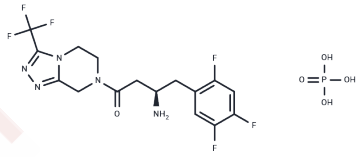
Data Sheet (Cat.No.T23358)

TargetMol

Sitagliptin phosphate

Chemical Properties



CAS No. : 654671-78-0

Formula: C16H18F6N5O5P

Molecular Weight: 505.31

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	Sitagliptin phosphate (MK-0431 phosphate) is a dipeptidyl peptidase-4 (DPP4) inhibitor.
Targets(IC50)	Proteasome,DPP-4,Autophagy
In vitro	In vitro: Sitagliptin was a potent inhibitor for DPP-4 with an IC50 of 18 nM. Sitagliptin inhibited DPP-8 (IC50: 48 μM). Sitagliptin showed no effect on several related peptidases, including DPP-9, DPP-II, and aminopeptidase P [1].
In vivo	In free-fed Han-Wistar rats, the ED50 values ??for the inhibition of plasma DPP-4 activity by sitagliptin phosphate were calculated as 7 hours post-dose at 2.3 mg/kg and 24 hours post-dose at 30 mg/kg [1]. A mouse model of streptozotocin-induced type 1 diabetes showed elevated plasma DPP-4 levels, which was significantly suppressed in mice on a sitagliptin phosphate diet. This is achieved through a positive effect on hyperglycemia regulation, possibly by prolonging islet graft survival. The plasma clearance and volume of distribution of sitagliptin phosphate in rats (40-48 mL/min/kg, 7-9 L/kg) were higher than those in dogs (9 mL/min/kg, 3 L/kg); its The half-life is shorter in rats, 2 hours in dogs and 4 hours in dogs[2].

Solubility Information

Solubility	H2O: 56.11 mg/mL (111.04 mM),Sonication is recommended. DMSO: 255 mg/mL (504.64 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 10 mg/mL (19.79 mM),Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.96 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	1.979 mL	9.8949 mL	19.7898 mL
5 mM	0.3958 mL	1.979 mL	3.958 mL
10 mM	0.1979 mL	0.9895 mL	1.979 mL
50 mM	0.0396 mL	0.1979 mL	0.3958 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

Thomas L, Eckhardt M, Langkopf E, Tadayyon M, Himmelsbach F, Mark M. (R)-8-(3-amino-piperidin-1-yl)-7-but-2-ynyl-3-methyl-1-(4-methyl-quinazolin-2-ylmethyl)-3,7-dihydro-purine-2,6-dione (BI 1356), a novel xanthine-based dipeptidyl peptidase 4 inhibitor, has a superior potency and longer duration of action compared with other dipeptidyl peptidase-4 inhibitors. J Pharmacol Exp Ther. 2008 Apr;325(1):175-82.

Kim SJ, Nian C, Doudet DJ, McIntosh CH. Dipeptidyl peptidase IV inhibition with MK0431 improves islet graft survival in diabetic NOD mice partially via T-cell modulation. Diabetes. 2009 Mar;58(3):641-51.