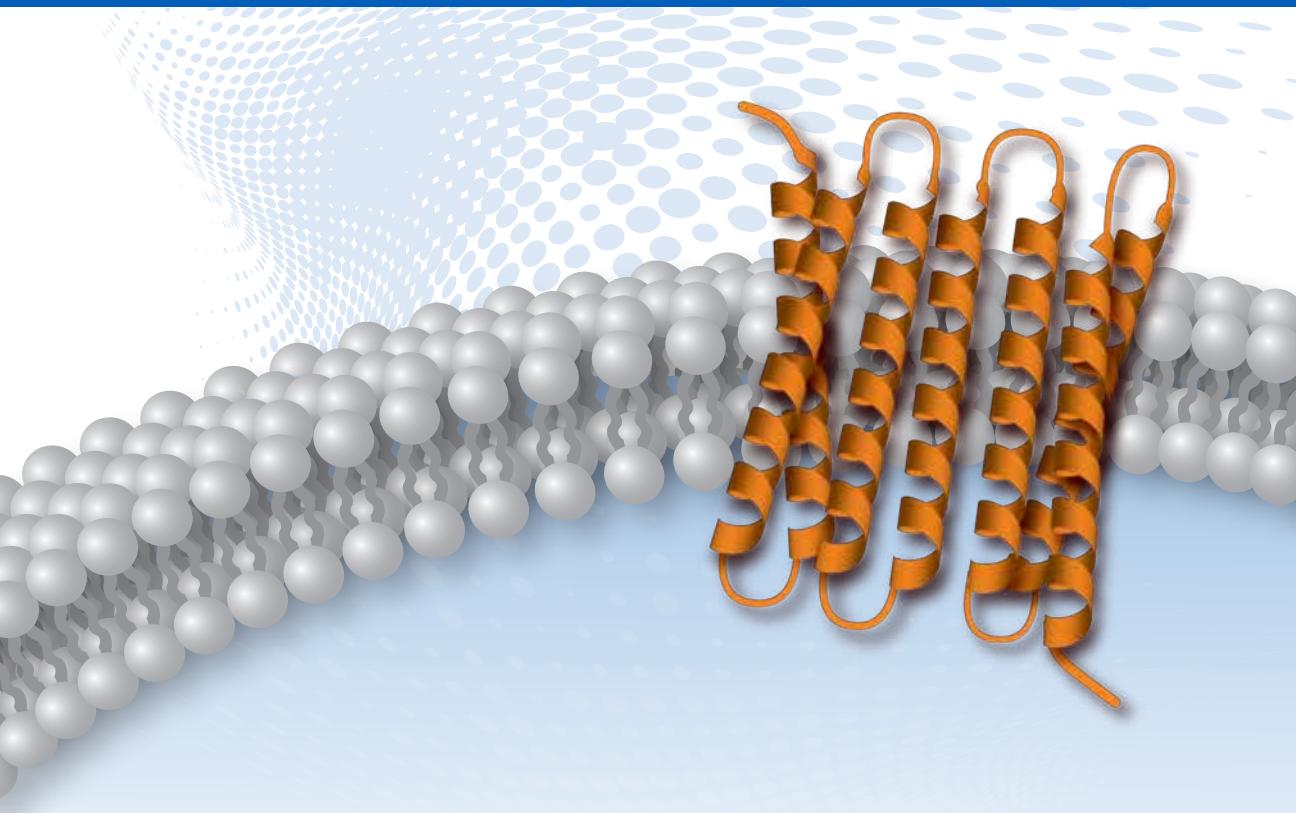


# GPCR Reference Guide

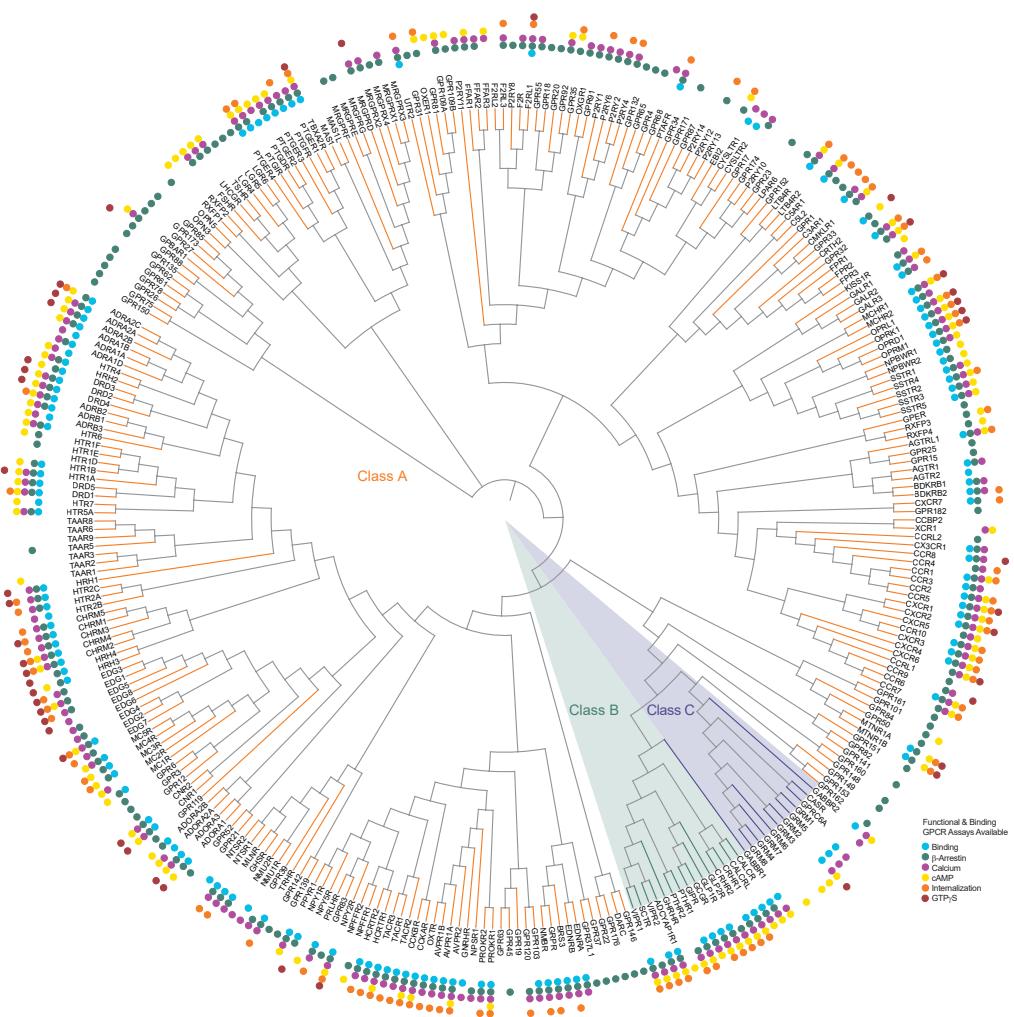


**Arrestin, 2nd Messenger, Internalization and More**

*Same Target. Multiple Functional Readouts.*

# Phylogenetic Relationships of GPCRs

## Phylogenetic Relationships of GPCRs



Functional & Binding  
GPCR Assays Available

- Binding
- P-Arestin
- cAMP
- Internalization
- GTP-S

The Druggable GPCRome Poster  
Request Your FREE Copy Today!  
Visit [discoverx.pro/gpcrposter](http://discoverx.pro/gpcrposter)

Note: GPCR nomenclature and classification was determined from IUPHAR ([www.guidetopharmacology.org](http://www.guidetopharmacology.org)), and GPCR phylogenetic (dendrogram) analysis was performed using ClustalW2 ([www.ebi.ac.uk/Tools/phylogeny/clustalw2\\_phylogeny/](http://www.ebi.ac.uk/Tools/phylogeny/clustalw2_phylogeny/)).

TM = transmembrane. 2nd Messenger assays correspond to cAMP and calcium (using native or β-arrestin cell lines) detection.

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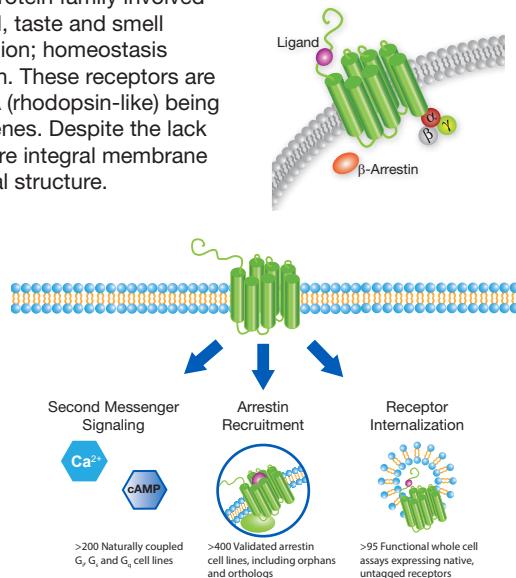
## GPCR Background

GPCRs (G-protein coupled receptors) are the largest protein family involved in a wide variety of physiological processes from visual, taste and smell sensing; behavioral, mood and immune system regulation; homeostasis modulation; to autonomic nervous system transmission. These receptors are classified into 6 known classes (class A-F) with class A (rhodopsin-like) being the largest accounting for 85% of the human GPCR genes. Despite the lack of sequence homology between the classes, GPCRs are integral membrane proteins that share a common 7-transmembrane helical structure.

### Mechanism of Action

GPCRs follow similar mechanisms of signal transduction with most of them transmitting extracellular signals from hormones, growth factors and other endogenous ligands into a variety of intracellular responses.

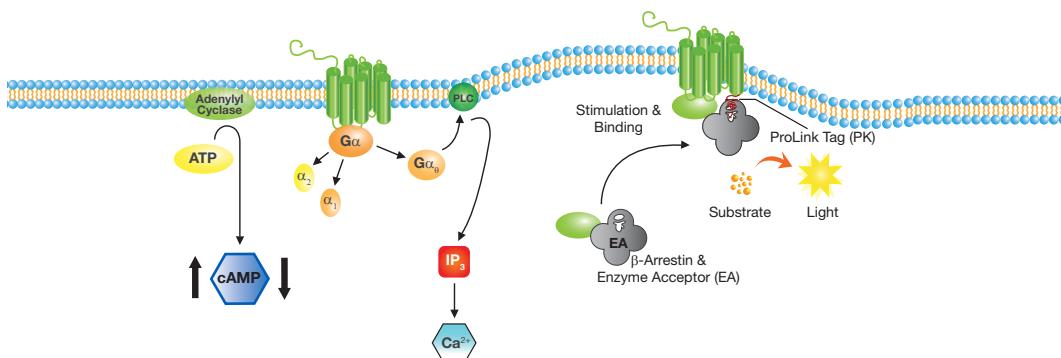
GPCR ligands (e.g. agonists, antagonists) activate or inactivate a broad range of signaling pathways mediated by G proteins (2nd messenger signaling proteins like cAMP or calcium) and β-arrestins. However, many ligands are “biased” (or selective) and either activate, avoid, or inactivate signaling pathways mediated by the same receptor. Additionally, most GPCRs undergo receptor recycling through internalization or endocytosis.



*“... examples of β-arrestin and G protein biased ligands demonstrate how our new understanding of these two types of signaling pathways, gained initially at a biochemical level, can potentially be harnessed for therapeutic benefit.”* — Robert Lefkowitz, Ph.D. 2012 Nobel Prize in Chemistry. Quote from publication by Lefkowitz (2013) A Brief History of G-Protein Coupled Receptors (Nobel Lecture). *Angew. Chem. Int. Ed.* 52, 6367 – 6378.

## Introduction to GPCR Assays

As more is learned about the intricacies of GPCR signaling, the harder it becomes to accurately describe ligand activity using a single functional readout. Access to the same GPCR target in different technology formats allows multiple signaling pathways to be assayed in parallel using the same robust, reliable and screening-friendly chemiluminescent format. Using a combination of technology platforms enables the identification of G-protein or  $\beta$ -arrestin biased ligands and provides a unique opportunity to determine mechanism of action and compare small molecule compounds or biologic ligands (e.g. antibodies, peptides, proteins) potencies *in vitro*. DiscoveRx offers an industry leading portfolio of over 660 naturally coupled GPCR cell lines utilizing its Enzyme Fragment Complementation (EFC) technology. With more than 700 customer publications, these assays are among the most trusted functional GPCR assays in the world.

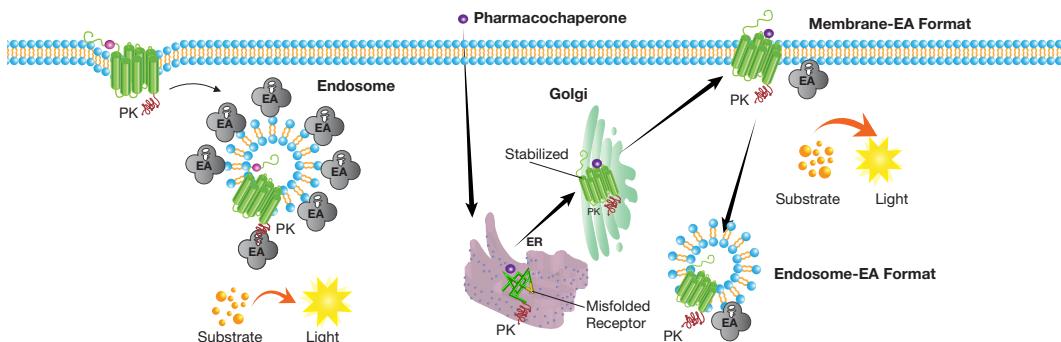


### HitHunter® Second Messenger Assays

Jump-start your screening with robust and reliable second messenger assays that combine optimized calcium, IP<sub>3</sub> and cAMP detection reagents or validated clonal stable cell lines.

### PathHunter® $\beta$ -Arrestin Assays

Direct measurement of GPCR activity based on the binding of  $\beta$ -arrestin to the activated GPCR. This universal, G-protein independent screening platform can be used to study virtually any GPCR.



### PathHunter GPCR Internalization Assays

Quantitative measurement of internalized receptors let you monitor the fate of activated GPCRs without the use of antibodies, imaging or radioactivity.

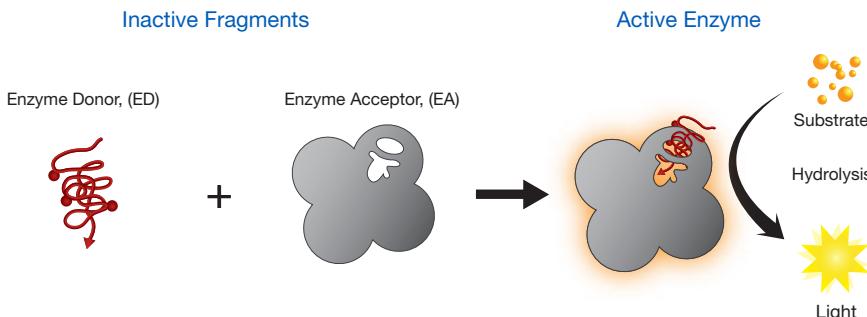
### PathHunter Pharmacochaperone Trafficking Assays

Enables identification and optimization of compounds which function as pharmacochaperones by promoting proper folding and trafficking of misfolded proteins.

## EFC Technology

### HitHunter® & PathHunter® EFC Assay Technologies

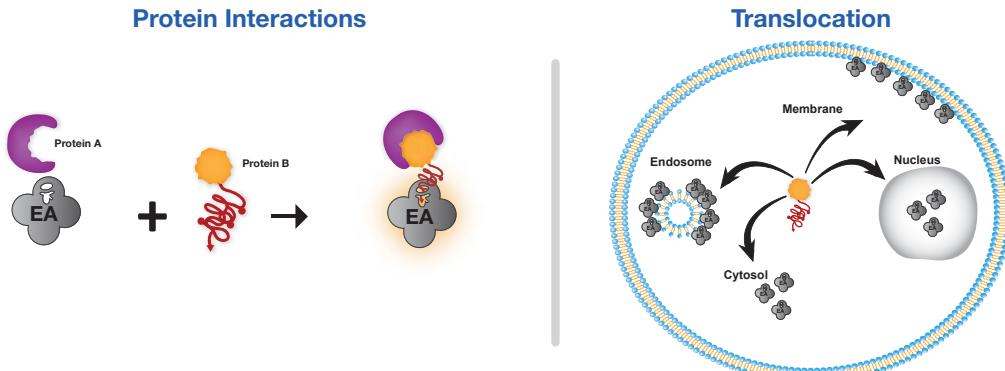
DiscoveRx's patented Enzyme Fragment Complementation (EFC) technology is a homogeneous, nonradioactive detection system based on two genetically engineered  $\beta$ -galactosidase ( $\beta$ -gal) fragments. Separately, these fragments are inactive, but in solution, they rapidly recombine to form an active  $\beta$ -gal enzyme that is capable of hydrolyzing a chemiluminescent or fluorescent substrate to produce a signal. The large protein fragment is called Enzyme Acceptor or EA and the small peptide fragment is called Enzyme Donor or ED.



**Figure 1. EFC Assay Principle.** Complementation between the two enzyme fragments results in formation of an active  $\beta$ -gal enzyme that cleaves a substrate to generate chemiluminescent or fluorescent signal. This format provides the basis for the HitHunter cAMP detection kit and the parent technology for the PathHunter EFC platform.

### EFC to Detect Cellular Protein Interaction & Translocation

DiscoveRx has exploited the versatile features of EFC to develop specific cell-based protein:protein interaction and translocation assays. In these systems, a mutant variant of ED, called ProLink or ProLabel, was generated that has lower affinity for EA. For complementation to occur, the two tagged proteins (EA and ProLink or EA and ProLabel-tagged proteins) have to interact due to biological response to a stimulus. This forces complementation to generate an active  $\beta$ -gal enzyme (Fig. 2). Enzyme activity is then detected using the chemiluminescent PathHunter detection reagents. The EFC technology has been successfully applied to a variety of drug targets and signaling events including GPCRs, receptor tyrosine kinases, cytokine receptors, and novel pathway proteins.



**Figure 2. PathHunter Cell-Based Assays.** PathHunter cells over-express the protein of interest fused to ProLink (PK) or ProLabel (PL) and the EA portion of  $\beta$ -gal is localized to one of the following organelles: cytoplasm, nucleus, cell membrane, or endosome. Upon induction of cells, the PK or PL-tagged protein undergoes translocation into the cell compartment in which EA is localized. Complementation between the PK or PL-tagged protein and EA results in the formation of an active  $\beta$ -gal enzyme that cleaves a substrate to generate chemiluminescent signal.

## Functional Assay Readouts – Second Messenger Assays

### **G<sub>i</sub>, G<sub>s</sub>, G<sub>q</sub> Second Messenger GPCR Assays**

DiscoverRx's second messenger portfolio combines over 200 naturally coupled G<sub>i</sub>, G<sub>s</sub> and G<sub>q</sub> coupled validated cell lines with optimized detection kits that allow measurement of cAMP accumulation, intracellular calcium mobilization and IP<sub>3</sub> detection in naturally coupled systems using chemiluminescent or fluorescent detection (Fig. 1).

These ultra-sensitive assays exhibit robust performance, reproducibility and scalability, thus accelerating and simplifying bench-top assay development to high-throughput screening. High tolerance to serum (Fig. 3) as well as broad compatibility to standard plate readers make these products the most user-friendly, homogeneous, non-radioactive assays in the market.

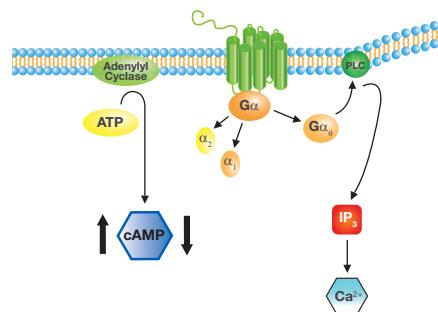
### cAMP Detection by HitHunter® cAMP

HitHunter cAMP kits directly measure intracellular cAMP levels following GPCR activation (Fig. 2). Based on the proven enzyme fragment complementation (EFC) technology, HitHunter kits are extremely versatile, providing a rapid and reliable non-radioactive, chemiluminescent readout that can detect cAMP levels in cell lines, primary cells, membrane preparations and serum samples.

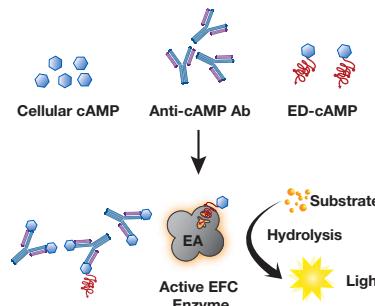
### Calcium Detection With Calcium

#### No Wash<sup>PLUS</sup>

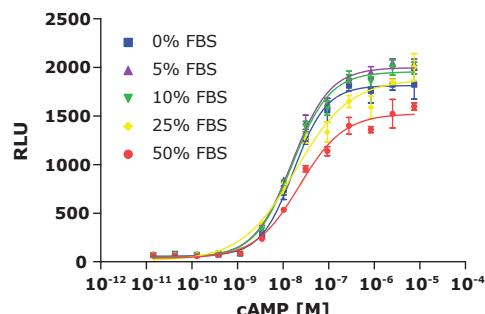
Measurement of intracellular calcium provides valuable information on the activation status of GPCRs and ion channels. HTS-friendly calcium assays from DiscoverRx include fluorescent based assays (Calcium No Wash<sup>PLUS</sup>) and photoprotein based assays (Coelenterazine h). DiscoverRx's HitHunter Calcium No Wash<sup>PLUS</sup> kits use a homogeneous assay format to detect calcium mobilization, providing a fast, reliable, low cost alternative to traditional wash and quench-based assays.



**Figure 1. Second Messenger Detection.** Fully optimized, target validated functional GPCR assays for detection of second messenger signaling including cAMP, calcium & IP<sub>3</sub>.



**Figure 2. HitHunter cAMP Assay Principle.** The HitHunter cAMP assays utilize EFC technology where a fragment β-galactosidase (β-gal) enzyme donor (ED) is conjugated with cAMP. At high levels of cellular cAMP, the anti-cAMP antibody becomes saturated allowing the ED-cAMP complex to complement with the β-gal acceptor (EA) and form an active enzyme. With substrate present, the active enzyme produces a signal that is directly proportional to the cellular cAMP levels.



**Figure 3. HitHunter cAMP Assays are Tolerant to Serum.** cAMP standard was diluted in increasing amounts of fetal bovine serum (FBS). The antibody in the reagent was diluted by 0.35X. The data indicates that higher amounts of serum can be tolerated by the HitHunter cAMP assay.

## Functional Assay Readouts — $\beta$ -Arrestin Assays

**PathHunter®  $\beta$ -Arrestin GPCR Assays**  
 PathHunter  $\beta$ -Arrestin Assays are based on the EFC technology and directly measure GPCR activation based on the binding of  $\beta$ -arrestin to the activated GPCR (Fig. 1). Because arrestin recruitment occurs independent of G-protein signaling, these assays offer a powerful and universal screening platform that can be applied to virtually any GPCR without imaging, fluorescent protein tags or radioactivity. Moreover, only the tagged GPCR yields signal which provides a system unaffected by endogenous receptor expression and virtually eliminates false positive hits associated with other assays.

### Dissect Ligand Differences

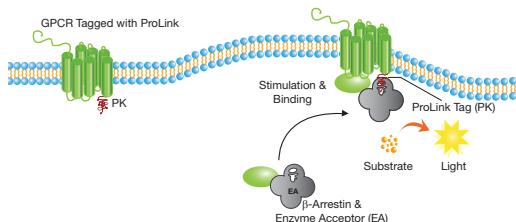
Since the arrestin signal is a stoichiometric, nonamplified signal, the assays can differentiate between full and partial agonists (Fig. 2) which are often masked by overexpression of the receptor in recombinant cell lines and detection using second messenger systems. More recently, *in vitro* PathHunter  $\beta$ -Arrestin values have been shown to correlate well with *in vivo* ligand efficacy measurements making it an ideal tool for ranking ligands early in lead optimization campaigns.

### Ideal for Receptor Deorphanization

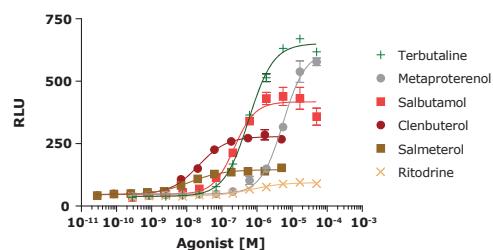
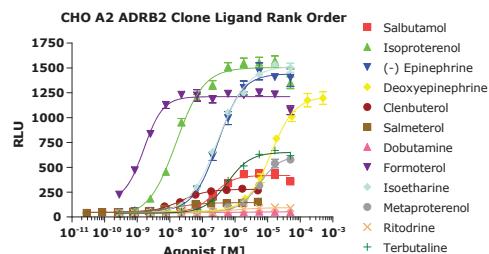
PathHunter Arrestin assays provide the ideal screening platform for both liganded and nonliganded orphan GPCRs. With a universal, G-protein independent readout and a single addition, HTS-friendly protocol, the assays provide a robust, high quality screening approach that is capable of uncovering novel ligand and receptor pairings and can be used for any ligand fishing or deorphanization campaign.

### Industry's Largest Portfolio

DiscoverRx offers the largest collection of >400 functional, naturally coupled cell-based arrestin assays including human (known and orphan) and non-human ortholog GPCR assays that can be used for screening, ligand profiling or to facilitate counter-screening and SAR evaluation of hits identified from a primary screen.



**Figure 1. PathHunter  $\beta$ -Arrestin Principle.** PathHunter  $\beta$ -Arrestin GPCR cell lines are engineered to co-express the ProLink™ (PK)-tagged GPCR and the Enzyme Acceptor (EA)-tagged  $\beta$ -arrestin. Activation of the GPCR-PK induces  $\beta$ -arrestin-EA recruitment, forcing complementation of the two  $\beta$ -galactosidase enzyme fragments (EA and PK). The resulting functional enzyme hydrolyzes substrate to generate a chemiluminescent signal.



**Figure 2. Ligand Efficacy of the ADRB2 Receptor.** The PathHunter Arrestin clone expressing  $\beta$ 2 Adrenergic Receptor (ADRB2) was tested for agonist responses to a panel of full and partial agonists. A wide range of ligand efficacies was observed. In the lower graph, only the partial agonists are shown to provide a better visualization of the efficacies. All known partial agonists were detected as partial agonists using the PathHunter technology.



**Figure 3.** By combining a simple, one-step single addition protocol and standard chemiluminescent detection, PathHunter Arrestin assays are ideally suited for 96-, 384- or 1536-well compound screening.

## Functional Assay Readouts – GPCR Internalization Assays

### PathHunter® GPCR Internalization Assays

PathHunter GPCR Internalization assays are whole cell functional assays that measure GPCR endocytosis (Fig. 1). These non-imaging, non-antibody-based chemiluminescent assays provide a direct and quantitative measurement of internalized GPCRs localized to intracellular endosomes and allow the fate of activated GPCRs to be monitored in live cells without the requirement of expensive microscopy equipment.

### Uncover Novel Classes of Ligands

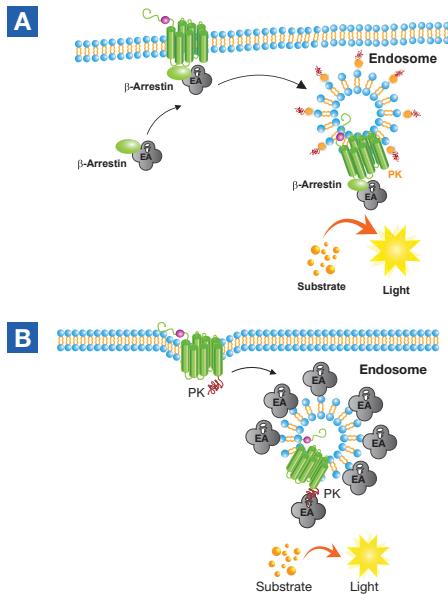
PathHunter GPCR Internalization assays provide positive, gain of signal assays with large signal to noise ratios that can be applied universally across multiple receptor types to provide quantitative comparisons of ligand activities. The assays are able to identify strongly internalizing ligands which appear as super agonists in the assay (Fig 2). Using a combination of activation and internalization assays for the same GPCR target, new information on receptor endocytosis and recycling can lead to a better understanding of how the ligand behaves *in vitro* and should allow better predictions on how a ligand will work *in vivo*.

### Simple Orthogonal Screening Tool

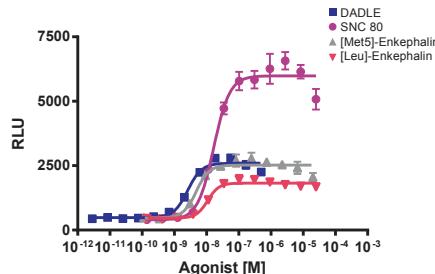
In contrast to other internalization assays that monitor receptor trafficking using a combination of microscopy, antibodies or bulky labels, PathHunter assays result in reliable and predictable pharmacology using a simple and easy-to-use method that enables screening of libraries in a fast and highly quantitative manner. Routine analysis of GPCR internalization at an early point in the drug discovery process could lead to a better set of novel drug candidates and the rapid identification of safer and more effective novel therapeutics.

### Largest Menu of Internalization Assays

DiscoverRx offers a comprehensive collection of validated PathHunter GPCR Internalization cell lines that are ideal for primary screening or as an orthogonal screening tool to follow up on hits identified from a primary screen. In addition to their utility for small molecule drug discovery, PathHunter Internalization assays can also been used for the discovery and development of biologics due to the high specificity and reproducibility of the assay as well as the compatibility with serum and other complex samples.



**Figure 1. PathHunter GPCR Internalization Systems.** (A) In the Activated system, cells co-express an untagged GPCR, Enzyme Acceptor (EA)-tagged  $\beta$ -Arrestin, and a ProLink™(PK) tag localized to the endosomes. Activation of the GPCR induces arrestin binding and internalization of the GPCR/ $\beta$ -arrestin-EA complex to PK-tagged endosomes. (B) In the Total system, cells co-express the PK-tagged GPCR and EA-tagged endosomes. Activation of the GPCR induces internalization of the PK-tagged GPCR to the EA-tagged endosomes. In both formats, this action forces complementation of the two enzyme fragments, resulting in an increase in enzyme activity that is easily measured using chemiluminescent PathHunter Detection Reagents.

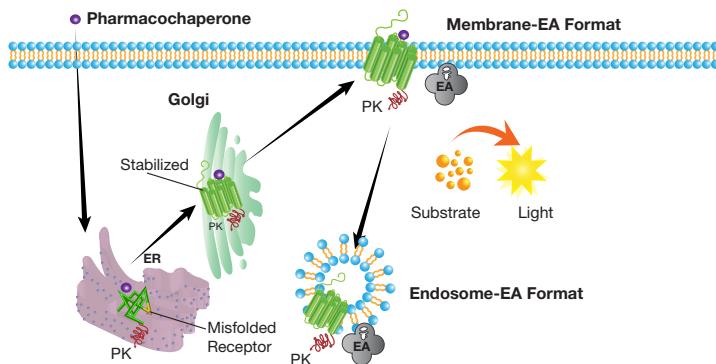


**Figure 2. PathHunter OPRD1 Internalization.** Cells expressing the human Opioid Receptor  $\delta$  (OPRD1) were treated with known agonists and assayed using PathHunter Detection Reagents. To enable agonist comparison, data was normalized to the reference agonist, [ $\text{Met}^5$ ]-Enkephalin in potency (set equal to 1) and efficacy (set equal to 100%). The assay was able to easily differentiate between full agonists and super agonists.

## Functional Assay Readouts – Trafficking Assays and Bioassays

### PathHunter® Pharmacochaperone Trafficking Assays

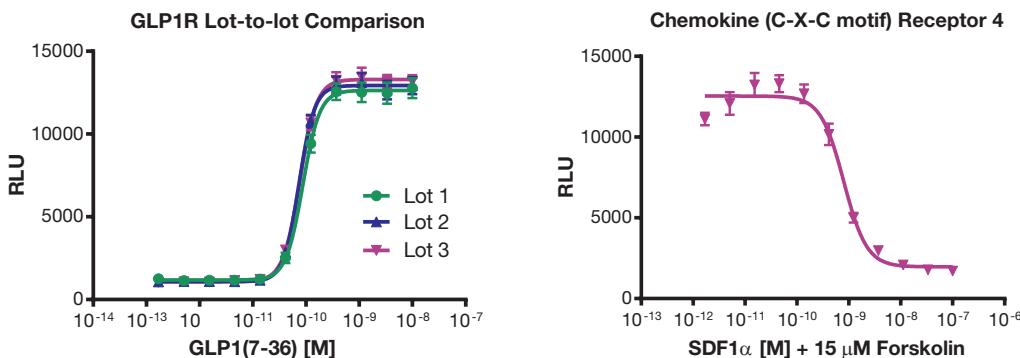
DiscoveRx's PathHunter cell-based Pharmacotrafficking assays provide a simple and quantitative tool for broad pharmacological characterization and interrogation of compound function in disease processes associated with protein trafficking and internalization due to protein misfolding. The assays offer a validated, cell-based platform that enables identification and optimization of compounds which function as pharmacochaperones by promoting proper folding of misfolded protein and assist in trafficking to their intended location.



**Figure 1. Pharmacotrafficking Assays Principle.** Cell lines co-express a ProLink™ (PK)-tagged transmembrane protein (e.g. GPCR or ion-channel) retained in the ER (due to protein misfolding), and an Enzyme Acceptor (EA) tag localized to the cell membrane (Membrane-EA format) or to the early endosomes (Endosome-EA format).

### PathHunter Bioassays for Biologics Development

Pharmaceutical companies are developing an increasing number of biologics, biosimilars and biobetters, creating a need for state-of-the-art cell-based assays. DiscoveRx PathHunter cell-based bioassays are highly validated, simple, ready-to-use, and target-specific cell-based assays that support various stages of biologics development. For R&D groups, the assays can be used for cellular binding, functional screening, and potency determination. For manufacturing or bioanalytical groups, bioassays can be used for QC lot-release and stability testing. For clinical immunology groups, these assays can be used for detection of neutralizing anti-drug antibodies.



**Figure 2. Select Applications for Bioassays.** (A) Evaluation of lot-to-lot consistency using a GLP (glucagon like peptide) agonist and the GLP1R cell line indicates excellent reproducibility. (B) Characterization of the biologic, SDF1 $\alpha$ , using the CXCR4 (chemokine)  $G_{\alpha_i}$ -coupled receptor cell line.

## Technology Access

Whether you are developing small molecules or biologics, DiscoveRx provides you with a choice of different product formats to meet your specific research needs. Our expanding portfolio of cell-based and biochemical assays together with our assay-optimized reagents are designed to support the needs of our customers at every stage of drug development.

### GPCR Cell Lines



DiscoveRx provides a full range of functionally validated, clonal cell lines that have been characterized for the appropriate pharmacology using our certified detection kits and reagents (refer to page 92). All cell lines have been optimized for assay window, growth properties and performance stability. Optionally, CHO-K1, HEK 293, U2OS and other EA  $\beta$ -arrestin parental cell lines are available.

### Assay Ready & Bioassay Kits



Assay Ready (eXpress) and Bioassay kits come ready-to-use with all the necessary components (frozen cells, detection reagents and plates) and they do not require specialized instrumentation to run. By eliminating lengthy, expensive and time consuming cell culture, these GPCR kits enable interrogation of multiple GPCR targets and ligands in only a few hours.

### LeadHunter® GPCR Screening & Profiling Services



The foundation of our GPCR service portfolio is our collection of naturally coupled stable GPCR cell lines that enable multiple downstream signaling events to be studied in response to ligand activation. Whether your focus is hit identification, functional screening, ligand bias characterization, selectivity profiling or SAR optimization, LeadHunter Services can reduce the time and cost of GPCR drug discovery while providing you ultimate flexibility to choose the ideal screening platform to meet your project needs. Refer to page 90 for details on our available GPCR services.

### Custom Assay Development



When existing off-the-shelf solutions are not enough, trust DiscoveRx to develop a solution that will produce the quality results you expect. DiscoveRx offers a range of custom development services for our GPCR portfolio. Examples of custom solutions that we have provided for our partners include:

- Orthologs and mutants
- Custom cell lines
- Custom cell backgrounds
- Kit formulation and packaging

# How to Use This GPCR Reference Guide

**Note:** Data included in this guide is only representative. Additional data per target may be available. Visit our website for the most up-to-date data or contact technical support (page 104).

**Complement Peptide**

**C5AR1 (C5A)**  
Coupling: G<sub>q</sub>/G<sub>13</sub>

**Receptor Family** (book icon indicates select references are available, page 93)

**GPCR Gene Name (Common Name)**  
Receptor Coupling

**Arrestin Dose Curve**  
The raw data (RLU or relative light units) from the PathHunter® Arrestin assay is shown in the graph. The assay was performed in 384-well format using the reference ligand (x-axis label) and signal was generated using PathHunter Detection Reagents. The EC<sub>50</sub> is displayed within the graph area.

