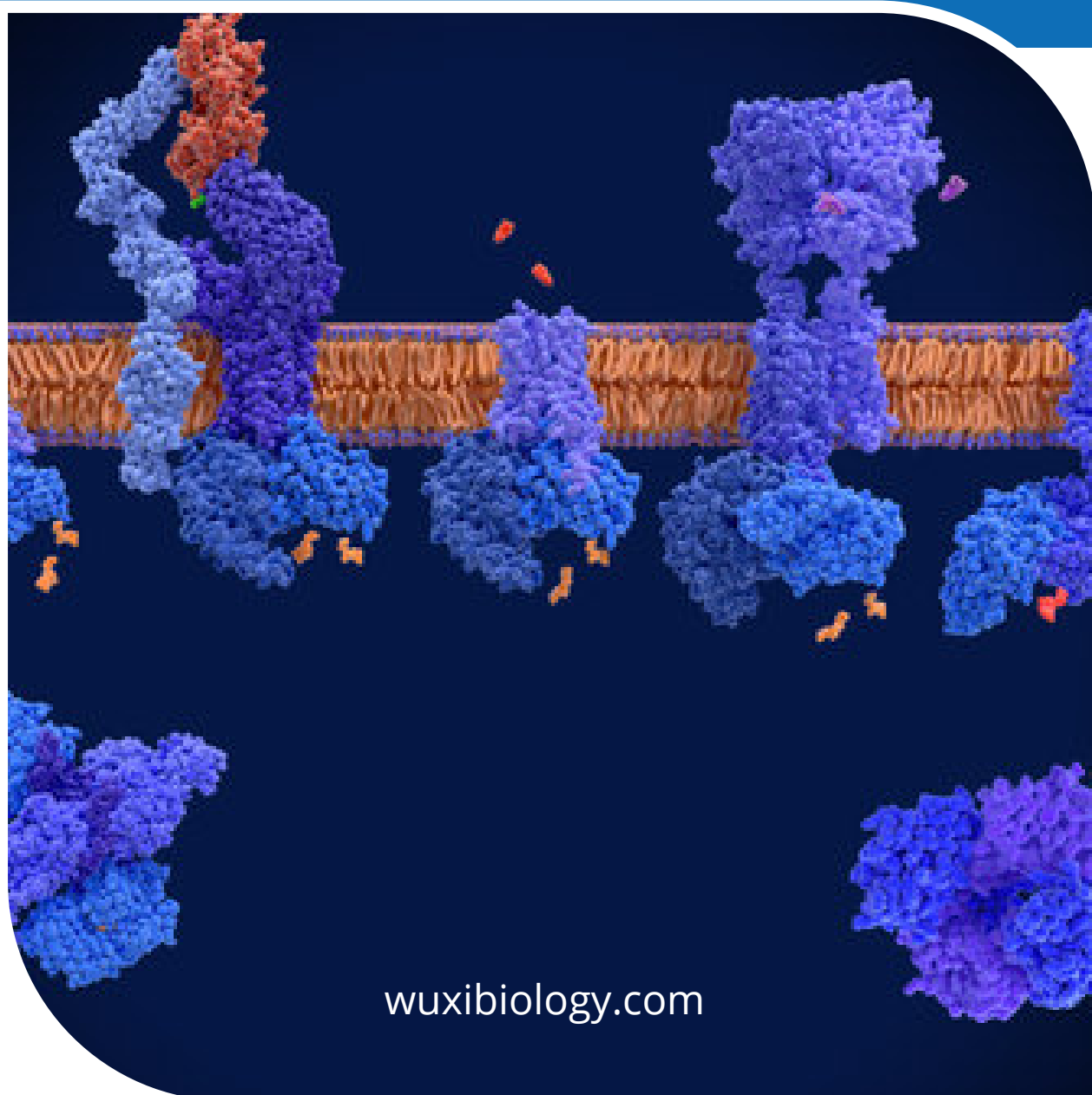


WuXi Biology

GPCR Cell Lines and Assays



wuxibiology.com

Family	Receptor (Human if not indicated)	G Protein Coupling	Host Cell Line	Assay Format
Apelin	APJ/ AGTRL-1	Gi/Go	HEK293/Gα15	Calcium
Adenosine	A1/ADORA1A	Gi/Gq	HEK293/Gα15	Calcium/Binding
	A2A/ADORA2A	Gs	CHO/Gα15	Calcium/Binding
	A2B/ADORA2B	Gs	HEK293/Gα15	Calcium/Binding
	A3/ADORA3A	Gi	CHO/Gα15	Calcium/Binding
Adrenergic	Alpha1A/ADRA1A	Gq	HEK293	Calcium/Binding
	Alpha1B/ADRA1B	Gq	HEK293	Calcium/Binding
	Alpha1D/ADRA1D	Gq	HEK293	Calcium/Binding
	Alpha2A/ADRA2A	Gi	HEK293/Gα15	Calcium/Binding
	Alpha2B/ADRA2B	Gi	HEK293/Gα15	Calcium/Binding
	Alpha2C/ADRA2C	Gi	HEK293/Gα15	Calcium/Binding
	beta1/ADRB1	Gs	HEK293/Gα15	Calcium/Binding/cAMP
	beta2/ADRB2	Gs	HEK293/Gα15	Calcium/Binding/cAMP
	beta3/ADRB3	Gs	HEK293/Gα15	cAMP
Anaphylotoxin	C3aR/C3AR1	Gi	HEK293/Gα15	Calcium
	C5aR/C5AR1	Gi	HEK293/Gα15	Calcium
Angiotensin	AT1/AGTR1	Gq	CHO	Calcium
	AT2/AGTR2	Gq	CHO	Calcium
Bombesin	BB1/NMBR	Gq	HEK293	Calcium
	BB2/GRPR	Gq	HEK293	Calcium/Binding
Bile acid	TGR5/GPBAR1	Gs	HEK293	Calcium/cAMP
Bradykinin	B1/BDKRB1	Gi/Gq	HEK293	Calcium/Binding
	B2/BDKRB2	Gi/Gq	HEK293/Gα15	Calcium/Binding
Cannabinoid	CB1/CNR1	Gi	HEK293/Gα15	Calcium/Binding

Family	Receptor (Human if not indicated)	G Protein Coupling	Host Cell Line	Assay Format
	CB2/CNR2	Gi	CHO/Gα15	Calcium/Binding
Chemokine	CCR1	Gi	HEK293/Gα15	Calcium
	CCR2	Gi	HEK293/Gα15	Calcium
	CCR4	Gi	HEK293/Gα15	Calcium/chemotaxis
	CCR5	Gi	HEK293/Gα15	Calcium
	CCR6	Gi	HEK293/Gα15	Calcium
	CCR7	Gi	HEK293/Gα15	Calcium
	CXCR1	Gi	HEK293/Gα15	Calcium
	CXCR2	Gi	HEK293/Gα15	Calcium
	CXCR4	Gi	HEK293/Gα15	Calcium
Cholecystokinin	CCKA/CCKAR	Gq	HEK293	Calcium/Binding
	CCKb/CCKBR	Gq	HEK293	Calcium/Binding
Class A Orphans	MrgX2	Gi/Gq	HEK293/Gα15	Calcium
Calcitonin gene-related peptide receptor	CGRP (CALCRL+ RAMP1)	Gs	HEK293/ Gα15	Calcium
Corticotropin Releasing Factor	CRF1/CRHR1	Gs	HEK293/Gα15	Calcium
	CRF2a/CRHR2	Gs	HEK293/Gα15	Calcium
Dopamine	D1/DRD1	Gs	HEK293/Gα15	Calcium/cAMP/Binding
	D2L/DRD2L	Gi	HEK293/Gα15	Calcium/cAMP/Binding
	D2S/DRD2S	Gi	HEK293/Gα15	Calcium
	D3	Gi	CHO	Binding
	D4	Gi	CHO	cAMP/Binding
	D5	Gs	HEK293	cAMP/Binding
Endothelin	ETA/EDNRA	Gq	HEK293	Calcium/Binding

