



上海源叶生物科技有限公司
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产品名称: **A-317491**
产品别名: **A-317491**

生物活性:

Description

A-317491 is a non-nucleotide P2X3 and P2X2/3 receptor antagonist, which inhibits calcium flux mediated by the receptors. IC50 value: Target: P2X2/3 It is known that P2X3 and P2X2/3 receptors stimulate the pronociceptive effects of ATP upon activation. Studies indicate that the P2X3 receptor is implicated in both neuropathic and inflammatory pain. P2X3 receptor is a promising target for therapeutic intervention in cancer patients for pain management.

In Vitro:

DMSO : ≥ 47 mg/mL (83.10 mM)

H₂O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7681 mL	8.8406 mL	17.6813 mL
	5 mM	0.3536 mL	1.7681 mL	3.5363 mL
	10 mM	0.1768 mL	0.8841 mL	1.7681 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: ≥ 2.5 mg/mL (4.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.42 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 (4.42 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (4.42 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

Solvent&Solubility



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	Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.42 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。
References	[1]. Hansen RR, Nasser A, Falk S, et al. Chronic administration of the selective P2X3, P2X2/3 receptor antagonist, A-317491, transiently attenuates cancer-induced bone pain in mice. Eur J Pharmacol. 2012 Aug 5;688(1-3):27-34. [2]. Yuan M, et al. Effect of A-317491 delivered by glycolipid-like polymer micelles on endometriosis pain. Int J Nanomedicine. 2017 Nov 9;12:8171-8183. [3]. Wu JX, Xu MY, Miao XR, et al. Functional up-regulation of P2X3 receptors in dorsal root ganglion in a rat model of bone cancer pain. Eur J Pain. 2012;16(10):1378-88. [4]. Xu J, Chu KL, Brederson JD, et al. Spontaneous firing and evoked responses of spinal nociceptive neurons are attenuated by blockade of P2X3 and P2X2/3 receptors in inflamed rats. J Neurosci Res. 2012;90(8):1597-606. [5]. Yasuda M, Shinoda M, Kiyomoto M, et al. P2X3 receptor mediates ectopic mechanical allodynia with inflamed lower lip in mice. Neurosci Lett. 2012 18;528(1):67-72. [6]. Maria Cláudia G. Oliveiraa, Adriana Pelegrini-da-Silvaa, et al. Peripheral mechanisms underlying the essential role of P2X3,2/3 receptors in the development of inflammatory hyperalgesia. PAIN. 2009,141(1-2): 127-134.

源叶生物