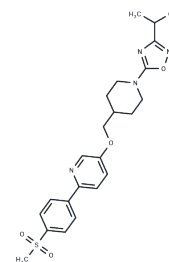


GSK1292263

Chemical Properties

CAS No. : 1032823-75-8
 Formula: C₂₃H₂₈N₄O₄S
 Molecular Weight: 456.56
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK1292263 is a GPR119 agonist and has been investigated for the treatment of DIABETES MELLITUS, TYPE 2.
Targets(IC50)	GPCR
In vitro	In male Sprague-Dawley rats, GSK-1292263 (3-30 mg/kg) was associated with increased levels of gastrointestinal peptides, including glucagon-like peptide 1, oxyntomodulin, peptide YY, and glucagon, especially in the absence of nutrients. This increase was further enhanced by oral glucose administration during glucose tolerance tests. In a 6-week study on Zucker diabetic fatty rats, GSK-1292263 led to a statistically significant increase in insulin immunoreactivity in pancreatic sections compared to the blank control. Furthermore, in a hyperinsulinemic-normoglycemic clamp experiment in Sprague-Dawley rats, GSK-1292263 (10/30 mg/kg) stimulated glucagon secretion without raising blood glucose levels when administered 2 hours before insulin injection, in comparison to the vehicle control.
In vivo	Utilizing Hypo1, GSK-1292263 was identified from a pool of 1,538 compounds. The Fit-Value of GSK-1292263 corresponding to Hypo1 and its estimated value were 8.8 and 7.7 nM, respectively.
Kinase Assay	FTase and GGTase I Activity Assay: FTase and GGTase I activities from 60,000xg supernatants of human Burkitt lymphoma (Daudi) cells are assayed. Inhibition studies are performed by determining the ability of Ras CAAX peptidomimetics to inhibit the transfer of [3H]farnesyl and [3H]geranylgeranyl from [3H]farnesylpyrophosphate and [3H]geranylgeranylpyrophosphate to H-Ras-CVLS and H-Ras-CVLL, respectively.

Solubility Information

Solubility	DMSO: 32 mg/mL (70.09 mM), Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.38 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1903 mL	10.9515 mL	21.9029 mL
5 mM	0.4381 mL	2.1903 mL	4.3806 mL
10 mM	0.219 mL	1.0951 mL	2.1903 mL
50 mM	0.0438 mL	0.219 mL	0.4381 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Zhu X, et al. Eur J Med Chem, 2011, 46(7), 2901-2907.

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