Product Data Sheet

Chemical Properties

**Product Name:** GSK1292263

**Cas No.:** 1032823-75-8

**M.Wt:** 456.56

**Formula:** C23H28N4O4S

**Chemical Name:** 5-[4-[[6-(4-methylsulfonyl)phenyl]pyridin-3-yl]oxymethyl]piperidin-1-yl]-3-propan-2-yl-1,2,4-oxadiazole

**Canonical SMILES:** CC(C)C1=NOC(=N1)N2CCC(CC2)COC3=CN=C(C=C3)C4=CC=C(C=C4)S(=O)(=O)C

**Solubility:** >21.1mg/mL in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°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:** GPCR/G protein

**Pathways:** Free Fatty Acid Receptors

**Description:**
GSK1292263 is a novel agonist of GPR119 receptor agonist and is used for the treatment of type 2 diabetes.

GPR119 is described as a class A (rhodopsin-type) orphan GPCR with no close primary sequence relative in the human genome. The activation of GPR119 increases the intracellular accumulation of cAMP, resulting in enhanced insulin secretion from pancreatic β-cells and increased release of the gut peptides GLP-1 (glucagon-like peptide 1), GIP (glucose-dependent insulinoergic peptide).
and PYY (polypeptide YY).

In vitro, GSK1292263 treatment displayed little inhibition towards CYPs (CYP1A2, 2C9, 2C19, 2D6, 3A4), p-GP, OATP1B3, or OCT2. However, GSK1292263 inhibited BCRP and OATP1B1, which are transporters involved in statin disposition 1.

In the glucose tolerance test in rats, administration of GSK-1292263 significantly increases the peak insulin response and insulin AUC (0-15 min) as compared with the values in the vehicle control. The upregulation of insulin was found to correlate with an increase in the glucose disposal rate. In hyperinsulinemic-euglycemic clamps, GSK-1292263 administration on Sprague-Dawley rats at dose of 10 or 30 mg/kg 2 hours prior to insulin infusion can promote glucagon secretion with no increase of blood glucose levels 2.

Reference:

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.