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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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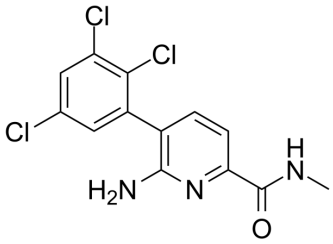
PF-01247324

Cat. No.:	HY-101383
CAS No.:	875051-72-2
Molecular Formula:	$C_{13}H_{10}Cl_3N_3O$
Molecular Weight:	330.6
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (90.74 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0248 mL	15.1240 mL	30.2480 mL
5 mM	0.6050 mL	3.0248 mL	6.0496 mL
10 mM	0.3025 mL	1.5124 mL	3.0248 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	PF-01247324 is a selective and orally bioavailable $Na_v1.8$ channel blocker with an IC_{50} of 196 nM for recombinant human Na_v 1.8 channel.
IC_{50} & Target	IC50: 196 nM ($hNa_v1.8$)[1]
In Vitro	PF-01247324 inhibits native tetrodotoxin-resistant (TTX-R) currents in human dorsal root ganglion (DRG) neurons (IC_{50}=331

	nM) and in recombinantly expressed h $Na_v1.8$ channels (IC_{50}=196 nM), with 50-fold selectivity over recombinantly expressed TTX-R hNav1.5 channels (IC_{50}=10 μM) and 65-100-fold selectivity over TTX-sensitive (TTX-S) channels (IC_{50}=10-18 μM). In vitro current clamp shows that PF-01247324 reduces excitability in both rat and human DRG neurons and also alters the waveform of the action potential[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Experiments n rodents demonstrates efficacy in both inflammatory and neuropathic pain models. PF-01247324 reduces phase 2 flinching by 37% at 100 mg/kg. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1][2]	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 behavioural 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 vehicle[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Front Pharmacol. 16 December 2021.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

[2]. Shields SD, et al. Oral administration of PF-01247324, a subtype-selective Nav1.8 blocker, reverses cerebellar deficits in a mouse model of multiple sclerosis. PLoS One. 2015 Mar 6;10(3):e0119067.

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