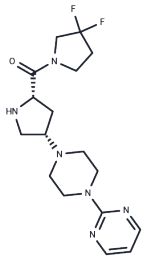
Data Sheet (Cat.No.T11450)

TargetMol

Gosogliptin

Chemical Properties



CAS No. : 869490-23-3

Formula: C17H24F2N6O

Molecular Weight: 366.41

Storage: Store at low temperature
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	Gosogliptin is a potent, orally active, highly selective and competitive inhibitor of DPP-4 (dipeptidyl peptidase 4), increasing the levels of intestinal glucagon peptide (GLP-1) and glucose-dependent proinsulinotropic polypeptide (GIP), thereby enhancing insulin secretion and lowering blood glucose levels. In animal studies, Gosogliptin rapidly, orally and reversibly inhibits plasma DPP-4 activity.
Targets(IC50)	Proteasome,DPP-4
In vitro	Gosogliptin (PF-00734200) is a potent, oral, selective, and competitive DPP-IV inhibitor that rapidly and reversibly inhibits plasma DPP-4 activity when administered orally to rats, dogs, and monkeys.[2]
In vivo	**Methods**: Gosogliptin (PF-00734200) was administered (orally) to intact SD rats (5 mg/kg), beagle dogs (5 mg/kg), and humans (20 mg) to investigate metabolism, pharmacokinetics, and excretion in Dawley (SD) rats, beagle dogs, and humans. **Results**: Gosogliptin recovered a mean of 97.1% of the administered radioactivity in urine, feces, and cage wash fluids within 168 hours after dosing. The mean cumulative dose recovered in feces was 66.0%. The mean cumulative excretion in urine was 30.8%. Approximately 95% of the excreted radioactivity was recovered within the first 48 hours. [2]

Solubility Information

Solubility	DMSO: 80 mg/mL (218.33 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (13.65 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7292 mL	13.6459 mL	27.2918 mL
5 mM	0.5458 mL	2.7292 mL	5.4584 mL
10 mM	0.2729 mL	1.3646 mL	2.7292 mL
50 mM	0.0546 mL	0.2729 mL	0.5458 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

Dai H, et al. The pharmacokinetics of PF-734200, a DPP-IV inhibitor, in subjects with renal insufficiency. Br J Clin Pharmacol. 2011 Jul;72(1):85-91.

Sharma R, et al. Metabolism, excretion, and pharmacokinetics of ((3,3-difluoropyrrolidin-1-yl)((2S,4S)-4-(4-(pyrimidin-2-yl)piperazin-1-yl)pyrrolidin-2-yl)methanone, a dipeptidyl peptidase inhibitor, in rat, dog and human. Drug Metab Dispos. 2012 Nov;40(11):2143-61.

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