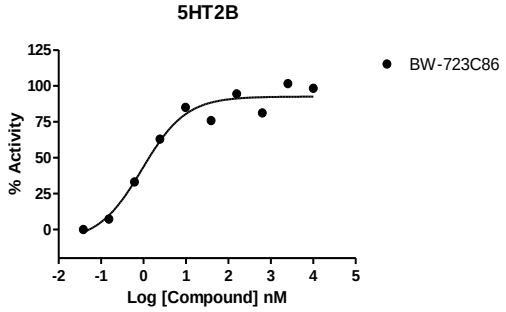
Cell Line Name:	HEK293/5-HT2B
Species/Source:	Human/Recombinant
Gene Synonyms:	5-HT (2B); 5-HT-2B; 5-HT2B
Accession Number:	NM_000867
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	BW-723C86
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 4.827 nM



Antagonist	Methiothepin mesylate salt
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	BW-723C86: 20 nM
Antagonist effect	IC50: 34.6 nM

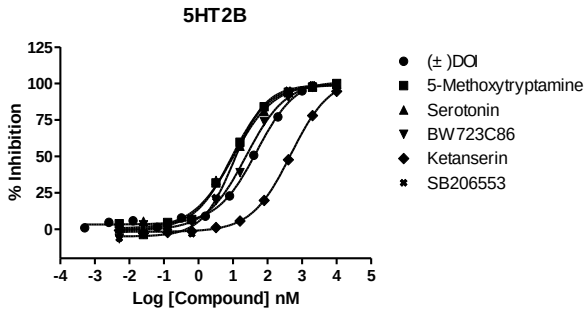


5HT2B (5-HT2B Receptor)

Cellular Background:	CHO-K1
Species/Source:	Human/Recombinant
Gene Synonyms:	5-HT (2B); 5-HT-2B; 5-HT2B
Accession Number:	X77307

Radio ligand binding Assay

Ligand	[3H]LSD
Ligand concentration	1 nM
Kd (nM)	0.93 nM
Non specific	Serotonin (50 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	(±)DOI (IC50: 34.1 nM)

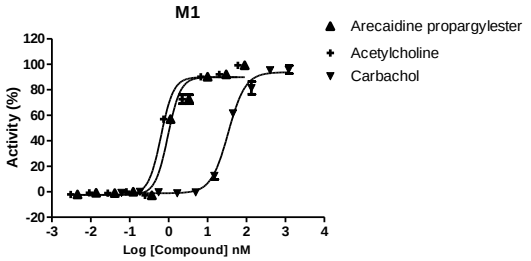


M1 (Muscarinic Acetylcholine M1 Receptor)

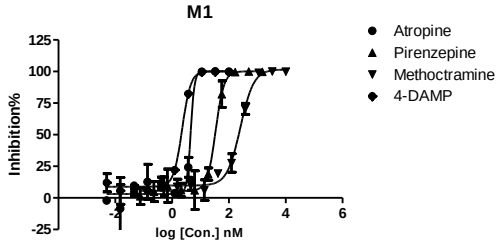
Cell Line Name:	HEK293/M1
Species/Source:	Human/Recombinant
Gene Synonyms:	CHRM1; M1; HM1; M1R
Accession Number:	NM_000738
G coupling	Gq/Gi/Gs

Functional Assay-Calcium Flux Assay

Agonist	Arecaidine propargyl ester
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 0.95 nM

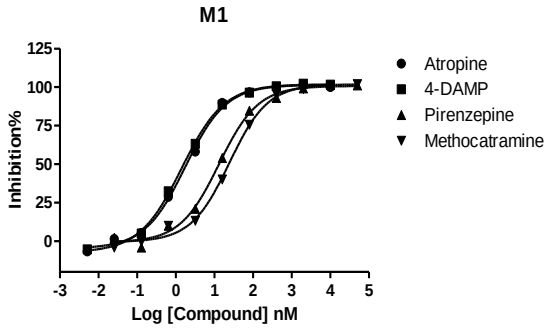


Antagonist	Pirenzepine dihydrochloride
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Arecaidine propargylester : 1.4 nM
Antagonist effect	IC50: 34 nM



Radio ligand binding Assay

Ligand	[3H]NMS
Ligand concentration	0.2 nM
Kd (nM)	0.07 nM
Non specific	Atropine (1 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Pirenzepine (IC50:13.2 nM)

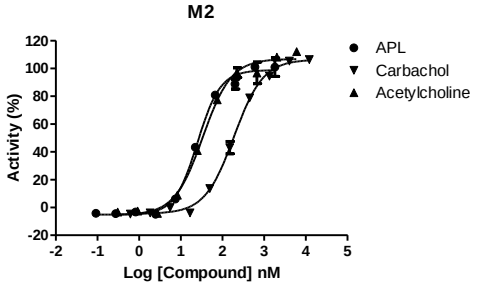


M2 (Muscarinic Acetylcholine M2 Receptor)

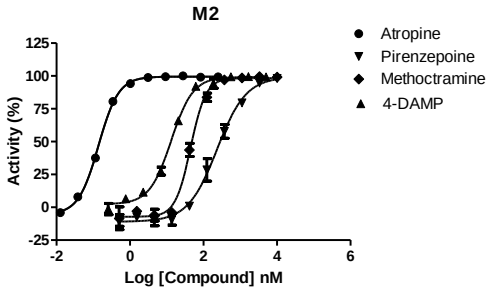
Cell Line Name:	HEK293/M2/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	CHRM2; M2; HM2
Accession Number:	NM_000739
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	Arecaidine propargyl ester
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 25.2 nM

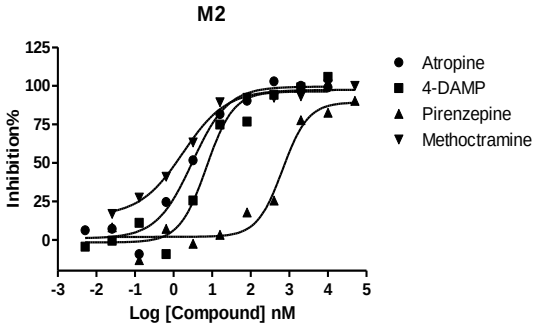


Antagonist	Atropine
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Arecaidine propargylester : 89 nM
Antagonist effect	IC50: 0.14 nM



Radio ligand binding Assay

Ligand	[3H]NMS
Ligand concentration	0.2 nM
Kd (nM)	0.19 nM
Non specific	Atropine (1 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Methoctramine (IC50:1.4 nM)

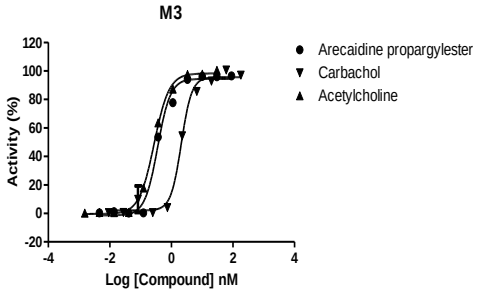


M3 (Cholinergic/Acetylcholine M3 Receptor)

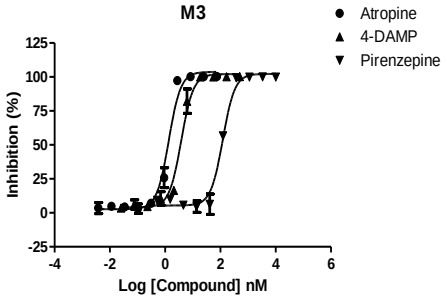
Cell Line Name:	HEK293/M3
Species/Source:	Human/Recombinant
Gene Synonyms:	CHRM3; M3; HM3; EGBRS
Accession Number:	NM_000740
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	Arecaidine propargyl ester
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 0.36 nM

