

产品名称: N-(4-Methoxyphenyl)-4-chlorocinnamamide

产品别名: SB-366791

生物活性:

Description	SB-366791 is a potent , competitive and selective vanilloid receptor (VR1/TRPV1) antagonist with IC50 of 5.7±1.2 nM target: VR1/TRPV1 IC 50: 5.7±1.2 nM [1] SB-366791 produced a concentration-dependent inhibition of the response to capsaicin with an apparent pKb of 7.74±0.08. Schild analysis indicated a competitive mechanism of action with a pA2 of 7.71.[1] SB-366791 showed a concentration-dependent potentiation of pH 5-induced 45Ca2+uptake in CHO cells expressing rat TRPV1 but not in untransfected cells[2]																									
In Vitro: DMSO : ≥ 39 mg/mL (135.54 mM) H ₂ O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.	<table border="1" data-bbox="446 781 1351 990"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent</th><th>Mass</th><th>Concentration</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr></thead><tbody><tr><td>1 mM</td><td>3.4754 mL</td><td></td><td>17.3768 mL</td><td>34.7536 mL</td><td></td></tr><tr><td>5 mM</td><td>0.6951 mL</td><td></td><td>3.4754 mL</td><td>6.9507 mL</td><td></td></tr><tr><td>10 mM</td><td>0.3475 mL</td><td></td><td>1.7377 mL</td><td>3.4754 mL</td><td></td></tr></tbody></table>	Preparing Stock Solutions	Solvent	Mass	Concentration	1 mg	5 mg	10 mg	1 mM	3.4754 mL		17.3768 mL	34.7536 mL		5 mM	0.6951 mL		3.4754 mL	6.9507 mL		10 mM	0.3475 mL		1.7377 mL	3.4754 mL	
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Solvent&Solubility 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 (8.69 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.69 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。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (8.69 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (8.69 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 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 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (8.69 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.69 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																										

	<p>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]. M.J. Gunthorpe et al. Identification and characterisation of SB-366791, a potent and selective vanilloid receptor (VR1/TRPV1) antagonist. Neuropharmacology, 2004 Jan, 46(1):133-49.</p> <p>[2]. Gavva NR et al. Proton Activation Does Not Alter Antagonist Interaction with the Capsaicin-Binding Pocket of TRPV1. Mol Pharmacol, 2005 Dec, 68(6), 1524-33.</p>



源叶生物