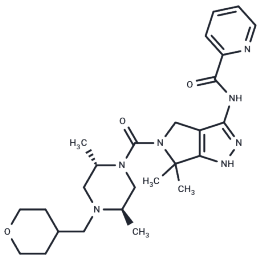
Data Sheet (Cat.No.T38462)

PF-04577806

Chemical Properties



CAS No. : 1072100-81-2

Formula: C26H37N7O3

Molecular Weight: 495.628

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-04577806 is a potent, selective, and ATP-competitive inhibitor of protein kinase C (PKC), showing significant inhibitory activity against various PKC isoforms, including PKCα (IC50=2.4 nM), PKCβI (IC50=8.1 nM), PKCβII (IC50=6.9 nM), PKCγ (IC50=45.9 nM), and PKCθ (IC50=29.5 nM). Additionally, it can reverse retinal vascular leakage in diabetic rats.
Targets(IC50)	Others,Phosphatase,PKC
In vitro	PF-04577806, at concentrations ranging from 0.001 to 10 μM, demonstrates a diverse range of inhibitory activities in various experimental models. In diabetic rat retinal lysates, it inhibits Protein Kinase C (PKC) activity within 10 minutes, achieving an IC50 value of 0.18 μM[1]. Furthermore, when pretreated for 60 minutes, PF-04577806 at concentrations of 0.12 to 10 μM significantly reduces phorbol myristate acetate-induced phosphorylation of ERK1/2 in Jurkat cells, with an IC50 of 0.28 μM[1]. Similarly, pretreatment with the compound for 1 hour inhibits SHP2 phosphorylation in HEK293 cells across a range of 0.001 to 10 μM, exhibiting a notably low IC50 of 5.8 nM. It also displays a concentration-dependent suppression of interleukin 8 release in HEK293 cells stimulated by phorbol myristate acetate, with an IC50 of 0.12 μM[1]. Besides its inhibitory effects, PF-04577806 shows minimal cytotoxicity towards human umbilical vein endothelial cells at a 1 μM concentration over 48 hours, maintaining cell viability at 100.5%[1]. Western Blot Analysis on Jurkat T cells, over a 1-hour pretreatment with 0 to 10 μM concentrations, reveals a dose-dependent decrease in phospho-ERK1/2 levels without affecting total ERK1/2[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0176 mL	10.0882 mL	20.1763 mL
5 mM	0.4035 mL	2.0176 mL	4.0353 mL
10 mM	0.2018 mL	1.0088 mL	2.0176 mL
50 mM	0.0404 mL	0.2018 mL	0.4035 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

Grant S, et, al. Discovery of a novel class of targeted kinase inhibitors that blocks protein kinase C signaling and ameliorates retinal vascular leakage in a diabetic rat model. Eur J Pharmacol. 2010 Feb 10;627(1-3):16-25.