

Ro 25-6981 (Maleate)

Catalog No: tcsc2012



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1312991-76-6

Formula:

$C_{26}H_{33}NO_6$

Pathway:

Membrane Transporter/Ion Channel;Neuronal Signaling

Target:

iGluR;iGluR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 61 mg/mL (133.91 mM); H₂O : 8.33 mg/mL (18.29 mM); ultrasonic and warming and heat to 45°C)

Observed Molecular Weight:

455.54

Product Description

Ro 25-6981 Maleate is a potent and selective activity-dependent blocker of NMDA receptors containing the NR2B subunit. IC₅₀

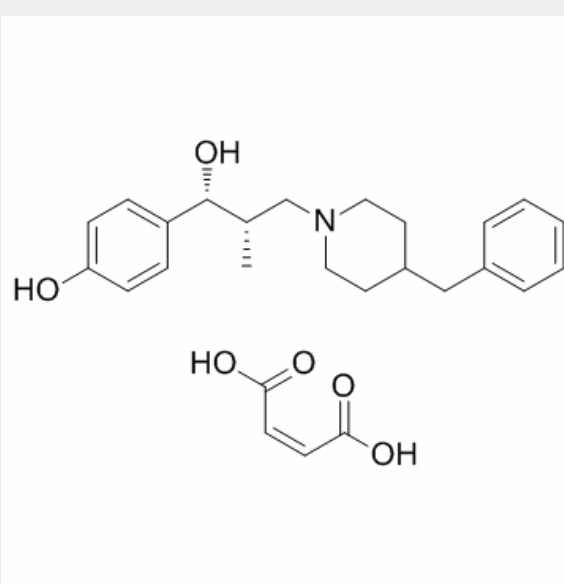
values are 0.009 and 52 μ M for cloned receptor subunit combinations NR1C/NR2B and NR1C/NR2A respectively.

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



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