



## Product Specification Sheet

**Product Name:** GSK1292263

**Catalog Number:** C4129

### Technical information:

Chemical Formula:  $C_{23}H_{28}N_4O_4S$

CAS #: 1032823-75-8

Molecular Weight: 456.56

Purity: > 98%

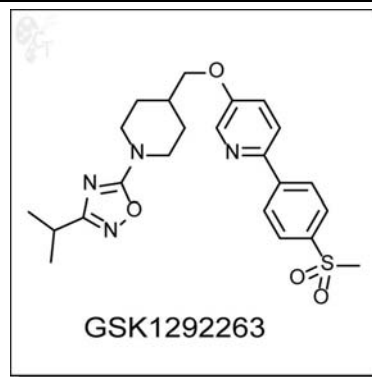
Appearance: white solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 3-isopropyl-5-(4-(((6-(4-(methylsulfonyl)phenyl)pyridin-3-yl)oxy)methyl)piperidin-1-yl)-1,2,4-oxadiazole

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.219mL of DMSO for each mg of GSK1292263.
  - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:** GSK1292263 is a novel GPR119 receptor agonist used for the treatment of type 2 diabetes. The predicted EC50 of GSK1292263 against GPR119 in vitro is at the nanomolar range. (1) The GPR119 receptor is a GPCR which is selectively expressed on pancreatic beta cells and intestinal enteroendocrine cells. Agonists to GPR119 stimulate glucose-dependent insulin secretion in vitro and lower an elevated blood glucose level in vivo.(2)

In vivo, GSK1292263 treatment significantly increases in the peak insulin response and insulin AUC(0-15 min) by 30-60% compared with vehicle control in the intravenous glucose tolerance test. This insulin upregulation correlated with a significant increase in the glucose disposal rate. (3)

- Reference:**
1. Zhu X et al., The first pharmacophore model for potent G protein-coupled receptor 119 agonist. Eur J Med Chem. 2011, 46(7):2901-7 Pubmed ID: 21524831
  2. Overton HA, et al., GPR119, a novel G protein-coupled receptor target for the treatment of type 2 diabetes and obesity. Br J Pharmacol. 2008, 153 Suppl 1:S76-81. Pubmed ID: 18037923
  3. Brown, K.K. et al. Diabetes [70th Annu Meet Sci Sess Am Diabetes Assoc (ADA) (June 25-29, Orlando) 2010] 2010, 59(Suppl. 1): Abst 407

To reorder: <http://www.cellagentech.com/GSK1292263/>

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