- Description and catalog number for the clonal cell line
- Assay Ready express kit catalog number
- Antagonist IC<sub>50</sub> values where applicable
- Bioassay kit catalog number (custom only)

CSAR1 Arrestin Clone: 93-0557C2  
Assay Ready eXpress Kit: 93-0557E2  
Antagonist: W54011 IC<sub>50</sub> [nM]: 3.9  
Bioassay Kit: 93-0557Y2

**2nd Messenger**

**2nd Messenger Dose Curve**  
For the cAMP graphs, the data is from our cAMP Hunter cell lines expressing the native receptor. cAMP is measured using the HitHunter® cAMP detection kit in 384-well format. The raw RLU are graphed. For the Calcium graphs, either the arrestin cell line (above) or a cell line expressing the native receptor were tested for calcium mobilization using the Calcium No Wash<sup>PLUS</sup> Kit . The data is plotted as the change in RFU ( $\Delta$  RFU) after ligand addition. Values are derived from the area under the curve of the fluorescence trace.

- Description and catalog number for the clonal cell line
- Assay Ready express kit catalog number

CSAR1 cAMP Clone: 95-0079C2  
Assay Ready eXpress Kit: 95-0079E2

**Internalization**

**Internalization Dose Curve**  
The raw data (RLU) from the PathHunter Internalization assay is shown in the graph. The assay was performed in 384-well format using the reference ligand (x-axis label) and signal was generated using PathHunter Detection Reagents. The EC<sub>50</sub> is displayed within the graph area.

- Description and catalog number for the clonal cell line. (t) indicates the Total Internalization format; (a) indicates the Active Internalization assay format.
- Assay Ready express kit catalog number

CSAR1 Internalization(a) Clone: 93-0777C3  
Assay Ready eXpress Kit: 93-0777E3

**Ortholog**

**Ortholog Arrestin Dose Curve**  
The raw data (RLU) from the PathHunter Arrestin assay is shown in the graph. The assay was performed in 384-well format using the reference ligand (x-axis label) and signal was generated using PathHunter Detection Reagents. The EC<sub>50</sub> is displayed within the graph area. The species is defined in the description of the cell line under the graph.

- Description, (species) and catalog number for the clonal cell line
- Assay Ready express kit catalog number

mC5AR1 (Mouse) Arrestin Clone: 93-0577C2  
Assay Ready eXpress Kit: 93-0577E2

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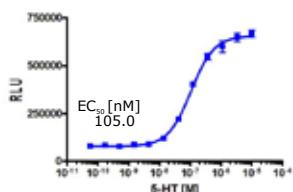
## 5-Hydroxytryptamine (Serotonin)

p.93

### HTR1A (5HT1A)

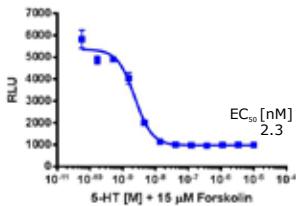
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



HTR1A Arrestin Clone: 93-0696C2  
Assay Ready eXpress Kit: 93-0696E2  
Antagonist: Spiperone IC<sub>50</sub> [nM]: 155.5  
Bioassay Kit: 93-0696Y2

2nd Messenger

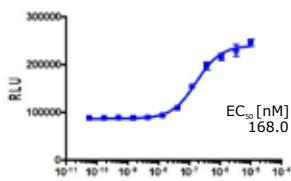


HTR1A cAMP Clone: 95-0132C2  
Assay Ready eXpress Kit: Inquire

### HTR1B (5HT1B)

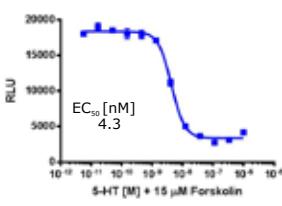
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



HTR1B Arrestin Clone: 93-0697C3  
Assay Ready eXpress Kit: 93-0697E3  
Antagonist: SB 224289 IC<sub>50</sub> [nM]: 2.4  
Bioassay Kit: 93-0697Y3

2nd Messenger

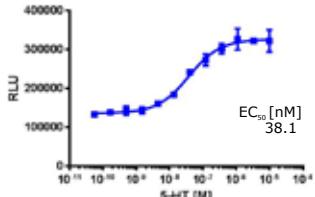


HTR1B cAMP Clone: 95-0162C2  
Assay Ready eXpress Kit: Inquire

### HTR1E (5HT1E)

Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin

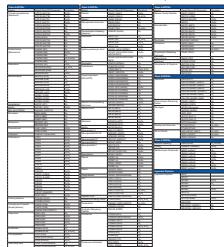


HTR1E Arrestin Clone: 93-1030C3  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

## Druggable GPCRome Poster

### The Druggable GPCRome

GPCR Families with Available Cell-Based Assays

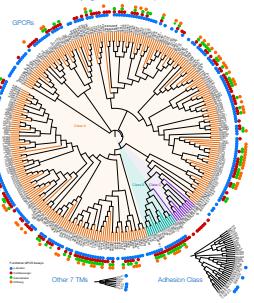


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Phylogenetic Relationship

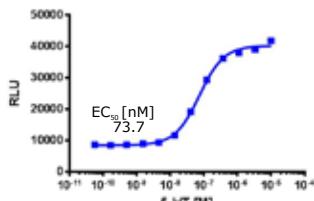


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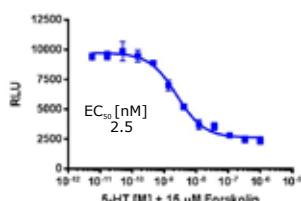
5-Hydroxytryptamine (Serotonin)  p.93**HTR1F (5HT1F)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



HTR1F Arrestin Clone: 93-0699C3  
Assay Ready eXpress Kit: 93-0699E3  
Antagonist: Yohimbine IC<sub>50</sub> [nM]: 5220  
Bioassay Kit: 93-0699Y3

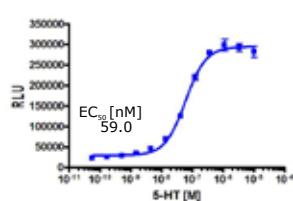
## 2nd Messenger



HTR1F cAMP Clone: 95-0143C2  
Assay Ready eXpress Kit: Inquire

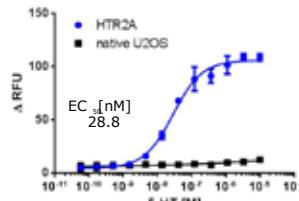
**HTR2A (5HT2A)**Coupling: G<sub>q</sub>

Arrestin



HTR2A Arrestin Clone: 93-0401C3  
Assay Ready eXpress Kit: 93-0401E3  
Antagonist: Spiperone IC<sub>50</sub> [nM]: 2.6  
Bioassay Kit: 93-0401Y3

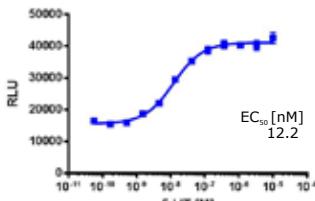
## 2nd Messenger



HTR2A Arrestin (Ca<sup>2+</sup> mode): 93-0401C3  
Assay Ready eXpress Kit: 93-0401E3  
Bioassay Kit: 93-0401Y3

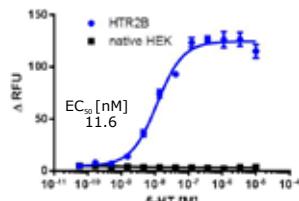
**HTR2B (5HT2B)**Coupling: G<sub>q</sub>

Arrestin



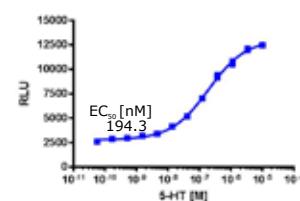
HTR2B Arrestin Clone: 93-0348C1  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

## 2nd Messenger



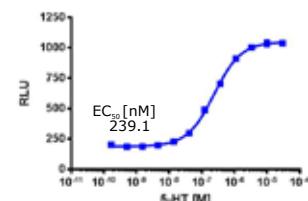
HTR2B Arrestin (Ca<sup>2+</sup> mode): 93-0348C1  
Assay Ready eXpress Kit: Inquire

## Internalization



HTR2A Internalization(t) Clone: 93-0807C3  
Assay Ready eXpress Kit: Inquire

## Ortholog

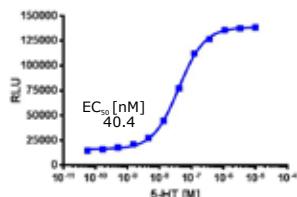


mHTR2A (Mouse) Arrestin Clone: 93-0567C2  
Assay Ready eXpress Kit: Inquire

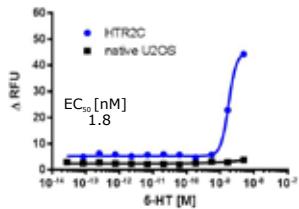


**HTR2C (VSV) (5HT2C)**Coupling: G<sub>q</sub>

Arrestin



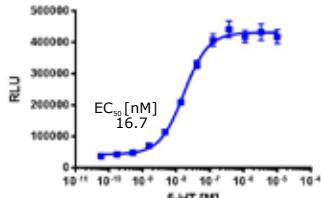
HTR2C(VSV) Arrestin Clone: 93-0921C3  
Assay Ready eXpress Kit: 93-0921E3  
Bioassay Kit: 93-0921Y3

**2nd Messenger**

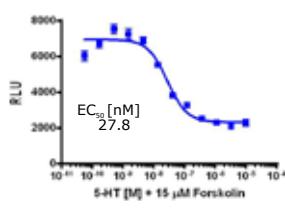
HTR2C(VSV) Arrestin (Ca<sup>2+</sup> mode): 93-0921C3  
Assay Ready eXpress Kit: 93-0921E3  
Bioassay Kit: 93-0921Y3

**HTR5A (5HT5A)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



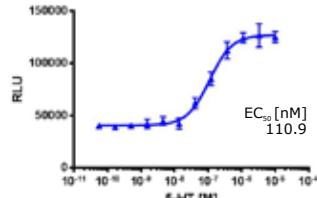
HTR5A Arrestin Clone: 93-0700C3  
Assay Ready eXpress Kit: 93-0700E3  
Antagonist: SB 699551 IC<sub>50</sub> [nM]: 251.9  
Bioassay Kit: 93-0700Y3

**2nd Messenger**

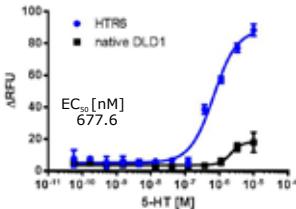
HTR5A cAMP Clone: 95-0160C2  
Assay Ready eXpress Kit: Inquire

**HTR6 (5HT6)**Coupling: G<sub>q</sub>

Arrestin



HTR6 Arrestin Clone: 93-0294C13  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

HTR6 Arrestin (Ca<sup>2+</sup> mode): 93-0294C13  
Assay Ready eXpress Kit: Inquire

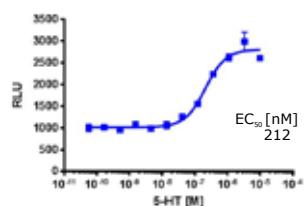
## 5-Hydroxytryptamine (Serotonin)

p.93

### HTR7A (5HT7A)

Coupling: G<sub>s</sub>

#### 2nd Messenger

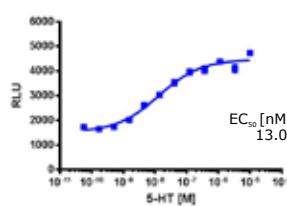


HTR7A cAMP Clone: 95-0151C2  
Assay Ready eXpress Kit: Inquire

### HTR7B (5HT7B)

Coupling: G<sub>s</sub>

#### 2nd Messenger

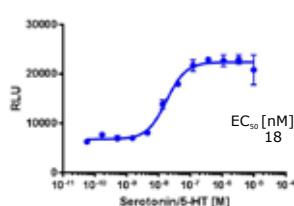


HTR7B cAMP Clone: 95-0156C3  
Assay Ready eXpress Kit: Inquire

### HTR7D (5HT7D)

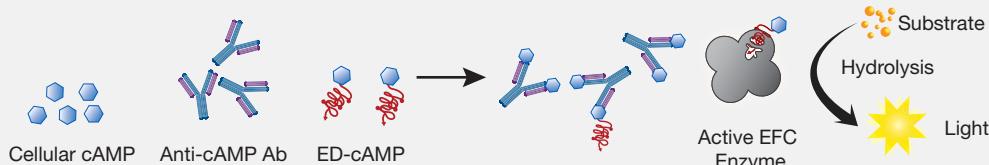
Coupling: G<sub>s</sub>

#### 2nd Messenger



HTR7D cAMP Clone: 95-0163C13  
Assay Ready eXpress Kit: 95-0163E3  
Bioassay Kit: 95-0163Y3

## cAMP Detection for Small Molecules and Biologics

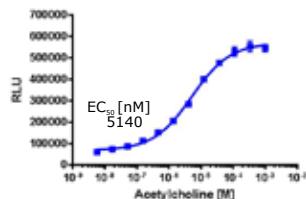


### Learn More about cAMP Assays

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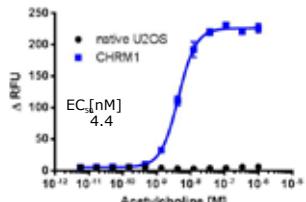
**CHRM1 (M1)**Coupling: G<sub>q</sub>

Arrestin



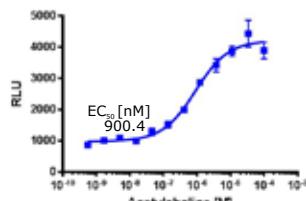
CHRM1 Arrestin Clone: 93-0859C3  
Assay Ready eXpress Kit: 93-0859E3  
Antagonist: Atropine IC<sub>50</sub> [nM]: 2.5  
Bioassay Kit: 93-0859Y3

## 2nd Messenger



CHRM1 Arrestin (Ca<sup>2+</sup> mode): 93-0859C3  
Assay Ready eXpress Kit: 93-0859E3  
Bioassay Kit: 93-0859Y3

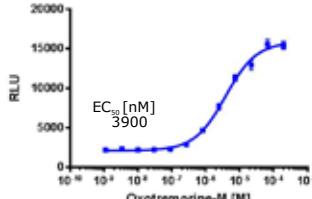
## Internalization



CHRM1 Internalization(a) Clone: 93-0655C3  
Assay Ready eXpress Kit: 93-0655E3

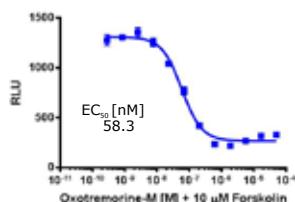
**CHRM2 (M2)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



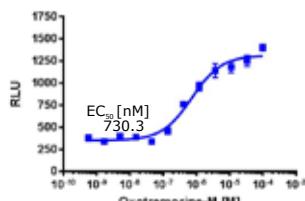
CHRM2 Arrestin Clone: 93-0198C2  
Assay Ready eXpress Kit: 93-0198E2  
Antagonist: Scopolamine IC<sub>50</sub> [nM]: 5.2  
Bioassay Kit: 93-0198Y2

## 2nd Messenger



CHRM2 cAMP Clone: 95-0078C2  
Assay Ready eXpress Kit: 95-0078E2  
Bioassay Kit: 95-0078Y2

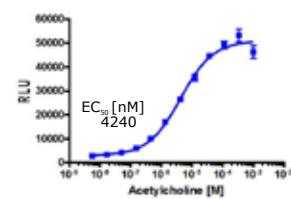
## Internalization



CHRM2 Internalization(a) Clone: 93-0656C3  
Assay Ready eXpress Kit: 93-0656E3

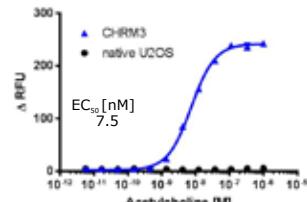
**CHRM3 (M3)**Coupling: G<sub>q</sub>

Arrestin



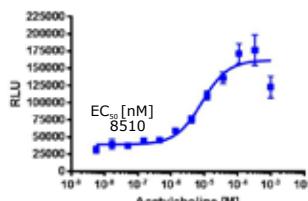
CHRM3 Arrestin Clone: 93-0860C3  
Assay Ready eXpress Kit: 93-0860E3  
Bioassay Kit: 93-0860Y3

## 2nd Messenger



CHRM3 Arrestin (Ca<sup>2+</sup> mode): 93-0860C3  
Assay Ready eXpress Kit: 93-0860E3  
Bioassay Kit: 93-0860Y3

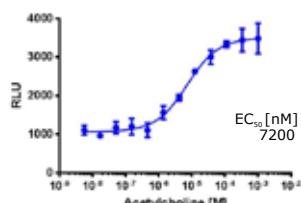
## Internalization



CHRM3 Internalization(t) Clone: 93-0775C3  
Assay Ready eXpress Kit: 93-0775E3

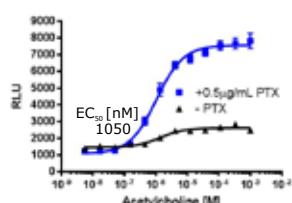
**CHRM4 (M4)**Coupling: G<sub>s</sub> & G/G<sub>o</sub>

## Arrestin



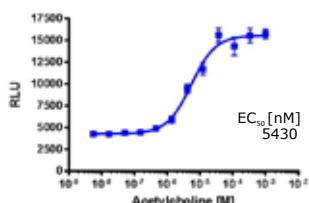
CHRM4 Arrestin Clone: 93-0349C2  
Assay Ready eXpress Kit: 93-0349E2  
Antagonist: Atropine IC<sub>50</sub> [nM]: 0.77  
Bioassay Kit: 93-0349Y2

## 2nd Messenger



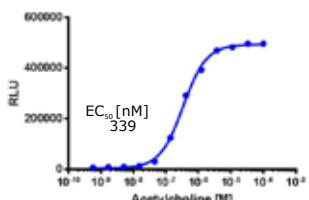
CHRM4 cAMP Clone: 95-0145C2  
Assay Ready eXpress Kit: Inquire

## Internalization



CHRM4 Internalization(t) Clone: 93-0902C3  
Assay Ready eXpress Kit: 93-0902E3

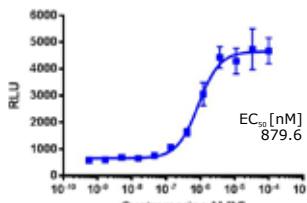
## Ortholog



rCHRM4 (Rat) Arrestin Clone: 93-0870C2  
Assay Ready eXpress Kit: 93-0870E2

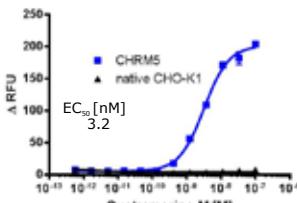
**CHRM5 (M5)**Coupling: G<sub>q</sub>

## Arrestin



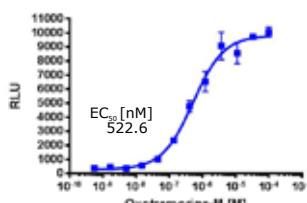
CHRM5 Arrestin Clone: 93-0519C2  
Assay Ready eXpress Kit: 93-0519E2  
Antagonist: Atropine IC<sub>50</sub> [nM]: 1.3  
Bioassay Kit: 93-0519Y2

## 2nd Messenger



CHRM5 Arrestin (Ca<sup>2+</sup> mode): 93-0519C2  
Assay Ready eXpress Kit: 93-0519E2  
Bioassay Kit: 93-0519Y2

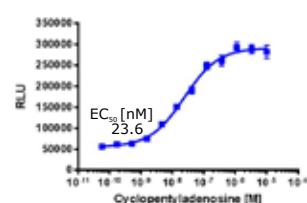
## Internalization



CHRM5 Internalization(a) Clone: 93-0657C3  
Assay Ready eXpress Kit: 93-0657E3

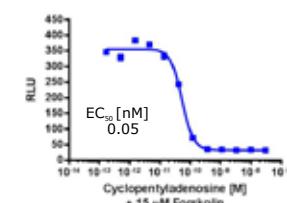
**ADORA1 (A1)**Coupling: G<sub>i</sub>/G<sub>o</sub>

## Arrestin



ADORA1 Arrestin Clone: 93-0787C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

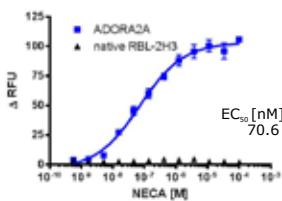
## 2nd Messenger



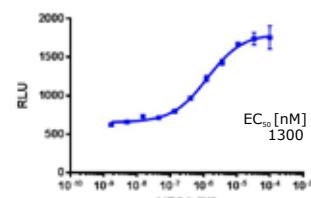
ADORA1 cAMP Clone: 95-0061C2  
Assay Ready eXpress Kit: Inquire

**ADORA2A (A2A)**Coupling: G<sub>s</sub> & G<sub>q</sub>

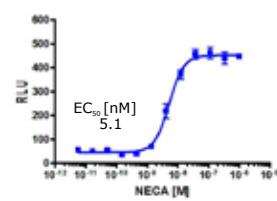
## 2nd Messenger

**ADORA2B (A2B)**Coupling: G<sub>s</sub>

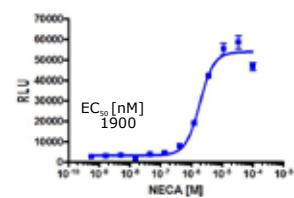
## Arrestin



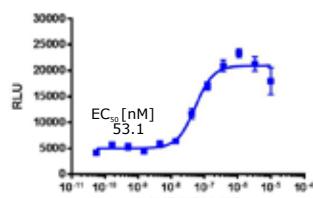
## 2nd Messenger



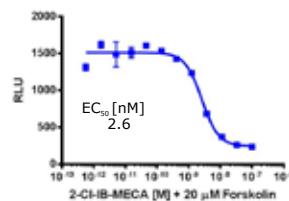
## Ortholog

**ADORA3 (A3)**Coupling: G<sub>γ</sub>/G<sub>o</sub>

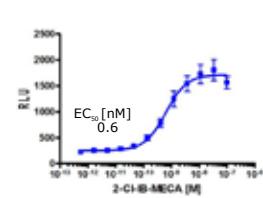
## Arrestin



## 2nd Messenger

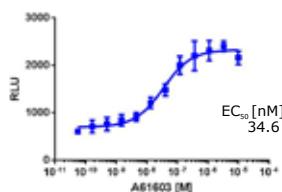


## Ortholog



**ADRA1A ( $\alpha 1\text{A}$ )**Coupling:  $G_q$ 

Arrestin

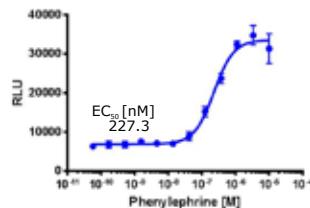


ADRA1A Arrestin Clone: 93-0935C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

## 2nd Messenger

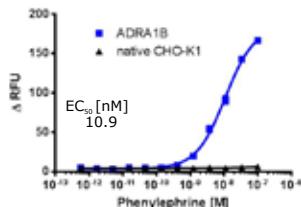
**ADRA1B ( $\alpha 1\text{B}$ )**Coupling:  $G_q$ 

Arrestin



ADRA1B Arrestin Clone: 93-0274C2  
Assay Ready eXpress Kit: 93-0274E2  
Antagonist: Prazosin  $IC_{50} [\text{nM}]$ : 1.3  
Bioassay Kit: 93-0274Y2

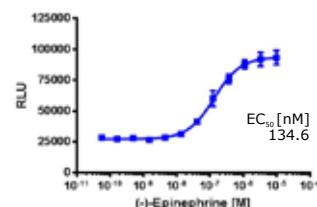
## 2nd Messenger



ADRA1B Arrestin ( $\text{Ca}^{2+}$  mode): 93-0274C2  
Assay Ready eXpress Kit: 93-0274E2  
Bioassay Kit: 93-0274Y2

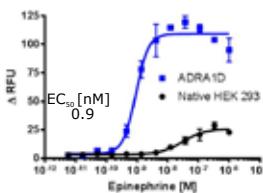
**ADRA1D ( $\alpha 1\text{D}$ )**Coupling:  $G_q$ 

Arrestin



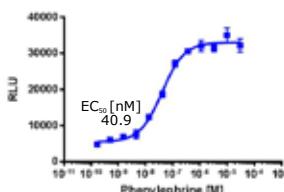
ADRA1D(82-572) Arrestin Clone: 93-0983C1  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

## 2nd Messenger



ADRA1D Arrestin ( $\text{Ca}^{2+}$  mode): 93-0983C1  
Assay Ready eXpress Kit: Inquire

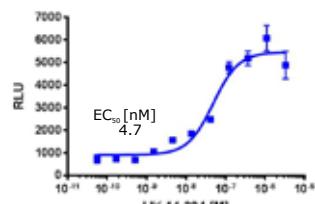
## Ortholog



mADRA1B (Mouse) Arrestin Pool: 93-0595P2  
Assay Ready eXpress Kit: Inquire

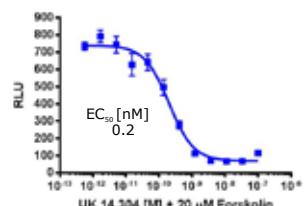
**ADRA2A ( $\alpha 2A$ )**Coupling: G<sub>q</sub>/G<sub>o</sub>

Arrestin



ADRA2A Arrestin Clone: 93-0424C2  
Assay Ready eXpress Kit: 93-0424E2  
Antagonist: Yohimbine IC<sub>50</sub> [nM]: 12.1  
Bioassay Kit: 93-0424Y2

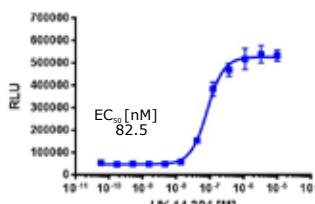
2nd Messenger



ADRA2A cAMP Clone: 95-0126C2  
Assay Ready eXpress Kit: 95-0126E2  
Bioassay Kit: 95-0126Y2

**ADRA2B ( $\alpha 2B$ )**Coupling: G<sub>q</sub>/G<sub>o</sub>

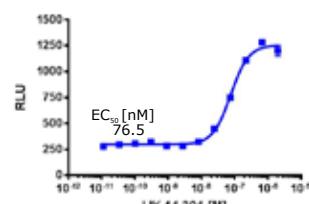
Arrestin



ADRA2B Arrestin Clone: 93-0641C2  
Assay Ready eXpress Kit: 93-0641E2  
Antagonist: Lisuride IC<sub>50</sub> [nM]: 0.12  
Bioassay Kit: Inquire

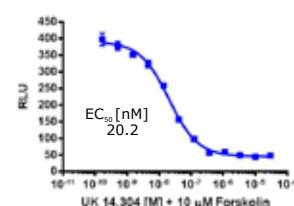
**ADRA2C ( $\alpha 2C$ )**Coupling: G<sub>q</sub>/G<sub>o</sub>

Arrestin



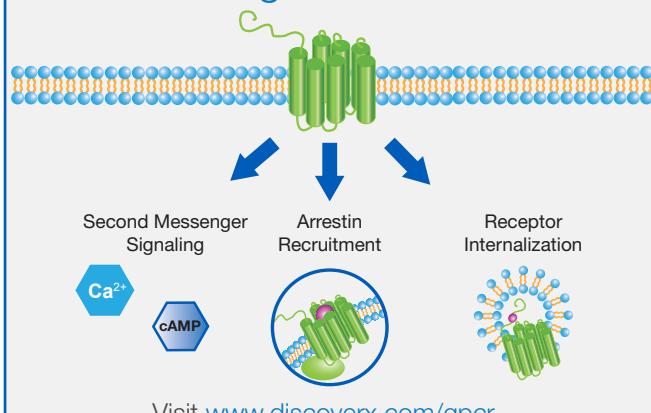
ADRA2C Arrestin Clone: 93-0511C2  
Assay Ready eXpress Kit: Inquire  
Antagonist: Yohimbine IC<sub>50</sub> [nM]: 13.3  
Bioassay Kit: Inquire

2nd Messenger

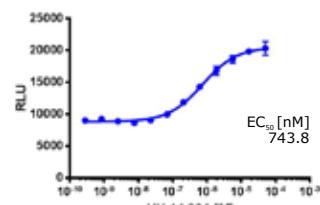


ADRA2C cAMP Clone: 95-0053C2  
Assay Ready eXpress Kit: 95-0053E2  
Bioassay Kit: 95-0053Y2

## One Target. Multiple Readouts. Ideal for Ligand Bias Studies



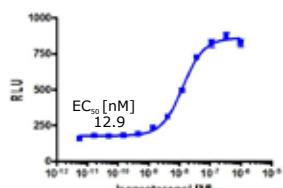
## Internalization



ADRA2C Internalization(t) Clone: 93-0923C3  
Assay Ready eXpress Kit: Inquire

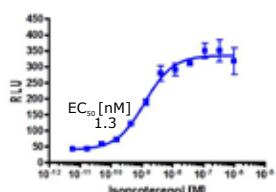
**ADRB1 ( $\beta 1$ AR)**Coupling:  $G_s$ 

Arrestin



ADRB1 Arrestin Clone: 93-0488C2  
Assay Ready eXpress Kit: 93-0488E2  
Antagonist: Betaxolol IC<sub>50</sub> [nM]: 5.4  
Bioassay Kit: 93-0488Y2

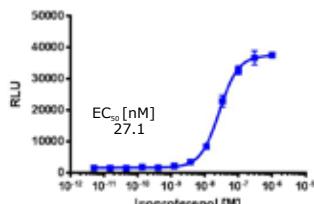
2nd Messenger



ADRB1 cAMP Clone: 95-0113C2  
Assay Ready eXpress Kit: 95-0113E2  
Bioassay Kit: 95-0113Y2

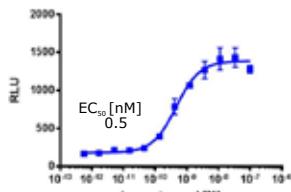
**ADRB2 ( $\beta 2$ AR)**Coupling:  $G_s$ 

Arrestin



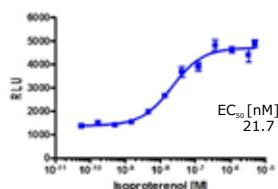
ADRB2 Arrestin Clone: 93-0182C2  
Assay Ready eXpress Kit: 93-0182E2  
Antagonist: Nadolol IC<sub>50</sub> [nM]: 17.3  
Bioassay Kit: 93-0182Y2

2nd Messenger



ADRB2 cAMP Clone: 95-0121C2  
Assay Ready eXpress Kit: 95-0121E2  
Bioassay Kit: 95-0121Y2

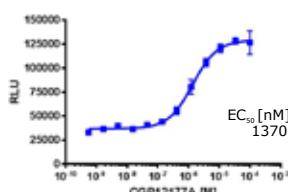
Internalization



ADRB2 Internalization(t) Clone: 93-0800C1  
Assay Ready eXpress Kit: 93-0800E1

**ADRB3 ( $\beta 3$ AR)**Coupling:  $G_s$ 

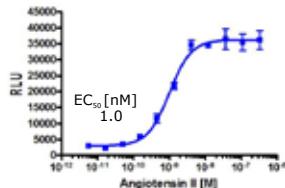
2nd Messenger



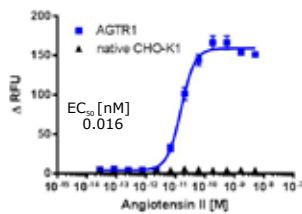
ADRB3 cAMP Clone: 95-0182C13  
Assay Ready eXpress Kit: Inquire

**Angiotensin** p.93**AGTR1 (AT1)**Coupling: G<sub>s</sub>

Arrestin



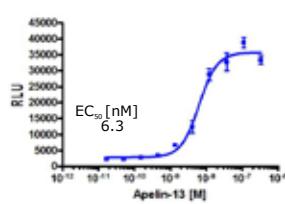
AGTR1 Arrestin Clone: 93-0312C2  
Assay Ready eXpress Kit: 93-0312E2  
Antagonist: EMD 66684 IC<sub>50</sub> [nM]: 1.3  
Bioassay Kit: 93-0312Y2

**2nd Messenger**

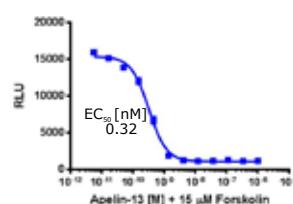
AGTR1 Arrestin (Ca<sup>2+</sup> mode): 93-0312C2  
Assay Ready eXpress Kit: 93-0312E2  
Bioassay Kit: 93-0312Y2

**Apelin** p.93**AGTRL1 (APJ)**Coupling: G/G<sub>o</sub>

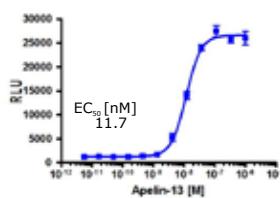
Arrestin



AGTRL1 Arrestin Clone: 93-0250C2  
Assay Ready eXpress Kit: 93-0250E2  
Bioassay Kit: 93-0250Y2

**2nd Messenger**

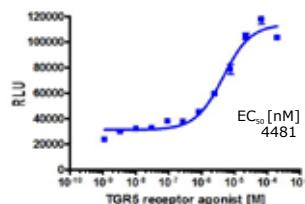
AGTRL1 cAMP Clone: 95-0147C2  
Assay Ready eXpress Kit: 95-0147E2  
Bioassay Kit: 95-0147Y2

**Internalization**

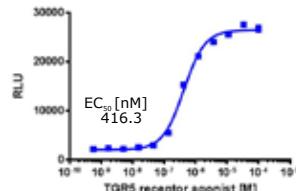
AGTRL1 Internalization(a) Clone: 93-0710C3  
Assay Ready eXpress Kit: 93-0710E3

**Bile Acid (GPBA)****GPBAR1 (GPR131)**Coupling: G<sub>s</sub>

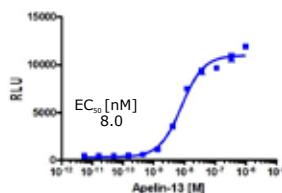
Arrestin



GPBAR1 Arrestin Clone: 93-0794C3  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

GPBAR1 cAMP Clone: 95-0049C2  
Assay Ready eXpress Kit: 95-0049E2  
Bioassay Kit: 95-0049Y2

**Ortholog**

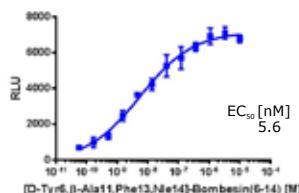
mAGTRL1 (Mouse) Arrestin Clone: 93-0565C2  
Assay Ready eXpress Kit: 93-0565E2

## Bombesin

### BRS3 (BB3)

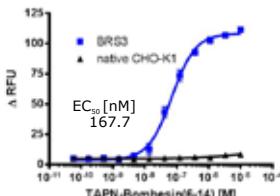
Coupling: G<sub>q</sub>

#### Arrestin



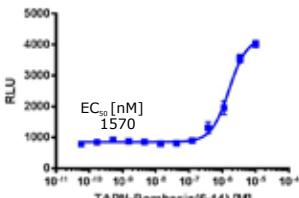
BRS3 Arrestin Clone: 93-0945C2  
Assay Ready eXpress Kit: 93-0945E2  
Bioassay Kit: 93-0945Y2

#### 2nd Messenger



BRS3 Native Ca<sup>2+</sup> Clone: 95-1001C2  
Assay Ready eXpress Kit: Inquire

#### Ortholog

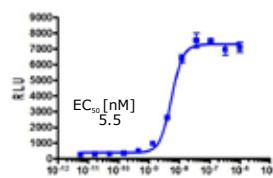


mBRS3 (Mouse) Arrestin Pool: 93-0597P3  
Assay Ready eXpress Kit: Inquire

### GRPR (BB2)

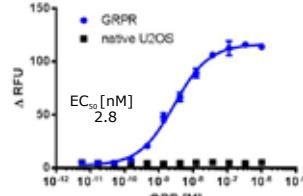
Coupling: G<sub>q</sub>

#### Arrestin



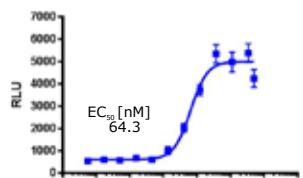
GRPR Arrestin Clone: 93-0229C3  
Assay Ready eXpress Kit: 93-0229E3  
Antagonist: PD 176252 IC<sub>50</sub> [nM]: 8.4  
Bioassay Kit: 93-0229Y3

#### 2nd Messenger



GRPR Arrestin (Ca<sup>2+</sup> mode): 93-0229C3  
Assay Ready eXpress Kit: 93-0229E3  
Bioassay Kit: 93-0229Y3

