

HUMAN RECOMBINANT GPR6 RECEPTOR MULTISCREEN™ STABLE CELL LINES

Data sheet

PRODUCT INFORMATION

Catalog Number: C1116a

Lot Number: C1116a-110722

Quantity: 1 vial (2 x 10⁶) frozen cells

Freeze Medium: CellBanker 2

Host cell: HEK293T

Transfection: Expression vector containing full-length human GPR6 cDNA (GenBank Accession Number NM_005284.2) with FLAG tag sequence at N-terminus

Recommended Storage: Liquid nitrogen upon receiving

Propagation Medium: DMEM, 10% FBS, 1 ug/mL puromycin

Stability: In progress

Background: G-protein coupled receptor 6 (GPR6) is mostly expressed in the basal ganglia's striatopallidal neurons. GPR6 is an orphan G-protein coupled receptor that has a ubiquitous function and generates an increase in intracellular cAMP levels when it is linked to a stimulatory Gs-protein. GPR6 receptor, with highly restricted expression in dopamine receptor D2-type medium spiny neurons (MSNs) of the indirect pathway triggers interest of researchers as a novel non-dopaminergic drug target for Parkinson's disease. Recently it was hypothesized that inhibition of GPR6 and D2 receptors coexpressed in the indirect pathway D2-type MSN antagonized each other with respect to cAMP modulation.

Application: Functional assays

Figure 1

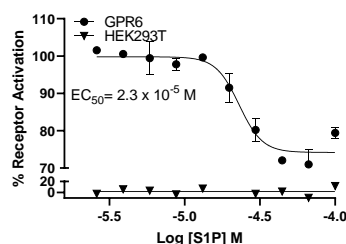


Figure 2

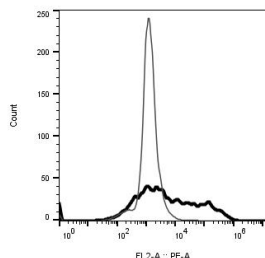


Figure 1. Dose-dependent stimulation of intracellular cAMP level upon treatment with ligand, measured with MULTISCREEN™ TR-FRET cAMP 1.0 No Wash Assay Kit (Multispan MSCM01). **Figure 2.** Receptor expression on cell surface measured by flow cytometry (FACS) using an anti-FLAG antibody. Thin line: parental cells; thick line: receptor-expressing cells.

References:

Rahman *et al.* (2022). Insights into the Promising Prospect of G Protein and GPCR-Mediated Signaling in Neuropathophysiology and Its Therapeutic Regulation. *Oxid Med Cell Longev.* 2022 Sep 21;2022:8425640.

Sun *et al.* (2021). First-Time Disclosure of CVN424, a Potent and Selective GPR6 Inverse Agonist for the Treatment of Parkinson's Disease: Discovery, Pharmacological Validation, and Identification of a Clinical Candidate. *J Med Chem.* 2021 Jul 22;64(14):9875-9890.

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