

## PathHunter® eXpress CCKAR Activated GPCR Internalization Assay

**Catalog Number:** 93-0650E3

**Lot Number:**

See Vial

**Contents:** 1 x 10<sup>6</sup> 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  $\beta$ -Arrestin, and a ProLink™ (PK) tag localized to the endosomes. Activation of the GPCR induces  $\beta$ -Arrestin recruitment, followed by internalization of the Receptor/Arrestin-EA complex in PK-tagged endosomes. This forces complementation of the two  $\beta$ -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:** CCKAR

**Description:** Cholecystokinin A receptor

**Receptor Family:** Cholecystokinin

**Coupling:** Gq

**Accession Number:** AY322549

**GPCR Species:** Human

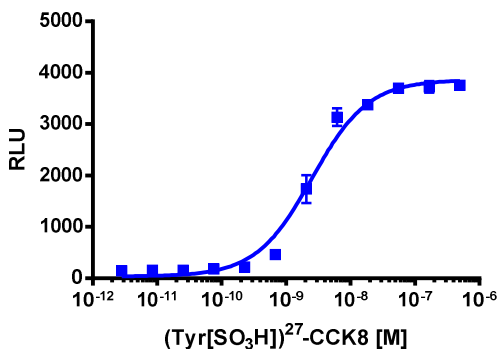
**$\beta$ -Arrestin Isoform:**  $\beta$ -Arrestin-2

**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:**

(Tyr[SO<sub>3</sub>H]<sup>27</sup>) Cholecystokinin (26-33)

**Cell Plating Reagent:**

AssayComplete™ Cell Plating 5 Reagent

**Cell Incubation Time (Hours):**

**Agonist Incubation Time (minutes):**

180

**Agonist Incubation Temperature (°C):**

37

**EC<sub>50</sub> for Agonist Stimulation (nM):**

2.5

**Signal:Background at Agonist E<sub>max</sub>:**

26.2

### Additional Ligand Information

**Control Agonist:** (Tyr[SO<sub>3</sub>]<sup>27</sup>) Cholecystokinin (26-33)

**Vendor:** Eurofins DiscoverX<sup>®</sup> (Catalog No. 92-1152)

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