Family	Receptor (Human if not indicated)	G Protein Coupling	Host Cell Line	Assay Format
	ETB/EDNRB	Gq/Gs/Gi	HEK293/Gα15	Calcium
Formylpeptide	FPR1	Gi	HEK293/Gα15	Calcium
Free fatty acid	GPR40/FFA1	Gq	HEK293	Calcium
Galanin	GALR1	Gi	HEK293/Gα15	Calcium
Ghrelin	GHSR	Gq	CHO	Calcium
Glucagon	Human/mouse/rat/cyno GCGR	Gs	HEK293/Gα15	Calcium/cAMP/Binding
	Human/mouse/rat/cyno GIPR	Gs	CHO	cAMP
	Human/mouse/rat /cyno GLP1/GLP1R	Gs	HEK293/Gα15	Calcium/cAMP/Binding
	HumanGLP2/GLP2R	Gs	HEK293/Gα15	Calcium/cAMP
Gonadotropin- releasing hormone	GNRHR	Gq	HEK293	Calcium
Histamine	H1/HRH1	Gq	HEK293	Calcium/Binding
	H2/HRH2	Gs/Gq	HEK293	Calcium/Binding
	H3/HRH3	Gi	HEK293/Gα15	Calcium/Binding
	H4/HRH4	Gi	HEK293/Gα15	Calcium
5-Hydroxytryptamine	5-HT1A/HTR1A	Gi	HEK293/Gα15	Calcium/Binding
	5-HT2A/HTR2A	Gq	HEK293	Calcium/Binding/beta- arrestin
	5-HT3A/HTR3A	/	CHO-K1	Manual patch/Binding
	5-HT1B/HTR1B	Gi	CHO/Gα15	cAMP/Binding
	5-HT2B/HTR2B	Gq	CHO-K1	Calcium/Binding
	5-HT2C/HTR2C	Gq	HEK293	Calcium/Binding
	5-HT4	Gs	HEK293	Calcium/Binding
	5-HT6	Gs	HEK293	cAMP
	5-HT7/HTR7	Gs	HEK293/Gα15	Calcium/Binding

Family	Receptor (Human if not indicated)	G Protein Coupling	Host Cell Line	Assay Format
Leukotriene	CYSLTR2	Gq	HEK293	Calcium
	LTB4R1	Gq	CHO	Calcium
Lysophospholipid	S1P1	Gi	U2OS	cAMP/beta-arresting
	S1P2	Gs/Gq	CHO/Ga15	Calcium
	S1P5	Gi	CHO/Ga15	Calcium
Melanocortin	MC1R	Gs	HEK293	cAMP
	MC3R	Gi	HEK293/Ga15	Calcium
	MC4R	Gi	HEK293/Ga15	Calcium/cAMP
Metabotropic Glutamate	mGluR2	Gi	CHO/Ga15	Calcium
	mGluR3	Gi	HEK293/Ga15	Calcium
	mGluR4	Gi	CHO/Ga15	Calcium
	mGluR5	Gq	HEK293	Calcium
	mGluR6	Gi	CHO/Ga15	Calcium
Motilin	MLNR	Gq	HEK293	Calcium
Motilin-Canis	MLNR	Gq	HEK293	Calcium
Muscarinic	M1/CHRM1	Gq/Gs/Gi	HEK293	Calcium/Binding
	M2/CHRM2	Gi	HEK293/Ga15	Calcium/Binding
	M3/CHRM3	Gq	HEK293	Calcium/Binding
	M4/CHRM4	Gi	HEK293	Calcium/cAMP/Binding
	M5/CHRM5	Gq	CHO	Calcium/Binding
Neuromedin U	NMUR1	Gq	HEK293	Calcium
Neuropeptide Y	Y1/NPY1R	Gi	CHO/Ga15	Calcium
	Y2/NPY2R	Gi	HEK293/Ga15	Calcium

Family	Receptor (Human if not indicated)	G Protein Coupling	Host Cell Line	Assay Format
	Y5/ NPY5R	Gi	HEK293/Gα15	Calcium/cAMP
Neurotensin	NT1/NTSR1	Gq	HEK293	Calcium
	NT1/NTSR1	Gq	HEK293	Calcium
Opioid & opioid-like receptors	delta/OPRD1	Gi	HEK293/Gα15	Calcium/cAMP/Binding
	kappa/OPRK1	Gi	HEK293/Gα15	Calcium/cAMP/Binding
	mu/OPRM1	Gi	HEK293/Gα15	Calcium/cAMP/Binding
	NOP	Gi	CHO-K1/Gα15	Calcium/Binding
Relaxin family peptide receptor	RXFP1	Gs	CHO-K1	cAMP
	RXFP2	Gs	CHO-K1	cAMP
Orexin	OX1/HCRTR1	Gq/Gs/Gi	HEK293	Calcium/tag-lite binding
	OX2/HCRTR2	Gq/Gs/Gi	HEK293	Calcium/tag-lite binding/IP-1/ERK/beta- arrestin 2
Platelet-activating factor	PAF/PTAFR	Gq	HEK293	Calcium
Prokineticin	PK1/PROKR1	Gq	HEK293	Calcium
	PK2/PROKR2	Gi	HEK293/Gα15	Calcium
Prostanoid	DP2/CRTH2	Gi	CHO-K1	Binding
	EP1/PTGER1	Gq	HEK293	Calcium
	EP2/PTGER2	Gs	CHO/Gα15	Calcium/Binding
	EP3/PTGER3	Gi	CHEM1	Binding
	EP4/PTGER4	Gs	HEK293/Gα15	Calcium/Binding
	FP/PTGFR	Gq	HEK293	Calcium
	PTGIR/IP1	Gs	HEK293/Gα15	Calcium
	TP/TBXA2R	Gq	HEK293	Calcium
Parathyroid	PTH1R	Gs	HEK293	cAMP

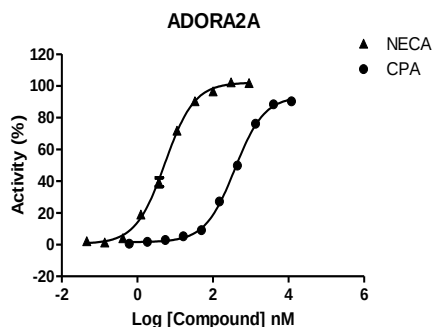
Family	Receptor (Human if not indicated)	G Protein Coupling	Host Cell Line	Assay Format
Somatostatin	SST1	Gi	HEK293	Calcium
	SST2	Gi	CHO-K1	cAMP
	SST3	Gi	CHO-K1	cAMP
	SST4	Gi	CHO-K1	cAMP
	SST5	Gi	HEK293/Gα15	Calcium
Tachykinin	NK1/TACR1	Gq	HEK293	Calcium/Binding
	NK2/TACR2	Gq/Gs	HEK293	Calcium
	NK3/TACR3	Gq	HEK293	Calcium
Thyrotropin-releasing hormone	TRH1/TRHR	Gq	HEK293	Calcium
Trace amine associated receptor	TAAR1	Gs	CHO-K1	cAMP
Vasopressin/ Oxytocin	OT/OXTR	Gq	HEK293	Calcium
	V1B/AVPR1B	Gq	HEK293	Calcium/Binding
	V1A/AVPR1A	Gq	CHO	Calcium/Binding
	V2/AVPR2	Gs	HEK293/Gα15	Calcium/Binding
VIP and PACAP	VPAC1/VIPR1	Gs	HEK293/Gα15	Calcium
	VPAC2/VIPR2	Gs	HEK293/Gα15	Calcium
	PAC1/ADCYAP1R1	Gs	HEK293/Gα15	Calcium
Proteinase-activated receptors	F2R/PAR1	Gq	HEK293	Calcium
	F2RL1/PAR2	Gq	HEK293	Calcium
	F2RL3/PAR4	Gq	HEK293	Calcium