#### Internalization

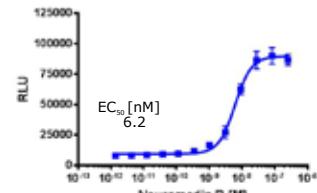


GRPR Internalization(a) Clone: 93-0667C3  
Assay Ready eXpress Kit: 93-0667E3

### NMBR (BB1)

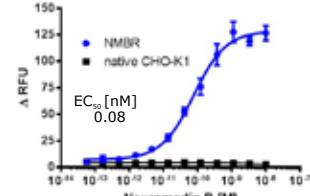
Coupling: G<sub>q</sub>

#### Arrestin



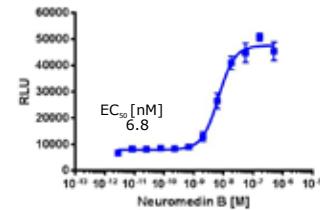
NMBR Arrestin Clone: 93-0925C2  
Assay Ready eXpress Kit: 93-0925E2  
Bioassay Kit: 93-0925Y2

#### 2nd Messenger



NMBR Arrestin (Ca<sup>2+</sup> mode): 93-0925C2  
Assay Ready eXpress Kit: 93-0925E2  
Bioassay Kit: 93-0925Y2

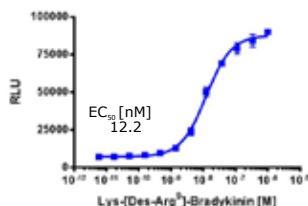
#### Internalization



NMBR Internalization(t) Clone: 93-0939C3  
Assay Ready eXpress Kit: 93-0939E3

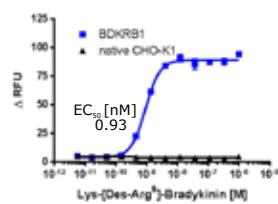
**Bradykinin****BDKRB1 (B1)**Coupling: G<sub>o</sub>

Arrestin



BDKRB1 Arrestin Clone: 93-0639C2  
Assay Ready eXpress Kit: 93-0639E2  
Bioassay Kit: 93-0639Y2

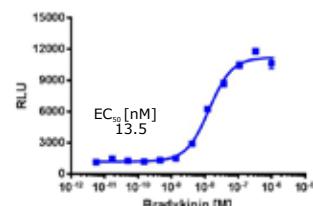
## 2nd Messenger



BDKRB1 Native Ca<sup>2+</sup> Clone: 95-1011C2  
Assay Ready eXpress Kit: Inquire

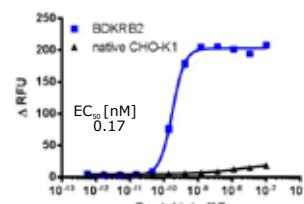
**BDKRB2 (B2)**Coupling: G<sub>q</sub>

Arrestin



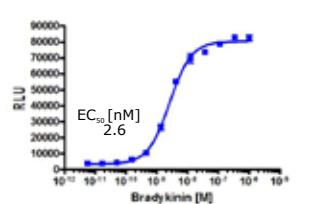
BDKRB2 Arrestin Clone: 93-0189C2  
Assay Ready eXpress Kit: 93-0189E2  
Antagonist: HOE 140 IC<sub>50</sub> [nM]: 61.9  
Bioassay Kit: 93-0189Y2

## 2nd Messenger



BDKRB2 Arrestin (Ca<sup>2+</sup> mode): 93-0189C2  
Assay Ready eXpress Kit: 93-0189E2  
Bioassay Kit: 93-0189Y2

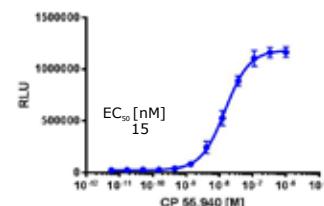
## Internalization



BDKRB2 Internalization(a) Clone: 93-0725C3  
Assay Ready eXpress Kit: Inquire

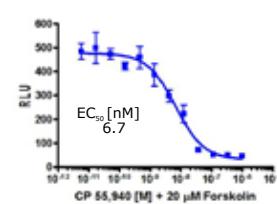
**Cannabinoid****CNR1 (CB1)**Coupling: G/G<sub>o</sub>

Arrestin



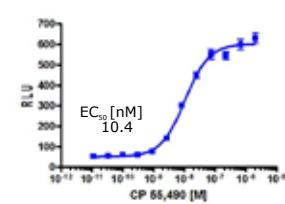
CNR1 Arrestin Clone: 93-0959C2  
Assay Ready eXpress Kit: 93-0959E2  
Bioassay Kit: 93-0959Y2

## 2nd Messenger



CNR1 cAMP Clone: 95-0071C2 Assay Ready eXpress Kit: 95-0071E2  
Bioassay Kit: 95-0071Y2

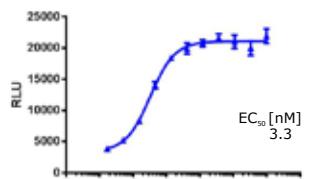
## Ortholog



mCNR1 (Mouse) Arrestin Clone: 93-0471C2  
Assay Ready eXpress Kit: 93-0471E2

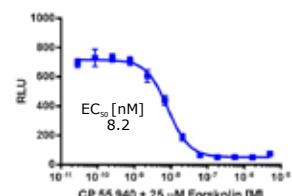
**CNR2 (CB2)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



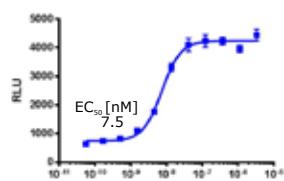
CNR2 Arrestin Clone: 93-0706C2  
 Assay Ready eXpress Kit: Inquire  
 Antagonist: JTE 907 IC<sub>50</sub> [nM]: 31.0  
 Bioassay Kit: Inquire

## 2nd Messenger



CNR2 cAMP Clone: 95-0060C2  
 Assay Ready eXpress Kit: 95-0060E2  
 Bioassay Kit: 95-0060Y2

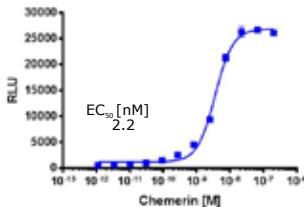
## Ortholog



mCNR2 (Mouse) Arrestin Clone: 93-0472C2  
 Assay Ready eXpress Kit: 93-0472E2

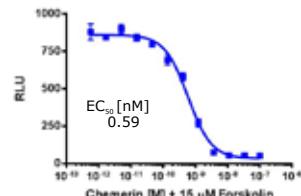
**CMKLR1 (ChemR23)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



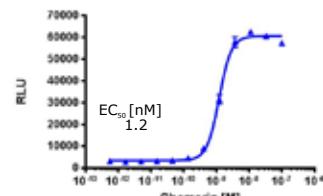
CMKLR1 Arrestin Clone: 93-0313C2  
 Assay Ready eXpress Kit: 93-0313E2  
 Bioassay Kit: 93-0313Y2

## 2nd Messenger



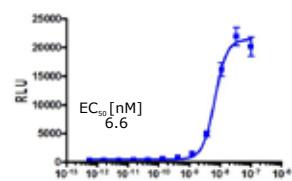
CMKLR1 cAMP Clone: 95-0080C2  
 Assay Ready eXpress Kit: 95-0080E2  
 Bioassay Kit: 95-0080Y2

## Internalization



CMKLR1 Internalization(a) Clone: 93-0720C3  
 Assay Ready eXpress Kit: 93-0720E3

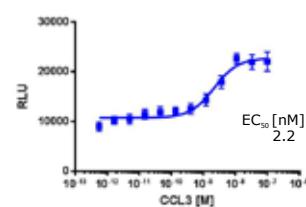
## Ortholog



mCMKLR1(Mouse) Arrestin Clone: 93-0537C2  
 Assay Ready eXpress Kit: 93-0537E2

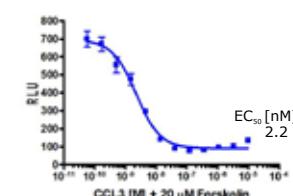
**CCR1**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



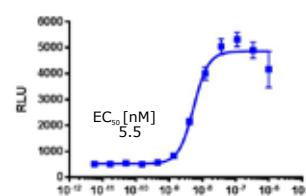
CCR1 Arrestin Clone: 93-0254C2  
 Assay Ready eXpress Kit: Inquire  
 Bioassay Kit: Inquire

## 2nd Messenger



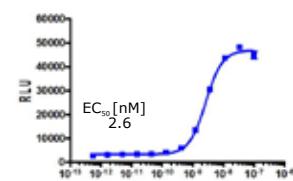
CCR1 cAMP Clone: 95-0066C2  
 Assay Ready eXpress Kit: Inquire

## Internalization



CCR1 Internalization(a) Clone: 93-0651C3  
 Assay Ready eXpress Kit: 93-0651E3

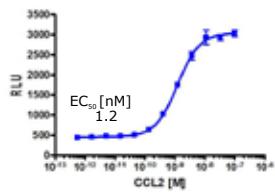
## Ortholog



mCCR1 (Mouse) Arrestin Clone: 93-0561C3  
 Assay Ready eXpress Kit: Inquire

**CCR2**Coupling: G<sub>i</sub>/G<sub>o</sub>

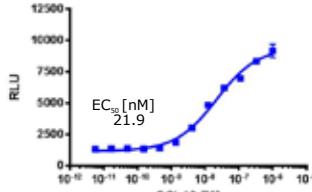
Arrestin



CCR2 Arrestin Clone: 93-0192C2  
Assay Ready eXpress Kit: 93-0192E2  
Antagonist: RS102895 IC<sub>50</sub> [nM]: 425.8  
Bioassay Kit: 93-0192Y2

**CCR3**Coupling: G<sub>i</sub>/G<sub>o</sub>

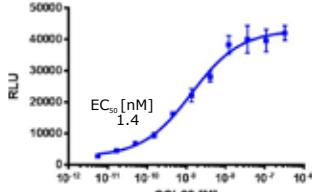
Arrestin



CCR3 Arrestin Clone: 93-0629C2  
Assay Ready eXpress Kit: 93-0629E2  
Bioassay Kit: 93-0629Y2

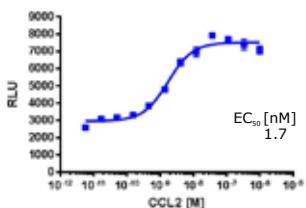
**CCR4**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



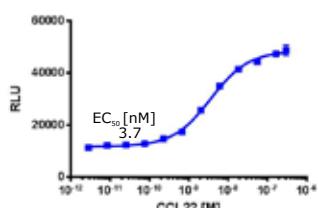
CCR4 Arrestin Clone: 93-0193C2  
Assay Ready eXpress Kit: 93-0193E2  
Antagonist: C 021 IC<sub>50</sub> [nM]: 1.1  
Bioassay Kit: 93-0193Y2

## Internalization



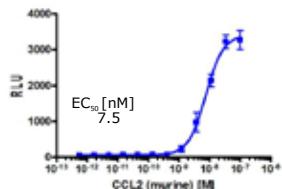
CCR2 Internalization(t) Clone: 93-0679C3  
Assay Ready eXpress Kit: 93-0679E3

## Internalization



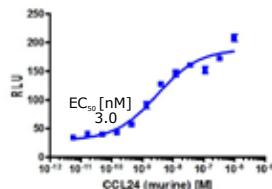
CCR4 Internalization(t) Clone: 93-0845C3  
Assay Ready eXpress Kit: 93-0845E3

## Ortholog



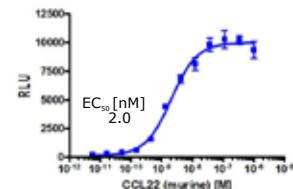
mCCR2 (Mouse) Arrestin Clone: 93-0543C3  
Assay Ready eXpress Kit: 93-0543E3

## Ortholog



mCCR3 (Mouse) Arrestin Clone: 93-0522C2  
Assay Ready eXpress Kit: 93-0522E2

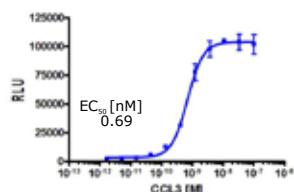
## Ortholog



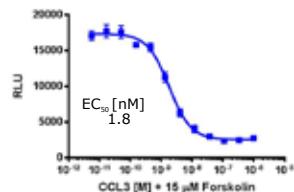
mCCR4 (Mouse) Arrestin Clone: 93-0515C2  
Assay Ready eXpress Kit: 93-0515E2

**CCR5**Coupling: G<sub>i</sub>/G<sub>o</sub>

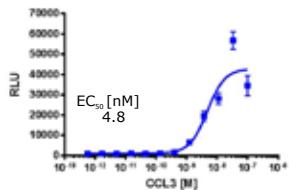
Arrestin



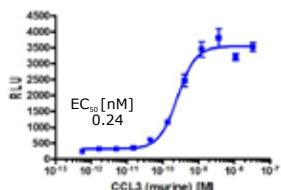
CCR5 Arrestin Clone: 93-0224C2  
Assay Ready eXpress Kit: 93-0224E2  
Bioassay Kit: 93-0224Y2

**2nd Messenger**

CCR5 cAMP Clone: 95-0068C2  
Assay Ready eXpress Kit: 95-0068E2  
Bioassay Kit: 95-0068Y2

**Internalization**

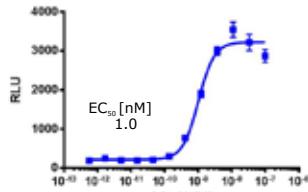
CCR5 Internalization(a) Clone: 93-0653C3  
Assay Ready eXpress Kit: 93-0653E3

**Ortholog**

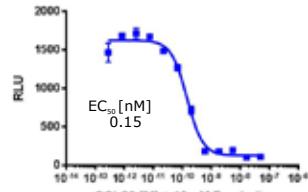
mCCR5 (Mouse) Arrestin Clone: 93-0470C2  
Assay Ready eXpress Kit: 93-0470E2

**CCR6**Coupling: G<sub>i</sub>/G<sub>o</sub>

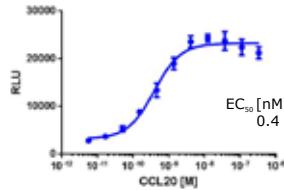
Arrestin



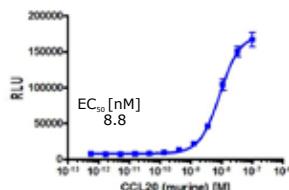
CCR6 Arrestin Clone: 93-0194C2  
Assay Ready eXpress Kit: 93-0194E2  
Bioassay Kit: 93-0194Y2

**2nd Messenger**

CCR6 cAMP Clone: 95-0069C2  
Assay Ready eXpress Kit: 95-0069E2  
Bioassay Kit: 95-0069Y2

**Internalization**

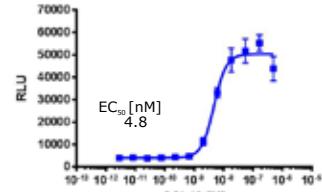
CCR6 Internalization(a) Clone: 93-0966C3  
Assay Ready eXpress Kit: 93-0966E3

**Ortholog**

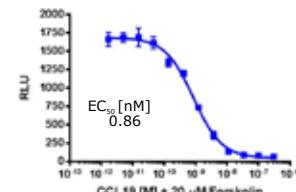
mCCR6 (Mouse) Arrestin Clone: 93-0694C2  
Assay Ready eXpress Kit: 93-0694E2

**CCR7**Coupling: G<sub>i</sub>/G<sub>o</sub>

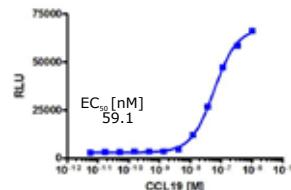
Arrestin



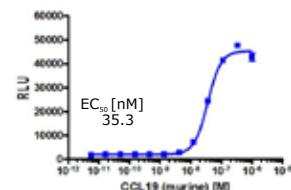
CCR7 Arrestin Clone: 93-0195C2  
Assay Ready eXpress Kit: 93-0195E2  
Bioassay Kit: 93-0195Y2

**2nd Messenger**

CCR7 cAMP Clone: 95-0070C2  
Assay Ready eXpress Kit: 95-0070E2  
Bioassay Kit: 95-0070Y2

**Internalization**

CCR7 Internalization(a) Clone: 93-0740C3  
Assay Ready eXpress Kit: Inquire

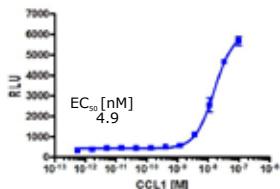
**Ortholog**

mCCR7 (Mouse) Arrestin Clone: 93-0528C2  
Assay Ready eXpress Kit: Inquire

CCR8

Coupling:  $G/G_0$

## Arrestin

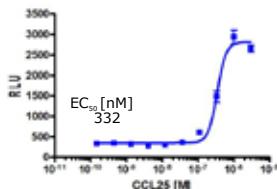


CCR8 Arrestin Clone: 93-0196C2  
Assay Ready eXpress Kit: 93-0196E2  
Bioassay Kit: 93-0196Y2

CCR9

Coupling:  $G/G_0$

## Arrestin

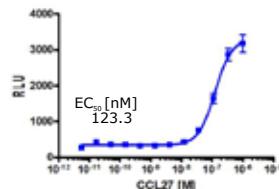


CCR9 Arrestin Clone: 93-0296C2  
Assay Ready eXpress Kit: 93-0296E2  
Bioassay Kit: 93-0296Y2

CCR10

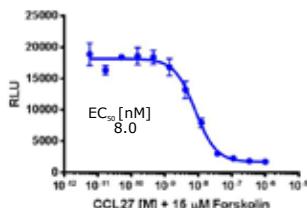
Coupling:  $G/G_0$

## Arrestin



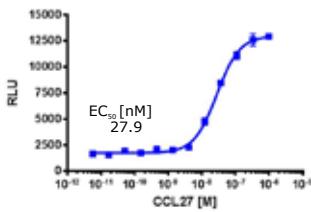
CCR10 Arrestin Clone: 93-0276C3  
Assay Ready eXpress Kit: 93-0276E3  
Bioassay Kit: 93-0276Y3

## 2nd Messenger



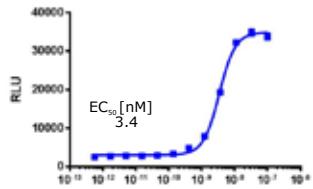
CCR10 cAMP Clone: 95-0175C2  
Assay Ready eXpress Kit: Inquire

## Internalization



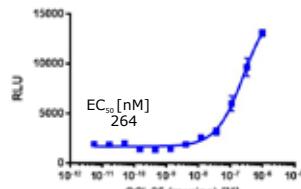
CCR10 Internalization(a) Clone: 93-0783C3  
Assay Ready eXpress Kit: 93-0783E3

## Ortholog



mCCR8 (Mouse) Arrestin Clone: 93-0556C2  
Assay Ready eXpress Kit: Inquire

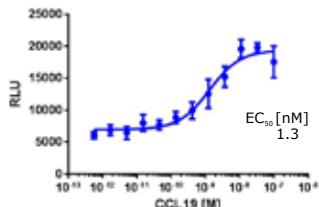
## Ortholog



**CCRL1**

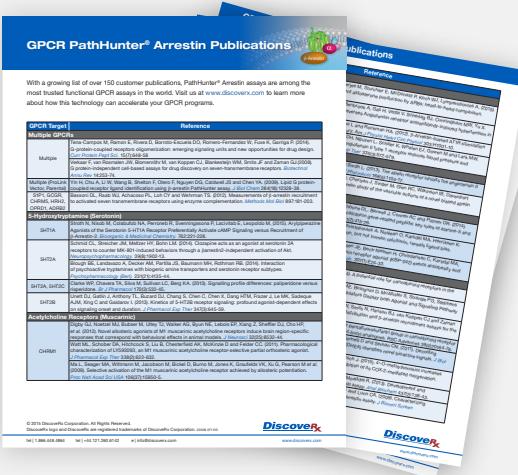
Coupling: N/A

Arrestin



CCRL1 Arrestin Clone: 93-0946C2  
Assay Ready eXpress Kit: Inquire

## $\beta$ -Arrestin Publications



**GPCR PathHunter® Arrestin Publications**

With a growing list of over 150 customer publications, PathHunter® Arrestin assays are among the most trusted functional GPCR assays in the world. Visit us at [www.discoverx.com](http://www.discoverx.com) to learn more about how this technology can accelerate your GPCR programs.

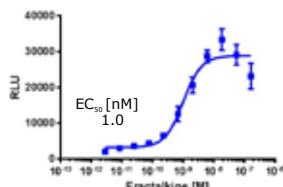
**GPCR Targets**

**Multiple GPCRs**

- Serotonin 5-HT<sub>1A</sub> Receptor: Goetz J, Ritter E, Bösch S, Grönemeyer D, Götz K, Grünig J (2014). G-protein-coupled receptors oligomerize: emerging insights and new opportunities for drug design. *Frontiers in Pharmacology* 5:140.
- Glutamate Receptors: van Rossum MJ, Blomqvist E, van Kesteren MM, Smits J, and Zandbergen GJ (2016). Glutamate receptor activation by a single amino acid residue. *Nature* 531:360-363.
- Multiple Phosphoinositide-Dependent Kinase Targets: van Rossum MJ, Blomqvist E, Goetz J, Nagy JD, Cetina J, and Chen YH (2008). Lysophosphatidic acid activates several phosphoinositide receptors using enzyme complementation. *Mol Cell Biol* 28:1981-1993.
- EP2, EP3, EP4, EP5, EP6, EP7, EP8, EP9, EP10, EP11, EP12, EP13, EP14, EP15, EP16, EP17, EP18, EP19, EP20, EP21, EP22, EP23, EP24, EP25, EP26, EP27, EP28, EP29, EP30, EP31, EP32, EP33, EP34, EP35, EP36, EP37, EP38, EP39, EP40, EP41, EP42, EP43, EP44, EP45, EP46, EP47, EP48, EP49, EP50, EP51, EP52, EP53, EP54, EP55, EP56, EP57, EP58, EP59, EP60, EP61, EP62, EP63, EP64, EP65, EP66, EP67, EP68, EP69, EP70, EP71, EP72, EP73, EP74, EP75, EP76, EP77, EP78, EP79, EP80, EP81, EP82, EP83, EP84, EP85, EP86, EP87, EP88, EP89, EP90, EP91, EP92, EP93, EP94, EP95, EP96, EP97, EP98, EP99, EP99, EP100, EP101, EP102, EP103, EP104, EP105, EP106, EP107, EP108, EP109, EP110, EP111, EP112, EP113, EP114, EP115, EP116, EP117, EP118, EP119, EP120, EP121, EP122, EP123, EP124, EP125, EP126, EP127, EP128, EP129, EP130, EP131, EP132, EP133, EP134, EP135, EP136, EP137, EP138, EP139, EP140, EP141, EP142, EP143, EP144, EP145, EP146, EP147, EP148, EP149, EP150, EP151, EP152, EP153, EP154, EP155, EP156, EP157, EP158, 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**CX3CR1**Coupling: G<sub>i</sub>/G<sub>o</sub>

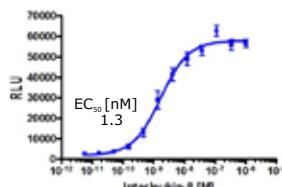
Arrestin



CX3CR1 Arrestin Clone: 93-0956C2  
Assay Ready eXpress Kit: 93-0956E2  
Bioassay Kit: 93-0956Y2

**CXCR1 (IL8RA)**Coupling: G<sub>i</sub>/G<sub>o</sub>

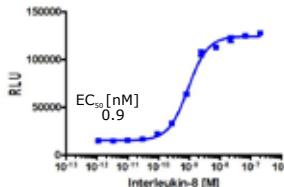
Arrestin



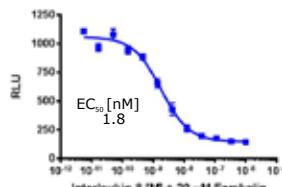
CXCR1 Arrestin Clone: 93-0226C3  
Assay Ready eXpress Kit: 93-0226E3  
Bioassay Kit: 93-0226Y3

**CXCR2 (IL8RB)**Coupling: G<sub>i</sub>/G<sub>o</sub>

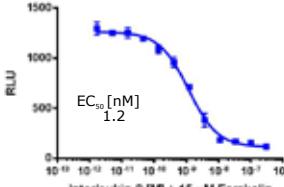
Arrestin



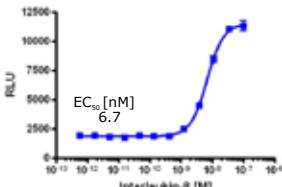
CXCR2 Arrestin Clone: 93-0202C2  
Assay Ready eXpress Kit: 93-0202E2  
Bioassay Kit: 93-0202Y2

**2nd Messenger**

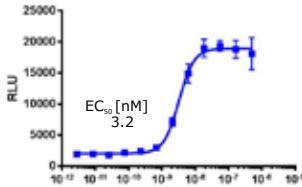
CXCR1 cAMP Clone: 95-0073C2  
Assay Ready eXpress Kit: 95-0073E2  
Bioassay Kit: 95-0073Y2

**2nd Messenger**

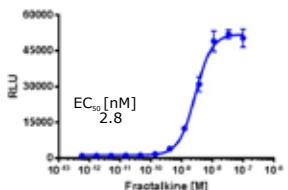
CXCR2 cAMP Clone: 95-0074C2  
Assay Ready eXpress Kit: 95-0074E2  
Bioassay Kit: 95-0074Y2

**Internalization**

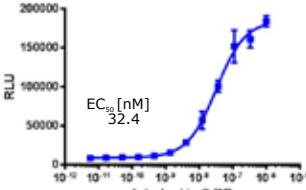
CXCR1 Internalization(a) Clone: 93-0659C3  
Assay Ready eXpress Kit: Inquire

**Internalization**

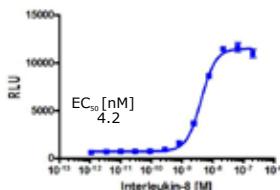
CXCR2 Internalization(a) Clone: 93-0934C3  
Assay Ready eXpress Kit: 93-0934E3

**Ortholog**

mCX3CR1 (Mouse) Arrestin Clone: 93-0702C2  
Assay Ready eXpress Kit: 93-0702E2

**Ortholog**

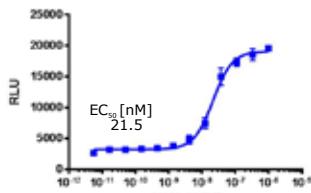
cyno CXCR1 (Macaca fascicularis)  
Arrestin Clone: 93-0980C1  
Assay Ready eXpress Kit: 93-0980E1

**Ortholog**

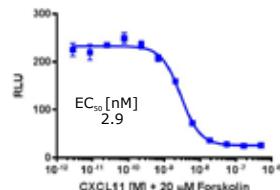
mCXCR2 (Mouse) Arrestin Clone: 93-0513C2  
Assay Ready eXpress Kit: 93-0513E2

**CXCR3**Coupling: G<sub>i</sub>/G<sub>o</sub>

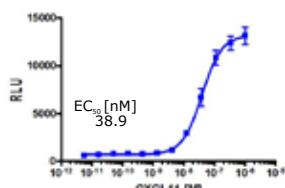
Arrestin



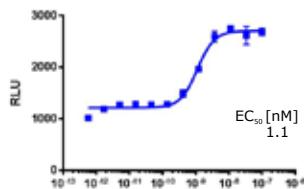
CXCR3 Arrestin Clone: 93-0271C2  
Assay Ready eXpress Kit: 93-0271E2  
Bioassay Kit: 93-0271Y2

**2nd Messenger**

CXCR3 cAMP Clone: 95-0054C2  
Assay Ready eXpress Kit: 95-0054E2  
Bioassay Kit: 95-0054Y2

**Internalization**

CXCR3 Internalization(a) Clone: 93-0661C3  
Assay Ready eXpress Kit: 93-0661E3

**Ortholog**

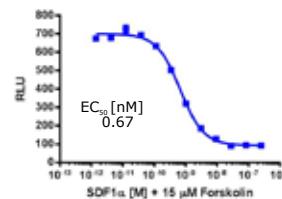
mCXCR3(Ortholog) Arrestin Clone: 93-0553C2  
Assay Ready eXpress Kit: 93-0553E2

**CXCR4**Coupling: G<sub>i</sub>/G<sub>o</sub>

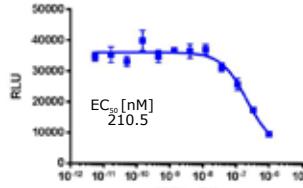
Arrestin



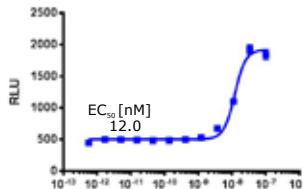
CXCR4 Arrestin Clone: 93-0203C7  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

CXCR4 cAMP Clone: 95-0081C2  
Assay Ready eXpress Kit: 95-0081E2  
Bioassay Kit: 95-0081Y2

**Internalization**

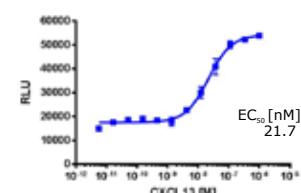
CXCR4 Internalization(t) Clone: 93-0890C3  
Assay Ready eXpress Kit: 93-0890E3

**Ortholog**

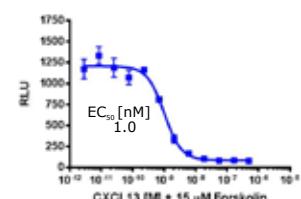
mCXCR4(Ortholog) Arrestin Clone: 93-0481C3  
Assay Ready eXpress Kit: 93-0481E3

**CXCR5**Coupling: G<sub>i</sub>/G<sub>o</sub>

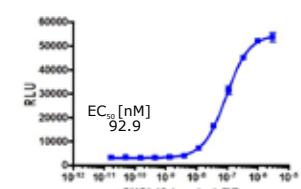
Arrestin



CXCR5 Arrestin Clone: 93-0514C2  
Assay Ready eXpress Kit: 93-0514E2  
Bioassay Kit: 93-0514Y2

**2nd Messenger**

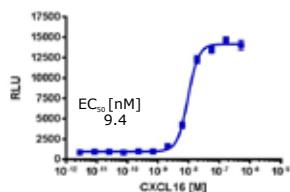
CXCR5 cAMP Clone: 95-0082C2  
Assay Ready eXpress Kit: 95-0082E2  
Bioassay Kit: 95-0082Y2

**Ortholog**

mCXCR5(Ortholog) Arrestin Clone: 93-0474C2  
Assay Ready eXpress Kit: 93-0474E2

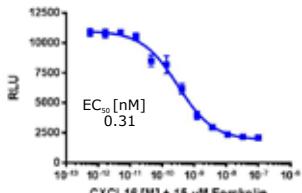
**CXCR6**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



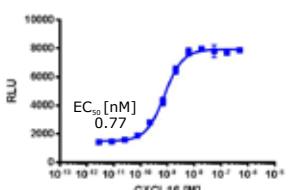
CXCR6 Arrestin Clone: 93-0205C2  
Assay Ready eXpress Kit: 93-0205E2  
Bioassay Kit: 93-0205Y2

## 2nd Messenger



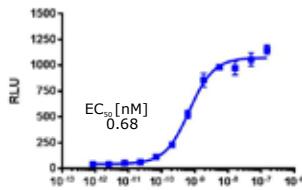
CXCR6 cAMP Clone: 95-0138C2  
Assay Ready eXpress Kit: Inquire

## Internalization



CXCR6 Internalization(t) Clone: 93-0886C3  
Assay Ready eXpress Kit: 93-0886E3

## Ortholog

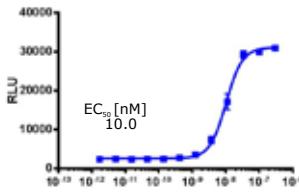


mCXCR6 (Mouse) Arrestin Clone: 93-0512C2  
Assay Ready eXpress Kit: 93-0512E2

**CXCR7 (CMKOR1)**

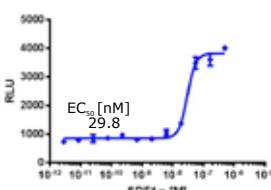
Coupling: N/A

Arrestin



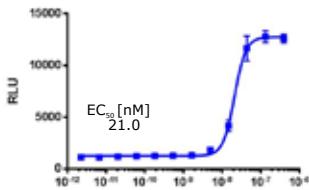
CXCR7 Arrestin Clone: 93-0248C2  
Assay Ready eXpress Kit: 93-0248E2  
Bioassay Kit: 93-0248Y2

## Internalization



CXCR7 Internalization(a) Clone: 93-0738C3  
Assay Ready eXpress Kit: 93-0738E3

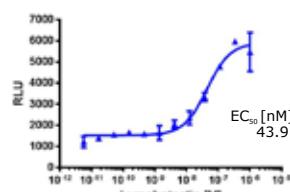
## Ortholog



mCXCR7 (Mouse) Arrestin Clone: 93-0689C3  
Assay Ready eXpress Kit: 93-0689E3

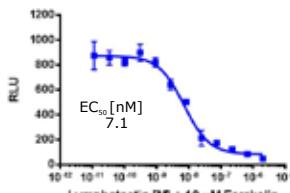
**XCR1 (XC1)**Coupling: G/G<sub>o</sub>

Arrestin



XCR1 Arrestin Clone: 93-0958C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

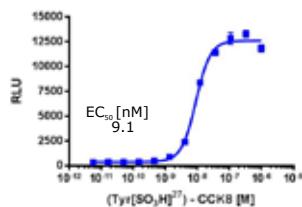
## 2nd Messenger



XCR1 cAMP Clone: 95-0096C2  
Assay Ready eXpress Kit: Inquire

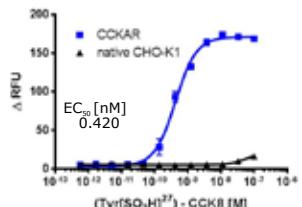
**Cholecystokinin****CCKAR (CCK1)**Coupling: G<sub>q</sub>

Arrestin



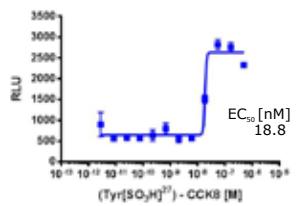
CCKAR Arrestin Clone: 93-0190C2  
Assay Ready eXpress Kit: 93-0190E2  
Antagonist: YM022  $IC_{50}$  [nM]: 446.7  
Bioassay Kit: 93-0190Y2

2nd Messenger



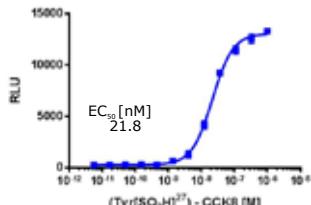
CCKAR Arrestin (Ca<sup>2+</sup> mode): 93-0190C2  
Assay Ready eXpress Kit: 93-0190E2  
Bioassay Kit: 93-0190Y2

Internalization



CCKAR Internalization(a) Clone: 93-0650C3  
Assay Ready eXpress Kit: 93-0650E3

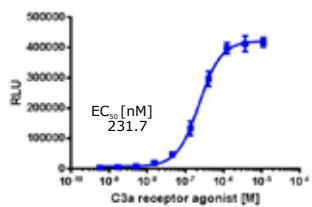
Ortholog



mCCKAR (Mouse) Arrestin Pool: 93-0598P2  
Assay Ready eXpress Kit: Inquire

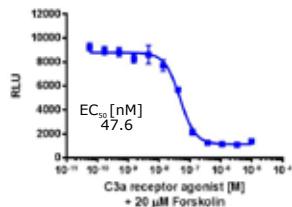
**Complement Peptide****C3AR1 (C3A)**Coupling: G<sub>i/G<sub>o</sub></sub>

Arrestin



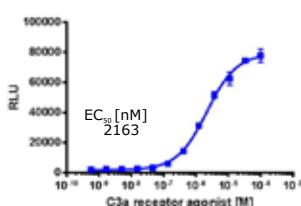
C3AR1 Arrestin Clone: 93-0814C3  
Assay Ready eXpress Kit: 93-0814E3  
Bioassay Kit: 93-0814Y3

2nd Messenger



C3AR1 cAMP Clone: 95-0155C2  
Assay Ready eXpress Kit: Inquire

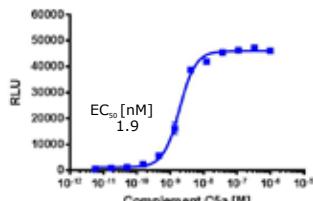
Internalization



C3AR1 Internalization(a) Clone: 93-0771C3  
Assay Ready eXpress Kit: Inquire

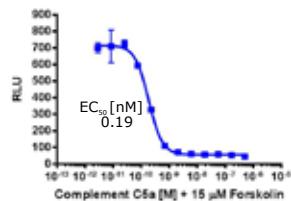
**C5AR1 (C5A)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



