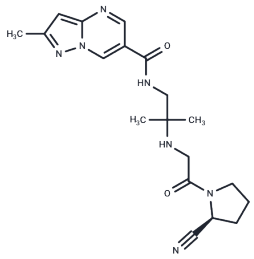
Data Sheet (Cat.No.T7133)

TargetMol

Anagliptin

Chemical Properties



CAS No. : 739366-20-2

Formula: C19H25N7O2

Molecular Weight: 383.45

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	Anagliptin (SK-0403) is a potent inhibitor of DPP-4 (IC50 of 3.8 nM) used in the treatment of type 2 diabetes mellitus.
Targets(IC50)	Proteasome,DPP-4
In vitro	Soluble DPP-4 augmented cultured SMC proliferation, and anagliptin suppressed the proliferation by inhibiting ERK phosphorylation.?In THP-1 cells, anagliptin reduced lipopolysaccharide-induced TNF-α production with inhibiting ERK phosphorylation and nuclear translocation of nuclear factor-κB.?Quantitative analysis also showed that anagliptin reduced the area of atherosclerotic lesion in apoE-deficient mice[1].
In vivo	Treatment with anagliptin for 16 wk significantly reduced accumulation of monocytes and macrophages in the vascular wall, SMC content in plaque areas, and oil red O-stained area around the aortic valve without affecting glucose tolerance or body weight.?Serum DPP-4 concentrations were significantly higher in apoE-deficient mice than control mice, and the levels increased with aging, suggesting the involvement of DPP-4 in the progression of atherosclerosis[1]. Anagliptin treatment significantly decreased the plasma total cholesterol (14% reduction, $P < 0.01$) and triglyceride levels (27% reduction, $P < 0.01$). Both low-density lipoprotein cholesterol and very low-density lipoprotein cholesterol were also decreased significantly by anagliptin treatment. Sterol regulatory element-binding protein-2 messenger ribonucleic acid expression level was significantly decreased at night in anagliptin-treated mice (15% reduction, $P < 0.05$). Anagliptin significantly suppressed sterol regulatory element-binding protein activity in HepG2 cells (21% decrease, $P < 0.001$)[2].
Animal Research	Male low-density lipoprotein receptor-deficient mice were administered 0.3% anagliptin in their diet.?Plasma lipid levels were assayed and lipoprotein profile was analyzed using high-performance liquid chromatography.?Hepatic gene expression was examined by deoxyribonucleic acid microarray and quantitative polymerase chain reaction analyses[2].

Solubility Information

Solubility	DMSO: 50 mg/mL (130.4 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: 2 mg/mL (5.22 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	2.6079 mL	13.0395 mL	26.079 mL
5 mM	0.5216 mL	2.6079 mL	5.2158 mL
10 mM	0.2608 mL	1.304 mL	2.6079 mL
50 mM	0.0522 mL	0.2608 mL	0.5216 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

Ervinna N, et al. Anagliptin, a DPP-4 inhibitor, suppresses proliferation of vascular smooth muscles and monocyte inflammatory reaction and attenuates atherosclerosis in male apo E-deficient mice. Endocrinology. 2013 Mar;154 (3):1260-70.

Yano W, et al. Mechanism of lipid-lowering action of the dipeptidyl peptidase-4 inhibitor, anagliptin, in low-density lipoprotein receptor-deficient mice. J Diabetes Investig. 2017 Mar;8(2):155-160.