
Product Data Sheet

Product Name: Ro 25-6981

Cat. No.: GC19310

Chemical Properties

Cas. No. 169274-78-6

SMILES OC1=CC=C([C@H](O)[C@@H](C)CN2CCC(CC3=CC=CC=C3)CC2)C=C1Formula C₂₂H₂₉NO₂

M.Wt 339.47

Solubility DMSO : 100 mg/mL (294.58 mM)

Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Background

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 μM and 149 μM for high- (about 60%) and low-affinity sites, respectively. NMDA receptor subtypes expressed in *Xenopus* oocytes were blocked with IC₅₀ values of 0.009 μM and 52 μM 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

Caution: Product has not been fully validated for medical applications. For research use only.

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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.

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