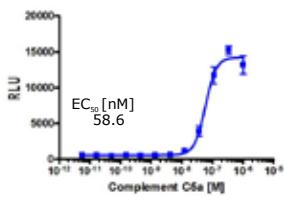
C5AR1 Arrestin Clone: 93-0557C2  
Assay Ready eXpress Kit: 93-0557E2  
Antagonist: W54011 IC<sub>50</sub> [nM]: 3.9  
Bioassay Kit: 93-0557Y2

## 2nd Messenger



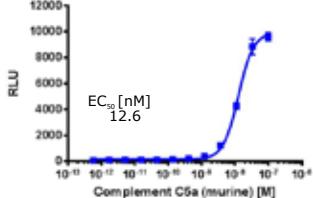
C5AR1 cAMP Clone: 95-0079C2  
Assay Ready eXpress Kit: 95-0079E2  
Bioassay Kit: 95-0079Y2

## Internalization



C5AR1 Internalization(a) Clone: 93-0777C3  
Assay Ready eXpress Kit: 93-0777E3

## Ortholog

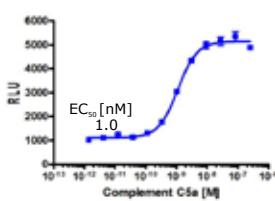


mC5AR1 (Mouse) Arrestin Clone: 93-0577C2  
Assay Ready eXpress Kit: 93-0577E2

**C5AR2 (C5L2/GPR77)**

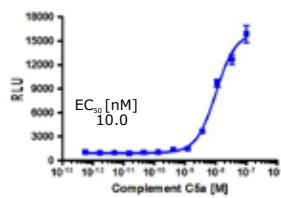
Coupling: N/A

Arrestin



C5L2 Arrestin Clone: 93-0645C2  
Assay Ready eXpress Kit: 93-0645E2  
Bioassay Kit: 93-0645Y2

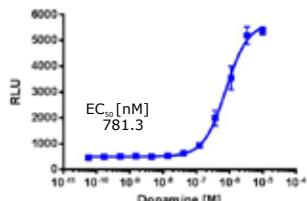
## Internalization



C5L2 Internalization(a) Clone: 93-0736C3  
Assay Ready eXpress Kit: Inquire

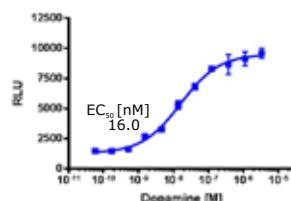
**DRD1 (D1)**Coupling: G<sub>s</sub>

Arrestin



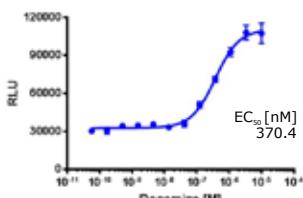
DRD1 Arrestin Clone: 93-0590C2  
Assay Ready eXpress Kit: 93-0590E2  
Antagonist: SCH 39166 IC<sub>50</sub> [nM]: 5.0  
Bioassay Kit: 93-0590Y2

## 2nd Messenger



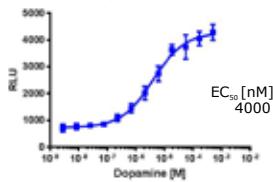
DRD1 cAMP Clone: 95-0083C2  
Assay Ready eXpress Kit: 95-0083E2  
Bioassay Kit: 95-0083Y2

## Internalization



DRD1 Internalization(t) Clone: 93-0748C3  
Assay Ready eXpress Kit: Inquire

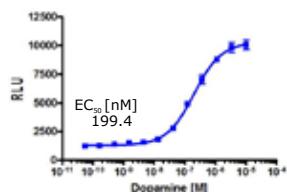
## Ortholog



rDRD1 (Rat) Arrestin Clone: 93-0620C2  
Assay Ready eXpress Kit: Inquire

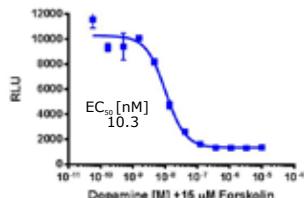
**DRD2L (D2)**Coupling: G<sub>q</sub>/G<sub>o</sub>

Arrestin



DRD2L Arrestin Clone: 93-0579C2  
Assay Ready eXpress Kit: 93-0579E2  
Antagonist: Chlorpromazine IC<sub>50</sub> [nM]: 43.7  
Bioassay Kit: (L) 93-0579Y2

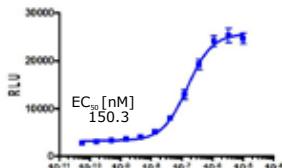
2nd Messenger



DRD2L cAMP Clone: 95-0125C2  
Assay Ready eXpress Kit: Inquire

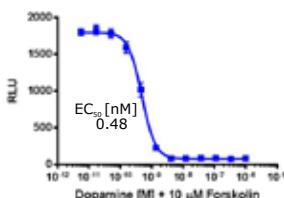
**DRD2S (D2)**Coupling: G<sub>q</sub>/G<sub>o</sub>

Arrestin



DRD2S Arrestin Clone: 93-0351C2  
Assay Ready eXpress Kit: 93-0351E2  
Antagonist: Chlorpromazine IC<sub>50</sub> [nM]: 67.9  
Bioassay Kit: (S) 93-0351Y2

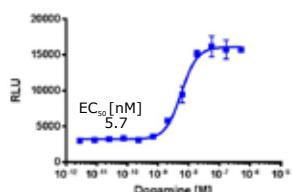
2nd Messenger



DRD2S cAMP Clone: 95-0084C2  
Assay Ready eXpress Kit: 95-0084E2  
Bioassay Kit: 95-0084Y2

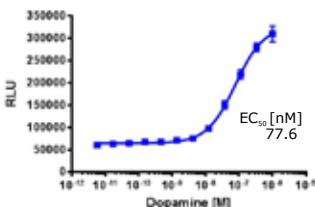
**DRD3 (D3)**Coupling: G<sub>q</sub>/G<sub>o</sub>

Arrestin



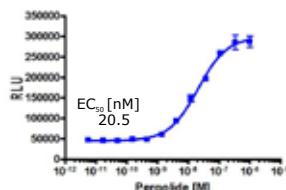
DRD3 Arrestin Clone: 93-0591C3  
Assay Ready eXpress Kit: 93-0591E3  
Bioassay Kit: 93-0591Y3

Ortholog



rDRD2L (Rat) Arrestin Clone: 93-0785C2  
Assay Ready eXpress Kit: Inquire

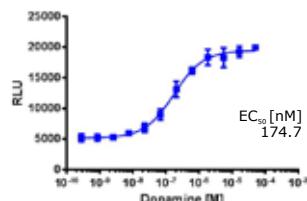
Ortholog



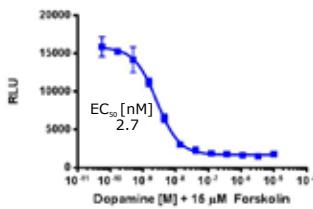
rDRD2S (Rat) Arrestin Clone: 93-0778C2  
Assay Ready eXpress Kit: Inquire

**DRD4 (D4)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



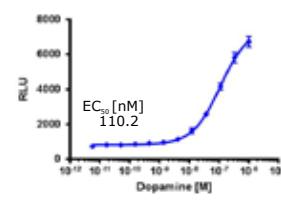
DRD4 Arrestin Clone: 93-0690C2  
Assay Ready eXpress Kit: 93-0690E2  
Antagonist: Clozapine IC<sub>50</sub> [nM]: 13.0  
Bioassay Kit: 93-0690Y2

**2nd Messenger**

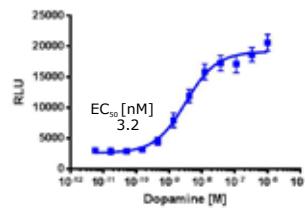
DRD4 cAMP Clone: 95-0123C2  
Assay Ready eXpress Kit: Inquire

**DRD5 (D5)**Coupling: G<sub>s</sub>

Arrestin



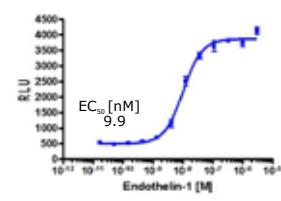
DRD5 Arrestin Clone: 93-0426C2  
Assay Ready eXpress Kit: 93-0426E2  
Antagonist: Risperidone IC<sub>50</sub> [nM]: 790.5  
Bioassay Kit: 93-0426Y2

**2nd Messenger**

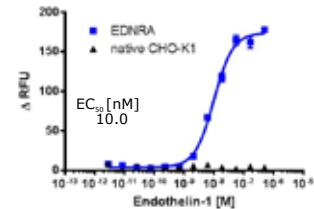
DRD5 cAMP Clone: 95-0094C2  
Assay Ready eXpress Kit: 95-0094E2  
Bioassay Kit: 95-0094Y2

**EDNRA (ETA)**Coupling: G<sub>q</sub>

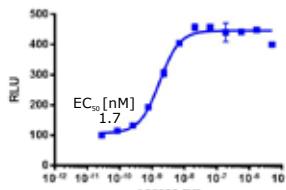
Arrestin



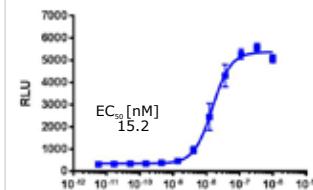
EDNRA Arrestin Clone: 93-0257C2  
Assay Ready eXpress Kit: 93-0257E2  
Antagonist: BMS 182874 IC<sub>50</sub> [nM]: 172.3  
Bioassay Kit: 93-0257Y2

**2nd Messenger**

EDNRA Arrestin (Ca<sup>2+</sup> mode): 93-0257C2  
Assay Ready eXpress Kit: 93-0257E2  
Bioassay Kit: 93-0257Y2

**Ortholog**

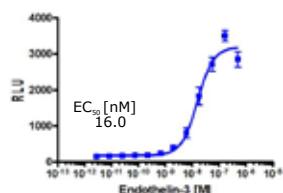
mDRD5 (Mouse) Arrestin Clone: 93-0573C2  
Assay Ready eXpress Kit: Inquire

**Ortholog**

mEDNRA (Mouse) Arrestin Clone: 93-0685C2  
Assay Ready eXpress Kit: 93-0685E2

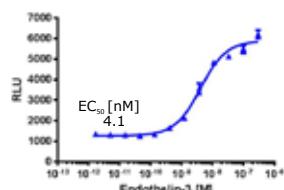
**Endothelin** p.93**EDNRB (ETB)**Coupling: G<sub>s</sub> & G/G<sub>o</sub>

Arrestin



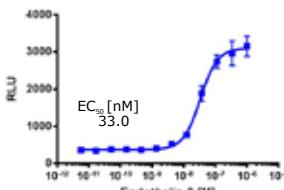
EDNRB Arrestin Clone: 93-0593C2  
Assay Ready eXpress Kit: 93-0593E2  
Antagonist: IRL-2500  $IC_{50}$  [nM]: 4.1  
Bioassay Kit: 93-0593Y2

Internalization



EDNRB Internalization(t) Clone: 93-0874C3  
Assay Ready eXpress Kit: 93-0874E3

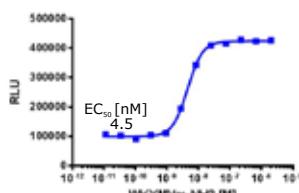
Ortholog



mEDNRB (Mouse) Arrestin Clone: 93-0602C2  
Assay Ready eXpress Kit: 93-0602E2

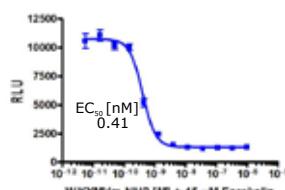
**Formylpeptide** p.93**FPR1 (FPR)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



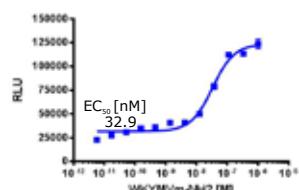
FPR1 Arrestin Clone: 93-0314C2  
Assay Ready eXpress Kit: 93-0314E2  
Antagonist: Boc-MLF  $IC_{50}$  [nM]: 2700  
Bioassay Kit: 93-0314Y2

2nd Messenger



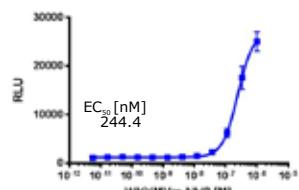
FPR1 cAMP Clone: 95-0139C2  
Assay Ready eXpress Kit: Inquire

Internalization



FPR1 Internalization(t) Clone: 93-0873C3  
Assay Ready eXpress Kit: 93-0873E3

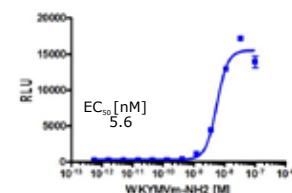
Ortholog



mFPR1 (Mouse) Arrestin Clone: 93-0570C2  
Assay Ready eXpress Kit: Inquire

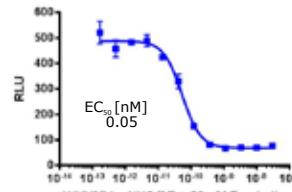
**FPR2 (FPR1/ALX)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



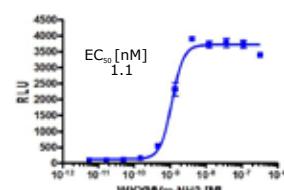
FPR1 Arrestin Clone: 93-0319C2  
Assay Ready eXpress Kit: 93-0319E2  
Bioassay Kit: 93-0319Y2

2nd Messenger



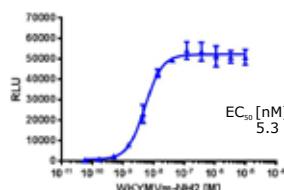
FPR1 cAMP Clone: 95-0044C2  
Assay Ready eXpress Kit: 95-0044E2  
Bioassay Kit: 95-0044Y2

Internalization



FPR1 Internalization(a) Clone: 93-0666C3  
Assay Ready eXpress Kit: 93-0666E3

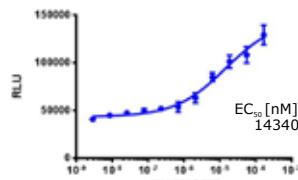
Ortholog



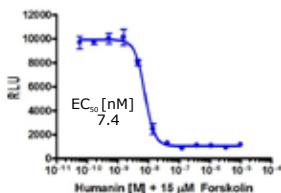
mFPR2 (Mouse) Arrestin Clone: 93-1034C2  
Assay Ready eXpress Kit: 93-1034E2

**FPR3 (FPRL2)**Coupling: G<sub>i</sub>/G<sub>o</sub>**FFAR1 (FFA1/GPR40)**Coupling: G<sub>q</sub>

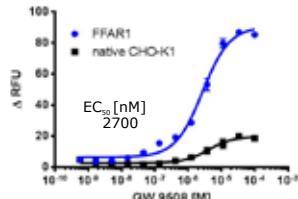
Arrestin



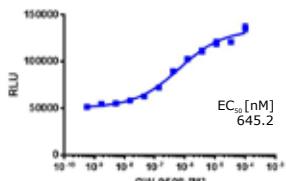
FFAR1 Arrestin Clone: 93-0950C13  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

FPR3 cAMP Clone: 95-0171C2  
Assay Ready eXpress Kit: 95-0171E2  
Bioassay Kit: 95-0171Y2

**2nd Messenger**

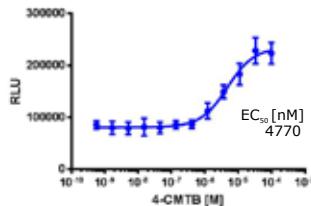
FFAR1 Native Ca<sup>2+</sup> Clone: 95-1005C2  
Assay Ready eXpress Kit: Inquire

**Ortholog**

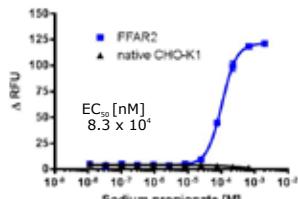
mFFAR1 (Mouse) Arrestin Clone: 93-0975C3  
Assay Ready eXpress Kit: Inquire

**FFAR2 (FFA2/GPR43)**Coupling: G<sub>q</sub> & G<sub>i</sub>/G<sub>o</sub>

Arrestin



FFAR2 Arrestin Clone: 93-1005C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

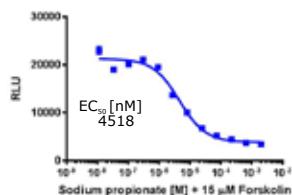
FFAR2 Native Ca<sup>2+</sup> Clone: 95-1002C2  
Assay Ready eXpress Kit: Inquire

## Free Fatty Acid

### FFAR3 (FFA3/GPR41)

Coupling: G<sub>i</sub>/G<sub>o</sub>

#### 2nd Messenger

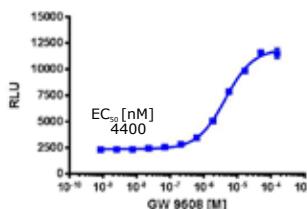


FFAR3 cAMP Clone: 95-0136C2  
Assay Ready eXpress Kit: 95-0136E2  
Bioassay Kit: 95-0136Y2

### FFAR4 (FFA4/GPR120)

Coupling: N/A

#### Arrestin

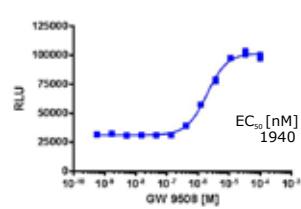


GPR120 Arrestin Clone: 93-0366C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

### GPR120S (FFAR4)

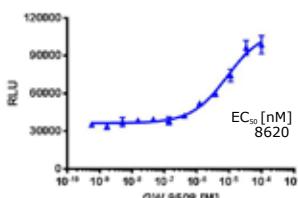
Coupling: N/A

#### Arrestin



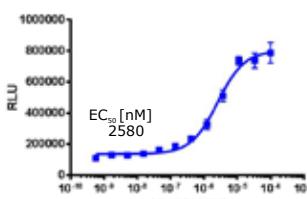
GPR120S Arrestin Clone: 93-0924C2  
Assay Ready eXpress Kit: 93-0924E2  
Bioassay Kit: 93-0924Y2

#### Internalization



GPR120 Internalization(t) Clone: 93-0801C1  
Assay Ready eXpress Kit: Inquire

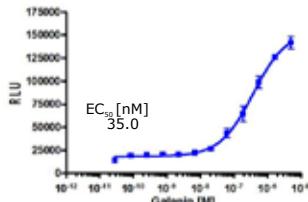
#### Ortholog



mGPR120 (Mouse) Arrestin Clone: 93-0849C1  
Assay Ready eXpress Kit: 93-0849E1

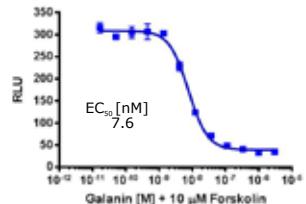
**GALR1 (GAL1)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



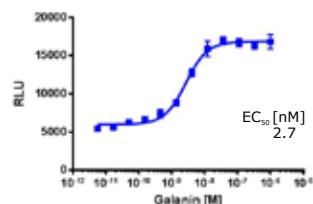
GALR1 Arrestin Clone: 93-0228C2  
Assay Ready eXpress Kit: 93-0228E2  
Bioassay Kit: 93-0228Y2

## 2nd Messenger



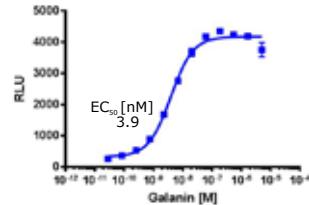
GALR1 cAMP Clone: 95-0058C2  
Assay Ready eXpress Kit: 95-0058E2  
Bioassay Kit: 95-0058Y2

## Internalization



GALR1 Internalization(t) Clone: 93-0781C3  
Assay Ready eXpress Kit: 93-0781E3

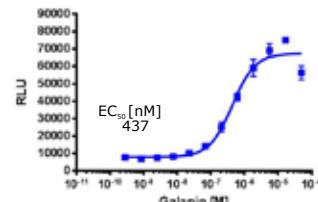
## Ortholog



mGALR1 (Mouse) Arrestin Pool: 93-0603P2  
Assay Ready eXpress Kit: Inquire

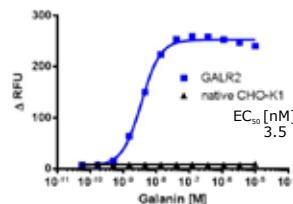
**GALR2 (GAL2)**Coupling: G<sub>q</sub> & G<sub>s</sub>

Arrestin



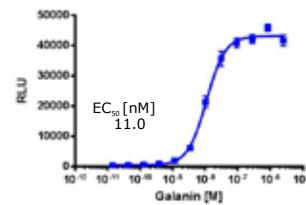
GALR2 Arrestin Clone: 93-0759C2  
Assay Ready eXpress Kit: 93-0759E2  
Bioassay Kit: 93-0759Y2

## 2nd Messenger



GALR2 Native Ca<sup>2+</sup> Clone: 95-1010C2  
Assay Ready eXpress Kit: Inquire

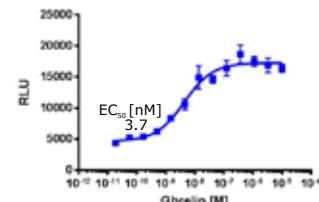
## Ortholog



mGALR2 (Mouse) Arrestin Clone: 93-0604C2  
Assay Ready eXpress Kit: 93-0604E2

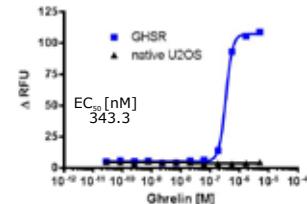
**GHSR (GRLN)**Coupling: G<sub>q</sub>

Arrestin



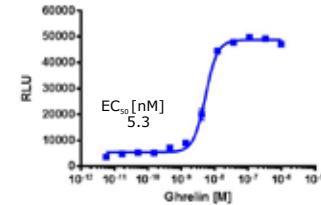
GHSR Arrestin Clone: 93-0242C3  
Assay Ready eXpress Kit: 93-0242E3  
Bioassay Kit: 93-0242Y3

## 2nd Messenger



GHSR Arrestin (Ca<sup>2+</sup> mode): 93-0242C3  
Assay Ready eXpress Kit: 93-0242E3  
Bioassay Kit: 93-0242Y3

## Ortholog

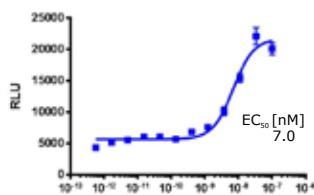


mGHSR (Mouse) Arrestin Clone: 93-0605C2  
Assay Ready eXpress Kit: 93-0605E2

### FSHR (FSH)

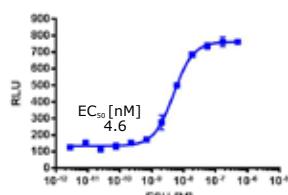
Coupling: G<sub>s</sub>

Arrestin



FSHR Arrestin Clone: 93-0517C2  
Assay Ready eXpress Kit: 93-0517E2  
Bioassay Kit: 93-0517Y2

2nd Messenger

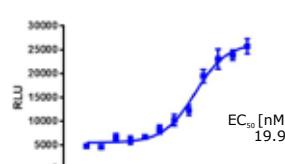


FSHR cAMP Clone: 95-0119C2  
Assay Ready eXpress Kit: Inquire

### LHCGR (LH)

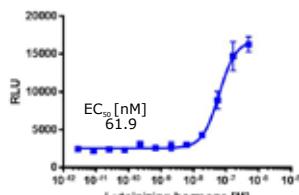
Coupling: G<sub>s</sub>

Arrestin



LHCGR Arrestin Clone: 93-0957C2  
Assay Ready eXpress Kit: 93-0957E2  
Bioassay Kit: 93-0957Y2

2nd Messenger

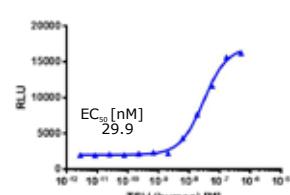


LHCGR cAMP Clone: 95-0106C2  
Assay Ready eXpress Kit: 95-0106E2  
Bioassay Kit: 95-0106Y2

### TSHR (TSH)

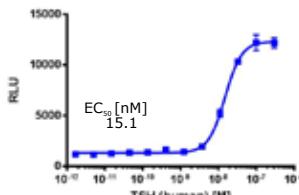
Coupling: G<sub>s</sub> & G<sub>q</sub>

Arrestin



TSH(L) Arrestin Clone: 93-0760C3  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

2nd Messenger



TSH(L) cAMP Clone: 95-0153C2  
Assay Ready eXpress Kit: Inquire

## GPCR Screening & Profiling Services

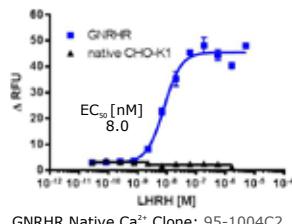
- Multiple functional readouts including arrestin recruitment, cAMP, calcium or internalization
- Select from a pre-defined panel or create a personalized panel using only the assays needed
- Largest panel of >70 orphan GPCRs ideal for receptor de-orphanization programs
- Functional readouts for both agonist and antagonist data

**LeadHunter®**  
DISCOVERY SERVICES

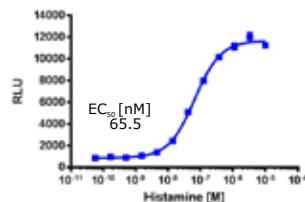
Over 660 GPCR Assays Available  
Visit [www.discoverx.com/GPCRscan](http://www.discoverx.com/GPCRscan)

**GNRHR (GnRH)**Coupling: G<sub>q</sub>

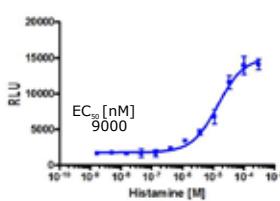
## 2nd Messenger

GNRHR Native Ca<sup>2+</sup> Clone: 95-1004C2  
Assay Ready eXpress Kit: Inquire**HRH1 (H1)**Coupling: G<sub>q</sub>

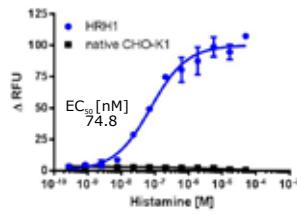
Arrestin

HRH1 Arrestin Clone: 93-0503C2  
Assay Ready eXpress Kit: 93-0503E2  
Antagonist: Mepyramine/maleate IC<sub>50</sub> [nM]: 5.2  
Bioassay Kit: 93-0503Y2**HRH2 (H2)**Coupling: G<sub>q</sub> & G<sub>s</sub>

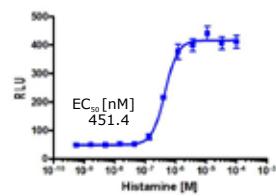
Arrestin

HRH2 Arrestin Clone: 93-0208C2  
Assay Ready eXpress Kit: 93-0208E2  
Antagonist: Tiotidine IC<sub>50</sub> [nM]: 27.7  
Bioassay Kit: 93-0208Y2

## 2nd Messenger

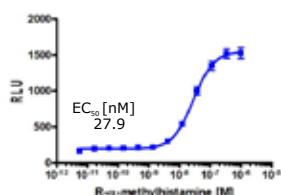
HRH1 Arrestin (Ca<sup>2+</sup> mode): 93-0503C2  
Assay Ready eXpress Kit: 93-0503E2  
Bioassay Kit: 93-0503Y2

## 2nd Messenger

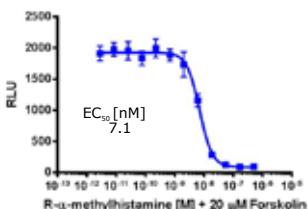
HRH2 cAMP Clone: 95-0043C2  
Assay Ready eXpress Kit: 95-0043E2  
Bioassay Kit: 95-0043Y2

**HRH3 (H3)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



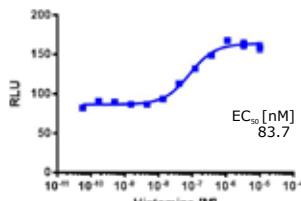
HRH3 Arrestin Clone: 93-0509C2  
Assay Ready eXpress Kit: 93-0509E2  
Antagonist: Iodophenopropit 1C<sub>50</sub> [nM]: 4.9  
Bioassay Kit: 93-0509Y2

**2nd Messenger**

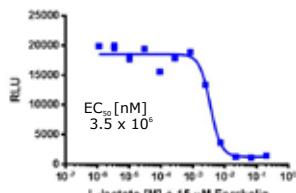
HRH3 cAMP Clone: 95-0103C2  
Assay Ready eXpress Kit: 95-0103E2  
Bioassay Kit: 95-0103Y2

**HRH4 (H4)**Coupling: G<sub>i</sub>/G<sub>o</sub>

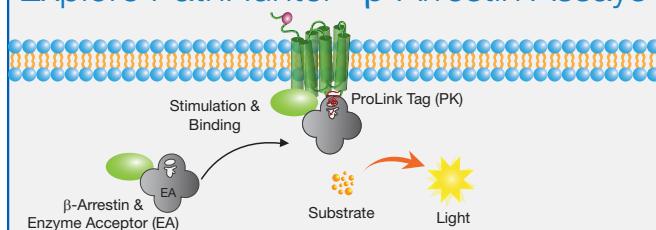
Arrestin



HRH4 Arrestin Clone: 93-0209C3  
Assay Ready eXpress Kit: 93-0209E3  
Antagonist: A943931 IC<sub>50</sub> [nM]: 66.3  
Bioassay Kit: 93-0209Y3

**HCAR1 (HCA1/GPR81)**Coupling: G<sub>i</sub>/G<sub>o</sub>**2nd Messenger**

GPR81 cAMP Clone: 95-0149C2  
Assay Ready eXpress Kit: Inquire

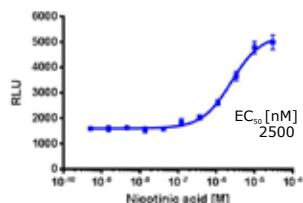
Explore PathHunter®  $\beta$ -Arrestin Assays

Assays for Known, Orphan or Ortholog GPCRs

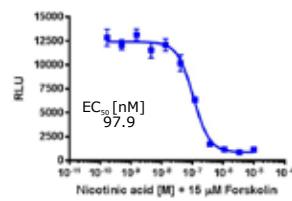
Visit [www.discoverx.com/arrestin](http://www.discoverx.com/arrestin)

**Hydroxycarboxylic Acid****HCAR2 (HCA2/GPR109A)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



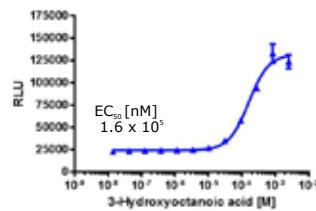
GPR109A Arrestin Clone: 93-0301C2  
Assay Ready eXpress Kit: 93-0301E2  
Bioassay Kit: 93-0301Y2

**2nd Messenger**

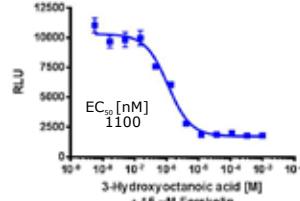
GPR109A cAMP Clone: 95-0122C2  
Assay Ready eXpress Kit: 95-0122E2  
Bioassay Kit: 95-0122Y2

**HCAR3 (HCA3/GPR109B)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



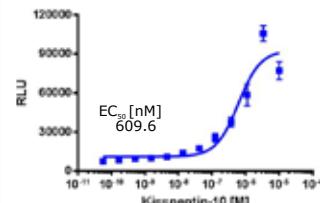
GPR109B Arrestin Clone: 93-0791C2  
Assay Ready eXpress Kit: 93-0791E2  
Bioassay Kit: 93-0791Y2

**2nd Messenger**

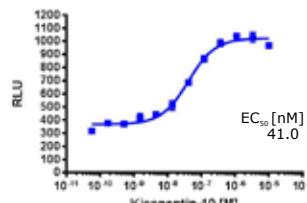
GPR109B cAMP Clone: 95-0141C2  
Assay Ready eXpress Kit: 95-0141E2  
Bioassay Kit: 95-0141Y2

**Kisspeptin****KISS1R (GPR54)**Coupling: G<sub>q</sub>

Arrestin



KISS1R Arrestin Clone: 93-0927C3  
Assay Ready eXpress Kit: 93-0927E3  
Bioassay Kit: 93-0927Y3

**Ortholog**

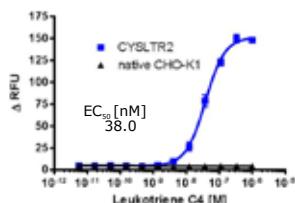
mKISS1R (Mouse) Arrestin Clone: 93-0607C2  
Assay Ready eXpress Kit: Inquire

## Leukotriene

### CYSLTR2 (LTC4)

Coupling: G<sub>q</sub>

#### 2nd Messenger

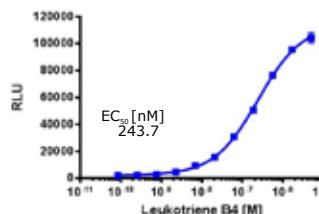


CYSLTR2 Native Ca<sup>2+</sup> Clone: 95-1013C2  
Assay Ready eXpress Kit: Inquire

### LTB4R (BLT1)

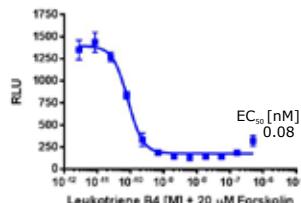
Coupling: G<sub>i</sub>/G<sub>o</sub> & G<sub>q</sub>

#### Arrestin



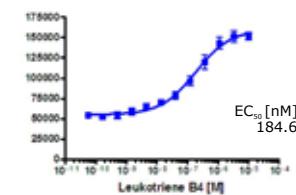
LTB4R Arrestin Clone: 93-0292C2  
Assay Ready eXpress Kit: 93-0292E2  
Bioassay Kit: 93-0292Y2

#### 2nd Messenger



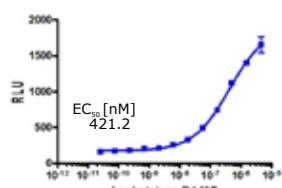
LTB4R cAMP Clone: 95-0085C2  
Assay Ready eXpress Kit: 95-0085E2  
Bioassay Kit: 95-0085Y2

#### Internalization



LTB4R Internalization(t) Clone: 93-0810C3  
Assay Ready eXpress Kit: Inquire

#### Ortholog

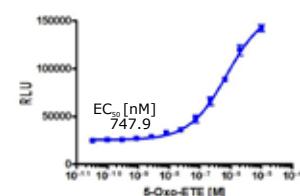


mLTB4R (Mouse) Arrestin Clone: 93-0574C2  
Assay Ready eXpress Kit: Inquire

### OXER1 (GPR170)

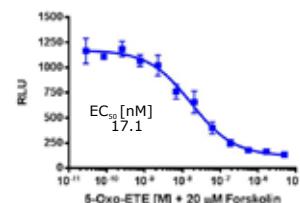
Coupling: G<sub>i</sub>/G<sub>o</sub>

#### Arrestin



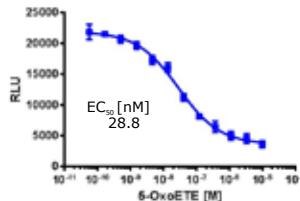
OXER1 Arrestin Clone: 93-0867C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

#### 2nd Messenger



OXER1 cAMP Clone: 95-0089C2  
Assay Ready eXpress Kit: 95-0089E2  
Bioassay Kit: 95-0089Y2

#### Internalization



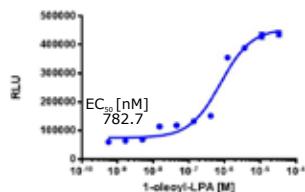
OXER1 Internalization(t) Clone: 93-0955C3  
Assay Ready eXpress Kit: Inquire

## Lysophospholipid (LPA)

### LPAR1 (LPA1/EDG2)

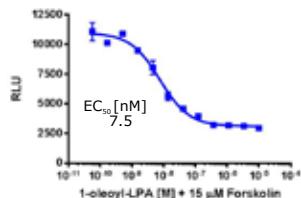
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



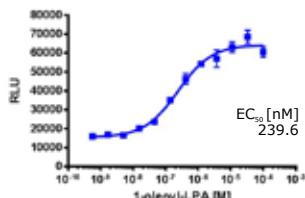
EDG2 Arrestin Clone: 93-0644C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

