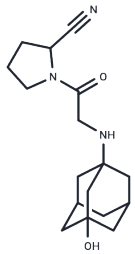
Data Sheet (Cat.No.T1502)

TargetMol

Vildagliptin

Chemical Properties



CAS No. :	274901-16-5
Formula:	C17H25N3O2
Molecular Weight:	303.4
Appearance:	no data available
Storage:	store at low temperature Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Vildagliptin (LAF237) is a cyanopyrrolidine-based, orally bioavailable inhibitor of dipeptidyl peptidase 4 (DPP-4), with hypoglycemic activity. Vildagliptin's cyano moiety undergoes hydrolysis and this inactive metabolite is excreted mainly via the urine.
Targets(IC50)	Apoptosis,Ferroptosis,Proteasome,DPP-4
In vitro	In obese male Zucker rats, oral administration of Vildagliptin (10 μmol/kg, p.o.) during a glucose tolerance test increases GLP-1 levels, additionally stimulates insulin secretion, and significantly reduces fluctuations in blood glucose levels. In cynomolgus monkeys treated with Vildagliptin (1 μmol/kg, p.o.), plasma DPP-IV activity is maximally inhibited (95%) approximately 2 hours post-treatment, with inhibition >50% occurring within 30 minutes and lasting over 10 hours. In adult male Sprague-Dawley rats induced with diabetes by Streptozotocin, Vildagliptin treatment of 10 mg/kg for 32 weeks prevents nerve fiber loss. At a dosage of 60 mg/kg, Vildagliptin enhances β-cell replication and decreases apoptosis, leading to an increase in pancreatic β-cell mass, which remains elevated for 12 days post withdrawal of Vildagliptin.
In vivo	As the most stable DPP-4 inhibitor, Vildagliptin binds to the DPP-4 S1 and S2 catalytic sites, mimicking the transition state of the P-1 site.

Solubility Information

Solubility	Ethanol: 56 mg/mL (184.57 mM),Sonication is recommended. DMSO: 20 mg/mL (65.92 mM),Sonication is recommended. H2O: 55 mg/mL (181.28 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.296 mL	16.4799 mL	32.9598 mL
5 mM	0.6592 mL	3.296 mL	6.592 mL
10 mM	0.3296 mL	1.648 mL	3.296 mL
50 mM	0.0659 mL	0.3296 mL	0.6592 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.

Reference

Villhauer EB, et al. J Med Chem, 2003, 46(13), 2774-2789.
Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.
Duttaroy A, et al. Eur J Pharmacol, 2011, 650(2-3), 703-707.
Jin HY, et al. Arch Med Res, 2009, 40(7), 536-544.
JMiura K, et al. Horm Metab Res, 2010, 42(10), 731-735.
Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation[J]. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.

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