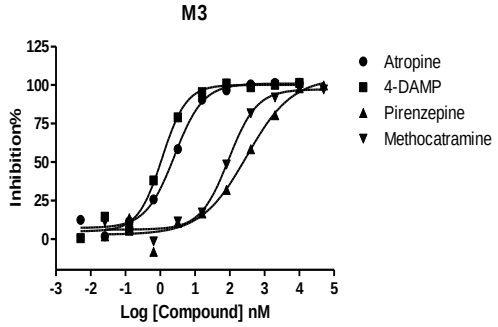


Antagonist	Atropine
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	Arecaidine propargylester : 1.0 nM
Antagonist effect	IC50: 1.4 nM



Radio ligand binding Assay

Ligand	[3H]NMS
Ligand concentration	0.2 nM
Kd (nM)	0.18 nM
Non specific	Atropine (1 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	4-DAMP (IC50:1.1 nM)

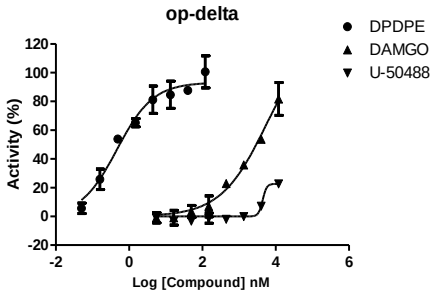


op-delta (δ-opioid Receptor)

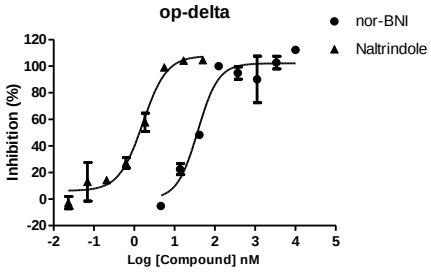
Cell Line Name:	HEK293/delta/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	OPRD1; OPRD
Accession Number:	NM_000911
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	DPDPE
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 0.5 nM

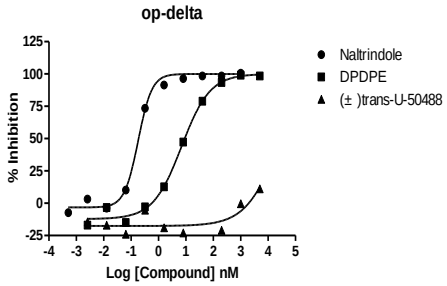


Antagonist	Naltrindole
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	DPDPE: 10 nM
Antagonist effect	IC50: 1.62 nM



Radio ligand binding Assay

Ligand	[3H]DADLE
Ligand concentration	0.5 nM
Kd (nM)	0.7 nM
Non specific	naltrindole (1 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Naltrindole(IC50:0.2nM)

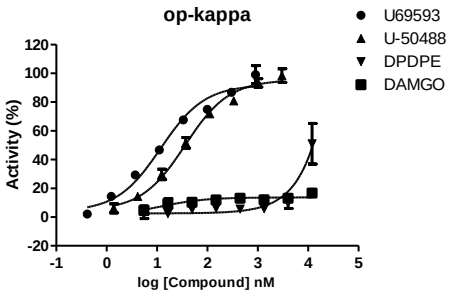


op-kappa(K-opioidReceptor)

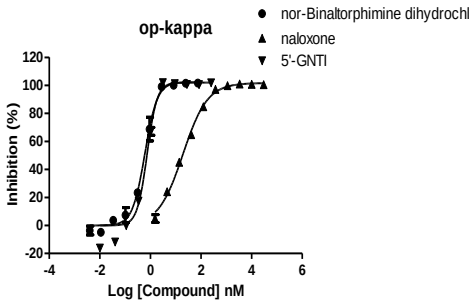
Cell Line Name:	HEK293/Kappa/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	OPRK1; KOR; OPRK; KOR-1; K-OR-1
Accession Number:	NM_000912
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	U69593
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 11.9nM

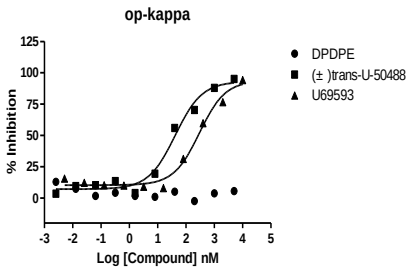


Antagonist	nor-Binaltorphiminedihydrochloride
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	U69593: 20 nM
Antagonist effect	IC50: 0.60nM



Radio ligand binding Assay

Ligand	[3H]Diprenorphine
Ligand concentration	0.3 nM
Kd (nM)	0.14 nM
Non specific	trans-U-50488 (5 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	U-50488 (IC50:41.8nM)

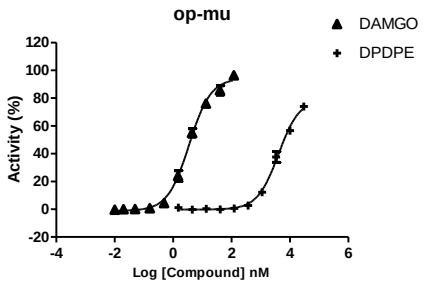


op-mu (M-opioid Receptor)

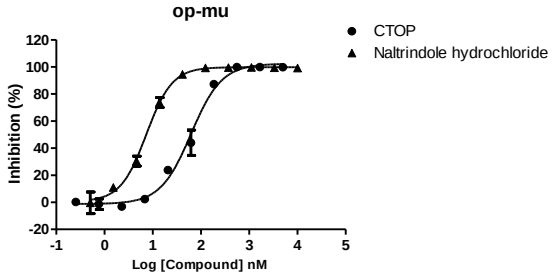
Cell Line Name:	HEK293/Mu/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	OPRM1; MOP; MOR; LMOR; MOR1; OPRM; M-OR-1
Accession Number:	NM_000914
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	DAMGO
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 3.6 nM

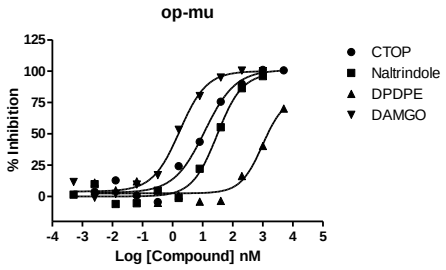


Antagonist	CTOP
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	DAMGO: 20 nM
Antagonist effect	IC50: 62.3 nM



Radio ligand binding Assay

Ligand	[3H]DAMGO
Ligand concentration	0.5 nM
Kd (nM)	0.3 nM
Non specific	naltrindole (1 μM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	DAMGO(IC50:1.7 nM)

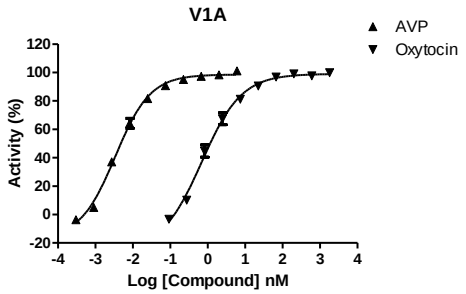


V1A (Arginine Vasopressin Receptor 1A)