ADORA2A (Adenosine A2A Receptor)

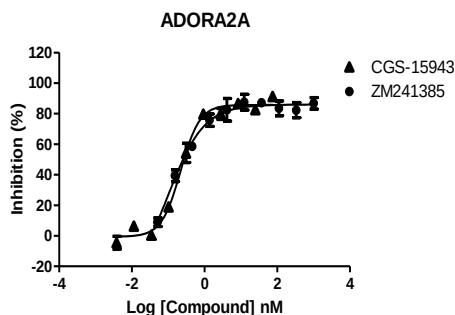
Cell Line Name: HEK293/A2A/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: ADORA2A ; A2aR; ADORA2; RDC8
Accession Number: NM_000675
G coupling: Gs

Functional Assay-Calcium Flux Assay

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



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

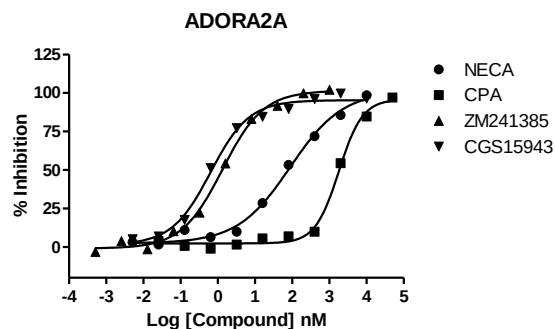


ADORA2A (Adenosine A2A Receptor)

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)

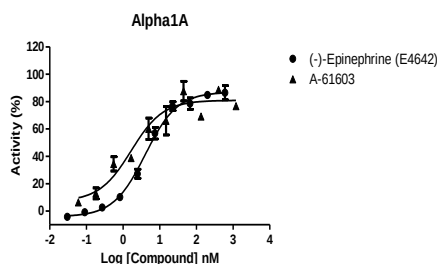


Alpha1A (Alpha-1-adrenergic receptor)

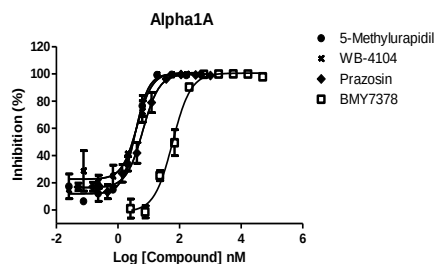
Cell Line Name: HEK293/Alpha1A
Species/Source: Human/Recombinant
Gene Synonyms: ADRA1A; ADRA1C; ADRA1L1; ALPHA1AAR
Accession Number: NM_000680 (isoform 1)
G coupling: Gq

Functional Assay-Calcium Flux Assay

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

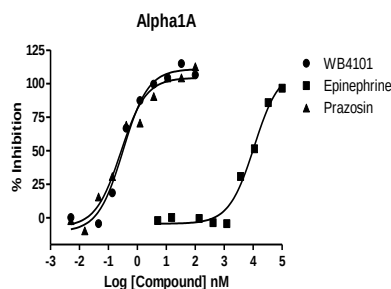


Antagonist: 5-Methylurapidil
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: (-)Epinephrine : 6.3 nM
Antagonist effect: IC50: 3.6 nM



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)

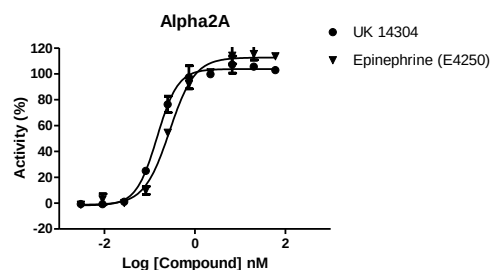


Alpha2A (Alpha-2-adrenergic receptor)

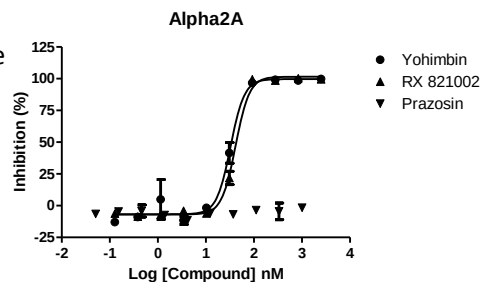
Cell Line Name:	HEK293/Alpha2A/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	ADRA2A; ADRA2; ADRAR; ZNF32; ADRA2R; ALPHA2AAR
Accession Number:	NM_000681 (isoform 1)
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	UK14304
Measurement:	Intracellular Ca ²⁺
Detection method:	FLIPR Fluorimetry
Incubation	25°C
Agonist effect	EC50: 0.14 nM

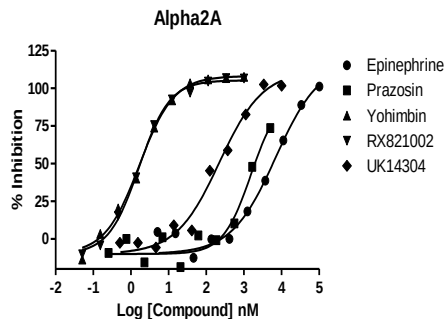


Antagonist	Yohimbine hydrochloride
Measurement:	Intracellular Ca ²⁺
Detection method:	FLIPR Fluorimetry
Incubation	25°C
Stimulant	UK14304 : 4.3 nM
Antagonist effect	IC50: 32.6 nM



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)

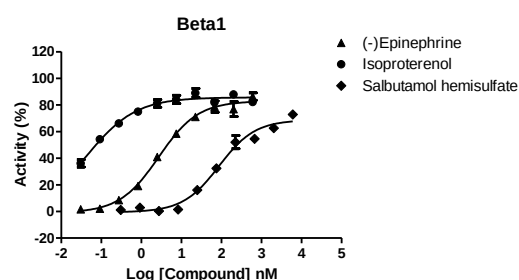


Beta1 (Beta-1 Adrenergic Receptor)

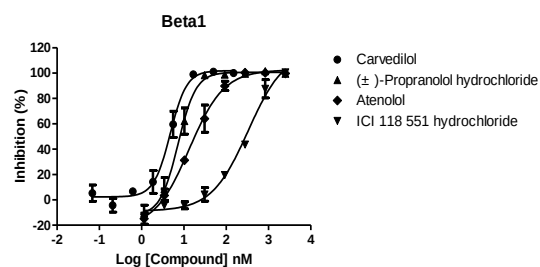
Cell Line Name: HEK293/Beta1/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: ADRB1; RHR; B1AR; ADRB1R; BETA1AR
Accession Number: NM_000684
G coupling: Gs

Functional Assay-Calcium Flux Assay

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

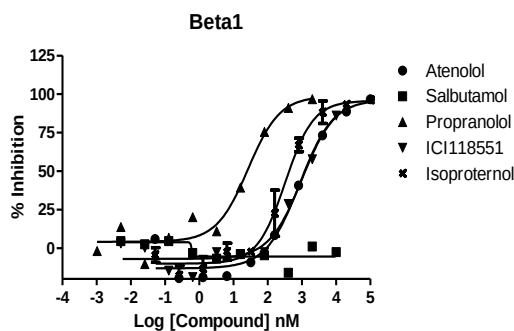


Antagonist: Carvedilol
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: (-)-Epinephrine : 20 nM
Antagonist effect: IC50: 4.75 nM



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)

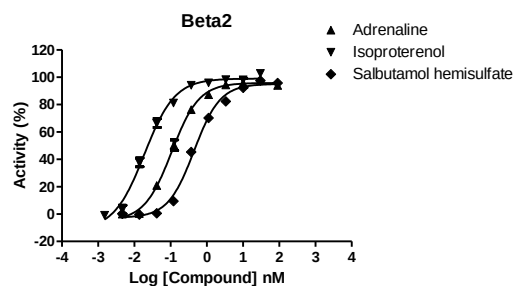


Beta2 (Beta-2 Adrenergic Receptor)

