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产品名称：
(AR,BS)-ALPHA-(4-HYDROXYPHENYL)-BETA-METHYL-4-(PHENYLMETHYL)-1-PIPERIDINEPROPANOL MALEATE
产品别名：Ro 25-6981

生物活性：

Description

Ro 25-6981 is a potent and selective activity-dependent blocker of NMDA receptors containing the NR2B subunit. IC50 values are 0.009 and 52 μM for cloned receptor subunit combinations NR1C/NR2B and NR1C/NR2A respectively. IC50 value: 9 nM [1] Target: NMDA receptor subtype of NR1C & NR2B in vitro: Ro 25-6981 inhibited 3H-MK-801 binding to rat forebrain membranes in a biphasic manner with IC50 values of 0.003 microM and 149 microM for high- (about 60%) and low-affinity sites, respectively. NMDA receptor subtypes expressed in Xenopus oocytes were blocked with IC50 values of 0.009 microM and 52 microM for the subunit combinations NR1C & NR2B and NR1C & NR2A, respectively, which indicated a >5000-fold selectivity [1]. Increasing the concentration of spermidine did not change the efficacy of RO 25-6981 and minimally changed the IC(50) value. Epsilon1Q336R receptors were more inhibited by ifenprodil and RO 25-9681 than wildtype epsilon1 receptors in ligand binding assays but not in functional assays [2]. in vivo: Intrathecal injection of Ro 25-6981 significantly enhanced the paw withdrawal mechanical threshold and paw withdrawal thermal latency after the operation. Significant change has been observed after intrathecal injection of 800.0 μg of Ro 25-6981 and at 2h after operation in the oblique pull test degree and BBB rating score. Pretreatment of Ro 25-6981 decreased the high level expression of NR2B with tyrosine phosphorylation in spinal dorsal horn of the rat model after the operation [3].

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**294.58 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9458 mL	14.7288 mL	29.4577 mL
	5 mM	0.5892 mL	2.9458 mL	5.8915 mL
	10 mM	0.2946 mL	1.4729 mL	2.9458 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 (7.36 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.36 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 (7.36 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.36 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.36 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.36 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]. Fischer G, et al. Ro 25-6981, a highly potent and selective blocker of N-methyl-D-aspartate receptors containing the NR2B subunit. Characterization in vitro. J Pharmacol Exp Ther. 1997 Dec;283(3):1285-92. [2]. Lynch DR, et al. Pharmacological characterization of interactions of RO 25-6981 with the NR2B (epsilon2) subunit. Eur J Pharmacol. 2001 Mar 30;416(3):185-95. [3]. Jiang M, et al. Antinociception and prevention of hyperalgesia by intrathecal administration of Ro 25-6981, a highly selective antagonist of the 2B subunit of N-methyl-D-aspartate receptor. Pharmacol Biochem Behav. 2013 Nov;112:56-63.