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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:  $C_{18}H_{31}NO_4 \cdot 1/2C_4H_4O_4$

FW: 325.4

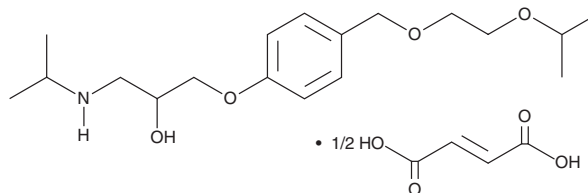
Purity:  $\geq 98\%$

UV/Vis.:  $\lambda_{max}$ : 224 nm

Supplied as: A crystalline solid

Storage:  $-20^\circ\text{C}$

Stability:  $\geq 4$  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 an antagonist of the  $\beta_1$ -adrenergic receptor ( $\beta_1$ -AR;  $K_i = 25$  nM for the human receptor).<sup>1</sup> It is selective for  $\beta_1$ - over  $\beta_2$ -ARs ( $K_i = 480$  nM for the human receptor in a radioligand binding assay).<sup>1</sup> Bisoprolol binds to rat ventricular myocytes and heart membranes that endogenously express  $\beta_1$ -ARs and  $\beta_1$ - and  $\beta_2$ -ARs, respectively ( $K_i$ s = 20 and 38.1 nM, respectively).<sup>2</sup> *In vivo*, bisoprolol (0.3 mg/kg) inhibits increases in heart rate and myocardial contractility induced by isoproterenol (Item No. 15592) in conscious dogs.<sup>3</sup> It 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. Bisoprolol also inhibits severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) main protease ( $M^{pro}$ ), also known as 3C-like protease (3CL $^{pro}$ ;  $IC_{50} = 118.5$   $\mu\text{g/ml}$ ) and reduces viral infectivity in SARS-CoV-2 infected Vero E6 cells ( $IC_{50} = 15.917$   $\mu\text{g/ml}$ ).<sup>4</sup> 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. Mauz, A.B. and Pelzer, H. J. *Cardiovasc. Pharmacol.* **15**(3), 421-427 (1990).
3. Haeusler, G., Schliep, H.-J., Schelling, P., et al. *J. Cardiovasc. Pharmacol.* **8**(Suppl. 11), S2-S15 (1986).
4. Hamed, M.I.A., Darwish, K.M., Soltane, R., et al. *RSC Adv.* **11**(56), 35536-35558 (2021).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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