

GPCR Ligand Screening Related Services/Products

INTRODUCTION

The G protein-coupled receptor (GPCR) gene superfamily consists of hundreds of members that are widely expressed in all tissues and serve as receptors for a diverse complement of ligands. Approximately 100 of these receptors are considered orphan GPCRs, in that no endogenous ligand has been confirmed for them.

Characterized by having seven transmembrane alpha-helical domains, GPCRs mediate a wide spectrum of cellular processes ranging from cell growth to neurotransmission. On activation via ligand binding, GPCRs couple to heterotrimeric G proteins composed of three subunits: $G\alpha$, β and γ . G protein α subunits are classified as members of one of four groups: $G_{i/o}$, G_s , G_q , and $G_{12/13}$. Each subunit couples to specific cellular protein targets (e.g. adenylyl cyclases) through which cellular activity is modulated. The $G\beta/\gamma$ G protein subunits are capable of acting at their own specific targets, such as modulating ion channel activity. The available complement of heterotrimeric G proteins will therefore affect its response profile.

A regulatory system controls the timing and duration of GPCR signaling. GPCR kinases (GRKs) phosphorylate agonist-occupied receptors, typically leading to receptor desensitization. Beta-arrestins are scaffolding proteins that are recruited to activated GPCRs and prevent G protein association with the receptor. They also serve to spatially segregate GPCR signaling to molecules such as mitogen-activated protein kinases. The Regulators of G protein signaling (RGS) proteins, which enhance the GTPase activity of $G\alpha$ subunits, is another large family of proteins important in fine-tuning GPCR signaling.

Due to their central roles in many cellular functions, GPCRs are important therapeutic targets. Different reports estimate that between 30 and 50% of all prescription drugs target GPCRs. Interestingly, these drugs only target ~10% of the non-chemosensory receptors, leaving hundreds of GPCRs as potential drug targets.

To facilitate researches ranging from (1) identifying ligands, (2) studying receptor protein interactions, and (3) measuring cellular effects of receptor activation, Angio-Proteomie is proud to offer the following services:

- (1) Constructing GPCR expressing vectors (GPCRs with fused GFP at c-terminal and separated cellular RFP expression within target cells)
- (2) Generating GPCR expressing lentiviruses
- (3) Establishing stable GPCR expressing cell lines in 293HEK, CHO-K1 or cell lines of your own choices
- (4) Co-expressing GPCR protein with Aequorin (mitochondria) and $G\alpha_{16}$ in 293HEK, CHO-K1 or cell lines of your own choice)
- (5) Ligand screening services

Need more information, please feel free to contact us:

Customerservice@angioproteomie.com

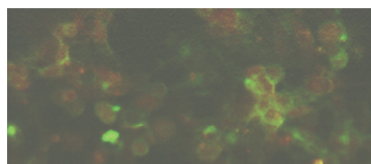
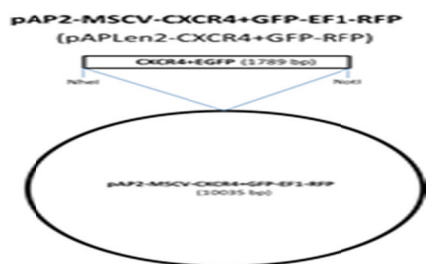
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Here is one example of our GPCR related service/products:

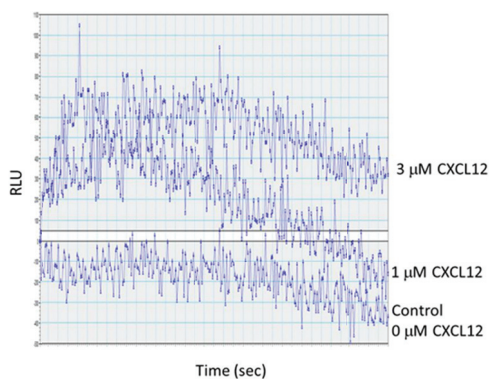
Human CXCR4

- (1) Human CXCR4 (fused with GFP at c-terminal) expression construct in pAPLen2 vector ([can be purchased separately](#)):
 - a. The vector also contains the system expressing RFP and puromycin under the control of EF1
 - b. Can be used for human CXCR4 expression in mammalian cells directly
 - c. Can be used to generate lentiviruses expressing human CXCR4



CXCR4 (fused with GFP) overexpression on membrane of RFP Tagged 293HEK Cells

- (2) Lentiviruses expressing human CXCR4-GFP ([can be purchased separately](#)):
 - a. can facilitate the overexpression of GPCR to most of the tough to be transfected target cells
- (3) Stable 293HEK cells with membrane CXCR4-GFP over expression and cellular RFP double Tags or cell lines of your own choices ([can be purchased separately](#))
- (4) Readily available 293HEK/CHO-K1 cells with stable expression of Aequorin/Ga16 protein ([can be purchased separately](#))
- (5) Stable cell lines with the expression of CXCR4 in 293HEK/CHO-K1 cells with stable expression of Aequorin/Ga16 protein ([can be purchased separately](#))
- (6) CXCL12/CXCR4 (Ligand/Receptor) induced mitochondria calcium influx using stable cell line with the expression of CXCR4 in 293HEK/CHO-K1 cells with stable expression of Aequorin/Ga16 protein (Aequorin-based HTP assay format)



Caution: Handling human or animal tissue derived products is potentially bio-hazardous. Although each cell strain is tested negative for HIV, HBV and HCV DNA, diagnostic tests are not necessarily 100% accurate; therefore, proper precautions must be taken to avoid inadvertent exposure. Always wear gloves and safety glasses when working these materials. Never mouth pipette. We recommend following the universal procedures for handling products of human origin as the minimum precaution against contamination.