

MULTISCREEN™ B-ARRESTIN2 STABLE CELL LINE HUMAN RECOMBINANT GPR139

PRODUCT INFORMATION

Catalog Number: CA1373BA2-1

Lot Number: CA1373BA2-1-081324

Quantity: 1 vial (2 x 10⁶) frozen cells

Freeze Medium: Cellbanker 2

Host cell: CHO-K1 β-Arrestin2

Transfection: Expression vector containing full-length human GPR139 cDNA (GenBank accession number NM_001002911.1) with FLAG tag sequence at N-terminus

Recommended Storage: Liquid nitrogen upon receiving

Propagation Medium: DMEM/F12, 10% FBS, 10 µg/mL puromycin, 800 µg/mL G418

Stability: Stable for a minimum of 2 months in continuous culture

Data Sheet

Background: GPR139 is a class A orphan G protein-coupled receptor highly expressed in the central nervous system, with enriched localization in the striatum, habenula, and hypothalamus. It is highly conserved across species and signals primarily through the Gq/11 pathway, resulting in intracellular calcium mobilization. GPR139 has been implicated in the regulation of locomotor activity, reward processing, and neuroendocrine signaling, with emerging relevance to neuropsychiatric disorders including schizophrenia and substance use disorders. TAK-041, a potent and selective GPR139 agonist, has provided key pharmacological validation of the receptor, demonstrating brain target engagement and modulation of reward-related circuitry in preclinical and clinical studies, supporting its translational potential as a CNS drug target.

Application: Functional assays

Figure 1

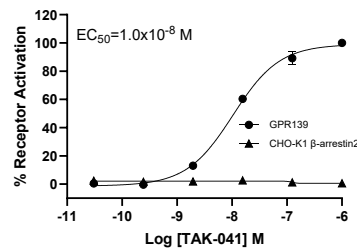


Figure 2

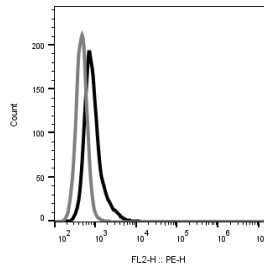


Figure 1. Dose-dependent stimulation of calcium flux upon treatment with ligand, measured with MULTISCREEN™ Calcium 1.0 No Wash Assay Kit (Multispan MSCA01).

Figure 2. Receptor expression on cell surface measured by flow cytometry (FACS) using an anti-FLAG antibody. Thin line: parental cells; thick line: receptor-expressing cells.

References:

Matsuo A, et al. (2005) Molecular cloning and characterization of a novel Gq-coupled orphan receptor GPRg1 exclusively expressed in the central nervous system. *Biochem. Biophys. Res. Commun.* 331(1):363-369

Susens U, et al. (2006) Characterisation and differential expression of two very closely related G-protein-coupled receptors, GPR139 and GPR142, in mouse tissue and during mouse development. *Neuropharmacology.* 50(4): 512-520

Vedel L, et al. (2020) Pharmacology and function of the orphan GPR139 G protein-coupled receptor. *Basic Clin Pharmacol Toxicol.* 126(S6): 35-46.

FOR RESEARCH USE ONLY.

All rights reserved. No part of this document may be reproduced in any form without prior permission in writing.