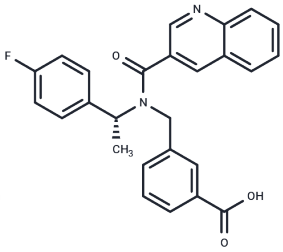
Data Sheet (Cat.No.T16483)

PF-05105679

Chemical Properties



CAS No. : 1398583-31-7

Formula: C26H21FN2O3

Molecular Weight: 428.45

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	PF-05105679 is a selective TRPM8 antagonist (IC50 = 103 nM). PF-05105679 can be used in research on cold-related pain.
Targets(IC50)	TRP/TRPV Channel
In vitro	PF-05105679 shows >100-fold selectivity across a range of different receptors, ion channels, and enzymes including the closely related TRPV1 and TRPA1 channels[1].
In vivo	PF-05105679 (2, 20 mg/kg) shows a T1/2 of 3.6 hours, a CL of 19.8 mL/min/kg, and a Vss of 6.2 L/kg for rats. PF-05105679 (0.2 mg/kg for iv and 20mg/kg for oral gavage) displays a T1/2 of 3.9 hours, a CL of 31 mL/min/kg, and a Vss of 7.4 L/kg for dogs[1].

Solubility Information

Solubility	DMSO: 250 mg/mL (583.5 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: 10 mg/mL (23.34 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (23.34 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	2.334 mL	11.670 mL	23.3399 mL
5 mM	0.4668 mL	2.334 mL	4.668 mL
10 mM	0.2334 mL	1.167 mL	2.334 mL
50 mM	0.0467 mL	0.2334 mL	0.4668 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

Andrews MD, et al. Discovery of a Selective TRPM8 Antagonist with Clinical Efficacy in Cold-Related Pain. ACS Med Chem Lett. 2015 Jan 30;6(4):419-24.