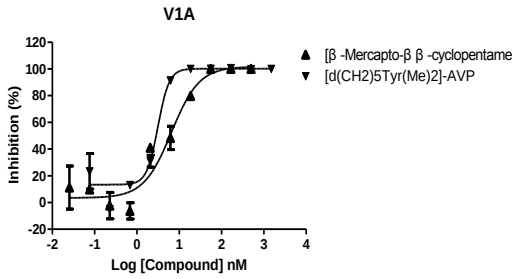
Cell Line Name:	CHO/V1A
Species/Source:	Human/Recombinant
Gene Synonyms:	AVPR1A; V1aR; AVPR1; AVPR; V1a
Accession Number:	NM_000706
G coupling	Gq

Functional Assay-Calcium Flux Assay

Agonist	[Arg8]Vasopressin acetate
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Agonist effect	EC50: 0.0034 nM

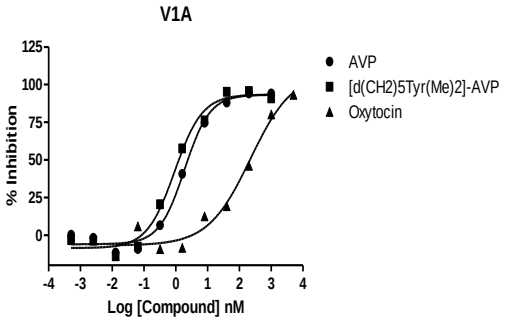


Antagonist	[β-Mercapto-β,β-cyclopentamethylenepropionyl1, O-Et-Tyr2, Val4, Arg8]-Vasopressin
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25℃
Stimulant	[Arg8]Vasopressin acetate: 0.12 nM
Antagonist effect	IC50: 6.551 nM



Radio ligand binding Assay

Ligand	[3H]AVP
Ligand concentration	0.3 nM
Kd (nM)	0.26 nM
Non specific	AVP (1 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	[d(CH2)5,Tyr(Me)2]-AVP (IC50:0.92 nM)

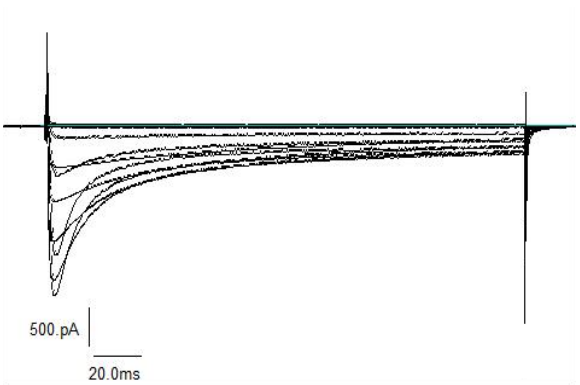


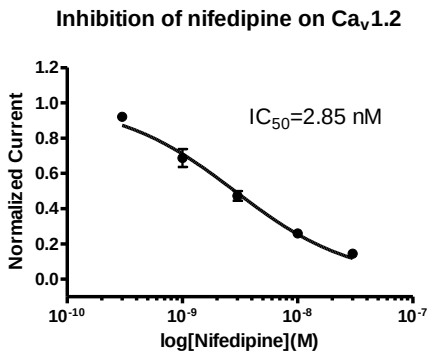
hCa$_v$1.2 (L-type calcium channel)

Channel Name:	Ca$_v$1.2
Host Cells:	T-Rex-293
Species/Source:	Human/Recombinant
Gene Synonyms:	Cav1.2/beta2/ alpha2/delta
Accession Number:	NM_000719.6/ NM_000724.3/ NM_000722.2
Vector/Antibiotic:	pcDNA4TO/Zeocin

Functional Assay- Manual Patch Clamp

Antagonist	Nifedipine
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	IC50: 2.85 nM





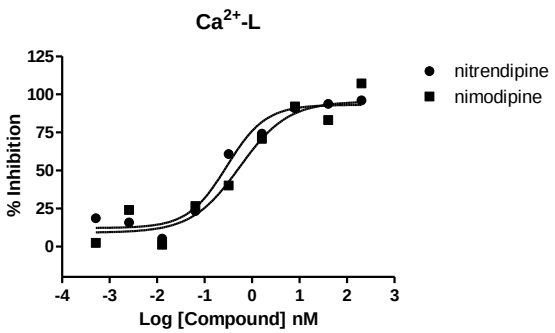
Left: Raw traces of Cav1.2 current to show IV relationship Right: Nifedine inhibited Ca$_v$1.2 currents in dose manner with IC$_{50}$ of 2.85 Nm

Ca^{2+}-L (Calcium channel, voltage-dependent, L type)

Species/Source:	rat cerebral cortex

Radio ligand binding Assay

Ligand	[3H]-nitredipine
Ligand concentration	0.2 nM
Kd (nM)	0.27 nM
Non specific	Nitrendipine (1 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Nitrendipine (IC50:0.29 nM)

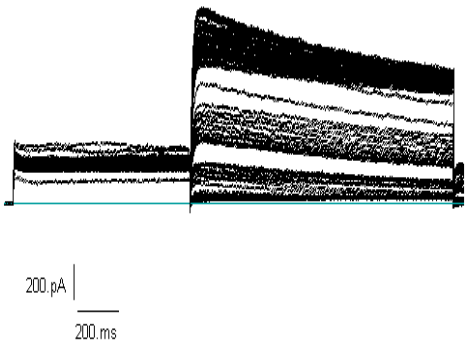


hERG channel (potassium channel)

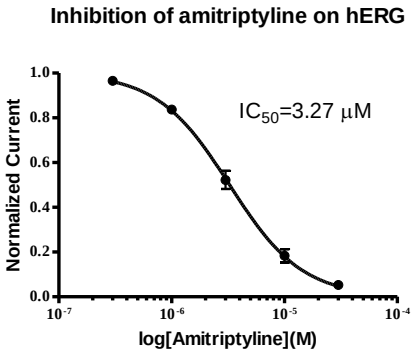
Channel Name:	hERG
Host Cells:	CHO
Species/Source:	Human/Recombinant
Gene Synonyms:	KCNH2
Accession Number:	Swissprot Q12809
Vector/Antibiotic:	pcDNA5/Hygromycin

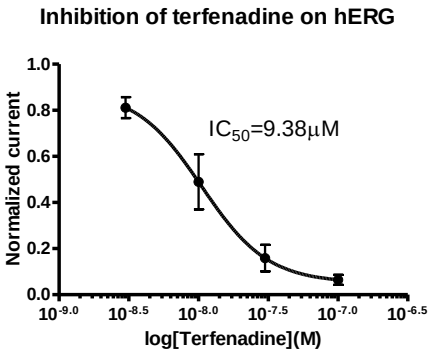
Functional Assay- Manual Patch Clamp

Antagonist	Amitriptyline; Terfenadine
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	IC50: 3.27 μM for amitriptyline and 9.38 for terfenadine



Sample traces of hERG currents in the presence of different concentrations of lidocaine





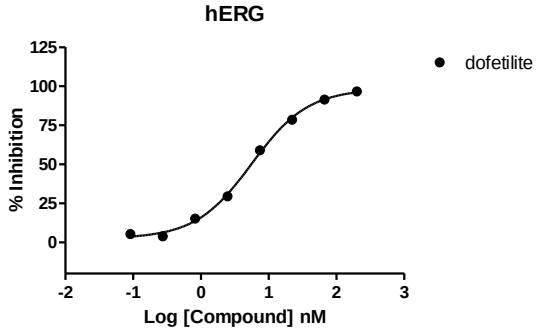
Dose response curve of amitriptyline and terfenadine on hERG channels

hERG (potassium channel)

