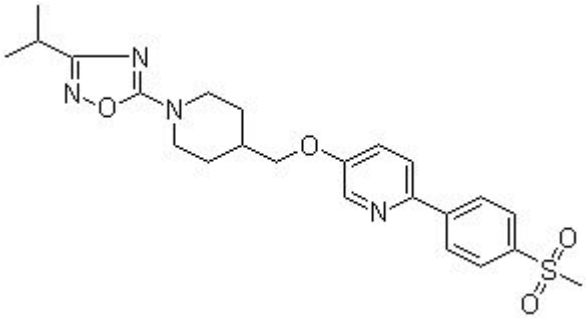
Product Introduction

GSK1292263

GSK1292263 is a novel **GPR119** agonist, showing potential for the treatment of type 2 diabetes. Phase 2.

Technical Data:

Molecular Weight (MW):	456.56	
Formula:	C23H28N4O4S	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 34 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1032823-75-8	

Biological Activity

GSK-1292263 is selected from 1538 compounds by using Hypo1, the Fit-Value and Estimate of GSK-1292263 that is aligned in Hypo1 are 8.8 and 7.7 (nM), respectively. [1]

GSK-1292263 administrated at a single dose of 3-30 mg/kg in the absence of nutrients correlates with increased levels of circulating gastrointestinal peptides, including glucagon-like peptide 1 (GLP-1), gastric inhibitory polypeptide (GIP), peptide YY (PYY) and glucagon in male Sprague-Dawley rats, the increase is enhanced following administration of glucose in the oral glucose tolerance test (OGTT). GSK-129226 significant increases in the peak insulin response and insulin AUC(0-15 min) of 30-60% compared with values in the vehicle control cohort in the intravenous glucose tolerance test in rats, this insulin

upregulation correlated with a significant increase in the glucose disposal rate. GSK-1292263 is associated with a statistically significant increase in insulin immunoreactivity in pancreatic sections in a 6-week study performed in Zucker diabetic fatty rats, compared with insulin immunoreactivity in samples obtained from rats receiving vehicle control. GSK-1292263 administrated at dose of 10 or 30 mg/kg or vehicle control at 2 hours prior to insulin infusion in hyperinsulinemic-euglycemic clamps stimulates glucagon secretion without increasing blood glucose levels Sprague-Dawley rats. [2]

References

[1] Zhu X, et al. Eur J Med Chem, 2011, 46(7), 2901-2907.
[2] Brown KK, et al. Diabetes, 2010, 59(Suppl. 1), Abst 1733-P.

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