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产品名称: **Ro 25-6981 (Maleate)**
 产品别名: **Ro 25-6981 Maleate**

生物活性:					
Description	<p>Ro 25-6981 Maleate 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].</p>				
Solvent&Solubility	<p>In Vitro: DMSO : \geq 61 mg/mL (133.91 mM) H₂O : 8.33 mg/mL (18.29 mM); ultrasonic and warming and heat to 45°C * "\geq" means soluble, but saturation unknown.</p>				
		Solvent Concentration	Mass Concentration		
	Preparing		1 mg	5 mg	10 mg
	Stock Solutions	1 mM	2.1952 mL	10.9760 mL	21.9520 mL
	5 mM	0.4390 mL	2.1952 mL	4.3904 mL	
	10 mM	0.2195 mL	1.0976 mL	2.1952 mL	
<p>*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。</p>					
References	<p>[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.</p>				