Cell Line Name:	Hela
Species/Source:	Human
Gene Synonyms:	KCNH2
Accession Number:	Swissprot Q12809

Radio ligand binding Assay

Ligand	[3H]- dofetilite
Ligand concentration	1 nM
Kd (nM)	1.3 nM
Non specific	dofetilite (1 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	dofetilite(IC50:5.15 nM)

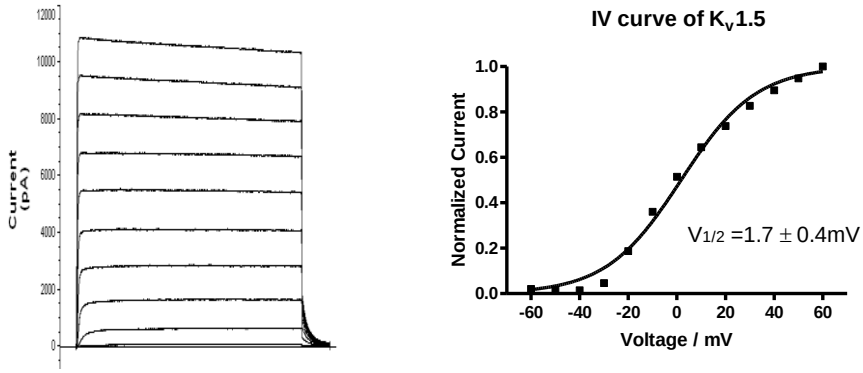


$hK_v1.5$ (Potassium channel)

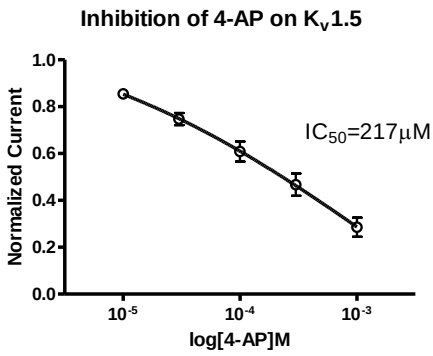
Channel Name:	$hK_v1.5$
Host Cells:	CHO
Species/Source:	Human/Recombinant
Gene Synonyms:	KCNA5
Accession Number:	NM_002234.2

Functional Assay- Manual Patch Clamp

Antagonist	4-AP
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	IC50: 217 μM



Sample traces of $K_v1.5$ current (left) and its IV curve (right)



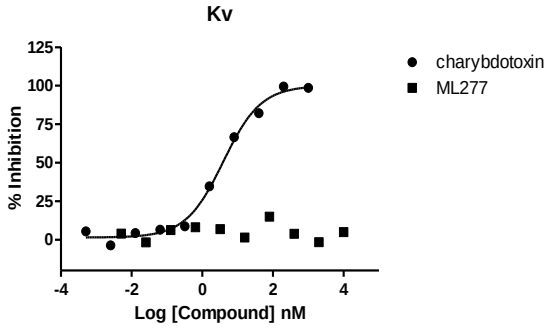
Dose response curve of 4-AP on $K_v1.5$ channels

Kv(Potassium channel)

Species/Source: rat cerebral cortex

Radio ligand binding Assay

Ligand	[125I] α-DENDROTOXIN
Ligand concentration	0.01 nM
Kd (nM)	0.04 nM
Non specific	charybdotoxin (1μM)
Incubation	30 min/RT
Detection method	Scintillation counting
Reference:	charybdotoxin (IC50:3.9 nM)

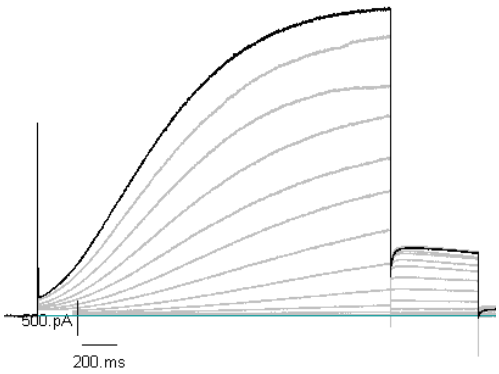


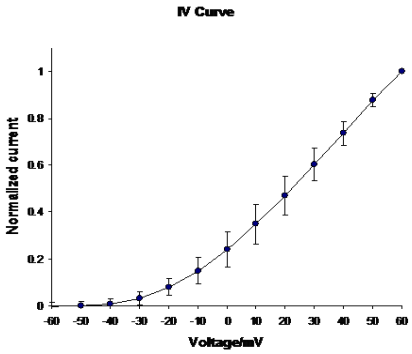
hKCNQ1 (Potassium channel)

Channel Name:	hKCNQ1+ MinK
Host Cells:	T-REx-CHO
Species/Source:	Human/Recombinant
Gene Synonyms:	KvLQT1/minK
Accession Number:	NM_000218/ NM_000219
Vector/Antibiotic:	pcDNA4TO/Zeocin, pcDNA3.1/Geneticin

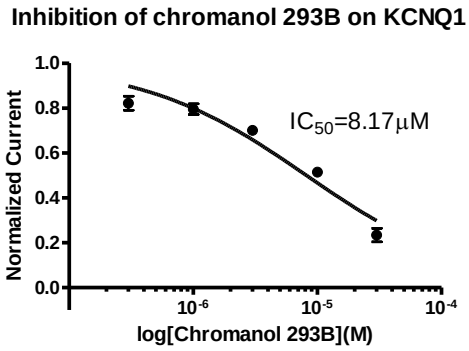
Functional Assay- Manual Patch Clamp

Antagonist	Chromanol 293B
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	IC50: 8.17 μM





Sample traces of KCNQ1 current (left) and its IV curve (right)



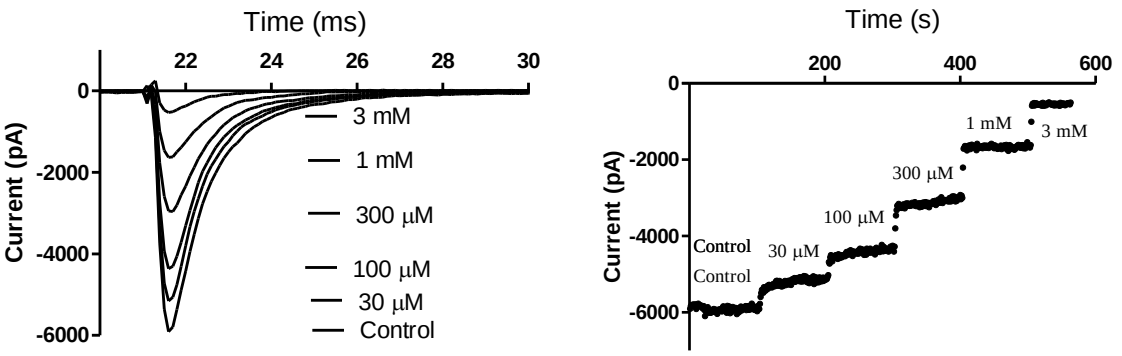
Dose response curve of Chromanol 293B on KCNQ1 channels

hNa$_v$1.5 (Sodium channel)

