

# SelectScreen™ Cell-based GPCR Profiling Service: Rapid Profiling of Compounds with Functional Assays in Agonist and Antagonist Mode.

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## Abstract

G Protein-Coupled Receptors (GPCRs) represent the largest validated target market for drug discovery research, and as more drugs are developed targeting members of this integral membrane protein superfamily, they have become the core of modern medicine. As the pace of drug discovery has increased and pipelines have thinned, the need for drug discovery companies to supplement their internal efforts has also increased. Recognizing this demand, Invitrogen developed the SelectScreen™ Cellular GPCR Profiling service. This service uses our ever expanding catalog of validated, functional GPCR cellular assays developed with two distinct assay platforms. The GeneBLAZer® GPCR cell lines and Tango™ GPCR cell lines are assayed in a division arrested or cryopreserved format to deliver a rapid turn around time. Each assay is run with strict plate-based quality control protocols to verify that the assay performance is as expected. In this poster, we will provide example data illustrating the quality of results one can expect from our SelectScreen™ Cellular GPCR Profiling Service.

## SelectScreen™ Service

Currently 76 functional GPCR Cell Based Assays in the panel + 9 parental cell lines

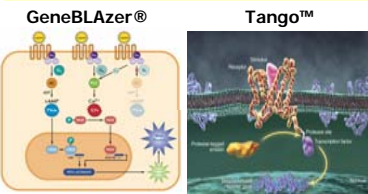
10 point titrations or single point screening formats

High data quality – control data run on every plate

Utilizes our GeneBLAZer® and Tango™ assay platforms

Quick 2-3 week turn around time

## Figure 1 – GeneBLAZer® and Tango™ Assay Technologies



**Figure 1:** GeneBLAZer® cell lines have been developed by Invitrogen to speed GPCR research and drug discovery. The cell lines consist of a cAMP response element (CRE) upstream of the beta-lactamase gene for use with G<sub>i</sub> coupled receptors, an NFAT response element upstream of the beta-lactamase gene for use with G<sub>q</sub> coupled receptors, and either G<sub>q15</sub>, G<sub>q55</sub>, or G<sub>15</sub> stably integrated into the NFAT response element cell lines for use with G<sub>10</sub> coupled receptors. Parental cell lines have been built in Jurkat, CHO, or HEK backgrounds.

The Tango™ GPCR Assay System is a proprietary platform based upon ligand binding to a specific G protein-coupled receptor (GPCR) that triggers desensitization, a process mediated by the recruitment of protease-tagged arrestin proteins to the activated receptor. The protease-tagged arrestin cleaves a protease site fused to the C-terminus of the GPCR, releasing a non-native transcription factor. This transcription factor immediately enters the nucleus, thus bypassing additional signaling intermediates. There, the transcription factor directly regulates transcription of a beta-lactamase reporter construct, which is measured upon addition of the live-cell substrate.

## Figure 2 – Current SelectScreen™ Panel

Receptor Family	Assay	Cell Line	Agonist	Antagonist	Disease Relevance
Adrenergic	ADRA1A	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA1B	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2A	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
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Adrenergic	ADRA2C	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2D	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2E	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2F	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2G	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2H	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2I	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2J	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2K	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2L	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2M	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2N	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2O	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2P	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2Q	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2R	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
Adrenergic	ADRA2S	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
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Adrenergic	ADRA2X	HEK293T	Dobutamine	Alprenolol	Cardiac; Adrenergic System; Neurotransmission
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