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产品名称: **PF-01247324**
产品别名: **PF-01247324**

生物活性:				
Description	PF-01247324 is a selective and orally bioavailable Na _v 1.8 channel blocker with an IC ₅₀ of 196 nM for recombinant human Na _v 1.8 channel.			
IC ₅₀ & Target	IC ₅₀ : 196 nM (hNa _v 1.8)[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 _v 1.8 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). <i>In vitro</i> 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].			
In Vivo	Experiments in 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].			
Solvent&Solubility	In Vitro: DMSO : ≥ 30 mg/mL (90.74 mM) <small>* "≥" means soluble, but saturation unknown.</small>			
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg
		1 mM	3.0248 mL	15.1240 mL
		5 mM	0.6050 mL	3.0248 mL
		10 mM	0.3025 mL	1.5124 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.56 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀，向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。			



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.56 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.56 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[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.</p> <p>[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.</p>
实验参考:	
Animal Administration	<p>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].</p> <p>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].</p>
References	<p>[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.</p> <p>[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.</p>