

Levobetaxolol hydrochloride

Chemical Properties

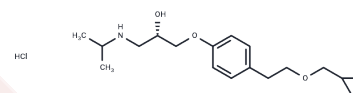
CAS No. : 116209-55-3

Formula: C₁₈H₂₉NO₃·HCl

Molecular Weight: 343.89

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Levobetaxolol hydrochloride ((S)-Betaxolol hydrochloride) is a beta-adrenergic receptor inhibitor (beta blocker), used to lower the pressure in the eye in treating conditions such as glaucoma.
Targets(IC ₅₀)	Adrenergic Receptor
In vitro	Levobetaxolol potently antagonizes functional activities at cloned human β_1 and β_2 receptors, and also at guinea pig atrial β_1 , tracheal β_2 and rat colonic β_3 receptors with IC ₅₀ s of 33.2 nM, 2970 nM and 709 nM, respectively. Levobetaxolol (K_i = 16.4 nM) is more potent than dextrobetaxolol (K_i = 2.97 μ M) at inhibiting isoproterenol-induced cAMP production in human non-pigmented ciliary epithelial cells. [1] Levobetaxolol (topically applied) has been shown to reach the back of the eye in sufficient quantities to protect retinal ganglion cells from various types of insults. Levobetaxolol displaces [3H]-nitrendipine for L-type voltage-dependent calcium channel receptor with IC ₅₀ of 29.5 μ M in rat cortex. Levobetaxolol reduces NMDA-stimulated $^{45}\text{Ca}^{2+}$ influx by 47.3%. Levobetaxolol (topically applied) reduces the b-wave amplitude caused by ischaemia/reperfusion. [2]
In vivo	Levobetaxolol (150 mg/eye) is more potent than dextrobetaxolol, reducing intraocular pressure by 25.9% in conscious ocular hypertensive cynomolgus monkeys. [1] Levobetaxolol (20 mg/kg) significant protects retinal function and results in significantly thicker the RPE and outer nuclear layer in a photic-induced retinopathy rat model. Levobetaxolol (20 mg/kg) results in a 10-fold up-regulation of bFGF and a two-fold up-regulation of CNTF mRNA levels, trophic factors that have been shown to inhibit retinal degeneration in a number of species. [3]

Solubility Information

Solubility	DMSO: 50 mg/mL (145.4 mM),Sonication is recommended. Ethanol: 64 mg/mL (186.11 mM),Sonication is recommended. H ₂ O: 63 mg/mL (183.2 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9079 mL	14.5395 mL	29.0791 mL
5 mM	0.5816 mL	2.9079 mL	5.8158 mL
10 mM	0.2908 mL	1.454 mL	2.9079 mL
50 mM	0.0582 mL	0.2908 mL	0.5816 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Sharif NA, et al. J Ocul Pharmacol Ther, 2001, 17(4), 305-317.

Osborne NN, et al. Brain Res Bull, 2004, 62(6), 525-528.

Agarwal N, et al. Exp Eye Res, 2002, 74(4), 445-453.

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