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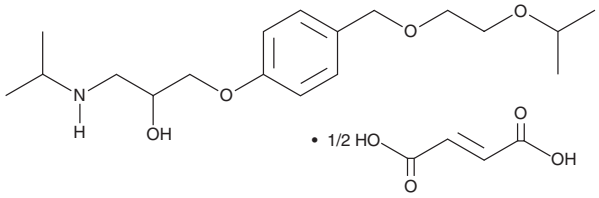
PRODUCT INFORMATION

Bisoprolol (hemifumarate)

Item No. 23827

CAS Registry No.: 104344-23-2
Formal Name: 1-[4-[[2-(1-methylethoxy)ethoxy]methyl] phenoxy]-3-[(1-methylethyl)amino]-2-propanol, (2E)-2-butenedioate (2:1)
Synonym: EMD 33512
MF: $C_{18}H_{31}NO_4 \bullet 1/2C_4H_4O_4$
FW: 325.4
Purity: ≥98%
UV/Vis.: λ_{max}: 224 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Bisoprolol (hemifumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the bisoprolol (hemifumarate) in the solvent of choice, which should be purged with an inert gas. Bisoprolol (hemifumarate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of bisoprolol (hemifumarate) in these solvents is approximately 30 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 bisoprolol (hemifumarate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of bisoprolol (hemifumarate) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Bisoprolol is a potent β-adrenergic receptor (β-AR) antagonist that is selective for β_1-ARs over β_2-ARs (K_is = 25 and 480 nM, respectively in S49 cells overexpressing the human receptors).[1] It also selectively binds to β_1-ARs over β_2-ARs in human myocardium (K_is = 75.8 and 991 nM, respectively).[2] Bisoprolol binds to rat ventricular myocytes and heart membrane (K_is = 20 and 38.1 nM, respectively) and to rat β_1-AR in salivary glands and β_2-AR in reticulocytes (K_is = 24 and 1,945 nM, respectively).[3,4] *In vivo*, it inhibits increases in heart rate induced by isoproterenol (Item No. 15592) in guinea pigs.[5] Bisoprolol (0.3 mg/kg) inhibits isoproterenol-induced increases in heart rate and myocardial contractility in conscious dogs. It also decreases blood pressure and heart rate in spontaneously hypertensive rats (SHRs) when chronically administered at a dose of 7.5 mg/kg twice per day over 19 weeks. Formulations containing bisoprolol have been used in the treatment of heart failure, angina pectoris, mild to moderate hypertension, and for secondary prevention of myocardial infarction.

References

1. Smith, C. and Teitler, M. *Cardiovasc. Drugs Ther.* **13(2)**, 123-126 (1999).
2. Bundkirchen, A., Brixius, K., Bölck, B., *et al. Eur. J. Pharmacol.* **460(1)**, 19-26 (2003).
3. Mauz, A.B. and Pelzer, H. J. *Cardiovasc. Pharmacol.* **15(3)**, 421-427 (1990).
4. Wellstein, A., Palm, D., and Belz, G.G. *J. Cardiovasc. Pharmacol.* **8(Suppl. 11)**, S36-S40 (1986).
5. Haeusler, G., Schliep, H.-J., Schelling, P., *et al. J. Cardiovasc. Pharmacol.* **8(Suppl. 11)**, S2-S15 (1986).

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