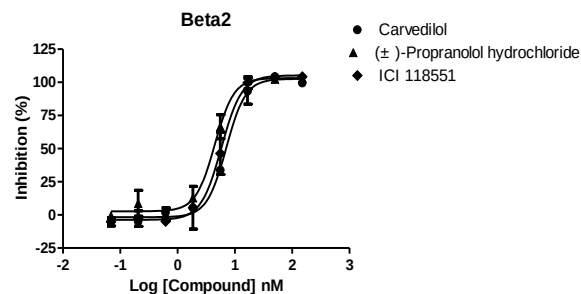
Cell Line Name: HEK293/Beta2/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: ADRB2; BAR; B2AR; ADRBR; ADRB2R; BETA2AR
Accession Number: NM_000024
G coupling: Gs/Gi

Functional Assay-Calcium Flux Assay

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

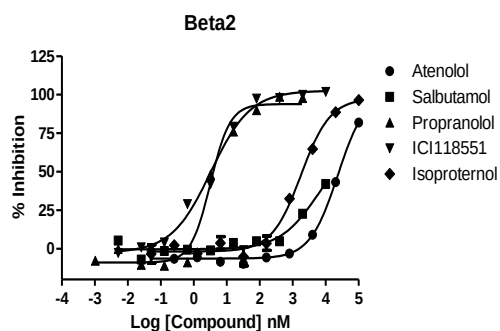


Antagonist: Carvedilol
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Adrenaline: 0.43 nM
Antagonist effect: IC50: 7.07 nM



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)

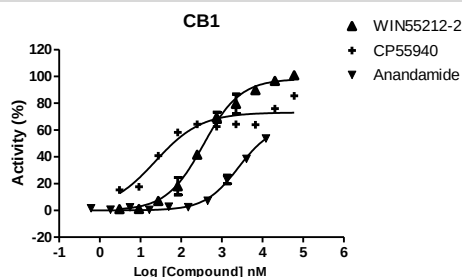


CB1 (Cannabinoid Type 1 Receptor)

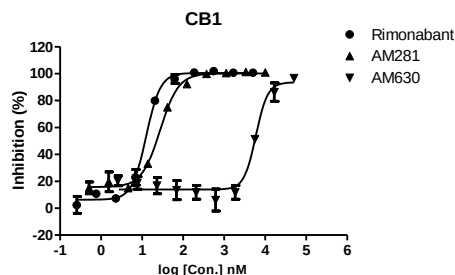
Cell Line Name:	CHO/CB1/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	CNR1; CB1; CNR; CB-R; CB1A; CB1R; CANN6; CB1K5
Accession Number:	NM_016083 (isoform1)
G coupling	Gi

Functional Assay-Calcium Flux Assay

Agonist	WIN55212-2
Measurement:	Intracellular Ca ²⁺
Detection method:	FLIPR Fluorimetry
Incubation	25°C
Agonist effect	EC50:352 nM



Antagonist	Rimonabant hydrochloride
Measurement:	Intracellular Ca ²⁺
Detection method:	FLIPR Fluorimetry
Incubation	25°C
Stimulant	WIN55212-2: 4000 nM
Antagonist effect	IC50: 12.5 nM

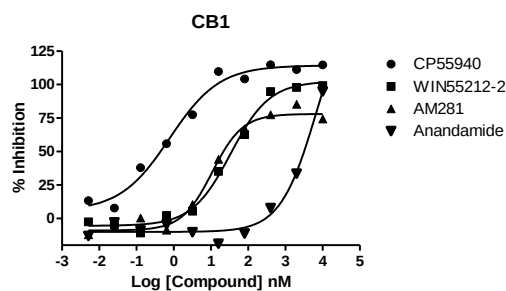


CB1 (Cannabinoid Type 1 Receptor)

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°C
Detection method	Scintillation counting
Reference:	CP 55940 (0.81 nM)

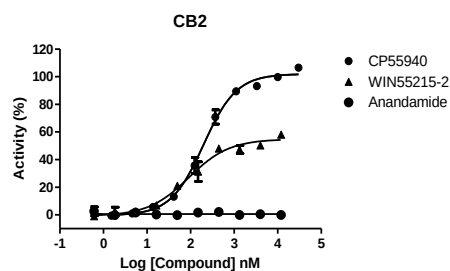


CB2 (Cannabinoid Type 2 Receptor)

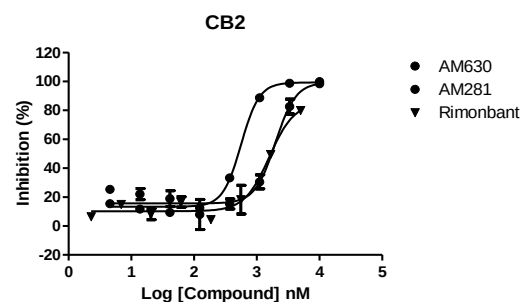
Cell Line Name: CHO/CB2/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: CNR2; CB2; CX5; CB-2
Accession Number: NM_001841
G coupling: Gi

Functional Assay-Calcium Flux Assay

Agonist: CP55940
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Agonist effect: EC50: 199 nM

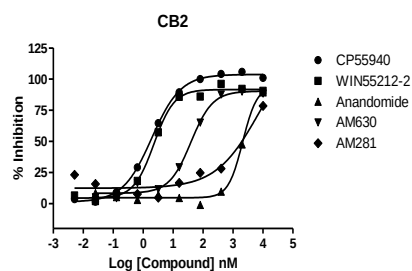


Antagonist: AM630
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: CP55940: 300 nM
Antagonist effect: IC50: 563 nM



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°C
Detection method: Scintillation counting
Reference: WIN55212-2(IC50: 2.2nM)

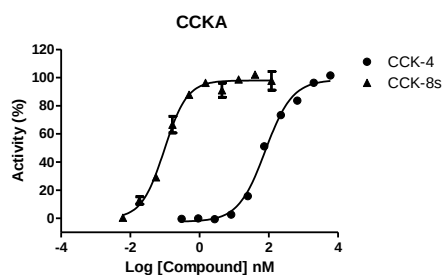


CCKA (Cholecystokinin A Receptor)

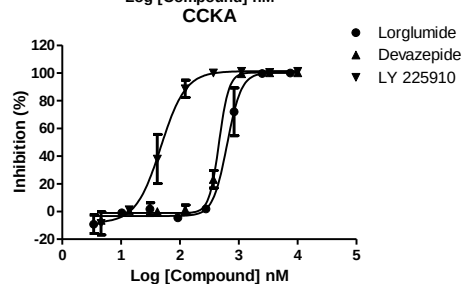
Cell Line Name: HEK293/CCKA
Species/Source: Human/Recombinant
Gene Synonyms: CCKAR; CCK-A; CCK1R; CCKRA; CCK1-R
Accession Number: NM_000730
G coupling: Gq

Functional Assay-Calcium Flux Assay

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



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

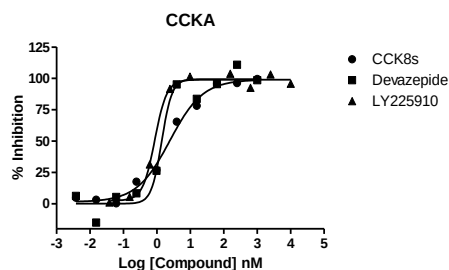


CCKA (Cholecystokinin A Receptor)

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)

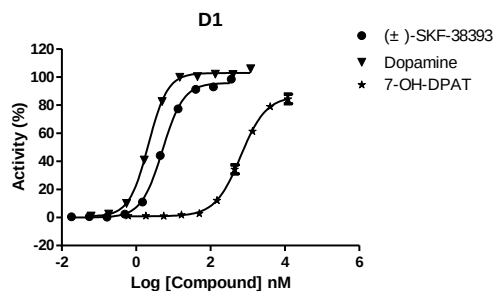


D1 (Dopamine D1 Receptor)