### 2nd Messenger



EDG2 cAMP Clone: 95-0135C2  
Assay Ready eXpress Kit: Inquire

### Internalization

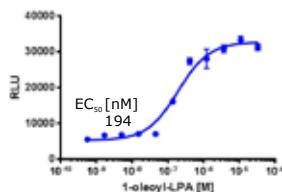


EDG2 Internalization(a) Clone: 93-0839C3  
Assay Ready eXpress Kit: Inquire

### LPAR2 (LPA2/EDG4)

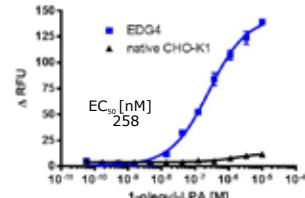
Coupling: G<sub>q</sub>

Arrestin



EDG4 Arrestin Clone: 93-0255C2  
Assay Ready eXpress Kit: 93-0255E2  
Bioassay Kit: 93-0255Y2

### 2nd Messenger

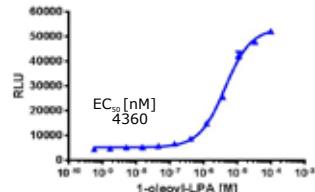


EDG4 Native Ca<sup>2+</sup> Clone: 95-1012C2  
Assay Ready eXpress Kit: Inquire

### LPAR3 (LPA3/EDG7)

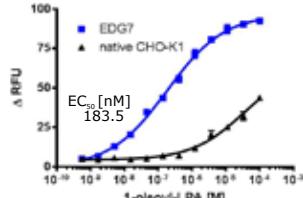
Coupling: G<sub>q</sub>

Arrestin



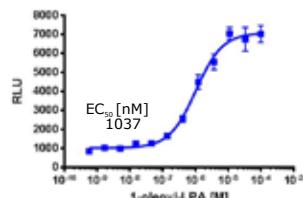
EDG7 Arrestin Clone: 93-0636C2  
Assay Ready eXpress Kit: 93-0636E2  
Bioassay Kit: 93-0636Y2

### 2nd Messenger



EDG7 Arrestin (Ca<sup>2+</sup> mode): 93-0636C2  
Assay Ready eXpress Kit: 93-0636E2  
Bioassay Kit: 93-0636Y2

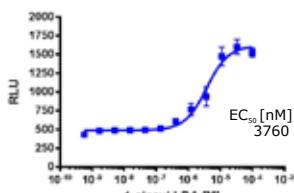
### Internalization



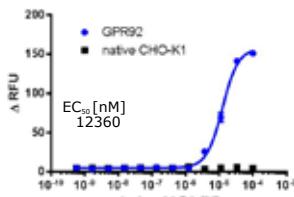
EDG7 Internalization(a) Clone: 93-0664C3  
Assay Ready eXpress Kit: Inquire

**Lysophospholipid (LPA)****LPAR5 (LPA5/GPR92)**Coupling: G<sub>q</sub>

Arrestin



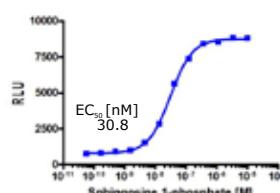
GPR92 Arrestin Clone: 93-0372C2  
Assay Ready eXpress Kit: 93-0372E2  
Bioassay Kit: 93-0372Y2

**2nd Messenger**

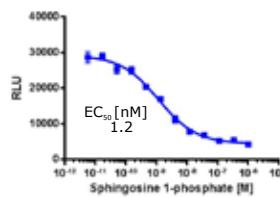
GPR92 Arrestin (Ca<sup>2+</sup> mode): 93-0372C2  
Assay Ready eXpress Kit: 93-0372E2  
Bioassay Kit: 93-0372Y2

**Lysophospholipid (S1P)****S1PR1 (S1P1/EDG1)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



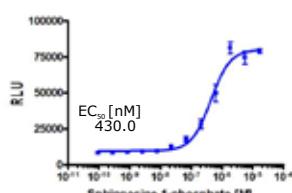
EDG1 Arrestin Clone: 93-0207C2  
Assay Ready eXpress Kit: 93-0207E2  
Antagonist: W146 IC<sub>50</sub> [nM]: 287.7  
Bioassay Kit: 93-0207Y2

**2nd Messenger**

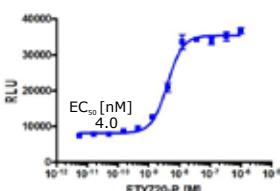
EDG1 cAMP Clone: 95-0142C2  
Assay Ready eXpress Kit: 95-0142E2  
Bioassay Kit: 95-0142Y2

**S1PR2 (S1P2/EDG5)**Coupling: G<sub>s</sub>

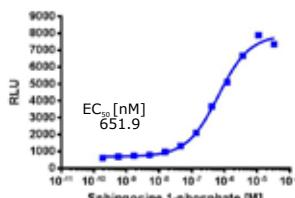
Arrestin



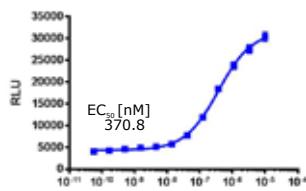
EDG5 Arrestin Clone: 93-0256C2  
Assay Ready eXpress Kit: 93-0256E2  
Antagonist: JTE 013 IC<sub>50</sub> [nM]: 38.6  
Bioassay Kit: 93-0256Y2

**Internalization**

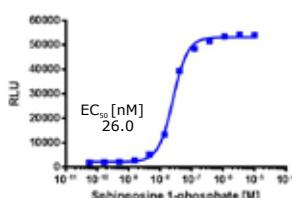
EDG1 Internalization(t) Clone: 93-0784C1  
Assay Ready eXpress Kit: 93-0784E1

**Ortholog**

mEDG1 (Mouse) Arrestin Clone: 93-0476C2  
Assay Ready eXpress Kit: 93-0476E2

**Internalization**

EDG5 Internalization(a) Clone: 93-0735C3  
Assay Ready eXpress Kit: Inquire

**Ortholog**

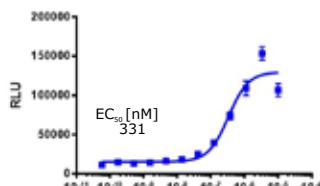
mEDG5 (Mouse) Arrestin Clone: 93-0601C2  
Assay Ready eXpress Kit: Inquire

## Lysophospholipid (S1P) p.93

### S1PR3 (S1P3/EDG3)

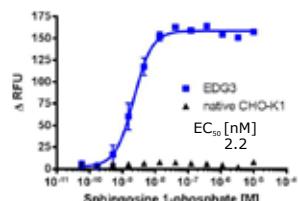
Coupling: G<sub>q</sub>

Arrestin



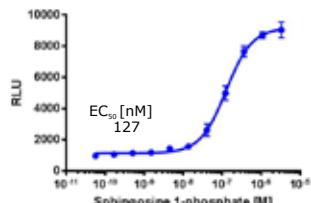
EDG3 Arrestin Clone: 93-0217C2  
Assay Ready eXpress Kit: 93-0217E2  
Bioassay Kit: 93-0217Y2

### 2nd Messenger



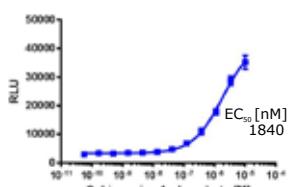
EDG3 Native Ca<sup>2+</sup> Clone: 95-1007C2  
Assay Ready eXpress Kit: Inquire

### Internalization



EDG3 Internalization(a) Clone: 93-0663C3  
Assay Ready eXpress Kit: Inquire

### Ortholog

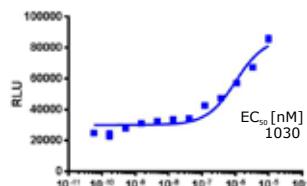


mEDG3 (Mouse) Arrestin Clone: 93-1013C2  
Assay Ready eXpress Kit: 93-1013E2

### S1PR4 (S1P4/EDG6)

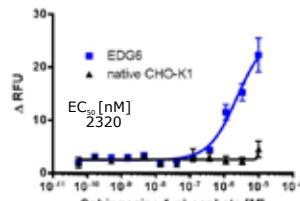
Coupling: G<sub>q</sub>

Arrestin



EDG6 Arrestin Clone: 93-0227C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

### 2nd Messenger

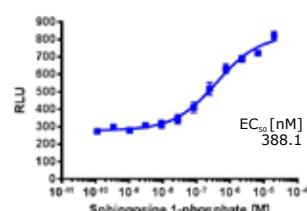


EDG6 Arrestin (Ca<sup>2+</sup> mode): 93-0227C2  
Assay Ready eXpress Kit: Inquire

### S1PR5 (S1P5/EDG8)

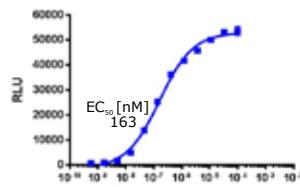
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



EDG8 Arrestin Clone: 93-0583C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

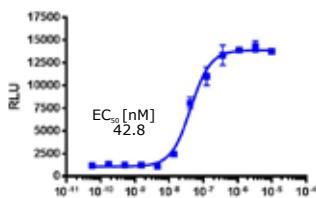
### Ortholog



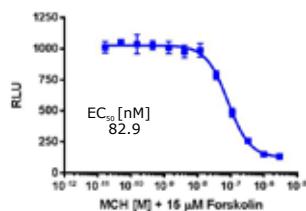
mEDG6 (Mouse) Arrestin Clone: 93-0684C2  
Assay Ready eXpress Kit: Inquire

**MCHR1 (MCH1)**Coupling: G<sub>i/G<sub>o</sub></sub>

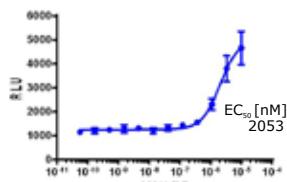
Arrestin



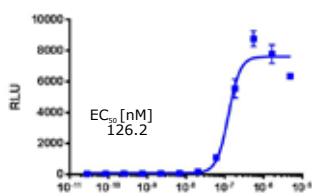
MCHR1 Arrestin Clone: 93-0940C3  
Assay Ready eXpress Kit: 93-0940E3  
Bioassay Kit: 93-0940Y3

**2nd Messenger**

MCHR1 cAMP Clone: 95-0055C2  
Assay Ready eXpress Kit: 95-0055E2  
Bioassay Kit: 95-0055Y2

**Internalization**

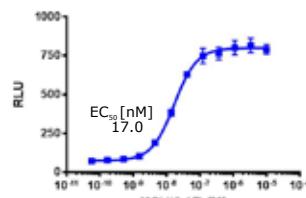
MCHR1 Internalization(t)Clone: 93-0947C3  
Assay Ready eXpress Kit: 93-0947E3

**Ortholog**

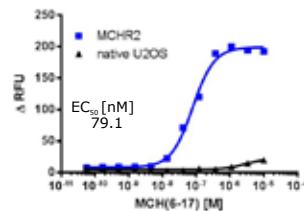
mMCHR1(Mouse) Arrestin Clone: 93-0479C2  
Assay Ready eXpress Kit: Inquire

**MCHR2 (MCH2)**Coupling: G<sub>q</sub>

Arrestin



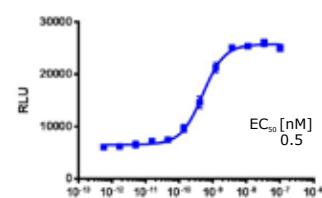
MCHR2 Arrestin Clone: 93-0691C3  
Assay Ready eXpress Kit: 93-0691E3  
Bioassay Kit: 93-0691Y3

**2nd Messenger**

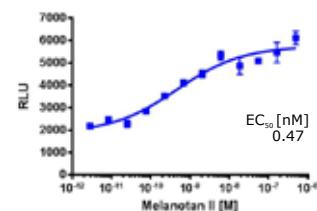
MCHR2 Arrestin (Ca<sup>2+</sup> mode): 93-0691C3  
Assay Ready eXpress Kit: 93-0691E3  
Bioassay Kit: 93-0691Y3

**MC1R (MC1)**Coupling: G<sub>s</sub>

Arrestin



MC1R Arrestin Clone: 93-0861C3  
Assay Ready eXpress Kit: 93-0861E3  
Bioassay Kit: 93-0861Y3

**2nd Messenger**

MC1R cAMP Clone: 95-0111C2  
Assay Ready eXpress Kit: Inquire

## Assay Ready eXpress Kits Complete Ready-to-use Kits

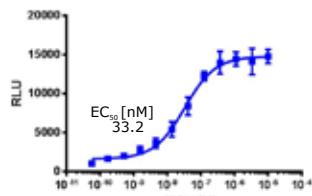
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**Melanocortin****MC3R (MC3)**Coupling: G<sub>s</sub>

## Arrestin

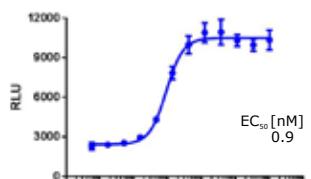


## Melatonin

### MTNR1A (MT1)

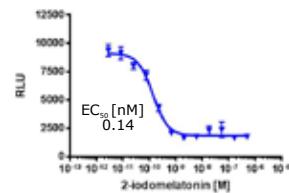
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



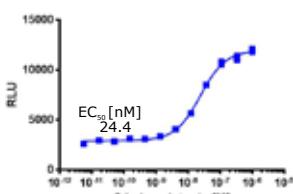
MTNR1A Arrestin Clone: 93-0951C2  
Assay Ready eXpress Kit: 93-0951E2  
Bioassay Kit: 93-0951Y2

2nd Messenger



MTNR1A cAMP Clone: 95-0086C2  
Assay Ready eXpress Kit: 95-0086E2  
Bioassay Kit: 95-0086Y2

Internalization



MTNR1A Internalization(t) Clone: 93-0847C13  
Assay Ready eXpress Kit: Inquire

### MTNR1B (MT2)

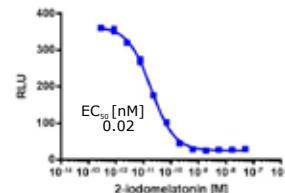
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



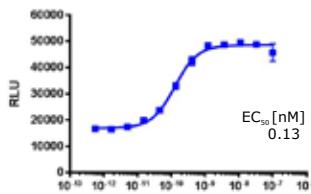
MTNR1B Arrestin Clone: 93-0630C2  
Assay Ready eXpress Kit: 93-0630E2  
Bioassay Kit: 93-0630Y2

2nd Messenger



MTNR1B cAMP Clone: 95-0056C2  
Assay Ready eXpress Kit: 95-0056E2  
Bioassay Kit: 95-0056Y2

Internalization



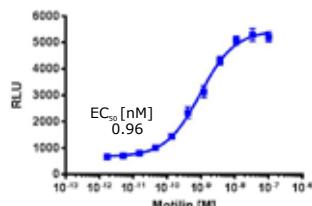
MTNR1B Internalization(t) Clone: 93-0881C3  
Assay Ready eXpress Kit: Inquire

## Motilin

### MLNR (motilin)

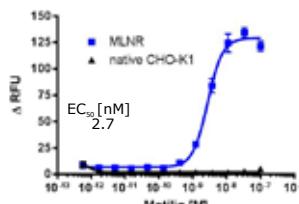
Coupling: G<sub>q</sub>

Arrestin



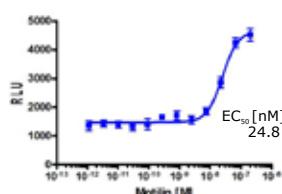
MLNR Arrestin Clone: 93-0323C2  
Assay Ready eXpress Kit: 93-0323E2  
Bioassay Kit: 93-0323Y2

2nd Messenger



MLNR Arrestin (Ca<sup>2+</sup> mode): 93-0323C2  
Assay Ready eXpress Kit: 93-0323E2  
Bioassay Kit: 93-0323Y2

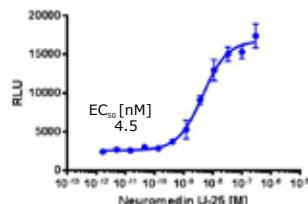
Internalization



MLNR Internalization(a) Clone: 93-0737C3  
Assay Ready eXpress Kit: Inquire

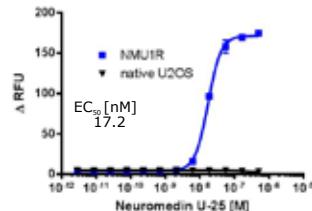
**Neuromedin U****NMU1R (NMU1)**Coupling: G<sub>q</sub>

Arrestin



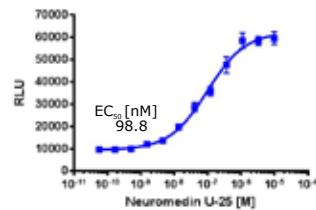
NMU1R Arrestin Clone: 93-0232C3  
Assay Ready eXpress Kit: 93-0232E3  
Bioassay Kit: 93-0232Y3

2nd Messenger



NMU1R Arrestin (Ca<sup>2+</sup> mode): 93-0232C3  
Assay Ready eXpress Kit: 93-0232E3  
Bioassay Kit: 95-0232Y2

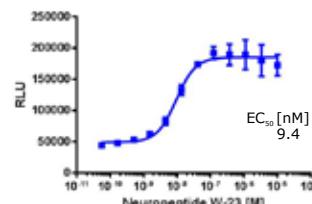
Internalization



NMU1R Internalization(t) Clone: 93-0782C3  
Assay Ready eXpress Kit: Inquire

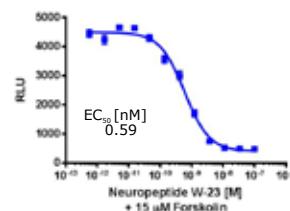
**Neuropeptide B & W****NPBWR1 (GPR7)**Coupling: G/G<sub>o</sub>

Arrestin



NPBWR1 Arrestin Clone: 93-0818C2  
Assay Ready eXpress Kit: 93-0818E2  
Bioassay Kit: 93-0818Y2

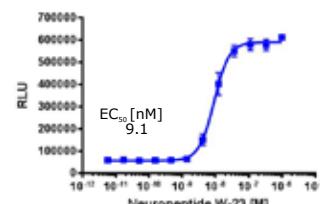
2nd Messenger



NPBWR1 cAMP Clone: 95-0099C2  
Assay Ready eXpress Kit: Inquire

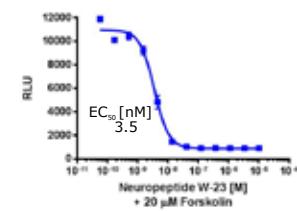
**NPBWR2 (GPR8)**Coupling: G/G<sub>o</sub>

Arrestin



NPBWR2 Arrestin Clone: 93-0638C2  
Assay Ready eXpress Kit: 93-0638E2  
Bioassay Kit: 93-0638Y2

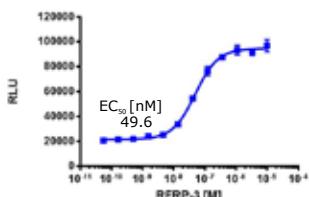
2nd Messenger



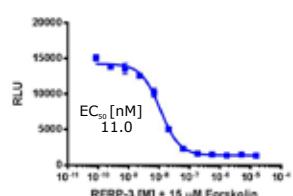
NPBWR2 cAMP Clone: 95-0100C2  
Assay Ready eXpress Kit: Inquire

**Neuropeptide FF****Neuropeptide S****NPFFR1 (GPR147)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



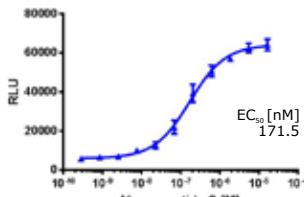
NPFFR1 Arrestin Clone: 93-0944C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

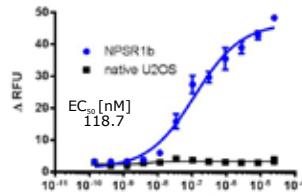
NPFFR1 cAMP Clone: 95-0097C2  
Assay Ready eXpress Kit: 95-0097E2  
Bioassay Kit: 95-0097Y2

**NPSR1 (NPS)**Coupling: G<sub>q</sub>

Arrestin



NPSR1b Arrestin Clone: 93-0822C3  
Assay Ready eXpress Kit: 93-0822E3  
Bioassay Kit: 93-0822Y3

**2nd Messenger**

NPSR1b Arrestin (Ca<sup>2+</sup> mode): 93-0822C3  
Assay Ready eXpress Kit: 93-0822E3  
Bioassay Kit: 93-0822Y3

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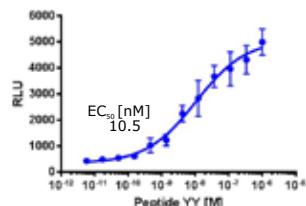
Visit [www.discoverx.com/tools-resources](http://www.discoverx.com/tools-resources)

## Neuropeptide Y

### NPY1R (Y1)

Coupling: G/G<sub>o</sub>

#### Arrestin

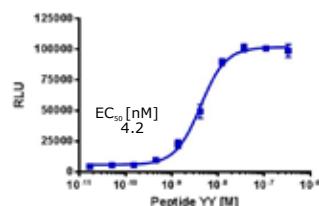


NPY1R Arrestin Clone: 93-0397C2  
Assay Ready eXpress Kit: 93-0397E2  
Antagonist: BIBO 3304 IC<sub>50</sub> [nM]: 27.4  
Bioassay Kit: 93-0397Y2

### NPY2R (Y2)

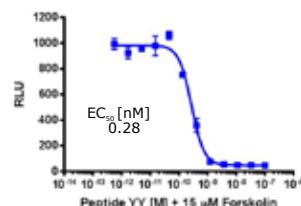
Coupling: G/G<sub>o</sub>

#### Arrestin



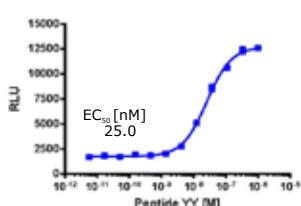
NPY2R Arrestin Clone: 93-0212C2  
Assay Ready eXpress Kit: 93-0212E2  
Antagonist: BIIE 0246 IC<sub>50</sub> [nM]: 8.5  
Bioassay Kit: 93-0212Y2

#### 2nd Messenger



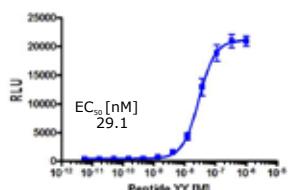
NPY2R cAMP Clone: 95-0077C2  
Assay Ready eXpress Kit: 95-0077E2  
Bioassay Kit: 95-0077Y2

#### Internalization



NPY2R Internalization(a) Clone: 93-0721C3  
Assay Ready eXpress Kit: Inquire

#### Ortholog

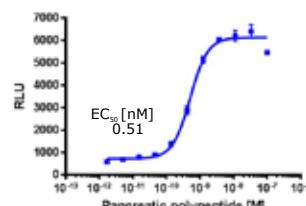


mNPY1R(Mouse) Arrestin Clone: 93-0610C3  
Assay Ready eXpress Kit: Inquire

### NPY4R (Y4/PPYR1)

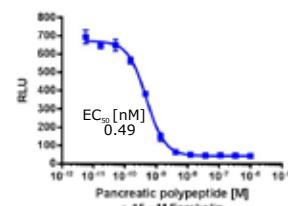
Coupling: G/G<sub>o</sub>

#### Arrestin



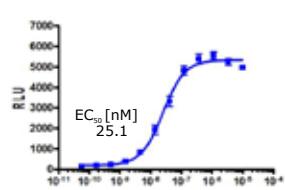
PPYR1 Arrestin Clone: 93-0233C2  
Assay Ready eXpress Kit: 93-0233E2  
Bioassay Kit: 93-0233Y2

#### 2nd Messenger



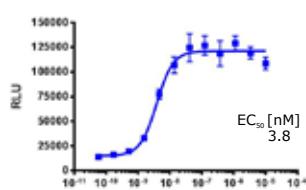
PPYR1 cAMP Clone: 95-0087C2  
Assay Ready eXpress Kit: 95-0087E2  
Bioassay Kit: 95-0087Y2

#### Ortholog



mNPY2R(Mouse) Arrestin Clone: 93-0569C2  
Assay Ready eXpress Kit: Inquire

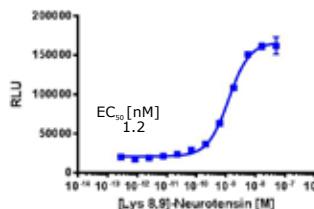
#### Ortholog



PPYR1 (Mouse) Arrestin Clone: 93-0774C2  
Assay Ready eXpress Kit: Inquire

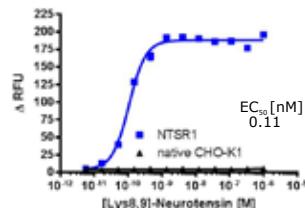
**NTSR1 (NTS1)**Coupling: G<sub>q</sub>

Arrestin



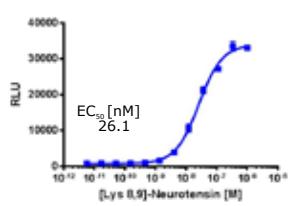
NTSR1 Arrestin Clone: 93-0280C2  
Assay Ready eXpress Kit: 93-0280E2  
Antagonist: SR 142948 IC<sub>50</sub> [nM]: 34.1  
Bioassay Kit: 93-0280Y2

2nd Messenger



NTSR1 Arrestin (Ca<sup>2+</sup> mode): 93-0280C2  
Assay Ready eXpress Kit: 93-0280E2  
Bioassay Kit: 93-0280Y2

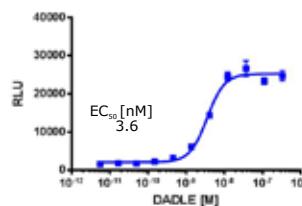
Internalization



NTSR1 Internalization(a) Clone: 93-0671C3  
Assay Ready eXpress Kit: 93-0671E3

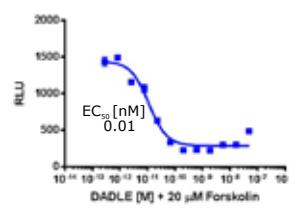
**OPRD1 ( $\delta$ )**Coupling: G<sub>i/G<sub>o</sub></sub>

Arrestin



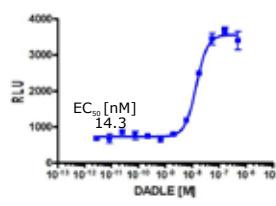
OPRD1 Arrestin Clone: 93-0400C2  
Assay Ready eXpress Kit: 93-0400E2  
Antagonist: Naltriben IC<sub>50</sub> [nM]: 0.97  
Bioassay Kit: 93-0400Y2

2nd Messenger



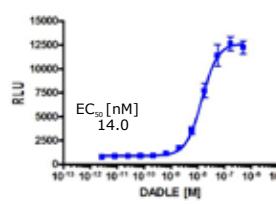
OPRD1 cAMP Clone: 95-0108C2  
Assay Ready eXpress Kit: 95-0108E2  
Bioassay Kit: 95-0108Y2

Internalization



OPRD1 Internalization(a) Clone: 93-0673C3  
Assay Ready eXpress Kit: 93-0673E3

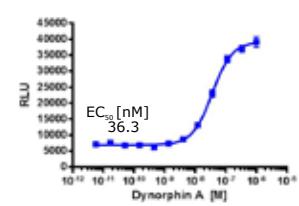
Ortholog



OPRD1 (Mouse) Arrestin Clone: 93-0611C2  
Assay Ready eXpress Kit: 93-0611E2

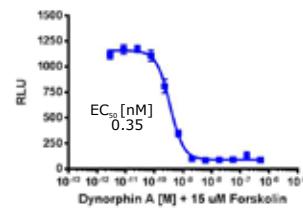
**OPRK1 ( $\kappa$ )**Coupling: G<sub>i/G<sub>o</sub></sub>

Arrestin



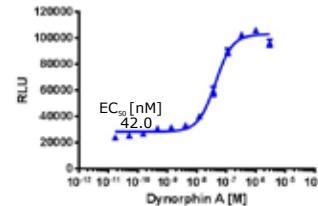
OPRK1 Arrestin Clone: 93-0234C3  
Assay Ready eXpress Kit: 93-0234E3  
Antagonist: Naloxone IC<sub>50</sub> [nM]: 3150  
Bioassay Kit: 93-0234Y3

2nd Messenger



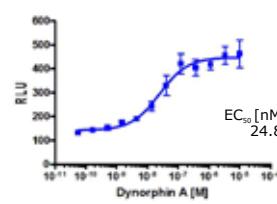
OPRK1 cAMP Clone: 95-0088C2  
Assay Ready eXpress Kit: 95-0088E2  
Bioassay Kit: 95-0088Y2

Internalization



OPRK1 Internalization(t) Clone: 93-0828C3  
Assay Ready eXpress Kit: 93-0828E3

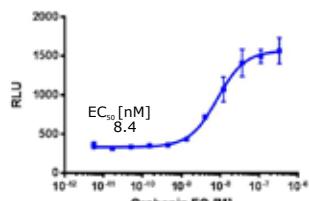
Ortholog



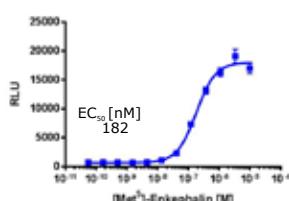
OPRK1 (Mouse) Arrestin Clone: 93-0480C2  
Assay Ready eXpress Kit: 93-0480E2

**OPRL1 (NOP)**Coupling: G<sub>i</sub>/G<sub>o</sub>

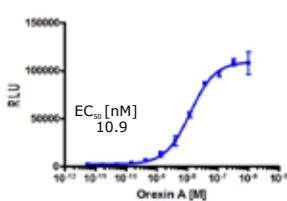
Arrestin

**OPRM1 ( $\mu$ )**Coupling: G<sub>i</sub>/G<sub>o</sub>

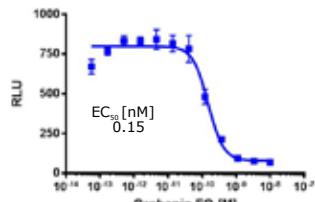
Arrestin

**HCRTR1 (OX1)**Coupling: G<sub>q</sub>

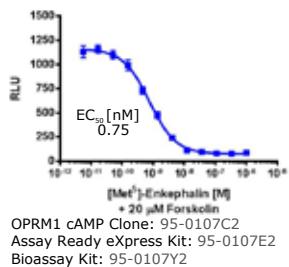
Arrestin



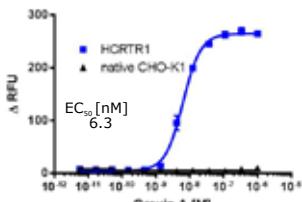
## 2nd Messenger



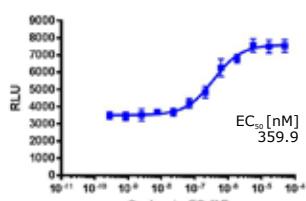
## 2nd Messenger



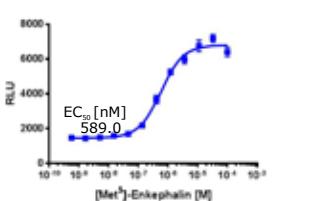
## 2nd Messenger



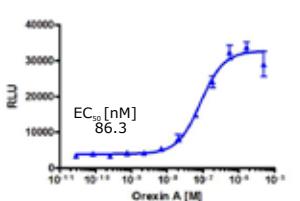
## Internalization



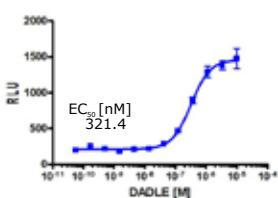
## Internalization



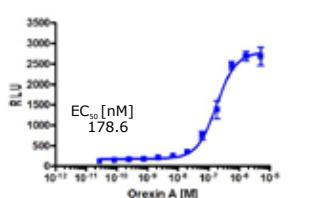
## Internalization



## Ortholog

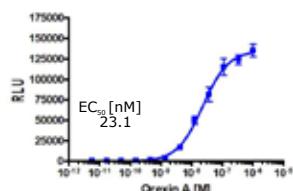


## Ortholog

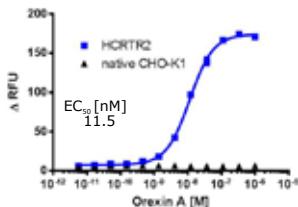


**Orexin****Oxoglutarate****P2Y** p.93**HCRT2 (OX2)**Coupling: G<sub>q</sub>

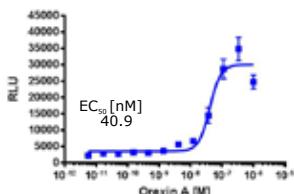
Arrestin



HCRT2 Arrestin Clone: 93-0427C2  
Assay Ready eXpress Kit: 93-0427E2  
Antagonist: SB 334867 IC<sub>50</sub> [nM]: 5140  
Bioassay Kit: 93-0427Y2

**2nd Messenger**

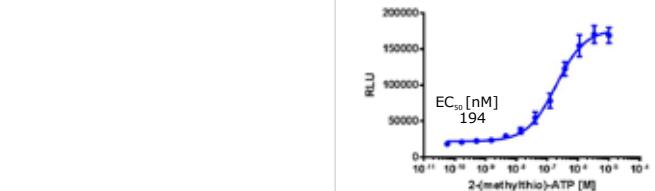
HCRT2 Arrestin (Ca<sup>2+</sup> mode): 93-0427C2  
Assay Ready eXpress Kit: 93-0427E2  
Bioassay Kit: 93-0427Y2

**Internalization**

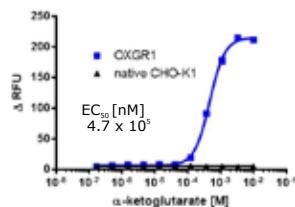
HCRT2 Internalization(t)Clone: 93-0730C3  
Assay Ready eXpress Kit: 93-0730E3

**OXGR1 (GPR80/GPR99)**Coupling: G<sub>q</sub>

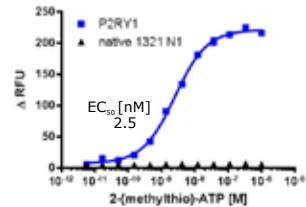
Arrestin



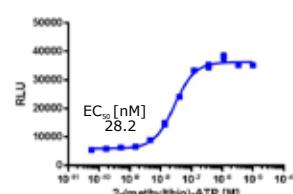
P2RY1 Arrestin Clone: 93-0941C3  
Assay Ready eXpress Kit: 93-0941E3  
Bioassay Kit: 93-0941Y3

**2nd Messenger**

OXGR1 Native Ca<sup>2+</sup> Clone: 95-1017C3  
Assay Ready eXpress Kit: Inquire

**2nd Messenger**

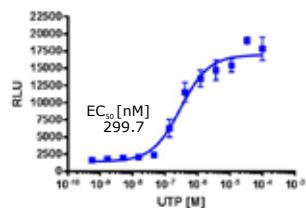
P2RY1 Native Ca<sup>2+</sup> Clone: 95-1018C6  
Assay Ready eXpress Kit: Inquire

**Internalization**

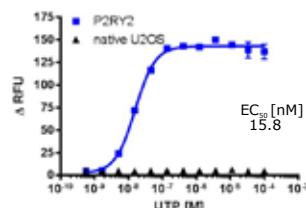
P2RY1 Internalization(a) Clone: 93-0967C3  
Assay Ready eXpress Kit: 93-0967E3

**P2RY2 (P2Y2)**Coupling: G<sub>q</sub>

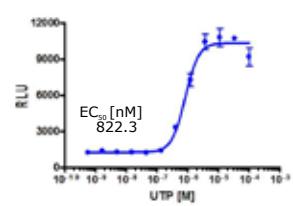
Arrestin



P2RY2 Arrestin Clone: 93-0628C3  
Assay Ready eXpress Kit: 93-0628E3  
Bioassay Kit: 93-0628Y3

**2nd Messenger**

P2RY2 Arrestin (Ca<sup>2+</sup> mode): 93-0628C3  
Assay Ready eXpress Kit: 93-0628E3  
Bioassay Kit: 93-0628Y3

**Internalization**

P2RY2 Internalization(a) Clone: 93-0719C3  
Assay Ready eXpress Kit: 93-0719E3

**P2RY4 (P2Y4)**Coupling: G<sub>q</sub>

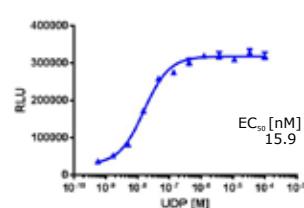
Arrestin



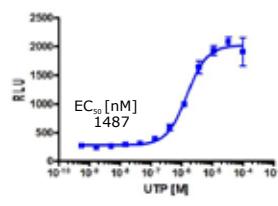
P2RY4 Arrestin Clone: 93-0263C2  
Assay Ready eXpress Kit: 93-0263E2  
Antagonist: ATP IC<sub>50</sub> [nM]: 2.3 × 10<sup>5</sup>  
Bioassay Kit: 93-0263Y2

