

产品名称: **GSK1292263**

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| 生物活性: | | | | | |
|-------------------------------|---|-----------------------------------|-------------|-------------|--------------|
| Description | GSK1292263 is a novel GPR119 receptor agonist used for the treatment of type 2 diabetes. IC50 value: Target: GPR119 in vitro: 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]. in vivo: 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]. | | | | |
| Solvent&Solubility | In Vitro: DMSO : ≥ 30 mg/mL (65.71 mM) * ">" means soluble, but saturation unknown. | | | | |
| | | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
| | Preparing | 1 mM | 2.1903 mL | 10.9515 mL | 21.9029 mL |
| | Stock Solutions | 5 mM | 0.4381 mL | 2.1903 mL | 4.3806 mL |
| | | 10 mM | 0.2190 mL | 1.0951 mL | 2.1903 mL |
| | *请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 | | | | |
| | In Vivo: 1. GSK1292263 is dissolved in 60% polyethylene glycol 400[3]. | | | | |
| References | [1]. Zhu X, et al. The first pharmacophore model for potent G protein-coupled receptor 119 agonist. Eur J Med Chem, 2011, 46(7), 2901-2907. [2]. Yang JW, et al. GPR119: a promising target for nonalcoholic fatty liver disease. FASEB J. 2016 Jan;30(1):324-35. [3]. Brown KK, et al. Diabetes, 2010, 59(Suppl. 1), Abst 1733-P. | | | | |