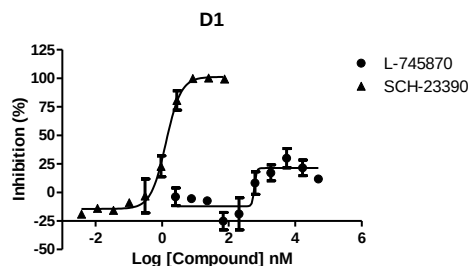
Cell Line Name: HEK293/D1/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: DRD1; DADR; DRD1A
Accession Number: NM_000794
G coupling: Gs

Functional Assay-Calcium Flux Assay

Agonist: (±)-SKF-38393
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Agonist effect: EC50: 5.1 nM

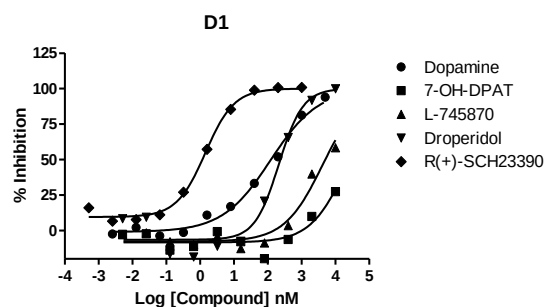


Antagonist: R(+)-SCH-23390 hydrochloride
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: (±)-SKF-38393: 11 nM
Antagonist effect: IC50: 1.3 nM



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)

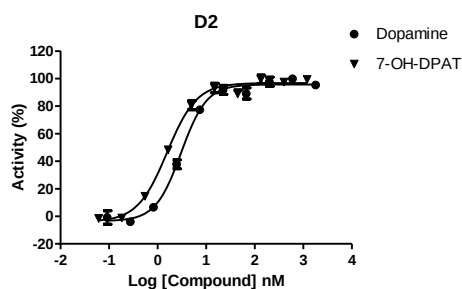


D2 (Dopamine D2 Receptor)

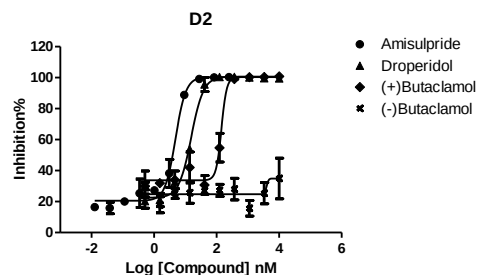
Cell Line Name: HEK293/D2/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: DRD2; D2R; D2DR
Accession Number: NM_000795
G coupling: Gi

Functional Assay-Calcium Flux Assay

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

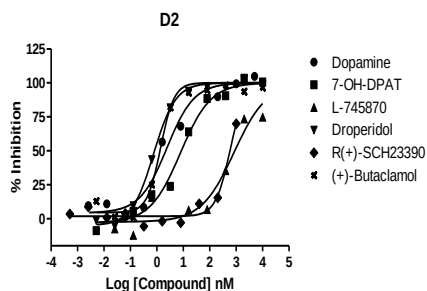


Antagonist: Amisulpride
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Dopamine: 10.5 nM
Antagonist effect: IC50: 4.8 nM



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)

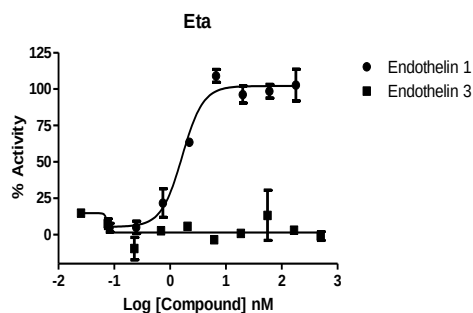


Eta (Endothelin Receptor Type A)

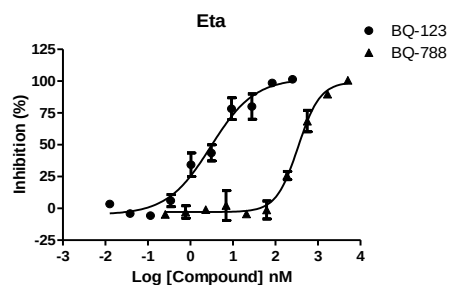
Cell Line Name: HEK293/ETA
Species/Source: Human/Recombinant
Gene Synonyms: EDNRA; ETA; ET-A; ETAR; ETRA; MFDA; ETA-R; hET-AR
Accession Number: NM_001957
G coupling: Gq

Functional Assay-Calcium Flux Assay

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

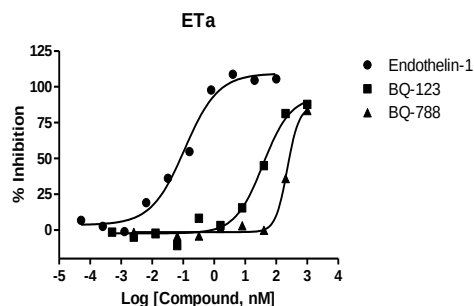


Antagonist: BQ-123
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Endothelin 1: 3.2 nM
Antagonist effect: IC50: 3.0 nM



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)

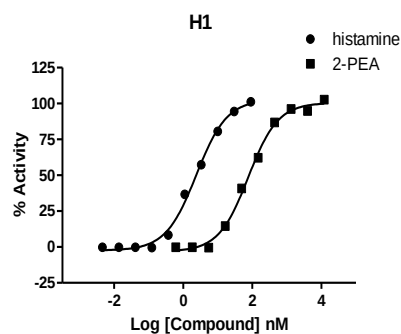


H1 (Histamine H1 receptor)

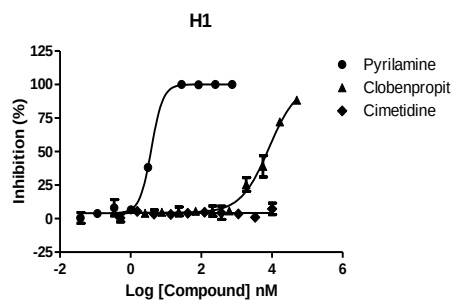
Cell Line Name: HEK293/H1
Species/Source: Human/Recombinant
Gene Synonyms: HRH1; H1R; H1-R; HH1R; hisH1
Accession Number: NM_000861
G coupling: Gq

Functional Assay-Calcium Flux Assay

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

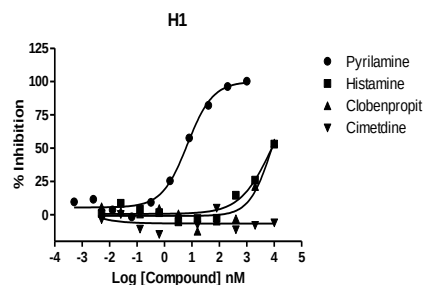


Antagonist: Pyrilamine maleate salt
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Histamine: 6.3 nM
Antagonist effect: IC50: 3.87 nM



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)

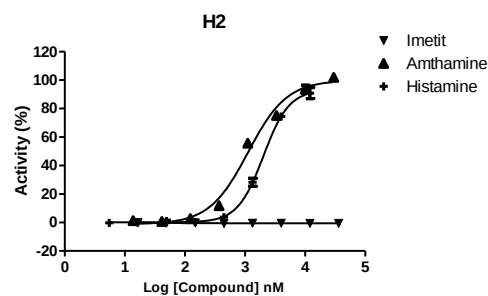


H2 (Histamine H2 receptor)