**P2RY6 (P2Y6)**Coupling: G<sub>q</sub>

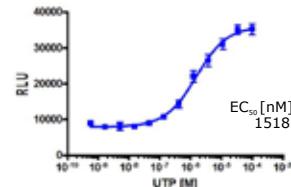
Arrestin



P2RY6 Arrestin Clone: 93-0500C2  
Assay Ready eXpress Kit: 93-0500E2  
Bioassay Kit: 93-0500Y2

**Internalization**

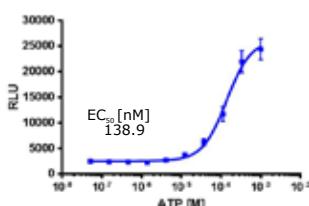
P2RY4 Internalization(a) Clone: 93-0741C3  
Assay Ready eXpress Kit: 93-0741E3

**Ortholog**

mP2RY6(Mouse) Arrestin Clone: 93-0695C3  
Assay Ready eXpress Kit: Inquire

**P2RY11 (P2Y11)**Coupling: G<sub>s</sub> & G<sub>q</sub>

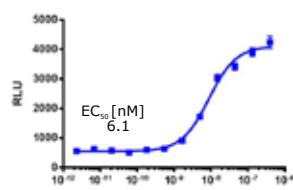
Arrestin



P2RY11 Arrestin Clone: 93-0281C2  
Assay Ready eXpress Kit: 93-0281E2  
Antagonist: Suramin IC<sub>50</sub> [nM]: 68700  
Bioassay Kit: 93-0281Y2

**P2RY12 (P2Y12)**Coupling: G<sub>i</sub>/G<sub>o</sub>

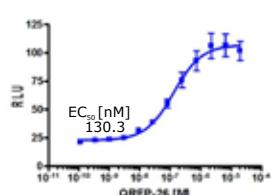
Arrestin



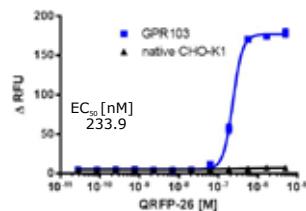
P2RY12 Arrestin Clone: 93-0262C2  
Assay Ready eXpress Kit: 93-0262E2  
Bioassay Kit: 93-0262Y2

**QRFP (QRFP/GPR103)**Coupling: G<sub>q</sub>

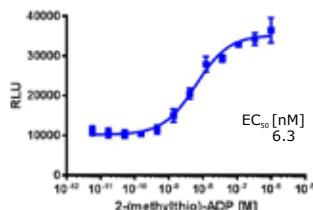
Arrestin



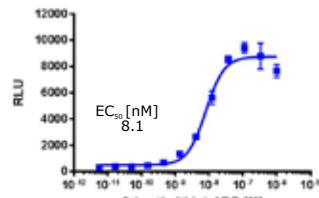
GPR103 Arrestin Clone: 93-0376C2A  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

GPR103 Arrestin (Ca<sup>2+</sup> mode): 93-0376C2A  
Assay Ready eXpress Kit: Inquire

**Internalization**

P2RY12 Internalization(t) Clone: 93-0952C3  
Assay Ready eXpress Kit: Inquire

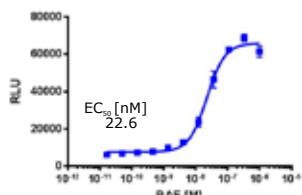
**Ortholog**

mP2RY12(Mouse) Arrestin Clone: 93-0613C2  
Assay Ready eXpress Kit: 93-0613E2

## Platelet-Activating Factor Prokineticin

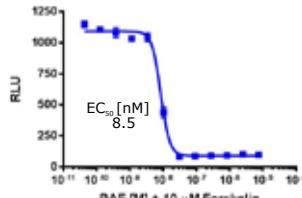
**PTAFR (PAF)**Coupling: G<sub>i</sub>/G<sub>o</sub> & G<sub>q</sub>

Arrestin



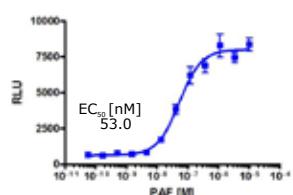
PTAFR Arrestin Clone: 93-0236C2  
Assay Ready eXpress Kit: 93-0236E2  
Antagonist: ABT-491 IC<sub>50</sub> [nM]: 3.8  
Bioassay Kit: 93-0236Y2

## 2nd Messenger



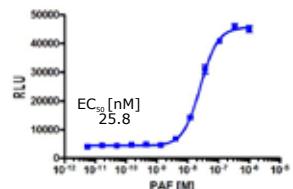
PTAFR cAMP Clone: 95-0091C2  
Assay Ready eXpress Kit: Inquire

## Internalization



PTAFR Internalization(a) Clone: 93-0680C3  
Assay Ready eXpress Kit: Inquire

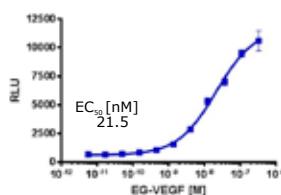
## Ortholog



mPTAFR (Mouse) Arrestin Clone: 93-0614C2  
Assay Ready eXpress Kit: 93-0614E2

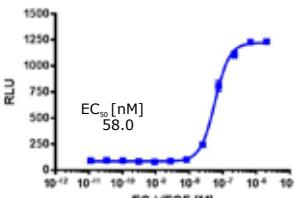
**PROKR1 (PKR1/GPR73)**Coupling: G<sub>s</sub> & G<sub>q</sub>

Arrestin



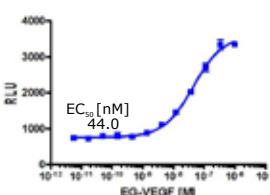
PROKR1 Arrestin Clone: 93-0303C2  
Assay Ready eXpress Kit: 93-0303E2  
Bioassay Kit: 93-0303Y2

## 2nd Messenger



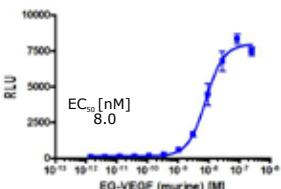
PROKR1 cAMP Clone: 95-0090C2  
Assay Ready eXpress Kit: 95-0090E2  
Bioassay Kit: 95-0090Y2

## Internalization



PROKR1 Internalization(t) Clone: 93-0764C3  
Assay Ready eXpress Kit: 93-0764E3

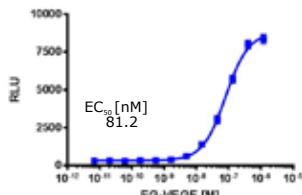
## Ortholog



rPROKR1 (Rat) Arrestin Clone: 93-0544C2  
Assay Ready eXpress Kit: 93-0544E2

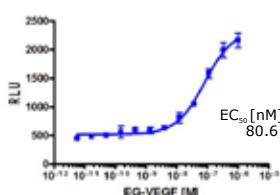
**PROKR2 (PKR2)**Coupling: G<sub>s</sub>

Arrestin



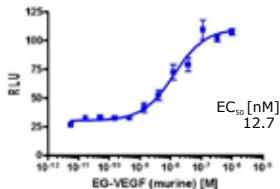
PROKR2 Arrestin Clone: 93-0282C2  
Assay Ready eXpress Kit: 93-0282E2  
Bioassay Kit: 93-0282Y2

## Internalization



PROKR2 Internalization(t) Clone: 93-0728C3  
Assay Ready eXpress Kit: Inquire

## Ortholog



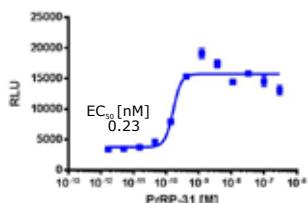
PROKR2 (Rat) Arrestin Clone: 93-0482C2  
Assay Ready eXpress Kit: 93-0482E2

## Prolactin-Releasing Peptide

PRLHR (PRRP)

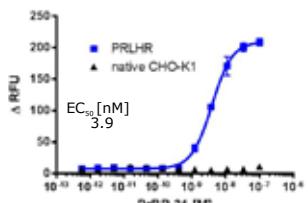
## Coupling: $G_a$

Arrestin



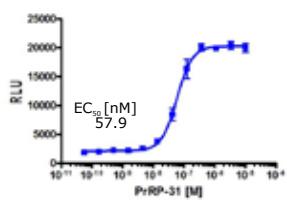
PRLHR Arrestin Clone: 93-0302C2  
Assay Ready eXpress Kit: 93-0302E2  
Bioassay Kit: 93-0302Y2

2nd Messenger



PRLHR Arrestin (Ca<sup>2+</sup> mode): 93-0302C2  
Assay Ready eXpress Kit: 93-0302E2  
Bioassay Kit: 93-0302Y2

## Internalization



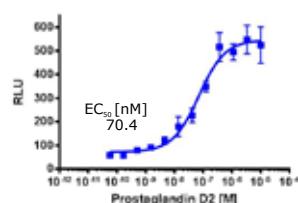
PRLHR Internalization(a) Clone: 93-0766C3  
Assay Ready eXpress Kit: Inquire

## Prostanoid

PTGDR (DP1)

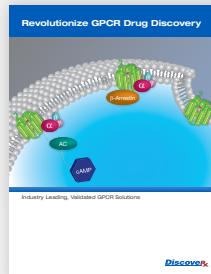
## Coupling: G<sub>c</sub>

2nd Messenger



PTGDR cAMP Clone: 95-0110C2  
Assay Ready eXpress Kit: 95-0110E2  
Bioassay Kit: 95-0110Y2

# GPCR Assays for Drug Discovery

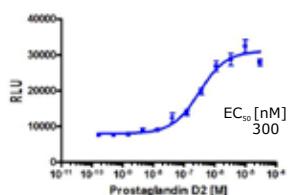


Visit [www.discoverx.com/gpcrbrochure](http://www.discoverx.com/gpcrbrochure)

## Prostanoid

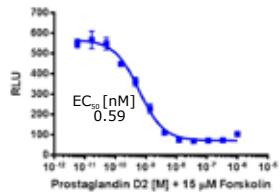
**PTGDR2 (DP2/CRTH2)**Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



CRTH2 Arrestin Clone: 93-0291C2  
Assay Ready eXpress Kit: 93-0291E2  
Bioassay Kit: 93-0291Y2

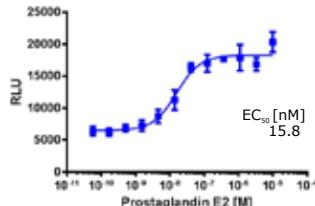
2nd Messenger



CRTH2 cAMP Clone: 95-0072C2  
Assay Ready eXpress Kit: Inquire

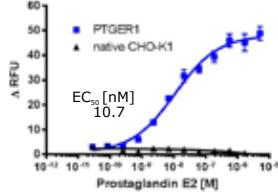
**PTGER1 (EP1)**Coupling: G<sub>q</sub>

Arrestin



PTGER1 Arrestin Clone: 93-0937C3  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

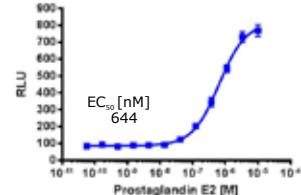
2nd Messenger



PTGER1 Native Ca<sup>2+</sup> Clone: 95-1003C2  
Assay Ready eXpress Kit: Inquire

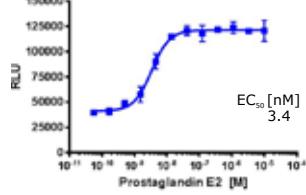
**PTGER2 (EP2)**Coupling: G<sub>s</sub>

Arrestin



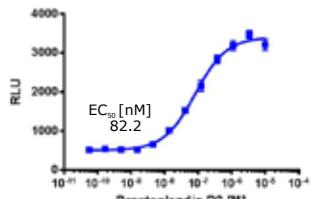
PTGER2 Arrestin Clone: 93-0214C2  
Assay Ready eXpress Kit: 93-0214E2  
Antagonist: AH 6809 IC<sub>50</sub> [nM]: 2930  
Bioassay Kit: 93-0214Y2

2nd Messenger

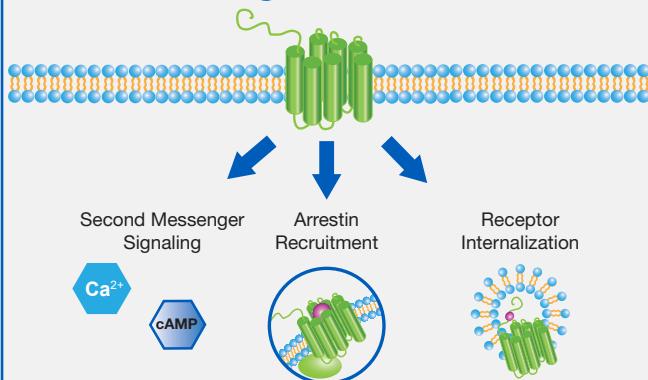


PTGER2 cAMP Clone: 95-0176C13  
Assay Ready eXpress Kit: Inquire

Ortholog



mCRTH2 (Mouse) Arrestin Clone: 93-0584C2  
Assay Ready eXpress Kit: Inquire

One Target. Multiple Readouts.  
Ideal for Ligand Bias Studies

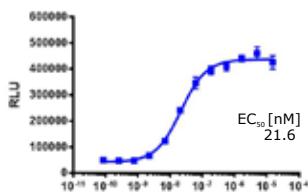
Visit [www.discoverx.com/gpcr](http://www.discoverx.com/gpcr)

## Prostanoid

### PTGER3 (EP3)

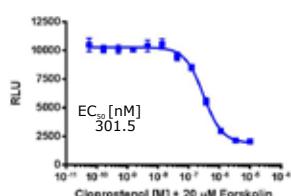
Coupling: G<sub>i</sub>/G<sub>o</sub> & G<sub>q</sub>

#### Arrestin



PTGER3 Arrestin Clone: 93-0640C2  
Assay Ready eXpress Kit: 93-0640E2  
Antagonist: L-798,106 IC<sub>50</sub> [nM]: 123.0  
Bioassay Kit: 93-0640Y2

#### 2nd Messenger

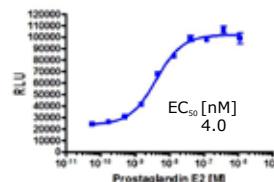


PTGER3 cAMP Clone: 95-0159C2  
Assay Ready eXpress Kit: 95-0159E2  
Bioassay Kit: 95-0159Y2

### PTGER4 (EP4)

Coupling: G<sub>s</sub>

#### Arrestin

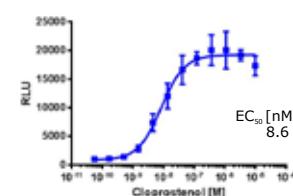


PTGER4 Arrestin Clone: 93-0304C1  
Assay Ready eXpress Kit: 93-0304E1  
Bioassay Kit: 93-0304Y1

### PTGFR (FP)

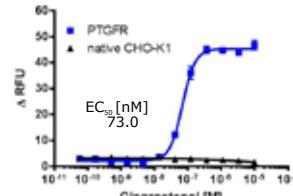
Coupling: G<sub>q</sub>

#### Arrestin



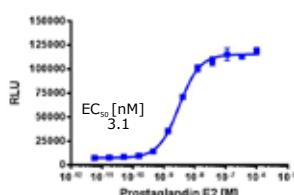
PTGFR Arrestin Clone: 93-0936C3  
Assay Ready eXpress Kit: 93-0936E3  
Bioassay Kit: 93-0936Y3

#### 2nd Messenger



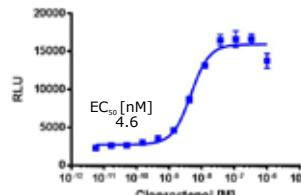
PTGFR Native Ca<sup>2+</sup> Clone: 95-1009C2  
Assay Ready eXpress Kit: Inquire

#### Internalization



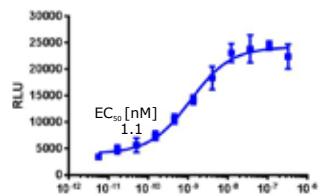
PTGER4 Internalization(a) Clone: 93-0772C3  
Assay Ready eXpress Kit: Inquire

#### Internalization



PTGFR Internalization(t) Clone: 93-0872C3  
Assay Ready eXpress Kit: 93-0872E3

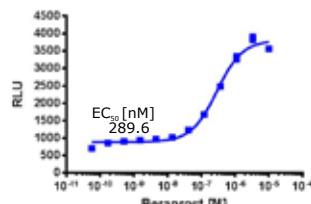
#### Ortholog



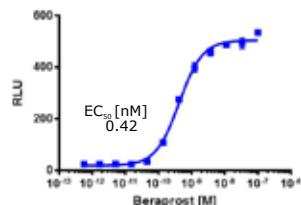
mPTGER4(Mouse) Arrestin Clone: 93-0982C13  
Assay Ready eXpress Kit: 93-0982E13

**PTGIR (IP1)**Coupling: G<sub>s</sub>

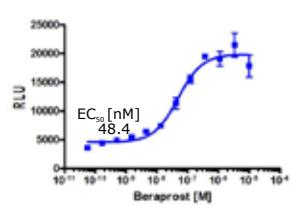
Arrestin



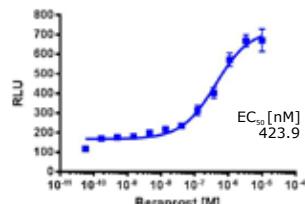
PTGIR Arrestin Clone: 93-0305C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**2nd Messenger**

PTGIR cAMP Clone: 95-0051C2  
Assay Ready eXpress Kit: 95-0051E2  
Bioassay Kit: 95-0051Y2

**Internalization**

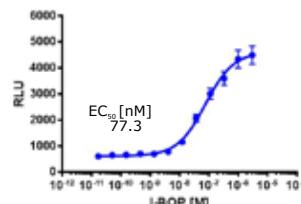
PTGIR Internalization(t) Clone: 93-0838C3  
Assay Ready eXpress Kit: 93-0838E3

**Ortholog**

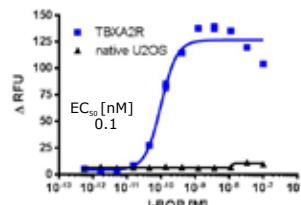
mPTGIR (Mouse) Arrestin Pool: 93-0615P2  
Assay Ready eXpress Kit: Inquire

**TBXA2R (TP)**Coupling: G<sub>q</sub>

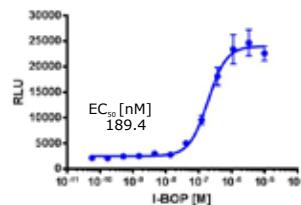
Arrestin



TBXA2R Arrestin Clone: 93-0322C3  
Assay Ready eXpress Kit: 93-0322E3  
Antagonist: BAY-u 3405 IC<sub>50</sub> [nM]: 24.6  
Bioassay Kit: 93-0322Y3

**2nd Messenger**

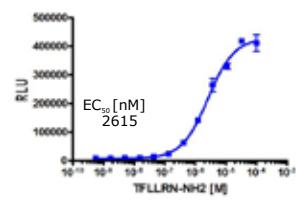
TBXA2R Arrestin (Ca<sup>2+</sup> mode): 93-0322C3  
Assay Ready eXpress Kit: 93-0322E3  
Bioassay Kit: 93-0322Y3

**Internalization**

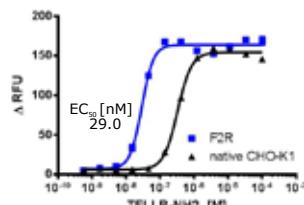
TBXA2R Internalization(a) Clone: 93-0918C3  
Assay Ready eXpress Kit: Inquire

**F2R (PAR1)**Coupling: G/G<sub>o</sub>

Arrestin



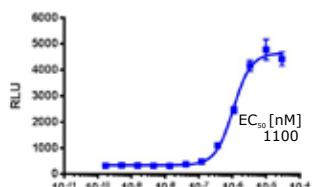
F2R Arrestin Clone: 93-0278C2  
Assay Ready eXpress Kit: 93-0278E2  
Antagonist: SCH 7979 IC<sub>50</sub> [nM]: 357.8  
Bioassay Kit: 93-0278Y2

**2nd Messenger**

F2R Arrestin (Ca<sup>2+</sup> mode): 93-0278C2  
Assay Ready eXpress Kit: 93-0278E2  
Bioassay Kit: 93-0278Y2

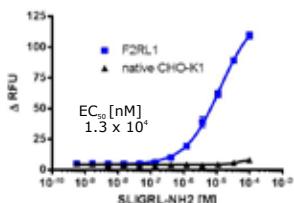
**F2RL1 (PAR2)**Coupling: G<sub>i</sub>/G<sub>o</sub> & G<sub>q</sub>

## Arrestin



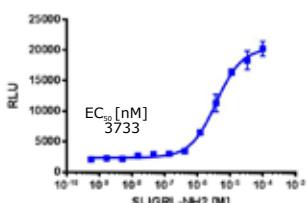
F2RL1 Arrestin Clone: 93-0235C3  
Assay Ready eXpress Kit: 93-0235E3  
Bioassay Kit: 93-0235Y3

## 2nd Messenger



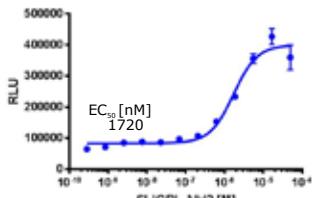
F2RL1 Native Ca<sup>2+</sup> Clone: 95-1006C2  
Assay Ready eXpress Kit: Inquire

## Internalization



F2RL1 Internalization(a) Clone: 93-0747C3  
Assay Ready eXpress Kit: 93-0747E3

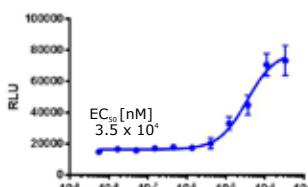
## Ortholog



mF2RL1 (Mouse) Clone: Coming Soon  
Assay Ready eXpress Kit: 93-0909E3

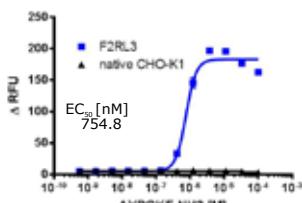
**F2RL3 (PAR4)**Coupling: G<sub>i</sub>/G<sub>o</sub> & G<sub>q</sub>

## Arrestin



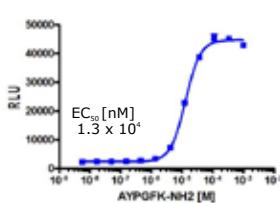
F2RL3 Arrestin Clone: 93-0279C2  
Assay Ready eXpress Kit: 93-0279E2  
Bioassay Kit: 93-0279Y2

## 2nd Messenger



F2RL3 Arrestin (Ca<sup>2+</sup> mode) Clone: 93-0279C2  
Assay Ready eXpress Kit: 93-0279E2  
Bioassay Kit: 93-0279Y2

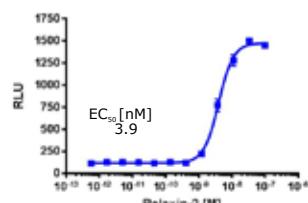
## Internalization



F2RL3 Internalization(a) Clone: 93-0753C3  
Assay Ready eXpress Kit: Inquire

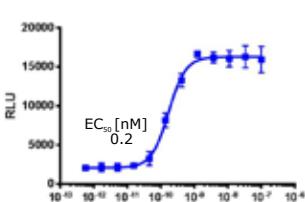
**RXFP1 (LGR7)**Coupling: G<sub>s</sub>

## 2nd Messenger



RXFP1 cAMP Clone: 95-0127C2  
Assay Ready eXpress Kit: 95-0127E2  
Bioassay Kit: 95-0127Y2

## Ortholog

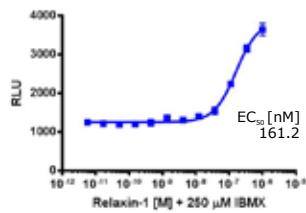


mRXFP1 (Mouse) cAMP Clone 95-0180C2  
Assay Ready eXpress Kit: Inquire

## Relaxin Family Peptide

**RXFP2 (LGR8)**Coupling: G<sub>s</sub>

## 2nd Messenger



RXFP2 cAMP Clone: 95-0140C2  
Assay Ready eXpress Kit: Inquire

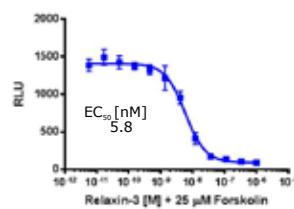
**RXFP3 (SALPR)**Coupling: G/G<sub>o</sub>

## Arrestin



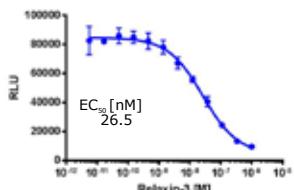
RXFP3 Arrestin Clone: 93-0692C2  
Assay Ready eXpress Kit: 93-0692E2  
Bioassay Kit: 93-0692Y2

## 2nd Messenger



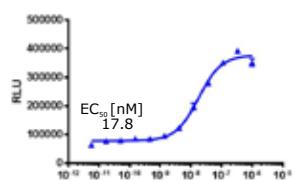
RXFP3 cAMP Clone: 95-0102C2  
Assay Ready eXpress Kit: 95-0102E2  
Bioassay Kit: 95-0102Y2

## Internalization



RXFP3 Internalization(t) Clone: 93-0948C3  
Assay Ready eXpress Kit: Inquire

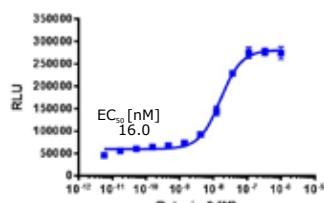
## Ortholog



mRXFP3 (Mouse) Arrestin Clone: 93-0928C2  
Assay Ready eXpress Kit: 93-0928E2

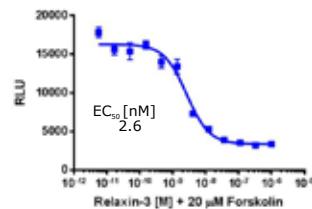
**RXFP4**Coupling: G/G<sub>o</sub>

## Arrestin



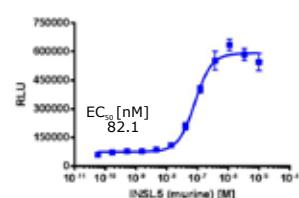
RXFP4 Arrestin Clone: 93-0701C2  
Assay Ready eXpress Kit: 93-0701E2  
Bioassay Kit: 93-0701Y2

## 2nd Messenger



RXFP4 cAMP Clone: 95-0134C2  
Assay Ready eXpress Kit: Inquire

## Ortholog

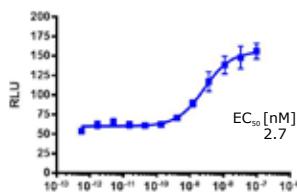


mRXFP4 (Mouse) Arrestin Clone: 93-0929C2  
Assay Ready eXpress Kit: 93-0929E2

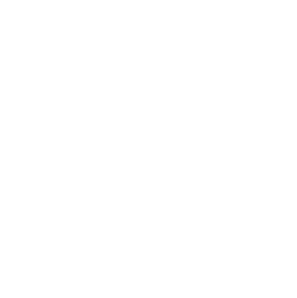
## SSTR1 (SST1)

Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin

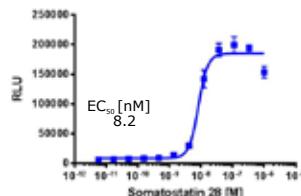


SSTR1 Arrestin Clone: 93-0307C3  
Assay Ready eXpress Kit: 93-0307E3  
Bioassay Kit: 93-0307Y3



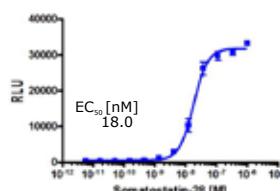
SSTR2 cAMP Clone: 95-0095C2  
Assay Ready eXpress Kit: 95-0095E2  
Bioassay Kit: 95-0095Y2

Internalization



SSTR2 Internalization(a) Clone: 93-0676C2  
Assay Ready eXpress Kit: 93-0676E2

Ortholog

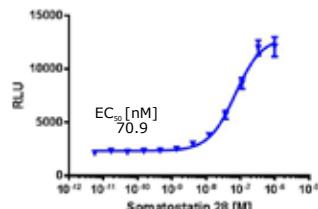


mSSTR2 (Mouse) ArrestinClone: 93-0616C2  
Assay Ready eXpress Kit: 93-0616E2

## SSTR3 (SST3)

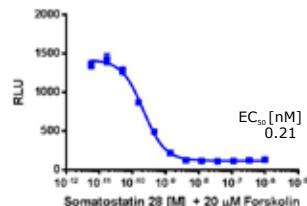
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



SSTR3 Arrestin Clone: 93-0244C2  
Assay Ready eXpress Kit: 93-0244E2  
Bioassay Kit: 93-0244Y2

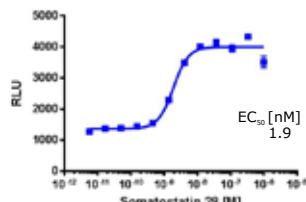
2nd Messenger



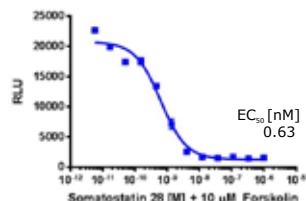
SSTR3 cAMP Clone: 95-0131C2  
Assay Ready eXpress Kit: 95-0131E2  
Bioassay Kit: 95-0131Y2

**SSTR4 (SST4)**Coupling: G<sub>β</sub>/G<sub>α</sub>

Arrestin



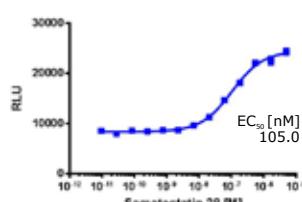
SSTR4 Arrestin Clone: 93-0308C2  
Assay Ready eXpress Kit: 93-0308E2  
Bioassay Kit: 93-0308Y2

**2nd Messenger**

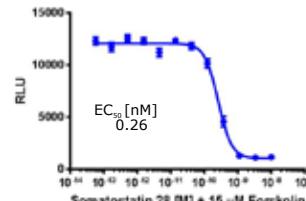
SSTR4 cAMP Clone: 95-0059C2  
Assay Ready eXpress Kit: 95-0059E2  
Bioassay Kit: 95-0059Y2

**SSTR5 (SST5)**Coupling: G<sub>β</sub>/G<sub>α</sub>

Arrestin



SSTR5 Arrestin Clone: 93-0402C3  
Assay Ready eXpress Kit: 93-0402E3  
Bioassay Kit: 93-0402Y3

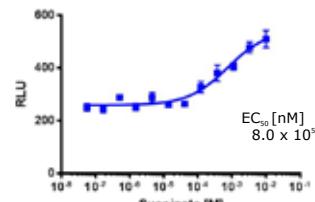
**2nd Messenger**

SSTR5 cAMP Clone: 95-0133C2  
Assay Ready eXpress Kit: Inquire

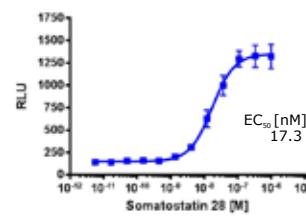
**Succinate****SUCNR1 (GPR91)**

Coupling: N/A

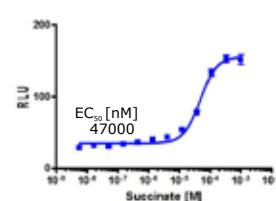
Arrestin



GPR91 Arrestin Clone: 93-0331C2A  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

**Ortholog**

mSSTR5 (Mouse) Arrestin Clone: 93-0627C2  
Assay Ready eXpress Kit: Inquire

**Ortholog**

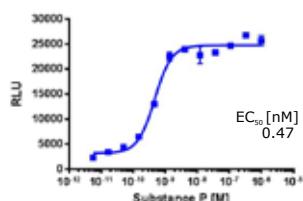
cGPR91 (Canine) Arrestin Pool: 93-0589P2  
Assay Ready eXpress Kit: Inquire

## Tachykinin

### TACR1 (NK1)

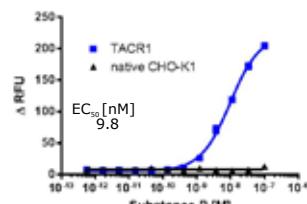
Coupling: G<sub>q</sub>

Arrestin



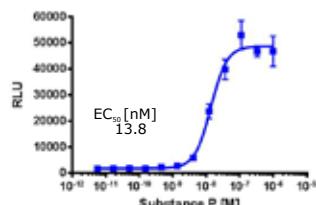
TACR1 Arrestin Clone: 93-0344C2  
Assay Ready eXpress Kit: 93-0344E2  
Antagonist: SDZ-NKT 343 IC<sub>50</sub> [nM]: 119.0  
Bioassay Kit: 93-0344Y2

2nd Messenger



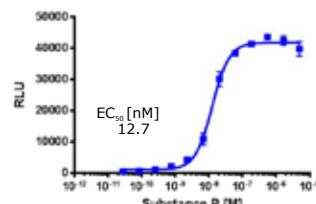
TACR1 Arrestin (Ca<sup>2+</sup> mode): 93-0344C2  
Assay Ready eXpress Kit: 93-0344E2  
Bioassay Kit: 93-0344Y2

Internalization



TACR1 Internalization(a) Clone: 93-0717C3  
Assay Ready eXpress Kit: Inquire

Ortholog

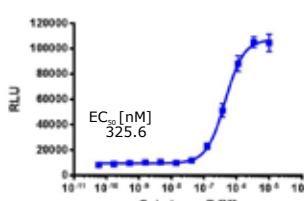


mTACR1(Mouse) Arrestin Pool: 93-0618P2  
Assay Ready eXpress Kit: Inquire

### TACR2 (NK2)

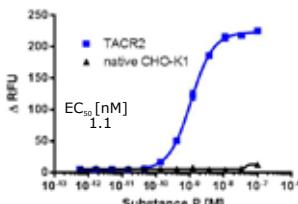
Coupling: G<sub>q</sub>

Arrestin



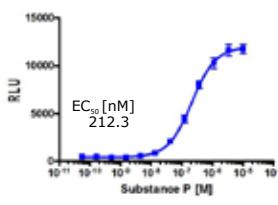
TACR2 Arrestin Clone: 93-0238C2  
Assay Ready eXpress Kit: 93-0238E2  
Antagonist: SDZ-NKT 343 IC<sub>50</sub> [nM]: 1.3  
Bioassay Kit: 93-0238Y2

2nd Messenger



TACR2 Arrestin (Ca<sup>2+</sup> mode): 93-0238C2  
Assay Ready eXpress Kit: 93-0238E2  
Bioassay Kit: 93-0238Y2

Internalization

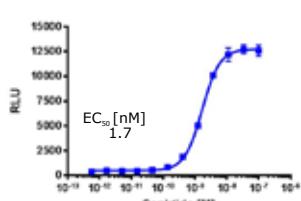


TACR2 Internalization(a) Clone: 93-0677C3  
Assay Ready eXpress Kit: 93-0677E3

### TACR3 (NK3)

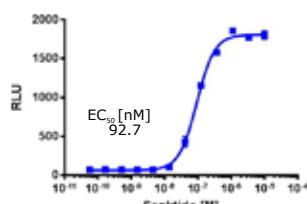
Coupling: G<sub>s</sub> & G<sub>q</sub>

Arrestin



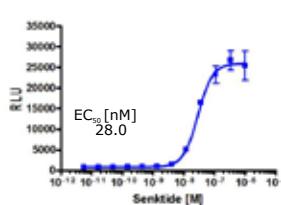
TACR3 Arrestin Clone: 93-0501C2  
Assay Ready eXpress Kit: 93-0501E2  
Antagonist: SB 222200 IC<sub>50</sub> [nM]: 365.5  
Bioassay Kit: 93-0501Y2

2nd Messenger



TACR3 cAMP Clone: 95-0092C2  
Assay Ready eXpress Kit: 95-0092E2  
Bioassay Kit: 95-0092Y2

Internalization

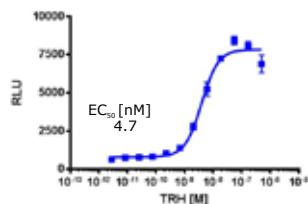


TACR3 Internalization(a) Clone: 93-0678C3  
Assay Ready eXpress Kit: Inquire

## Thyrotropin-Releasing \_ Trace Amine

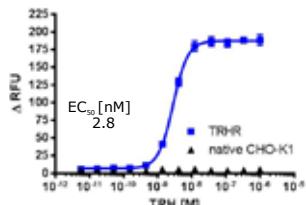
**TRHR (TRH)**Coupling: G<sub>q</sub>

Arrestin



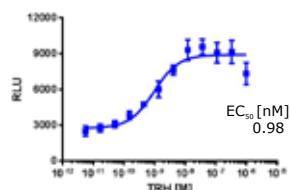
TRHR Arrestin Clone: 93-0239C2  
Assay Ready eXpress Kit: 93-0239E2  
Bioassay Kit: 93-0239Y2