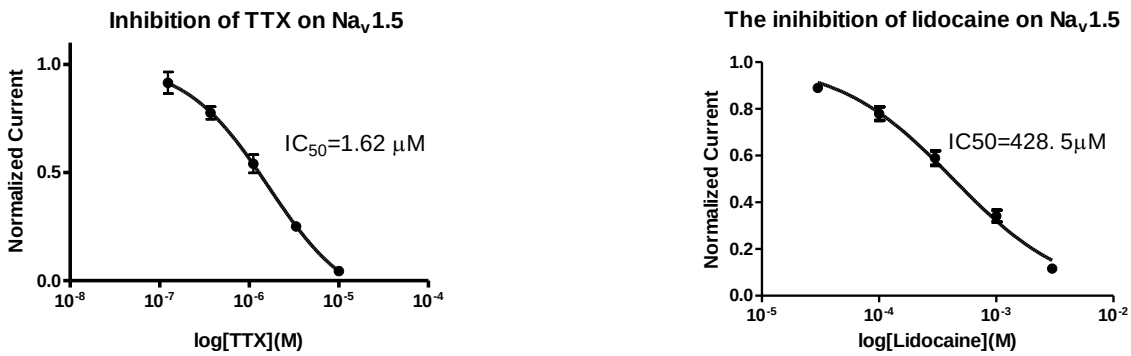
Channel Name:	Na$_v$1.5
Host Cells:	HEK 293
Species/Source:	Human/Recombinant
Gene Synonyms:	SCN5A
Accession Number:	NM_000335.4
Vector/Antibiotic:	pcDNA3.1/Geneticin

Functional Assay- Manual Patch Clamp

Antagonist	TTX， tetrecane
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	IC50: 1.62 μM for TTX, 428.5μM for lidocaine



Left: Sample Na$_v$1.5 current traces in the presence of lidocaine at different concentrations.
Right: IT plot of Na$_v$1.5 peak current in the presence or absence of lidocaine.



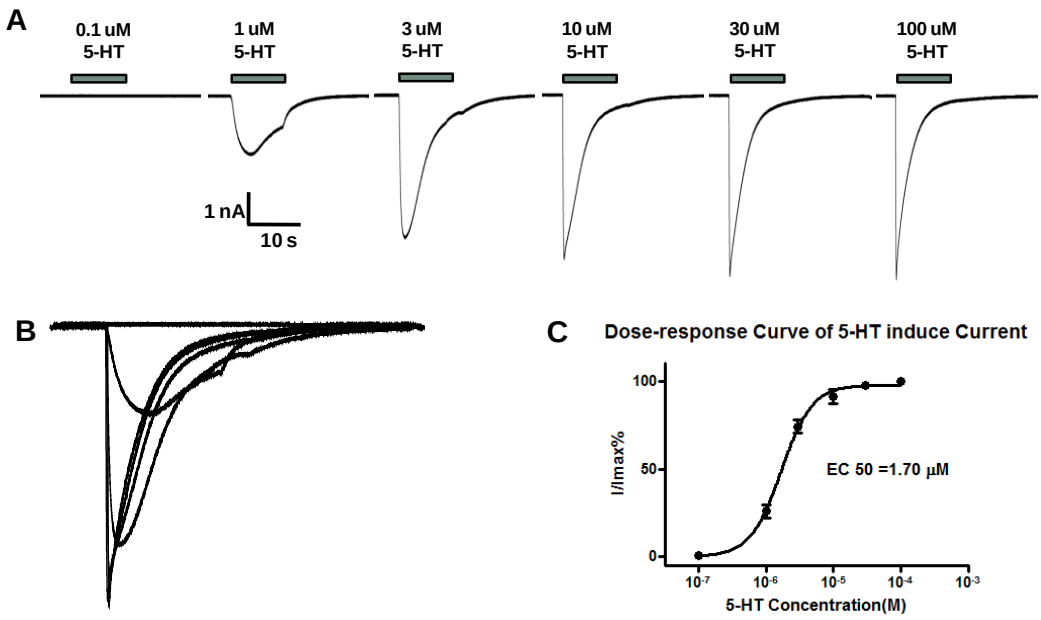
Dose response curve of TTX and lidocaine on Na$_v$1.5 channels

5-HT$_{3A}$ (Serotonin receptor)

Channel Name:	5-HT$_{3A}$
Host Cells:	BacMam system (HEK)
Species/Source:	Human/Recombinant
Gene Synonyms:	HTR3A
Accession Number:	BC145743
Vector/Antibiotic:	pFastBac (Bac-to-Bac Baculovirus Expression System)

Functional Assay- Manual Patch Clamp

Antagonist	5-HT
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	EC50: 1.70 μM



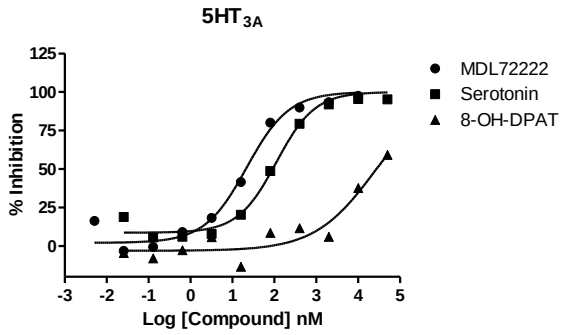
Sample traces and dose response curve of 5-HT induced current in 5-HT$_{3A}$ receptor. The interval of 5-HT application is 3 min. A, Sample traces. All traces were from same cell. B, the sample traces in A were superimposed. C,Dose response curve of 5-HT. The EC50 is 1.7 uM.

$5HT_{3A}$ (Serotonin receptor)

Cell Line Name:	BacMam system (HEK)/5-HT3A
Species/Source:	Human/Recombinant
Gene Synonyms:	HTR3A
Accession Number:	BC145743

Radio ligand binding Assay

Ligand	[3H]BRL 43694
Ligand concentration	0.5 nM
Kd (nM)	0.13 nM
Non specific	MDL 72222 (10 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	MDL 72222 (IC50:22.1 nM)

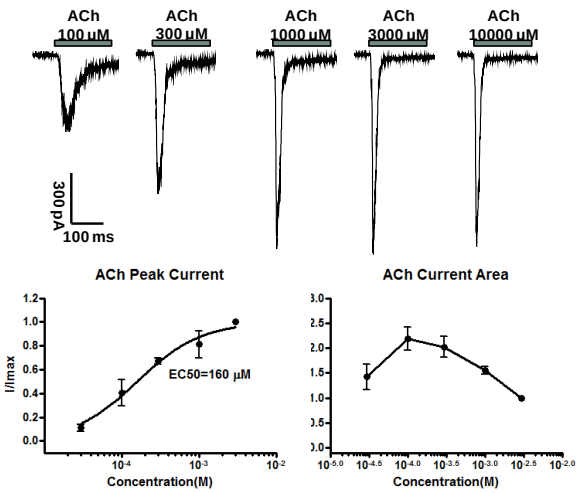


nAChRα$_7$ (Nicotinic receptor)

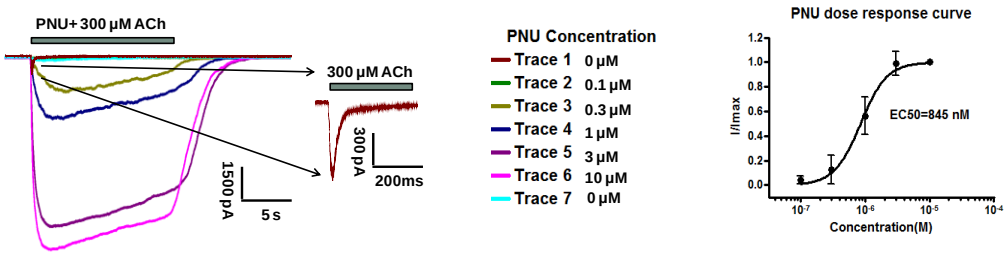
Channel Name:	nAChRα$_7$
Host Cells:	T-Rex-293
Species/Source:	Human/Recombinant
Gene Synonyms:	CHRNA7 and RIC3
Accession Number:	NP_000737.1/ NP_001193600.1
Vector/Antibiotic:	PcDNA4TO/Zeocin, pcDNA3.1/Geneticin

