Product Data Sheet

Chemical Properties

Product Name: G-1
Cas No.: 881639-98-1
M.Wt: 412.28
Formula: C21H18BrNO3
Synonyms: N/A
Chemical Name: rel-1-[4-(6-bromo-1,3-benzodioxol-5-yl)-3aR,4S,5,9bS-tetrahydro-3H-cyclopenta[c]quinolin-8-yl]-ethanone
Canonical SMILES: CC(C1=CC(=[C@@](C=C2)([H])=[C@@](C=CC(OCO4)=C4C=C3Br)N5)=C5C=C1)=O
Solubility: \( \geq 41.2\text{mg/mL} \) in DMSO
Storage: Store at \(-20^\circ \text{C}\)
General tips: For obtaining a higher solubility, please warm the tube at 37 \(^\circ\text{C}\) and shake it in the ultrasonic bath for a while. Stock solution can be stored below \(-20^\circ\text{C}\) for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Endocrinology and Hormones
Pathways: Estrogen/progestogen Receptor
Description:

G-1 is a potent and selective agonist of GPR30 with EC50 value of 2 nM [1].
G protein-coupled receptor 30 (GPR30) is an integral membrane protein that localizes to the endoplasmic reticulum and with high affinity for estradiol and aldosterone. GPR30 participates in multiple intracellular signaling pathways [1].
G-1 is a potent and selective GPR30 agonist. In GPR30-expressing cells, G-1 competed binding of
the fluorescent estrogen with Ki value of 11 nM, while no substantial binding at concentrations up to 1 μM in ERα- and ER β-expressing cells. In GPR30-expressing COS7 cells, G-1 significantly increased intracellular calcium concentrations with EC50 value of 2 nM in a dose-dependent way. In SKBr3 breast cancer cells that expressed only GPR30, G-1 activated PI3K and resulted in the nuclear accumulation of PIP3 [1].

In female Sprague–Dawley rats with bilateral ovariectomy (OVX), ISO (85 mg/kg for 17 days) was given to make the heart failure models. G-1 (120 μg/kg for 14 days) treatment reduced cardiac fibrosis and concentration of brain natriuretic peptide and increased contraction of the heart. Also, G-1 increased the expression of β2-AR and normalized the expression of β1-AR [2].

Reference:

Protocol

Cell experiment:

Cell lines SKBr3 breast cancer cells and MCF7 cells
Preparation method The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions
Applications In both SKBr3 cells expressing only GPR30 and MCF7 cells expressing GPR30 and ERα/β, G-1 induced nuclear accumulation of PIP3. Besides, G-1 inhibited migration of SKBr3 and MCF7 cells with IC50 values of 0.7 nM and 1.6 nM, respectively. Therefore, G-1 could selectively bind to GPR30 in the same cell where ERs were present, activating endogenously expressed GPR30 and resulting in various physiologic responses, such as inhibition of cell migration.

Animal experiment [3]:

Animal models Female Sprague–Dawley rats with bilateral ovariectomy and heart failure
Dosage form 120 μg/kg; for 14 days
Applications In female Sprague-Dawley rats with bilateral ovariectomy and heart
failure, G-1 treatment reduced concentration of brain natriuretic peptide, inhibited cardiac fibrosis and promoted heart contraction. G-1 attenuated heart failure through chronic activation of the GPR30 which was mediated by normalizing the expression of β1-AR and up-regulating the expression of β2-AR.

Other notes
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Citations

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.