

PathHunter® eXpress F2RL1 Activated GPCR Internalization Assay

Catalog Number: 93-0747E3

Lot Number:

See Vial

Contents: 1 x 10⁶ cells per vial in 0.1 mL

Background

PathHunter eXpress Activated GPCR Internalization cells are engineered to co-express an untagged GPCR, Enzyme Acceptor (EA) tagged β -Arrestin, and a ProLink™ (PK) tag localized to the endosomes. Activation of the GPCR induces β -Arrestin recruitment, followed by internalization of the Receptor/Arrestin-EA complex in PK-tagged endosomes. This forces complementation of the two β -galactosidase enzyme fragments (EA and PK), forming functional enzyme that hydrolyzes substrate to generate a chemiluminescent signal. These cells have been modified to prevent long term propagation and expansion using a proprietary compound that has no apparent effect on assay performance.

Product Information

Target GPCR: F2RL1

Description: Coagulation factor II (thrombin) receptor-like 1 (PAR2)

Receptor Family: Protease activated

Coupling: Gi/Go & Gq

Accession Number: NM_005242.4

GPCR Species: Human

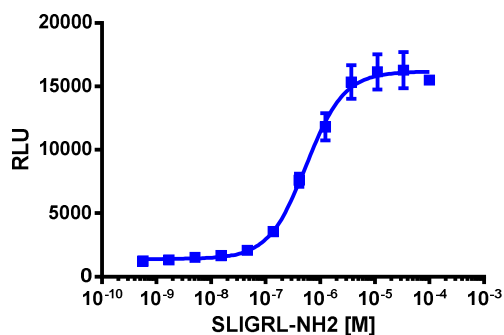
β -Arrestin Isoform: β -Arrestin-2

Cell Type: U2OS

Storage: Short term (<24 h): Store at -80°C; Long term (>24 h): Store in vapor phase of liquid nitrogen.

Functional Performance

Cells were plated in a 96-well plate and stimulated with a control agonist, using the assay conditions described below. Following stimulation, signal was detected according to the recommended protocol. Please refer below for information on control compounds.



Cell Number/Well:

10000

Control Agonist:

SLIGRL-NH2

Cell Plating Reagent:

AssayComplete™ Cell Plating 5 Reagent

Cell Incubation Time (Hours):

Agonist Incubation Time (minutes):

180

Agonist Incubation Temperature (°C):

RT

EC₅₀ for Agonist Stimulation (nM):

552

Signal:Background at Agonist E_{max}:

12.7

Additional Ligand Information

Control Agonist: SLIGRL-NH2

Vendor: Eurofins DiscoverX® (Catalog No. 92-1124)

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