产品名称：辣椒平
产品别名：**Capsazepine**

生物活性：

Description	Capsazepine is a synthetic analogue of the sensory neurone excitotoxin, and an antagonist of **TRPV1 receptor** with an **IC_{50}** of 562 nM.
IC_{50} & Target	TRPV1 receptor[1]
In Vitro	Capsazepine (50 μM) optimally enhances the upregulation of (death receptors) DRs without affecting cell viability HCT116 cells. Capsazepine (30-50 μM) induces ROS generation and ROS mediate Capsazepine-induced DR5 upregulation in HCT116 cells[1]. Capsazepine (1-100 μM, 45 min preincubation) inhibits the evoked CGRP-LI release. Capsazepine (3-100 μM) prevents low pH- and capsaicin-induced CGRP-LI release from rat soleus muscle at concentrations which do not affect the release evoked by KCl. Capsazepine (3-100 μM, without 10 μM) produces a nonspecific inhibitory effect on CGRP-LI release from peripheral endings of the capsaicin-sensitive primary afferent neurone[2].
In Vivo	Capsazepine (15 mg/kg, s.c.) prevents the increase in respiratory system resistance and decreases the increase in tissue damping during endotoxemia. Capsazepine attenuates lung injury evidenced by reduction on collapsed area of the lung parenchyma induced by LPS[3].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 50 mg/mL (132.66 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6532 mL	13.2661 mL	26.5322 mL
5 mM	0.5306 mL	2.6532 mL	5.3064 mL
10 mM	0.2653 mL	1.3266 mL	2.6532 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: ≥ 5 mg/mL (13.27 mM); Clear solution

此方案可获得 ≥ 5 mg/mL (13.27 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 50.0 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: ≥ 5 mg/mL (13.27 mM); Clear solution

	此方案可获得 ≥ 5 mg/mL (13.27 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 50.0 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。
References	[1]. Sung B, et al. Capsazepine, a TRPV1 antagonist, sensitizes colorectal cancer cells to apoptosis by TRAIL through ROS-JNK-CHOP-mediated upregulation of death receptors. Free Radic Biol Med. 2012 Nov 15;53(10):1977-87. [2]. Santicioli P, et al. Effect of capsazepine on the release of calcitonin gene-related peptide-like immunoreactivity (CGRP-LI) induced by low pH, capsaicin and potassium in rat soleus muscle. Br J Pharmacol. 1993 Oct;110(2):609-12. [3]. Cabral LD, et al. The Transient Receptor Potential Vanilloid 1 Antagonist Capsazepine Improves the Impaired Lung Mechanics during Endotoxemia. Basic Clin Pharmacol Toxicol. 2016 Nov;119(5):421-427. [4]. Wang J, et al. Anti-inflammatory and retinal protective effects of capsaicin on ischaemia-induced injuries through the release of endogenous somatostatin. Clin Exp Pharmacol Physiol. 2017 Jul;44(7):803-814.

实验参考：

Cell Assay	To assay intracellular ROS, HCT116 cells are preincubated with 20 μM dichlorofluorescein diacetate (DCF DA) for 15 min at 37°C and then treated with Capsazepine. After 1 h of incubation, the increase in fluorescence resulting from the oxidation of DCF DA to DCF is measured by flow cytometry. The mean fluorescence intensity at 530 nm is calculated for at least 10,000 cells at a flow rate of 250-300 cells/s. [1]
Animal Administration	To verify the role of TRPV1 on lung mechanics during LPS-induced ALI, the animals (n = 10 per group) are pre-treated with vehicle or Capsazepine (15 mg/kg; s.c.), then receive saline or LPS (5 mg/kg, i.p.) after 10 min. Thus, the mice are randomly divided into four groups with 10 mice in each group: (i) control (vehicle + saline), (ii) Capsazepine + saline, (iii) vehicle + LPS and (iv) Capsazepine + LPS. After a 24-hr treatment with saline or LPS, the mice are anaesthetized and paralysed and lung mechanics function is evaluated. Afterwards, the lungs are removed for histology. [3]
References	[1]. Sung B, et al. Capsazepine, a TRPV1 antagonist, sensitizes colorectal cancer cells to apoptosis by TRAIL through ROS-JNK-CHOP-mediated upregulation of death receptors. Free Radic Biol Med. 2012 Nov 15;53(10):1977-87. [2]. Santicioli P, et al. Effect of capsazepine on the release of calcitonin gene-related peptide-like immunoreactivity (CGRP-LI) induced by low pH, capsaicin and potassium in rat soleus muscle. Br J Pharmacol. 1993 Oct;110(2):609-12. [3]. Cabral LD, et al. The Transient Receptor Potential Vanilloid 1 Antagonist Capsazepine Improves the Impaired Lung Mechanics during Endotoxemia. Basic Clin Pharmacol Toxicol. 2016 Nov;119(5):421-427. [4]. Wang J, et al. Anti-inflammatory and retinal protective effects of capsaicin on ischaemia-induced injuries through the release of endogenous somatostatin. Clin Exp Pharmacol Physiol. 2017 Jul;44(7):803-814.