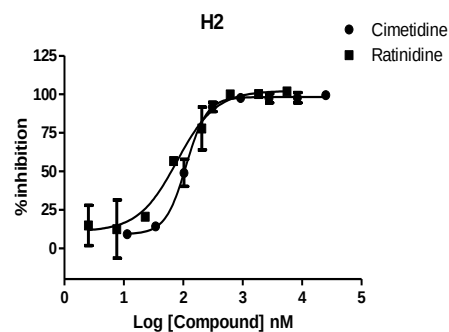
Cell Line Name: HEK293/H2
Species/Source: Human/Recombinant
Gene Synonyms: H2; HRH2; H2R
Accession Number: NM_022304
G coupling: Gq

Functional Assay-Calcium Flux Assay

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

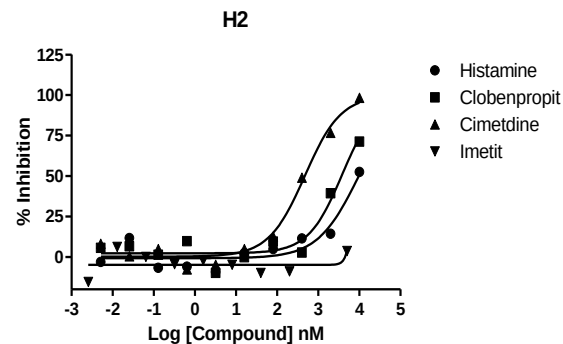


Antagonist: Cimetidine
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Amthamine dihydrobromide: 8000 nM
Antagonist effect: IC50: 111.8 nM



Radio ligand binding Assay

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

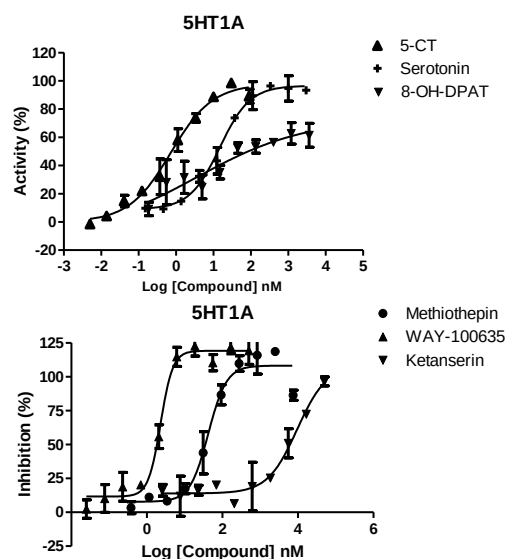


5HT1A (5-HT1A Receptor)

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

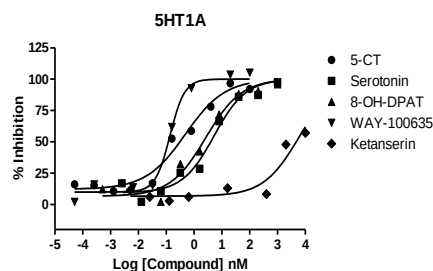
Functional Assay-Calcium Flux Assay

Agonist	5-CT
Measurement:	Intracellular Ca ²⁺
Detection method:	FLIPR Fluorimetry
Incubation	25°C
Agonist effect	EC50: 0.72 nM
Antagonist	Methiothepin mesylate salt
Measurement:	Intracellular Ca ²⁺
Detection method:	FLIPR Fluorimetry
Incubation	25°C
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)

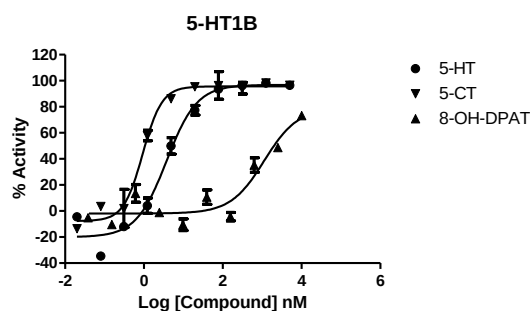


5HT1B (5-HT1B Receptor)

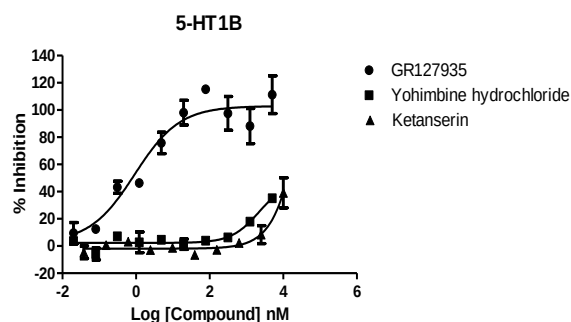
Cell Line Name: CHO-K1/5-HT1B
Species/Source: Human/Recombinant
Gene Synonyms: 5-HT-1B; 5-HT-1D-beta; 5-HT1B; 5-HT1DB; HTR1D2; HTR1DB; S12
Accession Number: NM_000863
G coupling: Gi

Functional Assay-Calcium Flux Assay

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



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

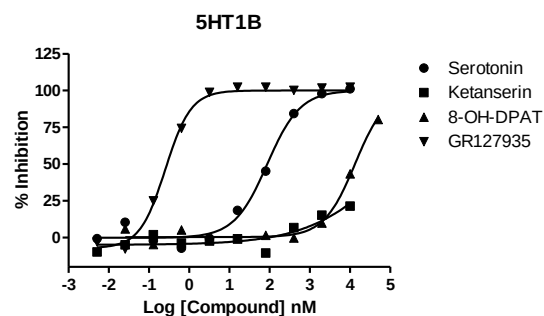


5HT1B (5-HT1B Receptor)

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)

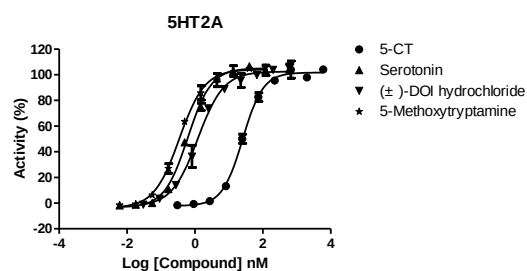


5HT_{2A} (5-HT_{2A} Receptor)

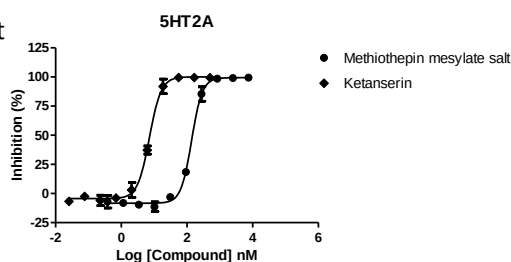
Cell Line Name: HEK293/5-HT_{2A}
Species/Source: Human/Recombinant
Gene Synonyms: HTR2A; HTR2; 5-HT_{2A}
Accession Number: NM_000621
G coupling: Gq

Functional Assay-Calcium Flux Assay

Agonist: 5-CT
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Agonist effect: EC₅₀: 25.5 nM



Antagonist: Methiothepin mesylate salt
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: 5-CT: 47 nM
Antagonist effect: IC₅₀: 138.5 nM

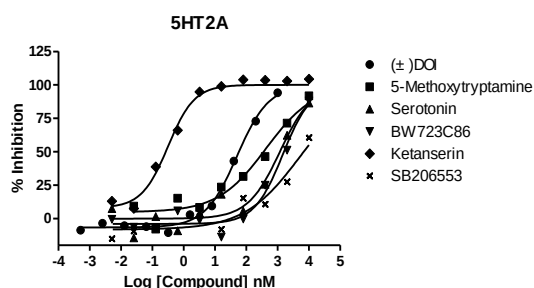


5HT_{2A} (5-HT_{2A} Receptor)

Cellular Background: CHO-K1
Species/Source: Human/Recombinant
Gene Synonyms: HTR2A; HTR2; 5-HT_{2A}
Accession Number: X57830

