

产品名称: **GSK1292263**

产品别名: **GSK1292263**

生物活性:

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| 生物活性: | | | | | | | | | | | | | | | | | | |
| Description | <p>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].</p> | | | | | | | | | | | | | | | | | |
| Solvent&Solubility | <p>In Vitro:</p> <p>DMSO : ≥ 30 mg/mL (65.71 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p> | | | | | | | | | | | | | | | | | |
| | <table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div>SolventMassConcentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.1903 mL</td><td>10.9515 mL</td><td>21.9029 mL</td></tr><tr><td>5 mM</td><td>0.4381 mL</td><td>2.1903 mL</td><td>4.3806 mL</td></tr><tr><td>10 mM</td><td>0.2190 mL</td><td>1.0951 mL</td><td>2.1903 mL</td></tr></table> | Preparing Stock Solutions | <div>SolventMassConcentration</div> | 1 mg | 5 mg | 10 mg | 1 mM | 2.1903 mL | 10.9515 mL | 21.9029 mL | 5 mM | 0.4381 mL | 2.1903 mL | 4.3806 mL | 10 mM | 0.2190 mL | 1.0951 mL | 2.1903 mL |
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| | | | 5 mM | 0.4381 mL | 2.1903 mL | 4.3806 mL | | | | | | | | | | | | |
| 10 mM | | 0.2190 mL | 1.0951 mL | 2.1903 mL | | | | | | | | | | | | | | |
| <p>*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。</p> <p>储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。</p> | | | | | | | | | | | | | | | | | | |
| <p>In Vivo:</p> <p>1.GSK1292263 is dissolved in 60% polyethylene glycol 400[3].</p> | | | | | | | | | | | | | | | | | | |
| References | <p>[1]. <u>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.</u></p> <p>[2]. <u>Yang JW, et al. GPR119: a promising target for nonalcoholic fatty liver disease. FASEB J. 2016 Jan;30(1):324-35.</u></p> <p>[3]. <u>Brown KK, et al. Diabetes. 2010, 59(Suppl. 1), Abst 1733-P.</u></p> | | | | | | | | | | | | | | | | | |