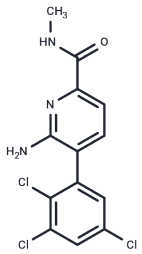
Data Sheet (Cat.No.T4490)

TargetMol

PF-01247324

Chemical Properties



CAS No. : 875051-72-2

Formula: C13H10Cl3N3O

Molecular Weight: 330.6

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-01247324 is a selective and orally bioavailable Nav1.8 channel blocker [IC50: 196 nM].
Targets(IC50)	Sodium Channel
In vitro	PF-01247324 inhibits native tetrodotoxin-resistant (TTX-R) currents in human dorsal root ganglion (DRG) neurons (IC50: 331 nM) and recombinantly expressed h Nav1.8 channels (IC50: 196 nM), with 50-fold selectivity over recombinantly expressed TTX-R hNav1.5 channels (IC50: 10 μM) and 65-100-fold selectivity over TTX-sensitive (TTX-S) channels (IC50: 10-18 μM). In vitro current clamp demonstrates that PF-01247324 reduces excitability in both rat and human DRG neurons and alters the action potential waveform [1].
In vivo	PF-01247324 (100 mg/kg) reduces phase 2 flinching by 37%. There is a significant effect of 30 mg/kg of PF-01247324 in the rat model carrageenan-induced thermal hyperalgesia and in CFA-induced mechanical hyperalgesia at exposures of 0.218 and 0.126 μM respectively [1]. Mice that received PF-01247324 shows significant improvements in motor coordination and cerebellar-like symptoms compared to control [2].
Animal Research	Rats: . For male Sprague Dawley rats (170-300?g), PF-01247324 is formulated as solutions of 0, 10, 30, 100?mg/kg in 0.5%MC/0.1%Tween 80 vehicle and dosed via oral gavage prior to behavioral testing. Test animals are placed in a box separated by walls with a wire mesh floor allowing access to the plantar surface of the paw. Tactile testing is conducted[1]. Mice: . PF-01247324 is suspended in 0.5% methylcellulose, 0.1% Tween 80 and administered by oral gavage at a dose of 1000 mg/kg in a volume of 10 mL/kg one hour before behavioral testing. Control groups are administered an equal volume of the vehicle[2].

Solubility Information

Solubility	DMSO: 55 mg/mL (166.36 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 (6.05 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	3.0248 mL	15.124 mL	30.248 mL
5 mM	0.605 mL	3.0248 mL	6.0496 mL
10 mM	0.3025 mL	1.5124 mL	3.0248 mL
50 mM	0.0605 mL	0.3025 mL	0.605 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

Payne CE, et al. A novel selective and orally bioavailable Nav 1.8 channel blocker, PF-01247324, attenuates nociception and sensory neuron excitability. Br J Pharmacol. 2015 May;172(10):2654-70.
Shields SD, et al. Oral administration of PF-201247324, a subtype-selective Nav1.8 blocker, reverses cerebellar deficits in a mouse model of multiple sclerosis. PLoS One. 2015 Mar 6;10(3):e2019067.