Radio ligand binding Assay

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

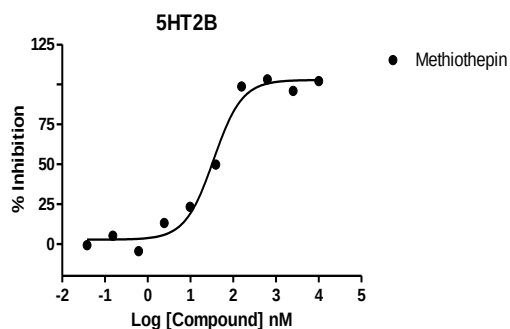


5HT2B (5-HT2B Receptor)

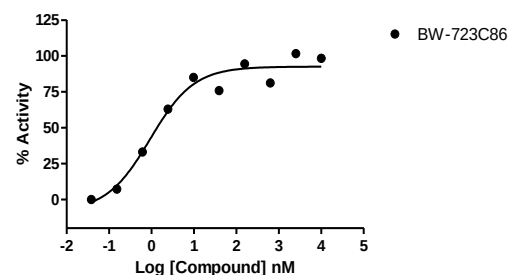
Cell Line Name: HEK293/5-HT2B
Species/Source: Human/Recombinant
Gene Synonyms: 5-HT (2B); 5-HT-2B; 5-HT2B
Accession Number: NM_000867
G coupling: Gq

Functional Assay-Calcium Flux Assay

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



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

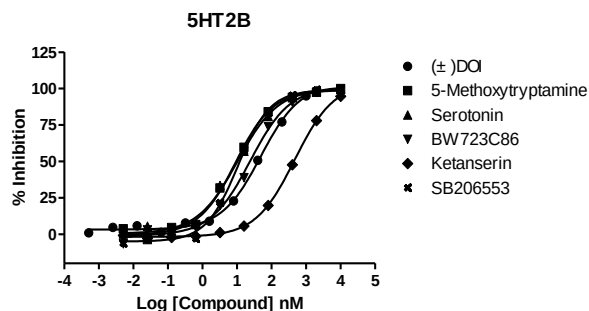


5HT2B (5-HT2B Receptor)

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)

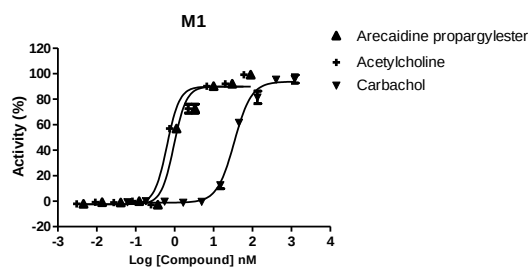


M1 (Muscarinic Acetylcholine M1 Receptor)

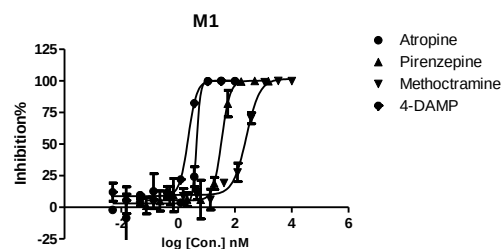
Cell Line Name: HEK293/M1
Species/Source: Human/Recombinant
Gene Synonyms: CHRM1; M1; HM1; M1R
Accession Number: NM_000738
G coupling: Gq/Gi/Gs

Functional Assay-Calcium Flux Assay

Agonist: Arecaidine propargylester
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Agonist effect: EC50: 0.95 nM

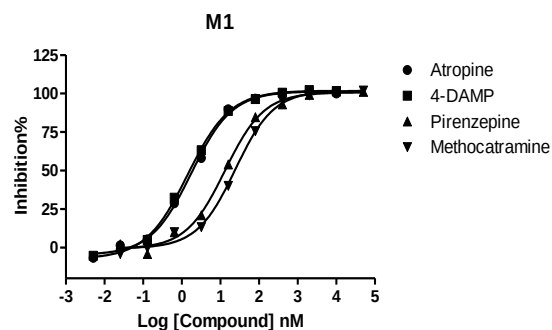


Antagonist: Pirenzepine dihydrochloride
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Arecaidine propargylester : 1.4 nM
Antagonist effect: IC50: 34 nM



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)

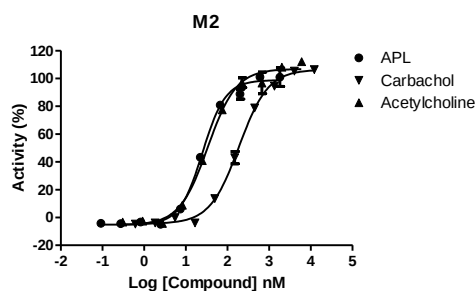


M2 (Muscarinic Acetylcholine M2 Receptor)

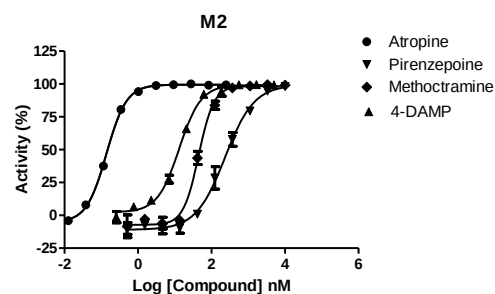
Cell Line Name: HEK293/M2/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: CHRM2; M2; HM2
Accession Number: NM_000739
G coupling: Gi

Functional Assay-Calcium Flux Assay

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

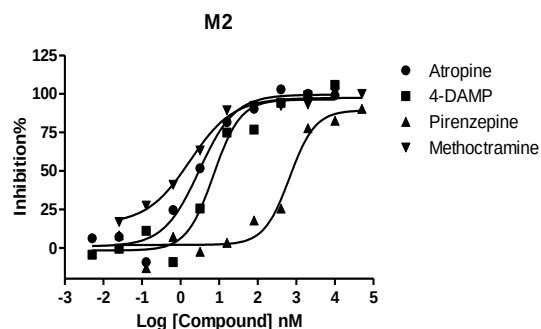


Antagonist: Atropine
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Arecaidine propargylester : 89 nM
Antagonist effect: IC50: 0.14 nM



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)

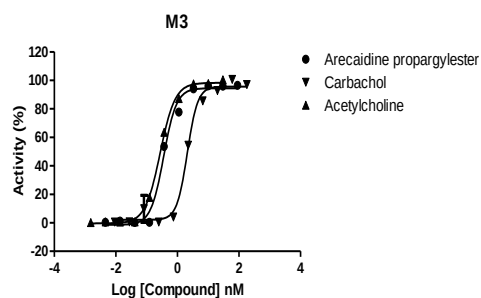


M3 (Cholinergic/Acetylcholine M3 Receptor)

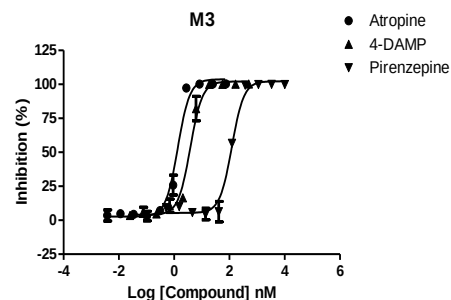
Cell Line Name: HEK293/M3
Species/Source: Human/Recombinant
Gene Synonyms: CHRM3; M3; HM3; EGBRS
Accession Number: NM_000740
G coupling: Gq

Functional Assay-Calcium Flux Assay

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

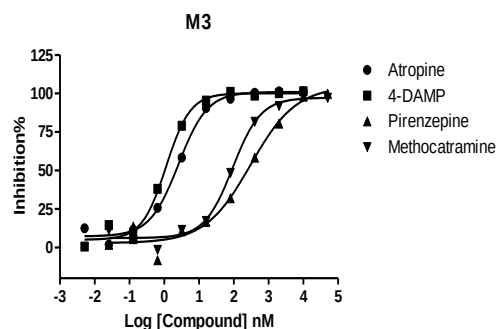


Antagonist: Atropine
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: Arecaidine propargylester : 1.0 nM
Antagonist effect: IC50: 1.4 nM



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)