Functional Assay- Manual Patch Clamp

Antagonist	ACh
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	EC50: 160 μM



The up pannel is the sample traces of ACh induced currents. All the currents were from same cell. The EC50 of ACh induced peak current is 160 uM. The peak current area was also calculated. All the peak current values and current area were normalized to the one induced by 1mM ACh. Data were presented as Mean ±SD (n=4)



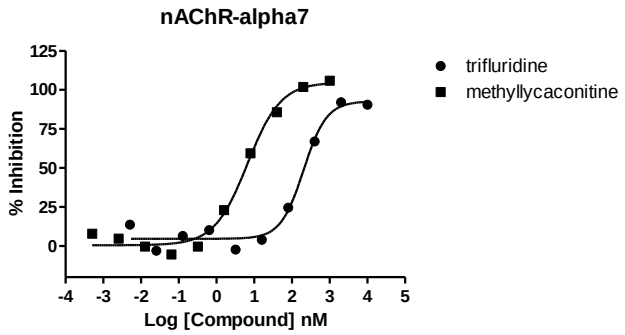
PNU-120596 enhance ACh-induced currents in dose response manner. PNU dose response curve in the presence of 300 μM of Ach. All the currents were normalized to the one induced by 10 uM PNU plus 300 uM ACh.Data were presented as Mean ±SD (n=5)

nAChR-alpha7 (Nicotinic Acetylcholine receptor)

Cell Line Name:	T-Rex-293/nAChR-alpha7
Species/Source:	Human/Recombinant
Gene Synonyms:	CHRNA7 and RIC3
Accession Number:	NP_000737.1/ NP_001193600.1

Radio ligand binding Assay

Ligand	[3H]-Methyllycaconitine
Ligand concentration	1 nM
Kd (nM)	2.8 nM
Non specific	Trifluridine (10 µM)
Incubation	60 min/RT
Detection method	Scintillation counting
Reference:	Methyllycaconitine (IC50:6.9 nM)

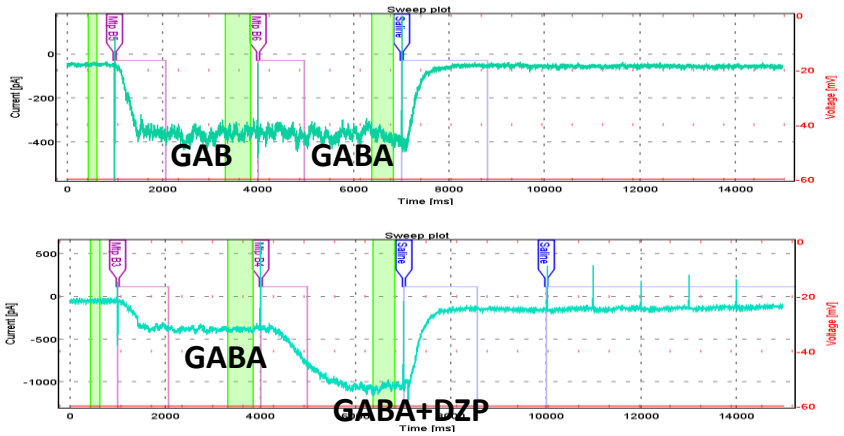


$GABA_A$ receptor (α1β3γ2)

Channel Name:	$GABA_A$ receptor
Host Cells:	HEK293
Species/Source:	Human/Recombinant
Gene Synonyms:	GABRA1/GABRB3/GABRG2
Accession Number:	NM_000806/ NM_000814/ NM_000816
Vector/Antibiotic:	pcDNA3.1/ Geneticin

Functional Assay- Manual Patch Clamp

Antagonist	GABA
Measurement:	Current under voltage patch clamp
Detection method:	Manual patch clamp
Temperature	25℃
Antagonist potency	



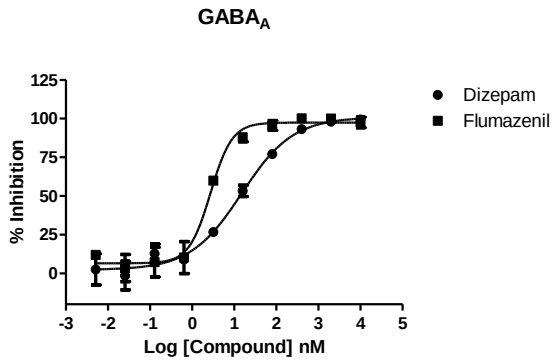
Samples traces from Qpatch to show GABA induced current in $GABA_A$ receptor (α1β3γ2) in the presence and absence of DZP.

$GABA_A$ ($GABA_A$ -α1β3γ2 receptor)

Cell Line Name: HEK293/$GABA_A$
Species/Source: Human/Recombinant
Gene Synonyms: GABRA1/GABRB3/GABRG2
Accession Number: NM_000806/ NM_000814/ NM_000816

Radio ligand binding Assay

Ligand [3H]flunitrazepam
Ligand concentration 0.4 nM
Kd (nM) 2.7 nM
Non specific Flumazenil (10 µM)
Incubation 60 min/4°C
Detection method Scintillation counting
Reference: Flumazenil(IC50:3.4 nM)

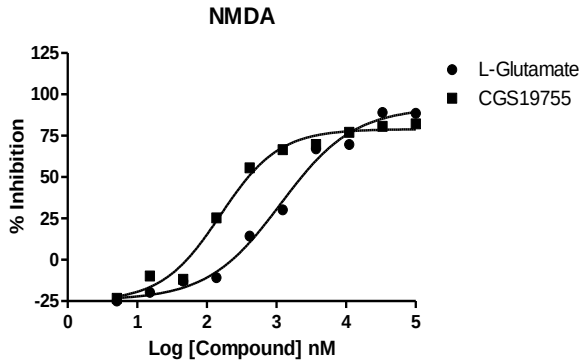


NMDA (N-methyl-D-aspartate receptor)

Species/Source: rat cerebral cortex

Radio ligand binding Assay

Ligand [3H]CGP 39653
Ligand concentration 5 nM
Kd (nM) 13.5 nM
Non specific L-Glutamate (100 µM)
Incubation 30 min/RT
Detection method Scintillation counting
Reference: CGS 19755 (IC50:156.3 nM)

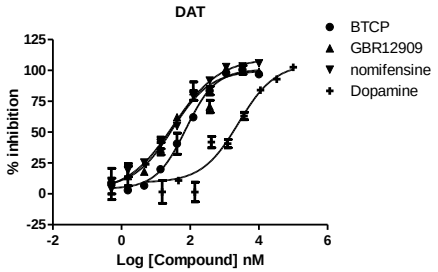


DAT (Dopamine Transporter)

Cell Line Name: HEK293/DAT
Species/Source: Human/Recombinant
Gene Synonyms: SLC6A3
Accession Number: NM_001044

