# PF-01247324

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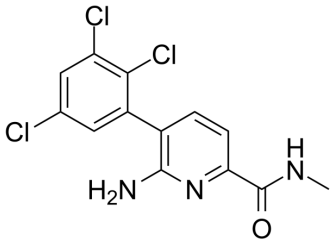
| **Cat. No.:** | HY-101383 |
| **CAS No.:** | 875051-72-2 |
| **Molecular Formula:** | $C_{13}H_{10}Cl_3N_3O$ |
| **Molecular Weight:** | 330.6 |
| **Target:** | Sodium Channel |
| **Pathway:** | Membrane Transporter/Ion Channel |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C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

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| **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 |

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| | 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].<br>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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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| **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].<br><br>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].<br><br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Front Pharmacol. 16 December 2021.

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## 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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