## 2nd Messenger



TRHR Arrestin (Ca<sup>2+</sup> mode): 93-0239C2  
Assay Ready eXpress Kit: 93-0239E2  
Bioassay Kit: 93-0239Y2

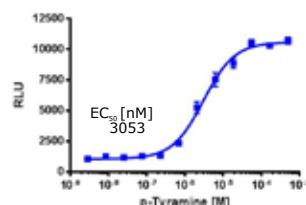
## Internalization



TRHR Internalization(t) Clone: 93-0949C3  
Assay Ready eXpress Kit: Inquire

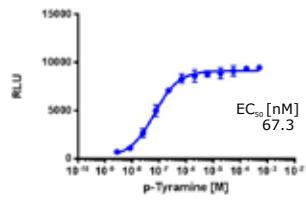
**TAAR1 (TA1)**Coupling: G<sub>s</sub>

2nd Messenger



TAAR1 cAMP Clone: 95-0173C2  
Assay Ready eXpress Kit: Inquire

## Ortholog

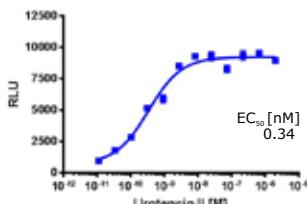


mTAAR1 (Mouse) cAMP Clone 95-0177C2  
Assay Ready eXpress Kit: Inquire

## Urotensin

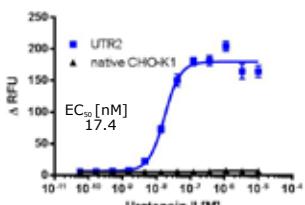
**UTS2R (UTR2)**Coupling: G<sub>q</sub>

Arrestin



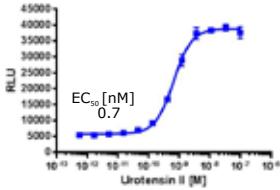
UTR2 Arrestin Clone: 93-0283C2  
Assay Ready eXpress Kit: 93-0283E2  
Bioassay Kit: 93-0283Y2

## 2nd Messenger



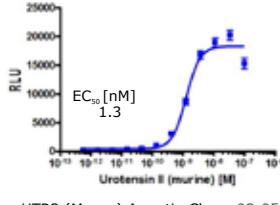
UTR2 Arrestin (Ca<sup>2+</sup> mode): 93-0283C2  
Assay Ready eXpress Kit: 93-0283E2  
Bioassay Kit: 93-0283Y2

## Internalization



UTR2 Internalization(t) Clone: 93-0746C3  
Assay Ready eXpress Kit: 93-0746E3

## Ortholog



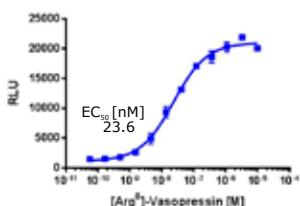
mUTR2 (Mouse) Arrestin Clone: 93-0525C2  
Assay Ready eXpress Kit: 93-0525E2

## Vasopressin & Oxytocin

### AVPR1A (V1A)

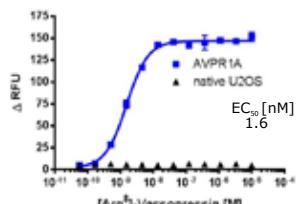
Coupling: G<sub>q</sub>

Arrestin



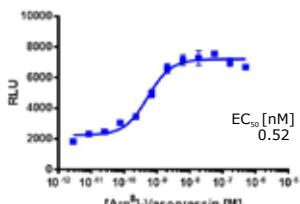
AVPR1A Arrestin Clone: 93-0587C3  
Assay Ready eXpress Kit: 93-0587E3  
Antagonist: SR 49059 IC<sub>50</sub> [nM]: 3.3  
Bioassay Kit: 93-0587Y3

2nd Messenger



AVPR1A Arrestin (Ca<sup>2+</sup> mode): 93-0587C3  
Assay Ready eXpress Kit: 93-0587E3  
Bioassay Kit: 93-0587Y3

Internalization

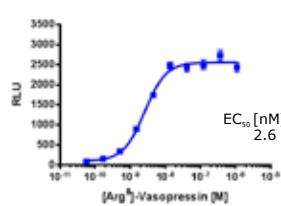


AVPR1A Internalization(t) Clone: 93-0751C3  
Assay Ready eXpress Kit: Inquire

### AVPR1B (V1B)

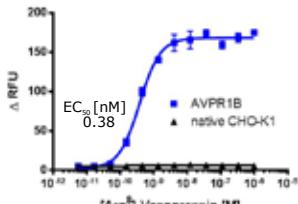
Coupling: G<sub>q</sub>

Arrestin



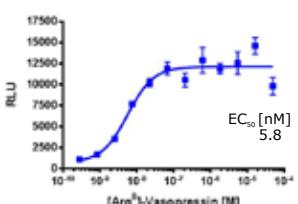
AVPR1B Arrestin Clone: 93-0486C2  
Assay Ready eXpress Kit: 93-0486E2  
Antagonist: SR 49059 IC<sub>50</sub> [nM]: 1330  
Bioassay Kit: 93-0486Y2

2nd Messenger



AVPR1B Arrestin (Ca<sup>2+</sup> mode): 93-0486C2  
Assay Ready eXpress Kit: 93-0486E2  
Bioassay Kit: 93-0486Y2

Internalization

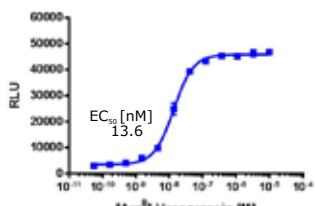


AVPR1B Internalization(a) Clone: 93-0722C3  
Assay Ready eXpress Kit: 93-0722E3

### AVPR2 (V2)

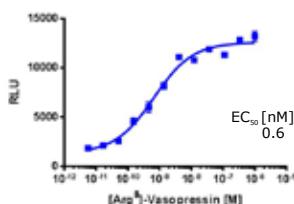
Coupling: G<sub>s</sub>

Arrestin



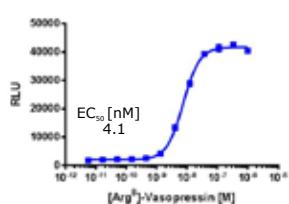
AVPR2 Arrestin Clone: 93-0588C2  
Assay Ready eXpress Kit: 93-0588E2  
Antagonist: L-368,899 IC<sub>50</sub> [nM]: 900.6  
Bioassay Kit: 93-0588Y2

2nd Messenger



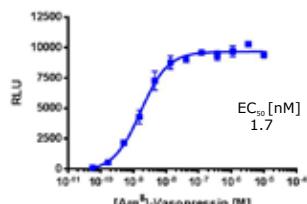
AVPR2 CAMP Clone: 95-0150C2  
Assay Ready eXpress Kit: 95-0150E2  
Bioassay Kit: 95-0150Y2

Internalization



AVPR2 Internalization(a) Clone: 93-0709C3  
Assay Ready eXpress Kit: Inquire

Ortholog



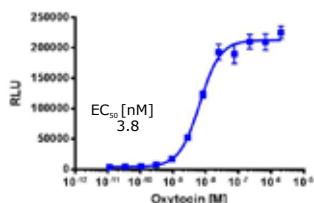
mAVPR2 (Mouse) Arrestin Pool: 93-0596P2  
Assay Ready eXpress Kit: Inquire

## Vasopressin & Oxytocin

### OXTR (OT)

Coupling: G<sub>o</sub>

#### Arrestin

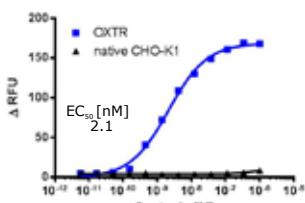


OXTR Arrestin Clone: 93-0261C2  
Assay Ready eXpress Kit: 93-0261E2  
Antagonist: L-368,899 IC<sub>50</sub> [nM]: 2.8  
Bioassay Kit: 93-0261Y2

Want to Learn More?  
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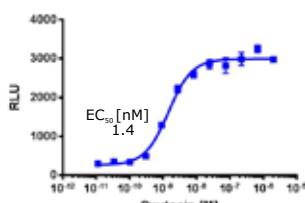
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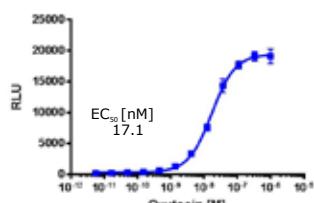
OXTR Arrestin (Ca<sup>2+</sup> mode): 93-0261C2  
Assay Ready eXpress Kit: 93-0261E2  
Bioassay Kit: 93-0261Y2

#### Internalization



OXTR Internalization(a) Clone: 93-0715C3  
Assay Ready eXpress Kit: 93-0715E3

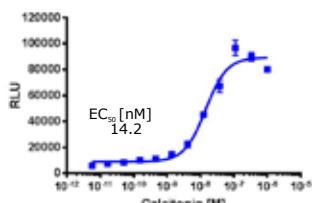
#### Ortholog



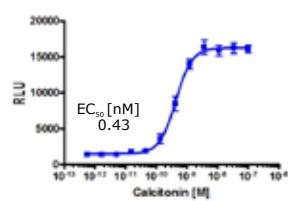
mOXTR (Mouse) Arrestin Clone: 93-0612C2  
Assay Ready eXpress Kit: Inquire

**CALCR (CT)**Coupling: G<sub>s</sub>

Arrestin



CALCR Arrestin Clone: 93-0566C2  
Assay Ready eXpress Kit: 93-0566E2  
Bioassay Kit: 93-0566Y3

**2nd Messenger**

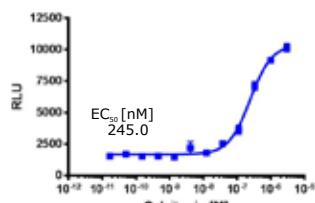
CALCR cAMP Clone: 95-0161C6  
Assay Ready eXpress Kit: Inquire

**CALCR-RAMP1 (AMY1)**Coupling: G<sub>s</sub>

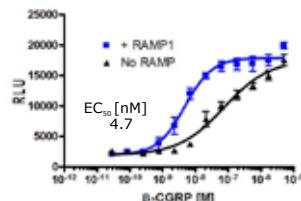
Arrestin

**CALCR-RAMP2 (AMY2)**Coupling: G<sub>s</sub>

Arrestin



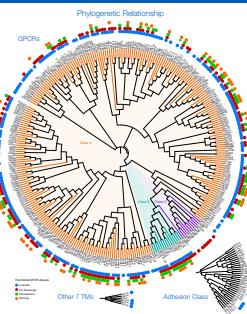
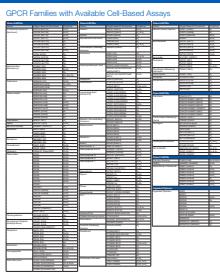
CALCR-RAMP2 Arrestin Clone: 93-0267C2  
Assay Ready eXpress Kit: 93-0267E2  
Bioassay Kit: 93-0267Y2

**2nd Messenger**

CALCR-RAMP1 cAMP Clone: 95-0170C6  
Assay Ready eXpress Kit: 95-0170E6  
Bioassay Kit: 95-0170Y6

## Druggable GPCRome Poster

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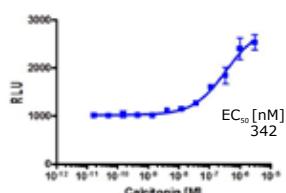
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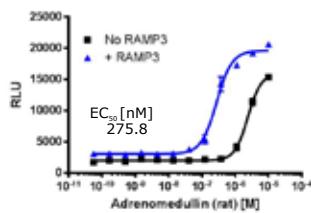
**CALCR-RAMP3 (AMY3)**Coupling: G<sub>s</sub>

Arrestin



CALCR-RAMP3 Arrestin Clone: 93-0268C2  
Assay Ready eXpress Kit: 93-0268E2  
Antagonist: AC187 IC<sub>50</sub> [nM]: 16.5  
Bioassay Kit: 93-0268Y2

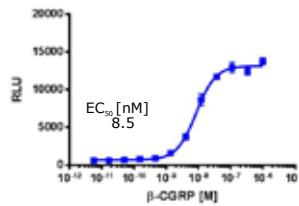
2nd Messenger



CALCR-RAMP3 cAMP Clone: 95-0166C6  
Assay Ready eXpress Kit: Inquire

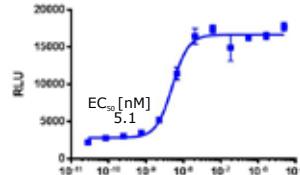
**CALCRL-RAMP1 (CGRP1)**Coupling: G<sub>s</sub>

Arrestin



CALCRL-RAMP1 Arrestin Clone: 93-0269C2  
Assay Ready eXpress Kit: 93-0269E2  
Antagonist: BIBN 4096 IC<sub>50</sub> [nM]: 0.2  
Bioassay Kit: 93-0269Y2

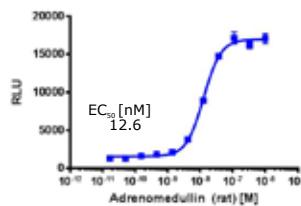
2nd Messenger



CALCRL-RAMP1 cAMP Clone: 95-0164C6  
Assay Ready eXpress Kit: Inquire

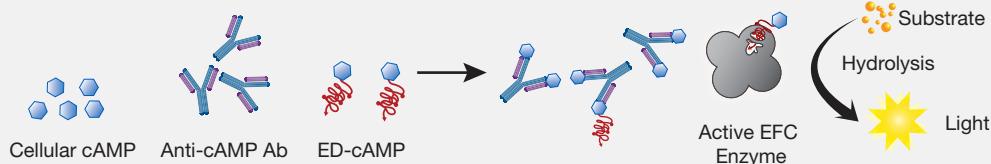
**CALCRL-RAMP2 (AM1)**Coupling: G<sub>s</sub>

Arrestin



CALCRL-RAMP2 Arrestin Clone: 93-0270C2  
Assay Ready eXpress Kit: 93-0270E2  
Antagonist: CGRP (8-37) IC<sub>50</sub> [nM]: 1.5  
Bioassay Kit: 93-0270Y2

## cAMP Detection for Small Molecules and Biologics

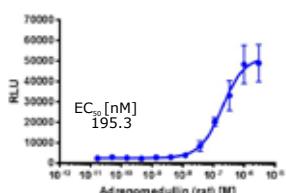


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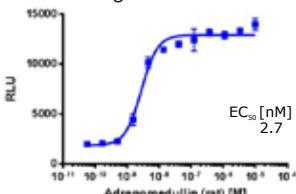
**CALCRL-RAMP3 (AM2)**Coupling: G<sub>s</sub>

Arrestin



CALCR1-RAMP3 Arrestin Clone: 93-0252C2  
Assay Ready eXpress Kit: 93-0252E2  
Antagonist: CGRP (8-37) IC<sub>50</sub> [nM]: 400  
Bioassay Kit: 93-0252Y2

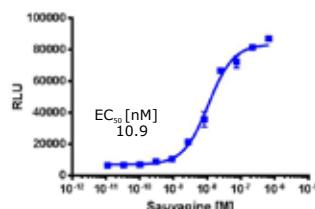
2nd Messenger



CALCR1-RAMP3 cAMP Clone: 95-0169C6  
Assay Ready eXpress Kit: Inquire

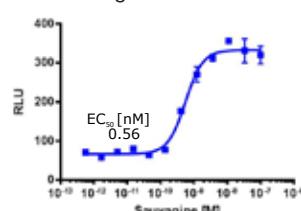
**CRHR1 (CRF1)**Coupling: G<sub>s</sub>

Arrestin



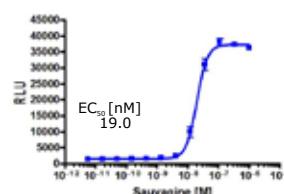
CRHR1 Arrestin Clone: 93-0225C2  
Assay Ready eXpress Kit: 93-0225E2  
Antagonist: CP 376395 IC<sub>50</sub> [nM]: 17.7  
Bioassay Kit: 93-0225Y2

2nd Messenger



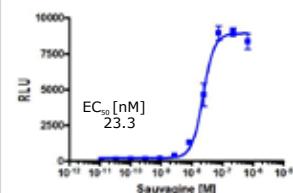
CRHR1 cAMP Clone: 95-0047C2  
Assay Ready eXpress Kit: 95-0047E2  
Bioassay Kit: 95-0047Y2

Internalization



CRHR1 Internalization(a) Clone: 93-0726C3  
Assay Ready eXpress Kit: Inquire

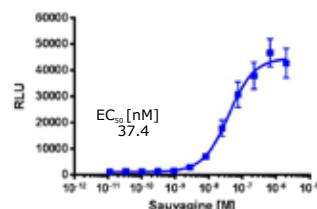
Ortholog



mCRHR1 (Mouse) Arrestin Clone: 93-0473C2  
Assay Ready eXpress Kit: 93-0473E2

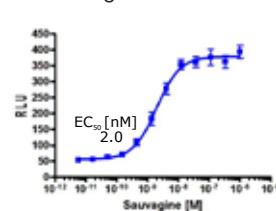
**CRHR2 (CRF2)**Coupling: G<sub>s</sub>

Arrestin



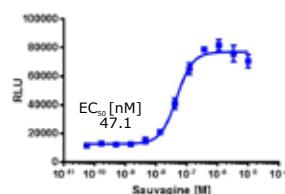
CRHR2 Arrestin Clone: 93-0251C2  
Assay Ready eXpress Kit: 93-0251E2  
Antagonist: K 41498 IC<sub>50</sub> [nM]: 8.1  
Bioassay Kit: 93-0251Y2

2nd Messenger



CRHR2 cAMP Clone: 95-0048C2  
Assay Ready eXpress Kit: 95-0048E2  
Bioassay Kit: 95-0048Y2

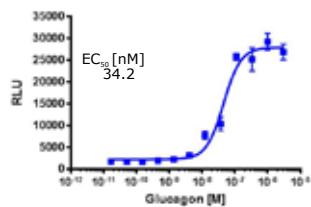
Internalization



CRHR2 Internalization(a) Clone: 93-0752C3  
Assay Ready eXpress Kit: Inquire

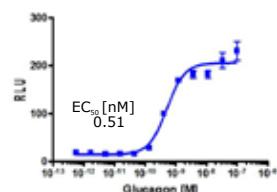
**GCGR (Glucagon)**Coupling:  $G_s$ 

Arrestin



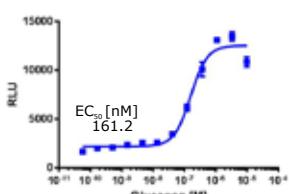
GCGR Arrestin Clone: 93-0241C2  
Assay Ready eXpress Kit: 93-0241E2  
Antagonist: (des-His1,Glu9]-Glucagon)[C]<sub>50</sub> [nM]: 743.0  
Bioassay Kit: 93-0241Y2

## 2nd Messenger



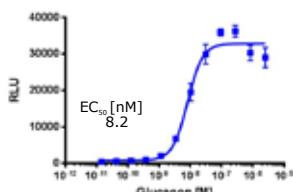
GCGR cAMP Clone: 95-0042C2  
Assay Ready eXpress Kit: 95-0042E2  
Bioassay Kit: 95-0042Y2

## Internalization



GCGR Internalization(t) Clone: 93-0820C1  
Assay Ready eXpress Kit: 93-0820E1

## Ortholog



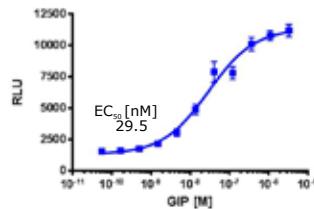
mGCGR (Mouse) Arrestin Clone: 93-0575C2  
Assay Ready eXpress Kit: Inquire

**GHRHR (GHRH)**Coupling:  $G_s$ 

Arrestin

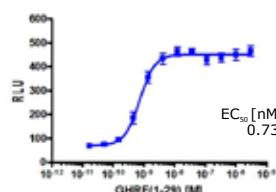
**GIPR (GIP)**Coupling:  $G_s$ 

Arrestin



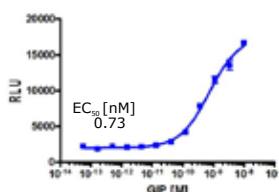
GIPR Arrestin Clone: 93-0788C2  
Assay Ready eXpress Kit: 93-0788E2  
Bioassay Kit: 93-0788Y2

## 2nd Messenger



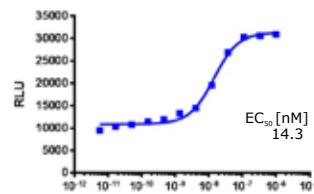
GHRHR cAMP Clone: 95-0076C2  
Assay Ready eXpress Kit: 95-0076E2  
Bioassay Kit: 95-0076Y2

## 2nd Messenger



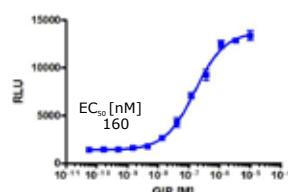
GIPR cAMP Clone: 95-0146C2  
Assay Ready eXpress Kit: 95-0146E2  
Bioassay Kit: 95-0146Y2

## Internalization



GIPR Internalization(t) Clone: 93-0806C1  
Assay Ready eXpress Kit: Inquire

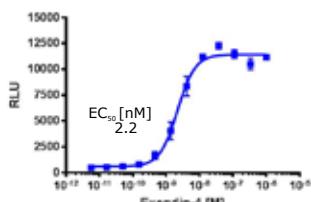
## Ortholog



mGIPR (Mouse) Arrestin Clone: 93-0846C3  
Assay Ready eXpress Kit: 93-0846E3

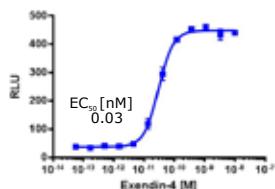
**GLP1R (GLP-1)**Coupling: G<sub>s</sub>

Arrestin



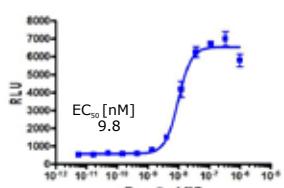
GLP1R Arrestin Clone: 93-0300C2  
Assay Ready eXpress Kit: 93-0300E2  
Antagonist: Exendin-3(9-39)-NH<sub>2</sub>, IC<sub>50</sub> [nM]: 75.6  
Bioassay Kit: 93-0300Y2

2nd Messenger



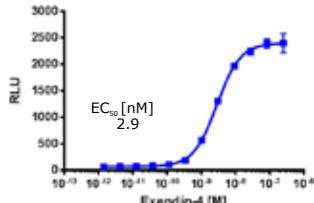
GLP1R cAMP Clone: 95-0062C2  
Assay Ready eXpress Kit: 95-0062E2  
Bioassay Kit: 95-0062Y2

Internalization



GLP1R Internalization(a) Clone: 93-0724C3  
Assay Ready eXpress Kit: 93-0724E3

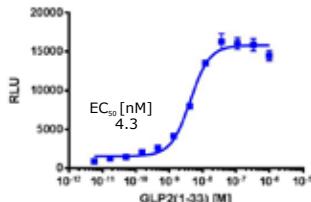
Ortholog



mGLP1R (Mouse) Arrestin Clone: 93-0478C2  
Assay Ready eXpress Kit: 93-0478E2

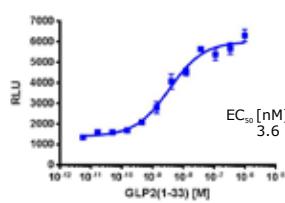
**GLP2R (GLP-2)**Coupling: G<sub>s</sub>

Arrestin



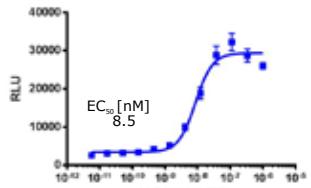
GLP2R Arrestin Clone: 93-0572C2  
Assay Ready eXpress Kit: 93-0572E2  
Bioassay Kit: 93-0572Y2

2nd Messenger



GLP2R cAMP Clone: 95-0112C2  
Assay Ready eXpress Kit: 95-0112E2  
Bioassay Kit: 95-0112Y2

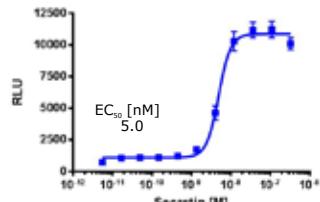
Internalization



GLP2R Internalization(a) Clone: 93-0750C3  
Assay Ready eXpress Kit: Inquire

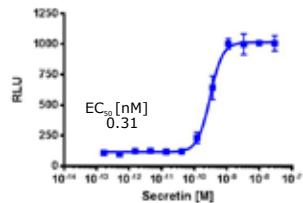
**SCTR (Secretin)**Coupling: G<sub>s</sub>

Arrestin



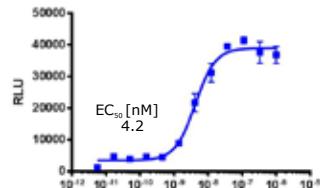
SCTR Arrestin Clone: 93-0237C2  
Assay Ready eXpress Kit: 93-0237E2  
Bioassay Kit: 93-0237Y2

2nd Messenger



SCTR cAMP Clone: 95-0075C2  
Assay Ready eXpress Kit: 95-0075E2  
Bioassay Kit: 95-0075Y2

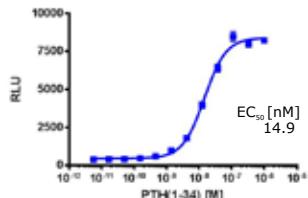
Internalization



SCTR Internalization(a) Clone: 93-0675C3  
Assay Ready eXpress Kit: Inquire

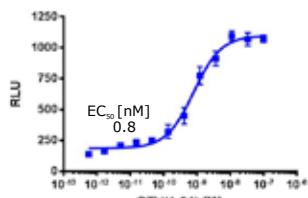
**PTH1R (PTH1)**Coupling: G<sub>s</sub> & G<sub>q</sub>

Arrestin



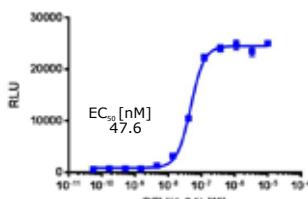
PTHR1 Arrestin Clone: 93-0315C2  
Assay Ready eXpress Kit: 93-0315E2  
Antagonist: [D-Trp12,Tyr34]-PTH(7-34)  
IC<sub>50</sub> [nM]: 69.8  
Bioassay Kit: 93-0315Y2

2nd Messenger



PTHR1 cAMP Clone: 95-0118C2  
Assay Ready eXpress Kit: 95-0118E2  
Bioassay Kit: 95-0118Y2

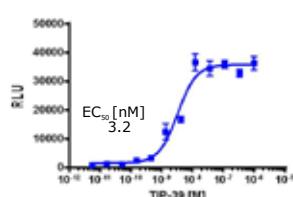
Internalization



PTHR1 Internalization(a) Clone: 93-0770C3  
Assay Ready eXpress Kit: 93-0770E3

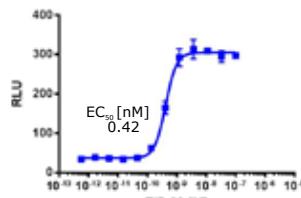
**PTH2R (PTH2)**Coupling: G<sub>s</sub>

Arrestin



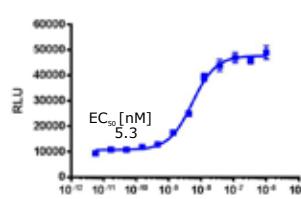
PTHR2 Arrestin Clone: 93-0306C2  
Assay Ready eXpress Kit: 93-0306E2  
Bioassay Kit: 93-0306Y2

2nd Messenger



PTHR2 cAMP Clone: 95-0057C2  
Assay Ready eXpress Kit: 95-0057E2  
Bioassay Kit: 95-0057Y2

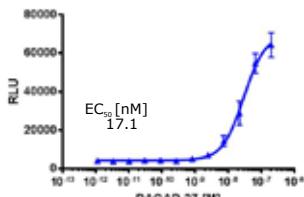
Internalization



PTHR2 Internalization(t) Clone: 93-0763C3  
Assay Ready eXpress Kit: 93-0763E3

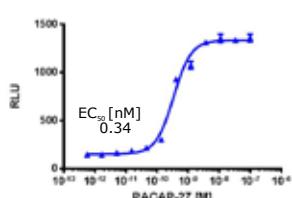
**ADCYAP1R1 (PAC1)**Coupling: G<sub>s</sub> & G<sub>q</sub>

Arrestin



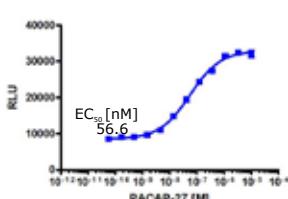
ADCYAP1R1 Arrestin Clone: 93-0249C2  
Assay Ready eXpress Kit: 93-0249E2  
Bioassay Kit: 93-0249Y2

2nd Messenger



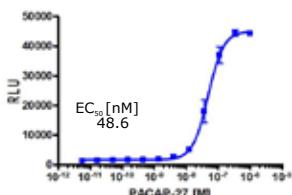
ADCYAP1R1 cAMP Clone: 95-0064C2  
Assay Ready eXpress Kit: 95-0064E2  
Bioassay Kit: 95-0064Y2

Internalization



ADCYAP1R1 Internalization(t) Clone: 93-0885C3  
Assay Ready eXpress Kit: 93-0885E3

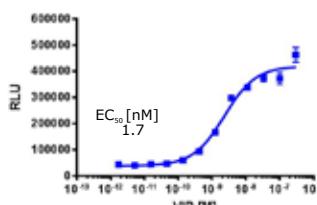
Ortholog



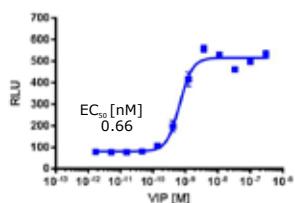
mADCYAP1R1 (Mouse) Arrestin Clone: 93-0594C2  
Assay Ready eXpress Kit: 93-0594E2

**VIPR1 (VPAC1)**Coupling: G<sub>s</sub> & G<sub>q</sub>

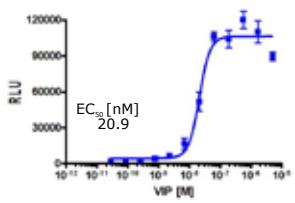
Arrestin



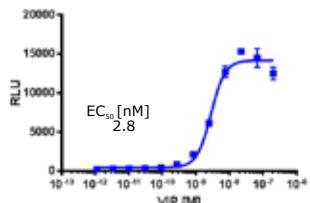
VIPR1 Arrestin Clone: 93-0316C2  
Assay Ready eXpress Kit: 93-0316E2  
Bioassay Kit: 93-0316Y2

**2nd Messenger**

VIPR1 cAMP Clone: 95-0093C2  
Assay Ready eXpress Kit: 95-0093E2  
Bioassay Kit: 95-0093Y2

**Internalization**

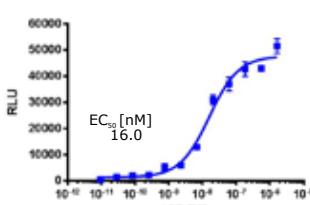
VIPR1 Internalization(a) Clone: 93-0739C3  
Assay Ready eXpress Kit: 93-0739E3

**Ortholog**

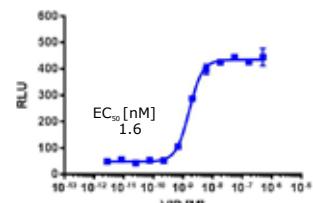
mVIPR1(Mouse) Arrestin Clone: 93-0619C2  
Assay Ready eXpress Kit: 93-0619E2

**VIPR2 (VPAC2)**Coupling: G<sub>s</sub>

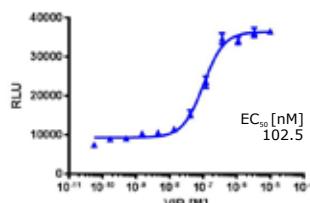
Arrestin



VIPR2 Arrestin Clone: 93-0317C2  
Assay Ready eXpress Kit: 93-0317E2  
Bioassay Kit: 93-0317Y2

**2nd Messenger**

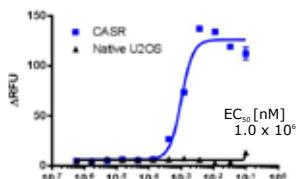
VIPR2 cAMP Clone: 95-0052C2  
Assay Ready eXpress Kit: 95-0052E2  
Bioassay Kit: 95-0052Y2

**Internalization**

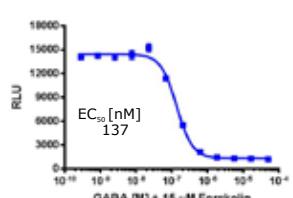
VIPR2 Internalization(t) Clone: 93-0824C3  
Assay Ready eXpress Kit: 93-0824E3

**Calcium Sensing \_\_\_\_\_ GABA<sub>B</sub> \_\_\_\_\_ Metabotropic Glutamate****CASR (CaS)**Coupling: G<sub>q</sub> & G<sub>i/G<sub>o</sub></sub>

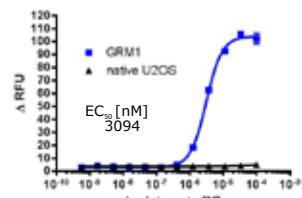
2nd Messenger

CASR Native Ca<sup>2+</sup> Clone: 95-1014C3  
Assay Ready eXpress Kit: Inquire**GABBR1-GABBR2**Coupling: G<sub>i/G<sub>o</sub></sub>

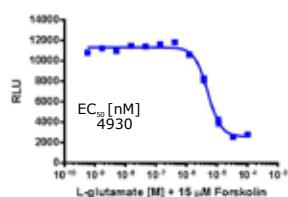
2nd Messenger

GABBR1-GABBR2 cAMP Clone: 95-0165C2  
Assay Ready eXpress Kit: Inquire**GRM1 (mGlu1)**Coupling: G<sub>q</sub>

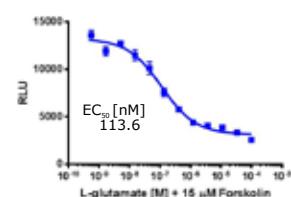
2nd Messenger

GRM1 Native Ca<sup>2+</sup> Clone: 95-1021C3  
Assay Ready eXpress Kit: Inquire**Metabotropic Glutamate****GRM2 (mGlu2)**Coupling: G<sub>q</sub>/G<sub>o</sub>

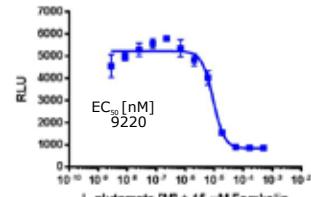
2nd Messenger

GRM2 cAMP Clone: 95-0148C2  
Assay Ready eXpress Kit: 95-0148E2  
Bioassay Kit: 95-0148Y2**GRM3 (mGlu3)**Coupling: G<sub>i/G<sub>o</sub></sub>

2nd Messenger

GRM3 cAMP Clone: 95-0137C2  
Assay Ready eXpress Kit: Inquire**GRM4 (mGlu4)**Coupling: G<sub>i/G<sub>o</sub></sub>

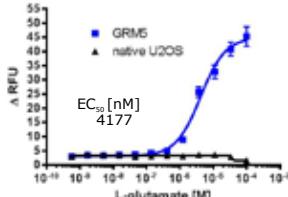
2nd Messenger



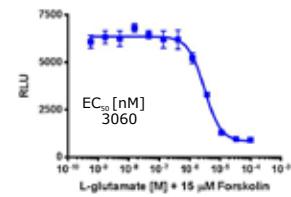
GRM4 cAMP Clone: 95-0117C2 Assay Ready eXpress Kit: 95-0117E2 Bioassay Kit: 95-0117Y2

**Metabotropic Glutamate****GRM5 (mGlu5)**Coupling: G<sub>q</sub>

2nd Messenger

GRM5 Native Ca<sup>2+</sup> Clone: 95-1020C3  
Assay Ready eXpress Kit: Inquire**GRM6 (mGlu6)**Coupling: G<sub>i/G<sub>o</sub></sub>

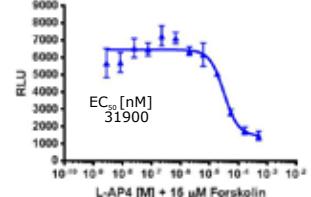
2nd Messenger



GRM6 cAMP Clone: 95-0105C2 Assay Ready eXpress Kit: 95-0105E2 Bioassay Kit: 95-0105Y2

**GRM7 (mGlu7)**Coupling: G<sub>i/G<sub>o</sub></sub>

2nd Messenger



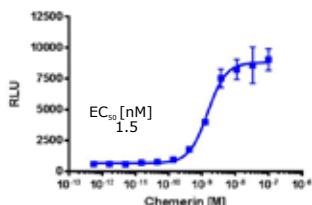
GRM7 cAMP Clone: Coming Soon Assay Ready eXpress Kit: Inquire

