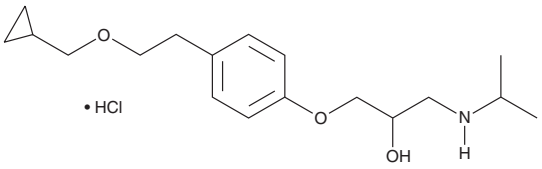
PRODUCT INFORMATION

Betaxolol (hydrochloride)

Item No. 18625

CAS Registry No.: 63659-19-8
Formal Name: 1-[4-[2-(Cyclopropylmethoxy) ethyl]phenoxy]-3-[(1-methylethyl) amino]-2-propanol hydrochloride
Synonym: SL 75212
MF: $C_{18}H_{29}NO_3 \bullet HCl$
FW: 343.9
Purity: ≥98%
UV/Vis.: λ_{max}: 223, 275, 281 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Betaxolol (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the betaxolol (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Betaxolol (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of betaxolol (hydrochloride) in each of these solvents is approximately 25 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of betaxolol (hydrochloride) can be prepared by directly dissolving the crystalline solid powder in aqueous buffers. The solubility of betaxolol (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Betaxolol is an antagonist of the β_1-adrenergic receptor (β_1-AR; Ki = 23 nM).[1] It is selective for the β_1-AR over the β_2-AR (K_i = 790 nM). Betaxolol is cytotoxic to 10.014 pRSV-T human corneal epithelial cells.[2] It reduces intraocular pressure in cynomolgus monkeys with ocular hypertension induced by laser trabeculoplasty when administered at a dose of 150 µg/animal.[3] Betaxolol also inhibits sodium influx induced by the neurotoxin veratridine in rat cortical synaptosomes (IC_{50} = 28.3 µM).[4]

References

1. Wellstein, A., Palm, D., and Belz, G.G. Affinity and selectivity of β-adrenoceptor antagonists *in vitro*. *J. Cardiovasc. Pharmacol.* **8(Suppl. 11),** S36-S40 (1986).
2. Pozarowska, D., Pozarowski, P., and Darzynkiewicz, Z. Cytometric assessment of cytostatic and cytotoxic effects of topical glaucoma medications on human epithelial corneal line cells. *Cytometry B Clin. Cytom.* **78(2),** 130-137 (2010).
3. Sharif, N.A., Xu, S.X., Crider, J.Y., *et al.* Levobetaxolol (Betaxon™) and other β-adrenergic antagonists: Preclinical pharmacology, IOP-lowering activity and sites of action in human eyes. *J. Ocul. Pharmacol. Ther.* **17(4),** 305-317 (2001).
4. Chidlow, G., Melena, J., and Osborne, N.N. Betaxolol, a β_1-adrenoceptor antagonist, reduces Na^+ influx into cortical sunaptosomes by direct interaction with Na^+ channels: Comparison with other β-adrenoceptor antagonists. *Br. J. Pharmacol.* **130(4),** 759-766 (2000).

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