

产品名称: 5-(4-氯苯基)-N-(3,5-二甲氧基苯基)-2-呋喃甲酰胺

产品别名: A-803467

生物活性:

Description	A 803467 is a selective Nav1.8 sodium channel blocker with an IC50 of 8 nM; over 100-fold more selective vs. human Nav1.2, 1.3, 1.5 and 1.7. IC50 value: 8 nM Target: Nav1.8 sodium channel A 803467 dose-dependently reduces behavioral responses in a variety of neuropathic and inflammatory pain models.																									
	In Vitro: DMSO : 50 mg/mL (139.75 mM; Need ultrasonic)																									
	<table border="1"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent Concentration</th><th>Mass</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr></thead><tbody><tr><td>1 mM</td><td></td><td>2.7949 mL</td><td>13.9747 mL</td><td>27.9494 mL</td></tr><tr><td>5 mM</td><td></td><td>0.5590 mL</td><td>2.7949 mL</td><td>5.5899 mL</td></tr><tr><td>10 mM</td><td></td><td>0.2795 mL</td><td>1.3975 mL</td><td>2.7949 mL</td></tr></tbody></table>					Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg	1 mM		2.7949 mL	13.9747 mL	27.9494 mL	5 mM		0.5590 mL	2.7949 mL	5.5899 mL	10 mM		0.2795 mL	1.3975 mL	2.7949 mL
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	<p>*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。</p> <p>储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p>																									
Solvent&Solubility	<p>In Vivo:</p> <p>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:</p> <p>——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</p> <p>1. 请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.99 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。</p> <p>2. 请依序添加每种溶剂: 10% DMSO → 90% corn oil Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.99 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。 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]. McGaraughty, et al. A selective NaV1.8 sodium channel blocker, A-803467 [5-(4-chlorophenyl-N-(3,5-dimethoxyphenyl)furan-2-carboxamide)], attenuates spinal neuronal activity in neuropathic rats. <i>Journal of Pharmacology and Experimental Therapeutics</i> (2008),</p> <p>[2]. Jarvis MF, et al. A-803467, a potent and selective Nav1.8 sodium channel blocker, attenuates neuropathic and inflammatory pain in the rat. <i>Proc Natl Acad Sci U S A.</i> 2007 May 15;104(20):8520-5.</p> <p>[3]. Joshi SK, et al. Additive antinociceptive effects of the selective Nav1.8 blocker A-803467 and selective TRPV1 antagonists in rat inflammatory and neuropathic pain models.</p>																									

<http://www.ncbi.nlm.nih.gov/pubmed/19070548>

[4]. Kort ME, et al. Subtype-selective Na(v)1.8 sodium channel blockers: identification of potent, orally active nicotinamide derivatives. Bioorg Med Chem Lett. 2010 Nov 15;20(22):6812-5.



源叶生物