## Liganded Orphans

### GPR1

Coupling: N/A

Arrestin

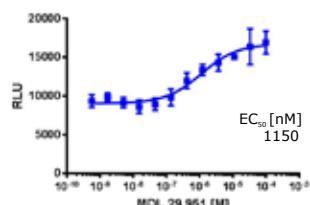


GPR1 Arrestin Clone: 93-0335C2  
Assay Ready eXpress Kit: 93-0335E2  
Bioassay Kit: 93-0335Y2

### GPR17

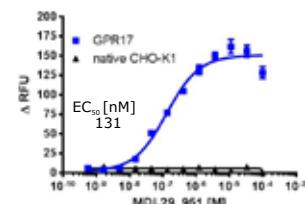
Coupling: N/A

Arrestin



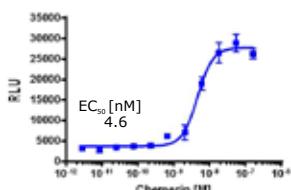
GPR17 Arrestin Clone: 93-0633C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

### 2nd Messenger



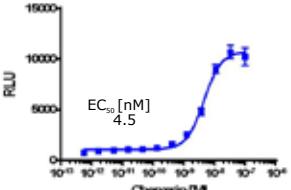
GPR17 Arrestin (Ca<sup>2+</sup> mode): 93-0633C2  
Assay Ready eXpress Kit: Inquire

### Internalization



GPR1 Internalization(t) Clone: 93-0749C3  
Assay Ready eXpress Kit: Inquire

### Ortholog

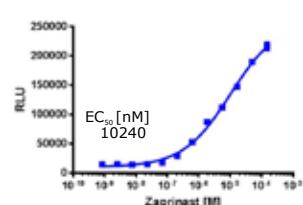


mGPR1 (Mouse) Arrestin Clone: 93-0571C2  
Assay Ready eXpress Kit: Inquire

### GPR35

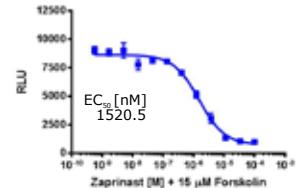
Coupling: G/G<sub>o</sub>

Arrestin



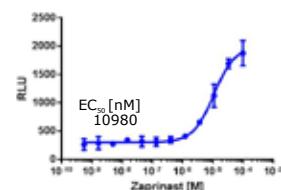
GPR35 Arrestin Clone: 93-0942C2  
Assay Ready eXpress Kit: 93-0942E2  
Bioassay Kit: Inquire

### 2nd Messenger



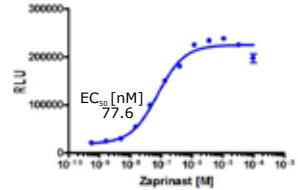
GPR35 cAMP Clone: 95-0152C2  
Assay Ready eXpress Kit: 95-0152E2  
Bioassay Kit: 95-0152Y2

### Internalization



GPR35 Internalization(a) Clone: 93-0968C3  
Assay Ready eXpress Kit: 93-0968E3

### Ortholog



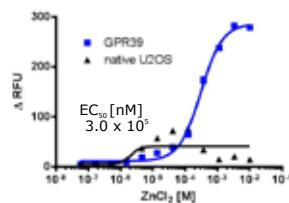
rGPR35 (Rat) Arrestin Clone: 93-0887C2  
Assay Ready eXpress Kit: 93-0887E2

## Liganded Orphans

### GPR39

Coupling: G<sub>q</sub>

#### 2nd Messenger

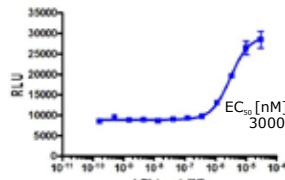


GPR39 Native Ca<sup>2+</sup> Clone: 95-1015C3  
Assay Ready eXpress Kit: Inquire

### GPR55

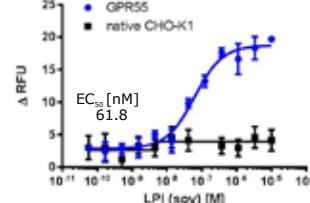
Coupling: N/A

#### Arrestin



GPR55 Arrestin Clone: 93-0245C2  
Assay Ready eXpress Kit: 93-0245E2  
Bioassay Kit: 93-0245Y2

#### 2nd Messenger

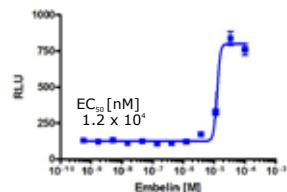


GPR55 Arrestin (Ca<sup>2+</sup> mode): 93-0245C2  
Assay Ready eXpress Kit: 93-0245E2  
Bioassay Kit: 93-0245Y2

### GPR84

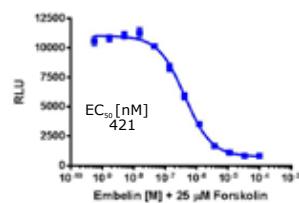
Coupling: G/G<sub>o</sub>

#### Arrestin



GPR84 Arrestin Clone: 93-0647C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

#### 2nd Messenger



GPR84 cAMP Clone: 95-0158C2  
Assay Ready eXpress Kit: Inquire

## GPCR Screening & Profiling Services

- Multiple functional readouts including arrestin recruitment, cAMP, calcium or internalization
- Select from a pre-defined panel or create a personalized panel using only the assays needed
- Largest panel of >70 orphan GPCRs ideal for receptor de-orphanization programs
- Functional readouts for both agonist and antagonist data

**LeadHunter®**  
DISCOVERY SERVICES

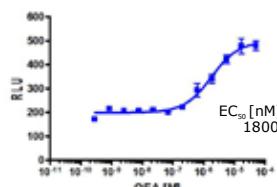
Over 660 GPCR Assays Available  
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## Liganded Orphans

### GPR119

Coupling: N/A

Arrestin

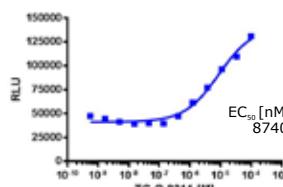


GPR119 Arrestin Clone: 93-0356C3  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

### GPR139 (PGR3)

Coupling: N/A

Arrestin

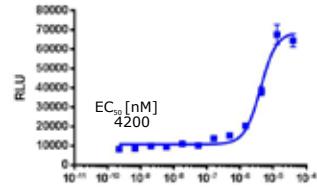


GPR139 Arrestin Clone: 93-0954C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

### GPR183 (EBI2)

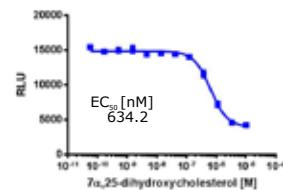
Coupling: G<sub>i</sub>/G<sub>o</sub>

Arrestin



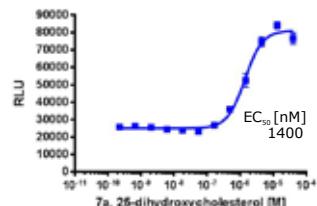
EBI2 Arrestin Clone: 93-0437C2A Assay Ready eXpress Kit: 93-0437E2A Bioassay Kit: 93-0437Y2A

### 2nd Messenger



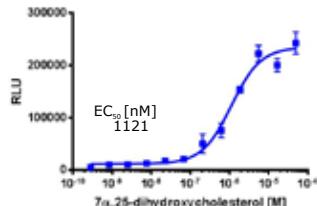
EBI2 cAMP Clone: 95-0172C2  
Assay Ready eXpress Kit: Inquire

### Internalization



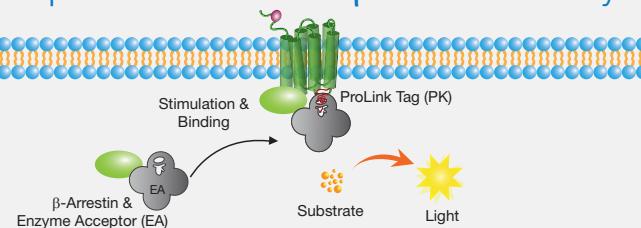
EBI2 Internalization(t) Clone: 93-0910C3 Assay Ready eXpress Kit: Inquire

### Ortholog



mEBI2 (Mouse) Arrestin Clone: 93-0953C2 Assay Ready eXpress Kit: 93-0953E2

### Explore PathHunter® β-Arrestin Assays



Assays for Known, Orphan or Ortholog GPCRs

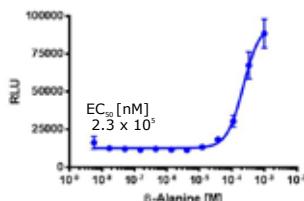
Visit [www.discoverx.com/arrestin](http://www.discoverx.com/arrestin)

## Liganded Orphans

### MRGPRD

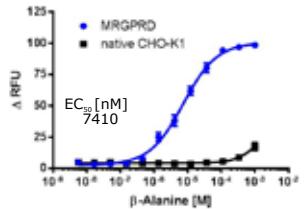
Coupling: N/A

Arrestin



MRGPRD Arrestin Clone: 93-0926C2  
Assay Ready eXpress Kit: 93-0926E2  
Bioassay Kit: 93-0926Y2

2nd Messenger

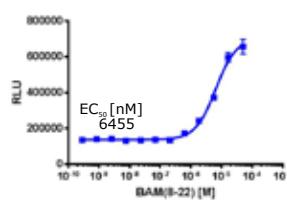


MRGPRD Arrestin (Ca<sup>2+</sup> mode): 93-0926C2  
Assay Ready eXpress Kit: 93-0926E2  
Bioassay Kit: 93-0926Y2

### MRGPRX1 (MRGX1)

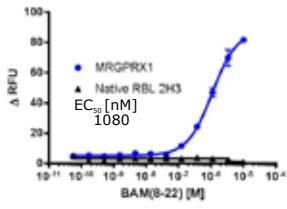
Coupling: G<sub>q</sub>

Arrestin



MRGPRX1 Arrestin Clone: 93-0919C2  
Assay Ready eXpress Kit: Inquire  
Bioassay Kit: Inquire

2nd Messenger

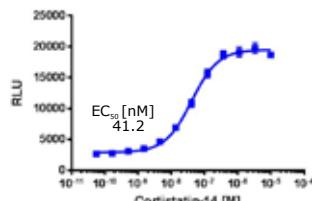


MRGPRX1 Native Ca<sup>2+</sup> Clone: 95-1019C11  
Assay Ready eXpress Kit: Inquire

### MRGPRX2 (MRGX2)

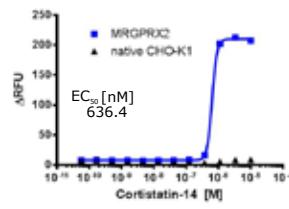
Coupling: G<sub>q</sub>

Arrestin



MRGPRX2 Arrestin Clone: 93-0309C2  
Assay Ready eXpress Kit: 93-0309E2  
Bioassay Kit: 93-0309Y2

2nd Messenger



MRGPRX2 Arrestin (Ca<sup>2+</sup> mode): 93-0309C2  
Assay Ready eXpress Kit: 93-0309E2  
Bioassay Kit: 93-0309Y2

## Don't See Your Target of Interest?

cAMP and Calcium Detection

β-Arrestin Recruitment

Custom Assay Development

Visit [www.discoverx.com/CAD](http://www.discoverx.com/CAD)

Deorphanization

Trafficking

Screening and Profiling Services

GPCR Endocytosis and Recycling

## Orphans

PathHunter® Arrestin cell lines and Assay Ready kits expressing orphan GPCRs are also available:

Target	Cell Type	Arrestin Cell Line	Assay Ready Kit
BAI1	CHO-K1	93-0545C2	Inquire
BAI2	CHO-K1	93-0546C2	93-0546E2
BAI3	CHO-K1	93-0547C2	93-0547E2A
CCRL2	CHO-K1	93-0333C2A	93-0333E2A
GHSR1b	CHO-K1	93-0354C2A	93-0354E2A
GPER1 (GPR30, Estrogen)	CHO-K1	93-0373C2A	93-0373E2A
GPR1	CHO-K1	93-0335C2	93-0335E2
GPR3	CHO-K1	93-0626C2	93-0626E2
GPR4	CHO-K1	93-0369C2A	93-0369E2A
GPR6	CHO-K1	93-0634C2	93-0634E2
GPR6	U2OS	93-0634C3	93-0634E3
GPR12	CHO-K1	93-0329C2A	93-0329E2A
GPR15 (Bob)	CHO-K1	93-0682C2	93-0682E2
GPR17	CHO-K1	93-0633C2	Inquire
GPR17	U2OS	93-0633C3	Inquire
GPR18	CHO-K1	93-0560C2A	93-0560E2A
GPR20	HEK 293	93-0405C1	93-0405E1
GPR23 (LPA4, P2Y9)	CHO-K1	93-0336C2A	93-0336E2A
GPR25	CHO-K1	93-0368C2A	93-0368E2A
GPR26	CHO-K1	93-0392C2A	93-0392E2A
GPR27 (SREB1)	CHO-K1	93-0334C2A	93-0334E2A
GPR31	HEK 293	Inquire	93-0406E1
GPR31	CHO-K1	93-0406C2	93-0406E2
GPR32	CHO-K1	93-0321C2A	93-0321E2A
GPR35	CHO-K1	93-0942C2	93-0942E2
GPR37 (PAEL Receptor, EDNRBL)	CHO-K1	93-0339C2A	93-0339E2A
GPR37L1	CHO-K1	93-0378C2A	93-0378E2A
GPR39	CHO-K1	93-0338C2	93-0338E2
GPR45 (PSP24)	HEK 293	93-0374C1A	Inquire
GPR45 (PSP24)	CHO-K1	93-0374C2A	93-0374E2A
GPR50	CHO-K1	93-0648C2	93-0648E2
GPR52	CHO-K1	93-0371C2A	93-0371E2A
GPR52	U2OS	93-0371C3	Inquire
GPR55	CHO-K1	93-0245C2	93-0245E2
GPR61	CHO-K1	93-0407C2A	93-0407E2A
GPR65	CHO-K1	93-0428C2A	93-0428E2A
GPR75	CHO-K1	93-0363C2A	93-0363E2A
GPR78	CHO-K1	93-0370C2A	93-0370E2A
GPR79	CHO-K1	93-0408C2A	93-0408E2A
GPR81 (FKSG80)	CHO-K1	Inquire	Inquire
GPR83	CHO-K1	93-0441C2A	93-0441E2A
GPR84	CHO-K1	93-0647C2	Inquire
GPR85 (SREB2)	CHO-K1	93-0409C2A	93-0409E2A
GPR85 (SREB2)	U2OS	93-0409C3	93-0409E3

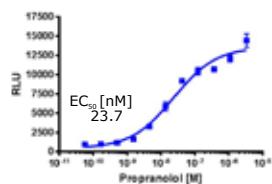
## Orphans continued...

### Orphans

Target	Cell Type	Arrestin Cell Line	Assay Ready Kit
GPR88	CHO-K1	93-0357C2A	93-0357E2A
GPR97	CHO-K1	93-0410C2A	93-0410E2A
GPR101	CHO-K1	93-0831C2	Inquire
GPR107	CHO-K1	93-0419C2A	93-0419E2A
GPR119	HEK 293	93-0356C1	Inquire
GPR119	U2OS	93-0356C3	Inquire
GPR123	CHO-K1	93-0417C2A	93-0417E2A
GPR132	CHO-K1	93-0411C2A	93-0411E2A
GPR135 (HUMNPIIY20)	CHO-K1	93-0431C2A	93-0431E2A
GPR137 (TM7SF1)	CHO-K1	93-0361C2A	93-0361E2A
GPR139 (PGR3)	CHO-K1	93-0954C2	93-0412E2A
GPR141 (axor115)	CHO-K1	93-0385C2A	93-0385E2A
GPR142 (AXOR103)	CHO-K1	93-0413C2A	93-0413E2A
GPR143	CHO-K1	93-0362C2A	93-0362E2A
GPR146 (AXOR120)	CHO-K1	93-0365C2A	93-0365E2A
GPR148	CHO-K1	93-0364C2A	93-0364E2A
GPR149 (R35, PGR10)	CHO-K1	93-0420C2A	93-0420E2A
GPR150 (AXOR70)	HEK 293	93-0375C1A	Inquire
GPR150 (AXOR70)	CHO-K1	93-0375C2A	93-0375E2A
GPR151 (AXOR40)	CHO-K1	93-0381C2A	Inquire
GPR152	HEK 293	93-0384C1A	Inquire
GPR152	CHO-K1	93-0384C2A	Inquire
GPR157	CHO-K1	93-0414C2A	93-0414E2A
GPR161	CHO-K1	93-0705C2	Inquire
GPR162	CHO-K1	93-0383C2A	93-0383E2A
GPR171	CHO-K1	93-0415C2A	93-0415E2A
GPR173 (SREB3)	HEK 293	93-0380C1A	Inquire
GPR173 (SREB3)	CHO-K1	93-0380C2A	93-0380E2A
GPR176 (HB954)	CHO-K1	93-0382C2A	93-0382E2A
GPR183 (EBI2)	CHO-K1	93-0437C2A	93-0437E2A
GPR182	CHO-K1	93-0754C2	93-0754E2
GPR183 (EBI2)	CHO-K1	93-0437C2A	93-0437E2A
LGR4 (GPR48)	CHO-K1	93-0516C2A	93-0516E2A
LGR5 (GPR49)	CHO-K1	93-0526C2A	93-0526E2A
LGR6	CHO-K1	93-0527C2A	93-0527E2A
MRGPRD	CHO-K1	93-0926C2	93-0926E2
MRGPRE	CHO-K1	93-0438C2A	93-0438E2A
MRGPRF	CHO-K1	93-0433C2A	93-0433E2A
MRGPRX1	CHO-K1	93-0919C2	Inquire
MRGPRX2	CHO-K1	93-0309C2	93-0309E2
MRGPRX4	CHO-K1	93-0541C2A	93-0541E2A
OPN5	CHO-K1	93-0704C2	93-0704E2
P2RY8	CHO-K1	93-0635C2	93-0635E2
TAAR5	CHO-K1	93-0718C2	93-0718E2

**Adrenoceptor****Frizzled****Melanocortin****ADRB2(W158A)**Coupling: G<sub>s</sub>

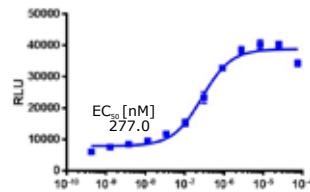
Pharmacotrafficking



ADRB2(W158A) Clone: 93-0986C3  
Assay Ready eXpress Kit: 93-0986E3

**SMO(W535L)**Coupling: G<sub>i</sub>/G<sub>o</sub>

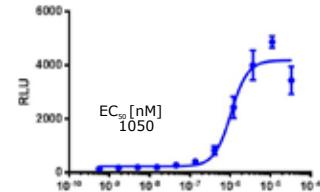
Pharmacotrafficking



SMO(W535L) Clone: 93-0991C3  
Assay Ready eXpress Kit: Inquire

**MC4R(T162I)**Coupling: G<sub>s</sub>

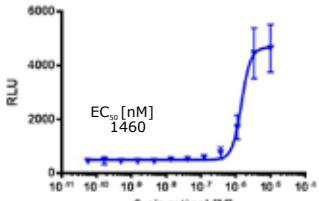
Pharmacotrafficking



MC4R(T162I) Clone: 93-1004C3  
Assay Ready eXpress Kit: 93-1004E3

**Rhodopsin****Vasopressin****RHO(P23H)**Coupling: G<sub>t</sub>

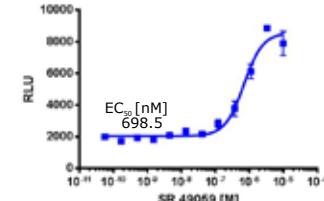
Pharmacotrafficking



mRHO(P23H) Clone: 93-0990C3  
Assay Ready eXpress Kit: Inquire

**AVPR2(S167T)**Coupling: G<sub>s</sub>

Pharmacotrafficking



AVPR2(S167T) Clone: 93-0992C3  
Assay Ready eXpress Kit: 93-0992E3

## Assay Ready eXpress Kits

### Complete Ready-to-use Kits

- Functionally validated frozen cells & reagents
- Single assay format - detect agonists, antagonists, & allosteric modulators
- Optimized step-by-step protocol
- Chemiluminescent detection for fast & reliable



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# GPCR Screening & Profiling Services

LeadHunter® GPCR service solutions portfolio relies on a collection of over 660 naturally coupled stable GPCR cell lines that enable multiple downstream signaling events to be studied in response to therapeutic activation. Whether the focus is HTS, hit identification, SAR optimization, or selectivity profiling, LeadHunter Services can reduce the time and cost of GPCR drug discovery.

- Over 660 non-force couple GPCR assays
- Multiple functional readouts including arrestin recruitment, cAMP, calcium or internalization
- Select from a pre-defined panel or create a personalized panel using only the assays needed
- Largest panel of >70 orphan GPCRs ideal for receptor de-orphanization programs
- Functional readouts for both agonist and antagonist data

## Comprehensive Service Solutions

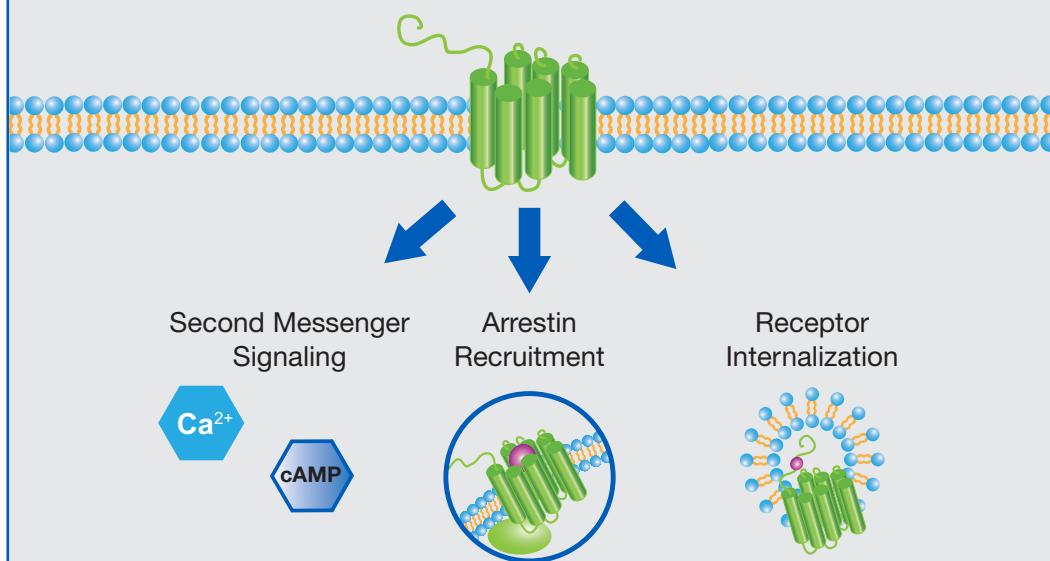
Panels	Description
<i>gpcrMAX</i>	Complete panel of >165 receptors covering 60 distinct ligand families. Run in agonist and antagonist modes.
<i>orphanMAX</i>	Largest panel of >70 orphan GPCRs covering both Class A and Class B orphan receptors. Run in agonist mode only.
<i>gpcrELECT</i>	A flexible approach to the world's largest menu of naturally coupled known, orphan and ortholog GPCR cell lines. Select target(s) in any one of our assay readouts ( $\beta$ -arrestin, cAMP or internalization).
<i>gpcrE/IC<sub>50</sub>ELECT</i>	Quantitate therapeutic potency of compounds against any GPCRs can functional cell-based assay.
Chemokine Panel	Panel covering the entire family of 20 chemokine receptors. Run in agonist and antagonist modes.
Therapeutic Panels	Disease panels include: Cancer, Neurological, Inflammation, Pain and Addiction, Metabolic, Cardiovascular, Digestive and Renal, Reproductive, Respiratory, Ocular, Dermatology, Auditory and Otology.
<i>gpcrBIAS</i>	Quantitative functional selectivity and agonist bias data to guide SAR and lead optimization activities. May be performed using: $\beta$ -arrestin, cAMP, calcium, and internalization.
Positive/Negative Allosteric Modulator Screening	Easily quantify PAMs and NAMs using GPCR cell-based $\beta$ -arrestin, cAMP, and internalization assays or services from DiscoveRx.

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# gpcrBIAS<sup>SM</sup> Functional Selectivity Indexing Service

## Ligand Bias Simplified

DiscoveRx provides a unique service that utilizes state of the art, highly referenced quantitative tools developed by leading pharmacologist Professor Terry Kenakin University of North Carolina of School of Medicine, Chapel Hill, for fine detail characterization of agonist efficacy on a signaling pathway.



## Service Details

**Service:** Compound profiling for second messenger, β-arrestin, or internalization assays from a choice of over 200 GPCRs. Application of ligand bias analysis to identify statistically significant biased interactions.

**Deliverables:** Study report containing detailed profile of compounds in two or more signaling pathways. Analysis of activity relative to natural ligands to derive a biased index value.

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## AssayComplete™ Kits & Reagents

Get the most out of your cell-based assays using AssayComplete kits and reagents validated for PathHunter® and cAMP Hunter™ cell lines. Optimized for consistent, reliable and high quality results, our cell culture medias and reagents are carefully formulated to provide ideal cell morphology, cell viability and maximal assay performance. With our certified reagents you are assured a convenient solution that yields successful research outcomes while minimizing assay development time.

### Features & Benefits

- Functionally tested with PathHunter and cAMP Hunter cell lines
- Assay-specific optimization to ensure accurate and reproducible results
- Easy to use, out-of-the-box performance
- Eliminates assay development time – no need to test multiple reagent sources
- Saves time and money

### AssayComplete Cell Culture Kits

*Propagate PathHunter and cAMP Hunter cell lines in optimal cell culture environment*

Successful experiments require reproducible and reliable results every time. AssayComplete Cell Culture Kits are carefully formulated for optimal cell health leading to excellent cell morphology, high cell viability and maximal assay performance.

### AssayComplete Revive Reagents

*Efficiently recover PathHunter and cAMP Hunter cell lines from cryopreserved state*

AssayComplete Revive Reagents are formulated to achieve maximal viability and cell health during recovery of cryopreserved mammalian cells. This reagent ensures high yield recovery, improves cell plating efficiency of all PathHunter and cAMP Hunter cell lines to provide you the highest quality, consistency and performance every time.

### AssayComplete Preserve Freezing Reagents

*Ensure long term storage of PathHunter and cAMP Hunter cell lines in liquid nitrogen*

AssayComplete Preserve Freezing Reagents are optimized for consistent cryopreservation of PathHunter and cAMP Hunter cell lines to ensure optimal and reproducible results.

### AssayComplete Cell Plating Reagents

*Maximize ligand responsiveness at the time of the PathHunter and cAMP Hunter cell-based assays*

Each PathHunter and cAMP Hunter cell line has been optimized for use with a specific plating reagent to produce the highest possible assay performance. Additionally, each cell plating reagent was developed to maintain high cell viability and maximize ligand responsiveness for the duration of the assay while minimizing background signal by eliminating additional hormones and growth components that could impact the assay.

### AssayComplete Cell Detachment Reagent

*Sustain cell membrane morphology upon detachment of cells from tissue culture plasticware and during routine cell passaging*

Ready-to-use AssayComplete Cell Detachment Reagent is formulated and optimized for use with PathHunter and cAMP Hunter cell lines to maintain intact cell membrane morphology following detachment of cells from tissue culture plasticware. It is used for routine cell passaging and preparation of assay plates.



## General Multiple Targets

**Multiple:** Tena-Campos M, Ramon E, Rivera D, Borroto-Escuela DO, Romero-Fernandez W, Fuxe K, Garriga P. (2014). G-protein-coupled receptors oligomerization: emerging signaling units and new opportunities for drug design. *Curr Protein Pept Sci.* 15(7):648-58

**Multiple:** Bohn LM, McDonald PH. (2010). Seeking Ligand Bias: Assessing GPCR Coupling to Beta-Arrestins for Drug Discovery. *Drug Discov Today Technol.* 2010 Spring;7(1):e37-e42.

**Multiple:** Verhaar F, van Rosmalen JW, Blomenröh M, van Koppen CJ, Blankesteijn WM, Smits JF and Zaman GJ. (2008). G protein-independent cell-based assays for drug discovery on seven-transmembrane receptors. *Biotechnol Annu Rev* 14:253-74.

**Multiple (ProLink Vector, Parental):** Yin H, Chu A, Li W, Wang B, Shelton F, Otero F, Nguyen DG, Caldwell JS and Chen YA. (2009). Lipid G protein-coupled receptor ligand identification using beta-arrestin PathHunter assay. *J Biol Chem* 284(18):12328-38.

**S1P1, GCGR, CHRM5, HRH2, OPRD1, ADRB2:** Bassoni DL, Raab WJ, Achacoso PL, Loh CY and Wehrman TS. (2012). Measurements of beta-arrestin recruitment to activated seven transmembrane receptors using enzyme complementation. *Methods Mol Biol* 897:181-203.

## 5-Hydroxytryptamine (Serotonin)

**5HT1A:** Strotha N, Nisob M, Colabufob NA, Perroneb R, Svenningsson P, Lacivitab E, Leopoldo M. (2015). Arylpiperazine Agonists of the Serotonin 5-HT1A Receptor Preferentially Activate cAMP Signaling versus Recruitment of  $\beta$ -Arrestin-2. *Bioorganic & Medicinal Chemistry.* 762:221-228.

**5HT2A:** Schmid CL, Streicher JM, Meltzer HY, Bohn LM. (2014). Clozapine acts as an agonist at serotonin 2A receptors to counter MK-801-induced behaviors through a  $\beta$ arrestin2-independent activation of Akt. *Neuropsychopharmacology.* 39(8):1902-13.

**5HT2A:** Blough BE, Landavazo A, Decker AM, Partilla JS, Baumann MH, Rothman RB. (2014). Interaction of psychoactive tryptamines with biogenic amine transporters and serotonin receptor subtypes. *Psychopharmacology (Berl).* 231(21):4135-44.

**5HT2A, 5HT2C:** Clarke WP, Chavera TA, Silva M, Sullivan LC, Berg KA. (2013). Signalling profile differences: paliperidone versus risperidone. *Br J Pharmacol* 170(3):532-45.

**5HT2B:** Unett DJ, Gatlin J, Anthony TL, Buzard DJ, Chang S, Chen C, Chen X, Dang HTM, Frazer J, Le MK, Sadeque AJM, Xing C and Gaidarov I. (2013). Kinetics of 5-HT2B receptor signaling: profound agonist-dependent effects on signaling onset and duration. *J Pharmacol Exp Ther* 347(3):645-59.

## Acetylcholine (Muscarinic)

**CHRM1:** Digby GJ, Noetzel MJ, Bubser M, Utley TJ, Walker AG, Byun NE, Lebois EP, Xiang Z, Sheffler DJ, Cho HP, et al. (2012). Novel allosteric agonists of M1 muscarinic acetylcholine receptors induce brain region-specific responses that correspond with behavioral effects in animal models. *J Neurosci* 32(25):8532-44.

**CHRM1:** Watt ML, Schober DA, Hitchcock S, Liu B, Chesterfield AK, McKinzie D and Felder CC. (2011). Pharmacological characterization of LY593093, an M1 muscarinic acetylcholine receptor-selective partial orthosteric agonist. *J Pharmacol Exp Ther* 338(2):622-632.

**CHRM1:** Ma L, Seager MA, Wittmann M, Jacobson M, Bickel D, Burno M, Jones K, Graufelds VK, Xu G, Pearson M et al. (2009). Selective activation of the M1 muscarinic acetylcholine receptor achieved by allosteric potentiation. *Proc Natl Acad Sci USA* 106(37):15950-5.

**CHRM3:** Li H, Yu X, Liles C, Khan M, Vanderlinde-Wood M, Galloway A, Zillner C, Benbrook A, Reim S, Collier D, Hill MA, Raj SR, Okamoto LE, Cunningham MW, Aston CE, Kem DC. (2014). Autoimmune basis for postural tachycardia syndrome. *J Am Heart Assoc.* 26;3(1):e000755.

**CHRM3:** Li H, Kem DC, Reim S, Khan M, Vanderlinde-Wood M, Zillner C, Collier D, Liles C, Hill MA, Cunningham MW, Aston CE and Yu X. (2012). Agonistic autoantibodies as vasodilators in orthostatic hypotension: a new mechanism. *Hypertension* 59(2):402-8.

## Select References continued...

**CHRM3:** Poulin B, Butcher A, McWilliams P, Bourgognon JM, Pawlak R, Kong KC, Bottrill A, Mistry S, Wess J, Rosethorne EM, Charlton SJ and Tobin AB. (2010). The M3-muscarinic receptor regulates learning and memory in a receptor phosphorylation/arrestin-dependent manner. *Proc Natl Acad Sci U S A* 107(20):9440-5.

**CHRM3:** Kong KC, Butcher AJ, McWilliams P, Jones D, Wess J, Hamdan FF, Werry T, Rosethorne EM, Charlton SJ, Munson SE, Cragg HA, Smart AD and Tobin AB. (2010). M3-muscarinic receptor promotes insulin release via receptor phosphorylation/arrestin-dependent activation of protein kinase D1. *Proc Natl Acad Sci USA* 107(49):21181-6.

### Adenosine

**ADORA1, 2, 3:** Alnouri MW, Jepards S, Casari A, Schiedel AC, Hinz S, Müller CE. (2015). Selectivity is species-dependent: Characterization of standard agonists and antagonists at human, rat, and mouse adenosine receptors. *Purinergic Signal*. [Epub ahead of print]

**ADORA2B:** Gao ZG, Balasubramanian R, Kiselev E, Wei Q, Jacobson KA. (2014). Probing biased/partial agonism at the G protein-coupled A(2B) adenosine receptor. *Biochem Pharmacol*. 90(3):297-306.

**ADORA3:** Verzijl D and Ijzerman AP. (2011). Functional selectivity of adenosine receptor ligands. *Purinergic Signal* 7(2):171-92.

**ADORA3:** Gao ZG, Verzijl D, Zweemer A, Ye K, Goblyos A, Ijzerman AP and Jacobson KA. (2011). Functionally biased modulation of A(3) adenosine receptor agonist efficacy and potency by imidazoquinolinamine allosteric enhancers. *Biochem Pharmacol* 82(6):658-68.

**ADORA3:** Gao ZG and Jacobson KA. (2008). Translocation of arrestin induced by human A(3) adenosine receptor ligands in an engineered cell line: comparison with G protein-dependent pathways. *Pharmacol Res* 57(4):303-11.

### Adrenoreceptor

**ADRA2C:** Kurui D, Kapui Z, Nagy J, Lendvai B, Kolok S. (2014). Analysis of functional selectivity through G protein-dependent and -independent signaling pathways at the adrenergic  $\alpha$ 2C receptor. *Brain Res Bull*. 107: 89-10.

**ADRB1:** Rastogi T, Leder C, Kümmerer K. (2015). Re-Designing of Existing Pharmaceuticals for Environmental Biodegradability: A tiered approach with  $\beta$ -Blocker Propranolol as an example. *Environ Sci Technol*. [Epub ahead of print]

**ADRB1:** Hutchings CJ, Cseke G, Osborne G, Woolard J, Zhukov A, Koglin M, Jazayeri A, Pandya-Pathak J, Langmead CJ, Hill SJ, Weir M, Marshall FH. (2014). Monoclonal anti- $\alpha$ 1-adrenergic receptor antibodies activate G protein signaling in the absence of  $\beta$ -arrestin recruitment. *mAbs*. 6(1):246-61.

**ADRB2:** Kopra K, Kainulainen M, Mikkonen P, Rozwandowicz-Jansen A, Hänninen P and Härmä H. (2013). Multiparametric homogeneous method for identification of ligand binding to G protein-coupled receptors: receptor-ligand binding and  $\beta$ -arrestin assay. *Analytical Chemistry* 85(4):2276-228.

**ADRB2:** Weiss DR, Ahn S, Sassano MF, Kleist A, Zhu X, Strachan R, Roth BL, Lefkowitz RJ and Shoichet BK. (2013). Conformation guides molecular efficacy in docking screens of activated  $\beta$ -2 adrenergic G-protein coupled receptor. *ACS Chem Biol* 8(5):1018-26.

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Multiple GPCRs Vector Panel	
QHTA	Gessier F, Preuss I, Yin H, Rosenkilde MM, Laurent S, Endres R, Chen YA, Marsilje TH, Seuwen K, Nguyen DG, Sailer AW. (2014). Identification and characterization of small molecule modulators of the Epstein-Barr virus-induced gene 2 (EBI2) receptor. <i>J Med Chem</i> . 57(8):3358-68.
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