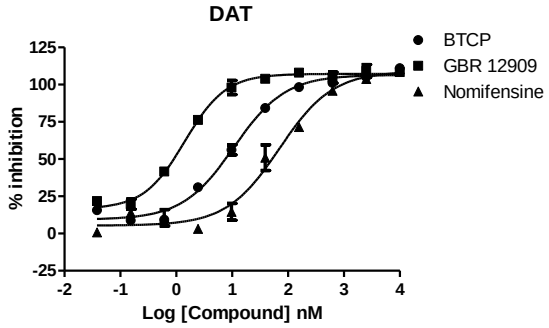
Functional Assay-Transporter uptake Assay

Reference BTCP
Measurement: Dye uptake
Detection method: Fluorescence
Reference effect: BTCP(IC50:75 nM)



Radio ligand binding Assay

Ligand [3H]-WIN 35,428
Ligand concentration 12.5 nM
Kd (nM) 18 nM
Non specific BTCP (10 µM)
Incubation 120min/4°C
Detection method Scintillation counting
Reference: BTCP(IC50:9.5 nM)

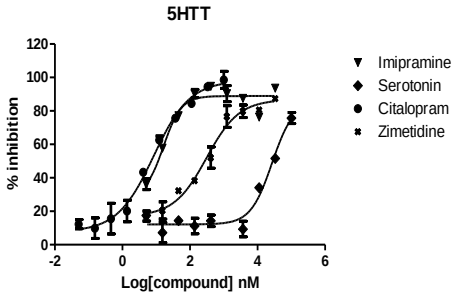


5HTT (5-HT Transporter)

Cell Line Name: HEK293/5-HTT
Species/Source: Human/Recombinant
Gene Synonyms: SLC6A4
Accession Number: NM_001045

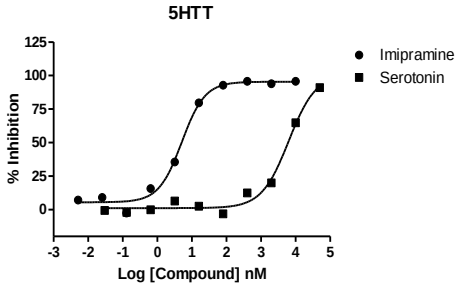
Functional Assay-Transporter uptake Assay

Reference Citalopram
Measurement: Dye uptake
Detection method: Fluorescence
Reference effect: Citalopram(IC50:8.4 nM)



Radio ligand binding Assay

Ligand [3H]imipramine
Ligand concentration 2 nM
Kd (nM) 2.4 nM
Non specific imipramine (10 µM)
Incubation 60 min/RT
Detection method Scintillation counting
Reference imipramine(IC50:5.1nM)

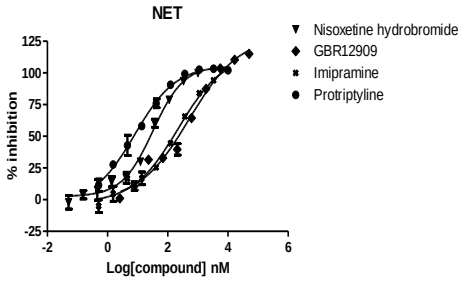


NET (Noradrenaline Transporter)

Cell Line Name: HEK293/NET
Species/Source: Human/Recombinant
Gene Synonyms: SLC6A2
Accession Number: NM_001043

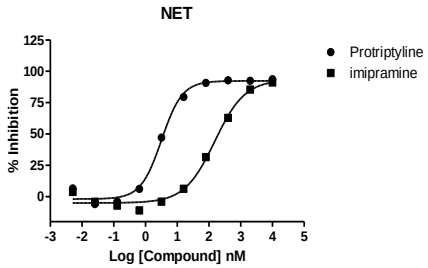
Functional Assay-Transporter uptake Assay

Reference Nisoxetine
Measurement: Dye uptake
Detection method: Fluorescence
Reference effect: Nisoxetine (IC50:32 nM)



Radio ligand binding Assay

Ligand [3H]nisoxetine
Ligand concentration 1 nM
Kd (nM) 6.9 nM
Non specific Protriptyline (10 µM)
Incubation 120min/4°C
Detection method Scintillation counting
Reference Protriptyline(IC50:3.2 nM)

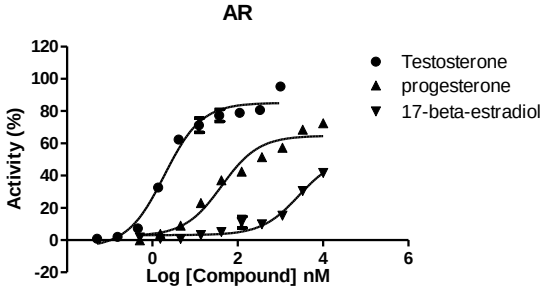


Androgen receptor

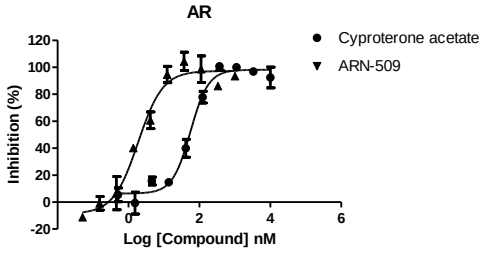
Cell Line Name: GeneBLAzer ® AR-UAS-bla GripTite™ Cells
Species/Source: ligand-binding domain of the rat AR

Functional Cellular assay

Agonist Testosterone
Measurement: CCF-4
Detection method: FRET
Incubation 25℃
Agonist effect EC50: 1.9 nM



Antagonist Cyproterone Acetate
Measurement: CCF-4
Detection method: FRET
Incubation 25℃
Stimulant Testosterone : 1.89 nM
Antagonist effect IC50: 55.8 nM

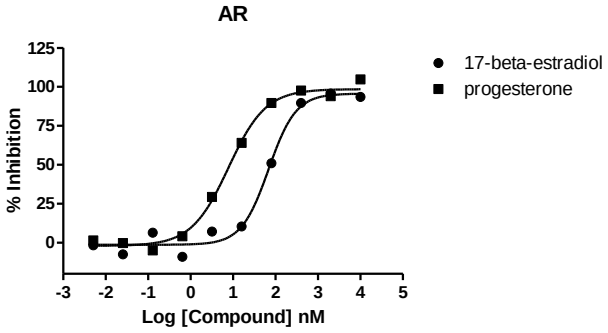


Androgen receptor

Cell Line Name: LNCap
Species/Source: Human/Cytosol
Gene Synonyms: AR
Accession Number: NM_000044 and NM_001011645

Radio ligand binding Assay

Ligand [3H]methyltrienolone
Ligand concentration 1 nM
Kd (nM) 0.33 nM
Non specific Progesterone (10 µM)
Incubation 24hr/4°C
Detection method Scintillation counting
Reference: Progesterone (IC50:8.42 nM)

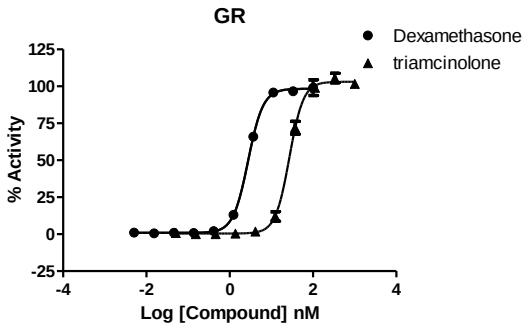


Glucocorticoid receptor

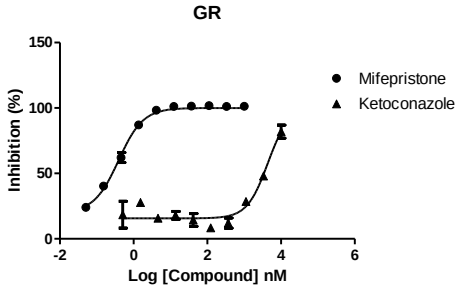
Cell Line Name: GeneBLAzer ® GR-UAS-bla HEK 293T cells
Species/Source: Human GR ligand-binding domain

