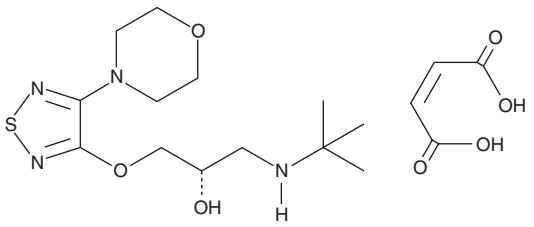
PRODUCT INFORMATION

Timolol (maleate)

Item No. 13974

CAS Registry No.: 26921-17-5
Formal Name: (2S)-1-[(1,1-dimethylethyl)amino]-3-[[4-(4-morpholinyl)-1,2,5-thiadiazol-3-yl]oxy]-2-propanol, 2Z-butenedioate
Synonyms: MK-950, (S)-Timolol (maleate), WP934
MF: $C_{13}H_{24}N_4O_3S \bullet C_4H_4O_4$
FW: 432.5
Purity: ≥95%
UV/Vis.: λ_{max}: 209, 296 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

Timolol (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the timolol (maleate) in the solvent of choice, which should be purged with an inert gas. Timolol (maleate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of timolol (maleate) in these solvents is approximately 0.2, 16, and 20 mg/ml, respectively.

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 timolol (maleate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of timolol (maleate) in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Timolol is a non-selective β-adrenergic receptor antagonist with log K_d values of -8.27, -9.86, and -6.8 for binding to human β_1-, β_2-, and β_3-adrenoceptors, respectively.[1] It has been reported that only the (S) enantiomer contributes to the β-blocking effects of racemic timolol, but the weakly active (R) isomer maintains a beneficial effect on intraocular pressure without the undesirable side-effect of bronchial constriction caused by non-selective action of (S)-timolol on β_1 and β_2 receptors.[2,3] Timolol has been use alone and in fixed combinations with either prostaglandin analogs or carbonic anhydrase inhibitors to reduce intraocular pressure in research models of ocular hypertension and glaucoma.[4,5]

References

1. Baker, J.G. *Br. J. Pharmacol.* **144(3)**, 317-322 (2005).
2. Tosi, G., Zironi, F., Caselli, E., *et al. Synthesis* **10**, 1625-1628 (2004).
3. Mehvar, R. and Brocks, D.R. *J. Pharm. Pharm. Sci.* **4(2)**, 185-200 (2001).
4. Cheng, J.-W., Cheng, S.-W., Gao, L.-D., *et al. PLoS One* **7(9)**, 45079 (2012).
5. Li, N., Chen, X., Zhou, Y., *et al. Clin. Exp. Ophthalmol.* **34(8)**, 755-764 (2006).

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