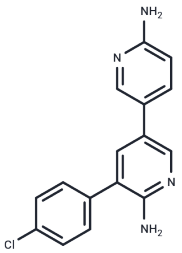
Data Sheet (Cat.No.T4221)

PF-06260933

Chemical Properties



CAS No. : 1811510-56-1

Formula: C16H13ClN4

Molecular Weight: 296.75

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	PF-06260933 is a highly selective small-molecule MAP4K4 inhibitor with IC50s of 3.7 and 160 nM for kinase and cell, respectively.
Targets(IC50)	MAPK
In vitro	Treatment with PF-06260933 significantly enhances the resistance of human aortic endothelial cells (EC) to TNF-α-induced increases in endothelial permeability in vitro.
In vivo	Treatment with PF-06260933 does not modify plasma lipid content in a mouse model, yet it leads to decreased glucose levels, mirroring outcomes seen in whole-body-inducible Map4k4 knockout animals. Moreover, PF-06260933 administration results in either the attenuation of plaque progression or promotion of plaque regression in this model (46.0% versus 25.5%), alongside reductions in both plasma glucose and lipid content.

Solubility Information

Solubility	DMSO: 62.5 mg/mL (210.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 6.25 mg/mL (21.06 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 6.25 mg/mL (21.06 mM),Solution. *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	3.3698 mL	16.8492 mL	33.6984 mL
5 mM	0.674 mL	3.3698 mL	6.7397 mL
10 mM	0.337 mL	1.6849 mL	3.3698 mL
50 mM	0.0674 mL	0.337 mL	0.674 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

Ammirati M, et al. Discovery of an in Vivo Tool to Establish Proof-of-Concept for MAP4K4-Based Antidiabetic Treatment. ACS Med Chem Lett. 2015 Oct 6;6(11):1128-33.
Huang H, Han Q, Zheng H, et al. MAP4K4 mediates the SOX6-induced autophagy and reduces the chemosensitivity of cervical cancer. Cell Death & Disease. 2021, 13(1): 1-14.
Roth Flach RJ, et al. Endothelial protein kinase MAP4K4 promotes vascular inflammation and atherosclerosis. Nat Commun. 2015 Dec 21;6:81995.