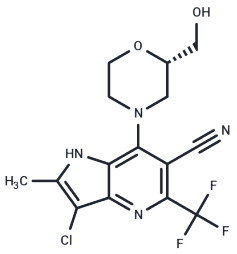
Data Sheet (Cat.No.TQ0011)

PF-06869206

Chemical Properties



CAS No. : 2227425-05-8

Formula: C15H14ClF3N4O2

Molecular Weight: 374.75

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-06869206 is an oral selective inhibitor of the sodium-phosphate cotransporter NaPi2a (SLC34A1, IC50: 380 nM).
Targets(IC50)	Sodium-dependent phosphate transporter,Sodium Channel
In vitro	PF-06869206 (380 nM) shows NaPi2a inhibition potency. PF-06869206 is profiled for potency in the rodent NaPi2a and NaPi2c cell lines. PF-06869206 shows comparable submicromolar activity for the human, rat, and mouse NaPi2a isoforms (IC50s: 0.4 μM/0.54 μM for rat/mouse NaPi2a).
In vivo	In rodent PK, PF-06869206 show moderate clearance in both rat and mouse. Oral bioavailability at 5 mg/kg is good in rat and moderate in mouse. At higher oral doses of 50 mg/kg, supraproportional increases in exposure are observed in both species, suggestive of saturation of clearance. PF-06869206 has moderate terminal elimination half-life (t1/2=1.35 h, and 0.75 h for Wistar-Han rats (10 mg/kg, iv), and C57BL6 mice (1 mg/kg, iv)).
Animal Research	Male Wistar-Han rats are treated with PF-06869206 (1 mg/kg, 5 mg/kg, and 50 mg/kg; 2 mL/kg for iv or 10 mL/kg for po) . C57BL6 mice are treated with PF-06869206 (1 mg/kg, 5 mg/kg, and 50 mg/kg; 2 mL/kg for iv or 10 mL/kg for po).

Solubility Information

Solubility	DMSO: 120 mg/mL (320.21 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: 4 mg/mL (10.67 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	2.6684 mL	13.3422 mL	26.6845 mL
5 mM	0.5337 mL	2.6684 mL	5.3369 mL
10 mM	0.2668 mL	1.3342 mL	2.6684 mL
50 mM	0.0534 mL	0.2668 mL	0.5337 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

Filipski KJ, et al. Discovery of Orally Bioavailable Selective Inhibitors of the Sodium-Phosphate Cotransporter NaPi2a (SLC34A1). ACS Med Chem Lett. 2018 Apr 12;9(5):440-445.