Product Data Sheet

Product Name: Ro 25-6981 (maleate)
Cat. No.: GC18410

Chemical Properties

Cas. No.	1312991-76-6		
Chemical Name	αR-(4-hydroxyphenyl)-βS-methyl-4-(phenylmethyl)-1-piperidinepropanol, 2Z-butenedioate		
SMILES	OC1=CC=C([C@@H]([C@@H](C)CN2CCC(CC3=CC=CC=C3)CC2)O)C=C1.OC(/C=C\C(O)=O)=O		
Formula	$C_{22}H_{29}NO_2.C_4H_4O_4$	M.Wt	455.6
Solubility	DMSO: 100 mM,Water: 25 mM	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Ro 25-6981 (maleate)		

Background

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 & NR2Bin 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

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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].

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.