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产品名称：LY2183240
产品别名：LY2183240

生物活性：

Description

LY2183240 is a novel and highly potent blocker of anandamide uptake (IC50 = 270 pM). LY2183240 inhibits fatty acid amide hydrolase (FAAH) activity (IC50 = 12.4 nM). IC50: 270 pM (anandamide uptake); 12.4 nM (FAAH) Target: FAAH; Anandamide uptake Following i.p. administration in rats, LY2183240 increases brain anandamide concentration and exerts antinociceptive effects in formalin model of pain.

Solvent&Solubility

In Vitro:

DMSO： 50 mg/mL (162.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2536 mL	16.2681 mL	32.5362 mL
	5 mM	0.6507 mL	3.2536 mL	6.5072 mL
	10 mM	0.3254 mL	1.6268 mL	3.2536 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→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (8.13 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.13 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (8.13 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.13 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。

[1]. Dickason-Chesterfield AK, et al. Pharmacological characterization of endocannabinoid transport and fatty acid amide hydrolase inhibitors. Cell Mol Neurobiol. 2006 Jul-Aug;26(4-6):407-23.

[2]. Sun L, et al. Endocannabinoid activation of CB1 receptors contributes to long-lasting reversal of

References	neuropathic pain by repetitive spinal cord stimulation. Eur J Pain. 2017 May;21(5):804-814. [3]. Alexander JP, Cravatt BF. The putative endocannabinoid transport blocker LY2183240 is a potent inhibitor of FAAH and several other brain serine hydrolases. J Am Chem Soc. 2006 Aug 2;128(30):9699-704. [4]. Maione S, et al. Antinociceptive effects of tetrazole inhibitors of endocannabinoid inactivation: cannabinoid and non-cannabinoid receptor-mediated mechanisms. Br J Pharmacol. 2008 Nov;155(5):775-82. [5]. Pelorosso FG, et al. The endocannabinoid anandamide inhibits kinin B1 receptor sensitization through cannabinoid CB1 receptor stimulation in human umbilical vein. Eur J Pharmacol. 2009 Jan 5;602(1):176-9. [6]. Powers MS, et al. Effects of the novel endocannabinoid uptake inhibitor, LY2183240, on fear-potentiated startle and alcohol-seeking behaviors in mice selectively bred for high alcohol preference. Psychopharmacology (Berl). 2010 Dec;212(4):571-83.