Functional cellular assay

Agonist Dexamethasone
Measurement: CCF-4
Detection method: FRET
Incubation 25℃
Agonist effect EC50: 2.2 nM



Antagonist Mifepristone
Measurement: CCF-4
Detection method: FRET
Incubation 25℃
Stimulant Dexamethasone : 4.06 nM
Antagonist effect IC50: 0.85 nM

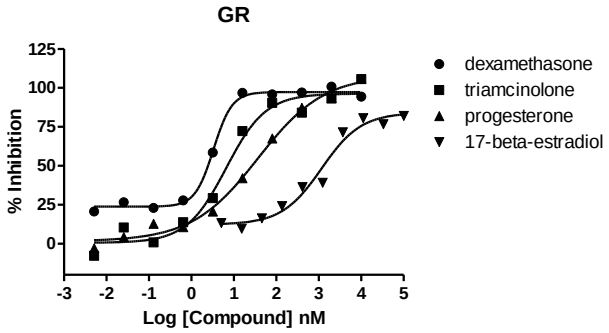


Glucocorticoid receptor

Cell Line Name: IM-9
Species/Source: Human/Cytosol
Gene Synonyms: NR3C1
Accession Number: NM_000176

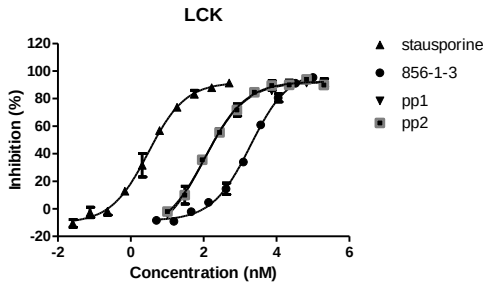
Radio ligand binding assay

Ligand [3H]dexamethasone
Ligand concentration 1.5 nM
Kd (nM) 3.23 nM
Non specific triamcinolone(10 μM)
Incubation 18hr/4°C
Detection method Scintillation counting
Reference: dexamethasone(IC50: 3.3nM)



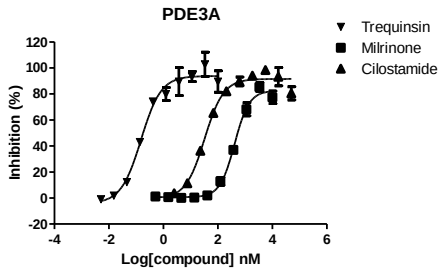
LCK

Enzyme: Human recombinant (insect cells)
Gene Synonyms: LSK; YT16; IMD22; p56lck; pp58lck
Accession Number: NP_005347
Substrate: Z'-LYTE®Tyr2 Peptide (2μM)
Measured Product: Cleaved and uncleaved peptides
Detection Method: FRET
Reference: Stausporine (IC50: 3.02nM)



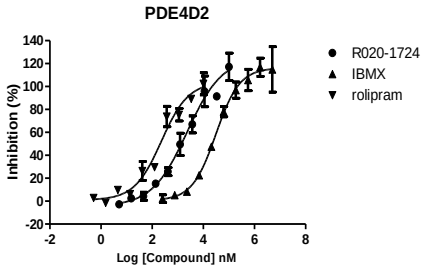
PDE3A

Enzyme Source: Human recombinant (sf-9)
Gene Synonyms: HTNB;CGI-PDE;CGI-PDEA; CGI-PDE-A
Accession Number: NC_000012.12
Substrate: cAMP (20 nM)
Detection Method: HTRF
Reference: Milrinone (IC50: 411nM)

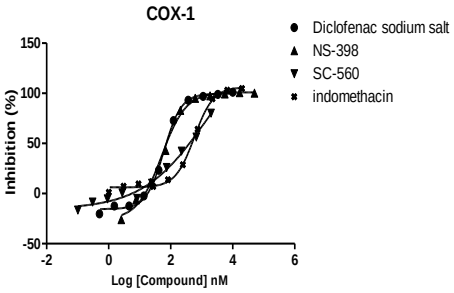


PDE4D2

Enzyme: Human recombinant (sf-9)
Gene Synonyms: DPDE3; PDE43; STRK1; ACRDYS2; HSPDE4D; PDE4DN2
Accession Number: NC_ 000005.10
Substrate: cAMP (20 nM)
Detection Method: HTRF
Reference: IBMX (IC50: 31uM)

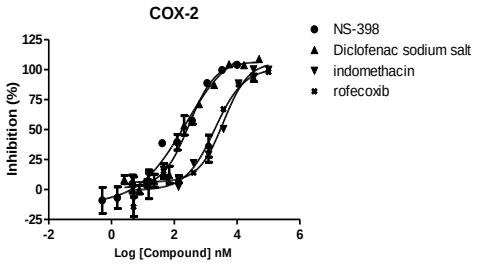


Enzyme: Human recombinant (sf-21)
Gene Synonyms: PTGS1; COX3; PHS1; PCOX1; PES-1; PGHS1; PTGHS; PGG/HS; PGHS-1
Accession Number: NC_000009.12
Substrate: Arachidonic acid (3μM)
Measured product: Resorufin
Detection Method: Fluorescence
Reference: Diclofenac sodium salt (IC50: 60.3nM)



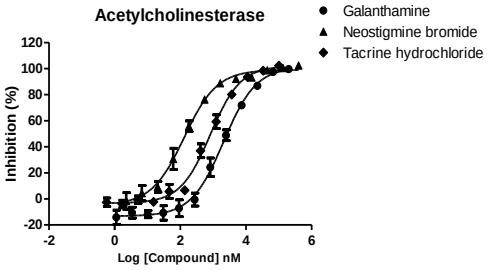
COX-2

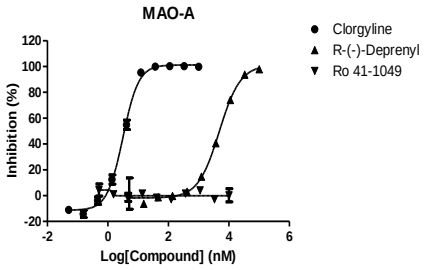
Enzyme: Human recombinant (sf-21)
Gene Synonyms: PTGS2; COX-2; PHS-2; PGG/HS; PGHS-2; hCox-2; GRIPGHS
Accession Number: NC_000001.11
Substrate: Arachidonic acid (3µM)
Measured product: Resorufin
Detection Method: Fluorescence
Reference: NS-398 (IC50: 224nM)



Acetylcholinesterase

Enzyme: Human recombinant (HEK-293)
Gene Synonyms: YT; ACEE; ARACHE; N-ACHE
Accession Number: NC_000007.14
Substrate: Acetylthiocholine iodide (200 µM)
Measured product: Thio-conjugate
Detection Method: Photometry
Reference: Galantamine (IC50: 2.1µM)



MAO-A

Enzyme: Human recombinant (BTI)
Gene Synonyms: BRNRS; MAOA
Accession Number: NC_000023.11
Substrate: luciferin derivative (8 µM)
Measured product: Methyl ester luciferin
Detection Method: Luminescent
Reference: Clorgyline (IC50: 3.1nM)