上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：
4-[3-(Trifluoromethyl)-2-pyridinyl]-N-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinecarboxamide
产品别名：JNJ-17203212

生物活性：

Description

JNJ-17203212 is a novel and selective TRPV1 antagonist, with IC50 of 65 nM and 102 nM for human TRPV1 and rat TRPV1. IC50 value: 65 nM (human TRPV1), 102 nM (rat TRPV1) Target: TRPV in vivo: JNJ-17203212 reduces sensitivity to luminal distension in both an acute, noninflammatory and a chronic, post-inflammatory rodent model of colonic hypersensitivity. Throughout this study, colonic sensitivity was assessed via quantification of VMR to CRD in rats following a single, oral administration of JNJ-17203212 (3, 10 or 30 mg/kg) or vehicle. [1] Oral pretreatment with JNJ-17203212 is a novel and selective TRPV1 antagonist, with partially prevents core hypothermia evoked by sc capsaicin. Oral pretreatment with JNJ-17203212 is a novel and selective TRPV1 antagonist, with partially prevents capsaicin-evoked hypothermia in a dose-response manner. [2]

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (238.48 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3848 mL	11.9241 mL	23.8481 mL
	5 mM	0.4770 mL	2.3848 mL	4.7696 mL
	10 mM	0.2385 mL	1.1924 mL	2.3848 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°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。

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: ≥ 2.75 mg/mL (6.56 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (6.56 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 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% corn oil

	Solubility: ≥ 2.75 mg/mL (6.56 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (6.56 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。 以 1 mL 工作液为例，取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Wiskur BJ, et al. A novel TRPV1 receptor antagonist JNJ-17203212 attenuates colonic hypersensitivity in rats. Methods Find Exp Clin Pharmacol. 2010 Oct;32(8):557-64. [2]. Swanson DM, et al. Identification and biological evaluation of 4-(3-trifluoromethylpyridin-2-yl)piperazine-1-carboxylic acid (5-trifluoromethylpyridin-2-yl)amide, a high affinity TRPV1 (VR1) vanilloid receptor antagonist. J Med Chem. 2005 Mar 24;48(6):185