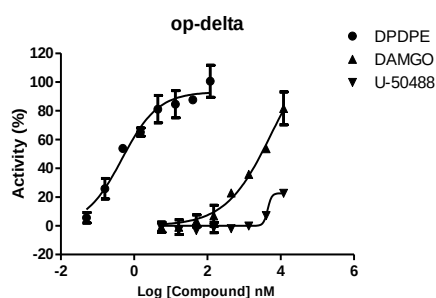


op-delta (δ -opioid Receptor)

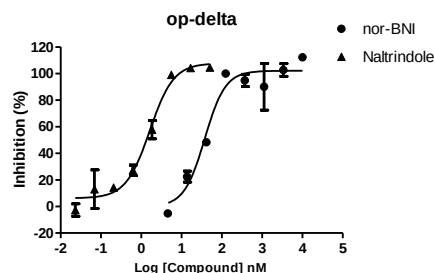
Cell Line Name: HEK293/delta/G α 15
Species/Source: Human/Recombinant
Gene Synonyms: OPRD1; OPRD
Accession Number: NM_000911
G coupling: Gi

Functional Assay-Calcium Flux Assay

Agonist: DPDPE
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Agonist effect: EC₅₀: 0.5 nM

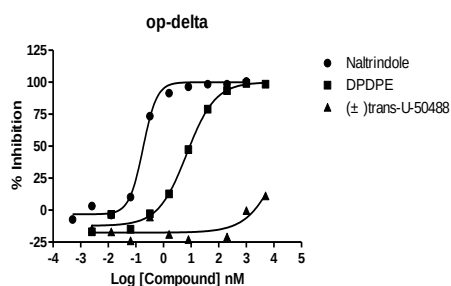


Antagonist: Naltrindole
Measurement: Intracellular Ca²⁺
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: DPDPE: 10 nM
Antagonist effect: IC₅₀: 1.62 nM



Radio ligand binding Assay

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

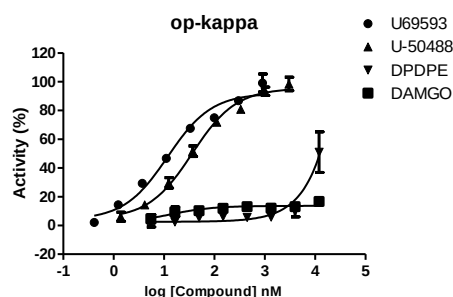


op-kappa (K-opioid Receptor)

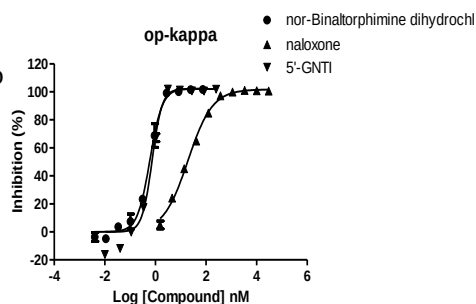
Cell Line Name: HEK293/Kappa/Gα15
Species/Source: Human/Recombinant
Gene Synonyms: OPRK1; KOR; OPRK; KOR-1; K-OR-1
Accession Number: NM_000912
G coupling: Gi

Functional Assay-Calcium Flux Assay

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

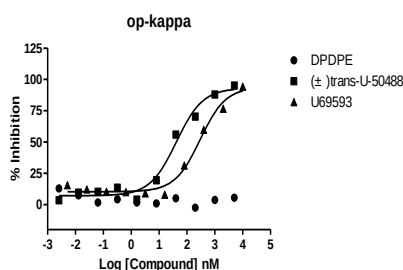


Antagonist: nor-Binaltorphiminedihydrochloride
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25°C
Stimulant: U69593: 20 nM
Antagonist effect: IC50: 0.60nM



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)

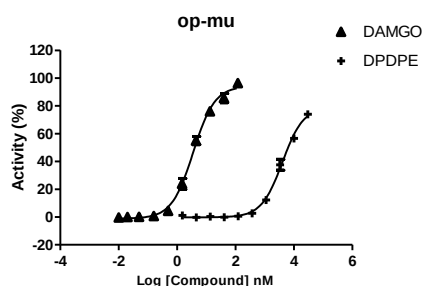


op-mu (M-opioid Receptor)

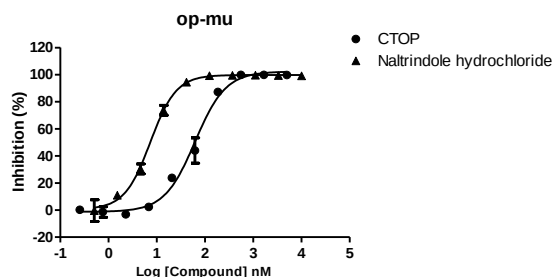
Cell Line Name:	HEK293/Mu/Gα15
Species/Source:	Human/Recombinant
Gene Synonyms:	OPRM1; MOP; MOR; LMOR; MOR1; OPRM; M-OR-1
Accession Number:	NM_000914
G coupling	Gi

Functional Assay-Calcium Flux Assay

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

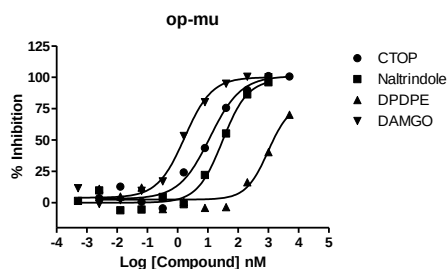


Antagonist	CTOP
Measurement:	Intracellular Ca^{2+}
Detection method:	FLIPR Fluorimetry
Incubation	25°C
Stimulant	DAMGO: 20 nM
Antagonist effect	IC50: 62.3 nM



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)

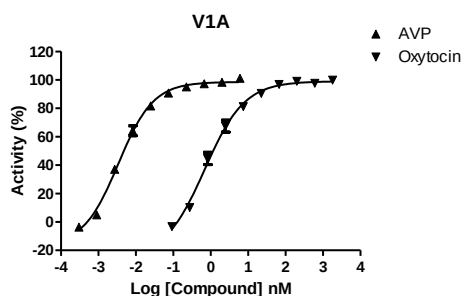


V1A (Arginine Vasopressin Receptor 1A)

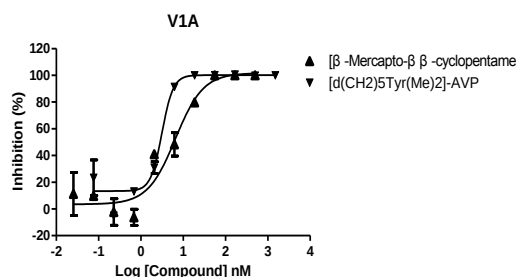
Cell Line Name: CHO/V1A
Species/Source: Human/Recombinant
Gene Synonyms: AVPR1A; V1aR; AVPR1; AVPR; V1a
Accession Number: NM_000706
G coupling: Gq

Functional Assay-Calcium Flux Assay

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

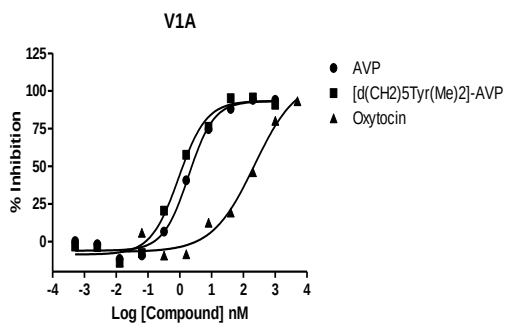


Antagonist: [β-Mercapto-β,β-cyclopentamethylenepropionyl1, O-Et-Tyr2, Val4, Arg8]-Vasopressin
Measurement: Intracellular Ca^{2+}
Detection method: FLIPR Fluorimetry
Incubation: 25 °C
Stimulant: [Arg8]Vasopressin acetate: 0.12 nM
Antagonist effect: IC50: 6.551 nM



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)





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