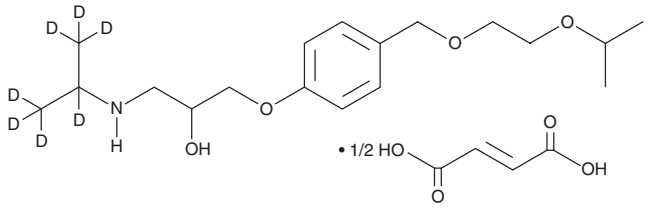
PRODUCT INFORMATION

Bisoprolol-d_7 (hemifumarate)

Item No. 30760

Formal Name: 1-(4-((2-isopropoxyethoxy)methyl) phenoxy)-3-((propan-2-yl-d_7)amino) propan-2-ol, hemifumarate
MF: $C_{18}H_{24}D_7NO_4 \bullet 1/2C_4H_4O_4$
FW: 390.5
Chemical Purity: ≥98% (Bisoprolol)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_7); ≤1% d_0
Supplied as: A 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

Bisoprolol-d_7 (hemifumarate) is intended for use as an internal standard for the quantification of bisoprolol (Item No. 23827) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Bisoprolol-d_7 (hemifumarate) is supplied as a solid. A stock solution may be made by dissolving the bisoprolol-d_7 (hemifumarate) in the solvent of choice, which should be purged with an inert gas. Bisoprolol-d_7 (hemifumarate) is slightly soluble in DMSO and methanol.

Description

Bisoprolol is an antagonist of the β_1-adrenergic receptor (β_1-AR; K_i = 25 nM for the human receptor).[1] It is selective for β_1- over β_2-ARs (K_i = 480 nM for the human receptor in a radioligand binding assay).[1] Bisoprolol binds to rat ventricular myocytes and heart membranes that endogenously express β_1-ARs and β_1- and β_2-ARs, respectively (K_is = 20 and 38.1 nM, respectively).[2] *In vivo*, bisoprolol (0.3 mg/kg) inhibits increases in heart rate and myocardial contractility induced by isoproterenol (Item No. 15592) in conscious dogs.[3] 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 µg/ml) and reduces viral infectivity in SARS-CoV-2 infected Vero E6 cells (IC_{50} = 15.917 µg/ml).[1] 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. β-blocker selectivity at cloned human β_1- and β_2-adrenergic receptors. *Cardiovasc. Drugs Ther.* **13(2)**, 123-126 (1999).
2. Mauz, A.B. and Pelzer, H. β-adrenoceptor-binding studies of the cardioselective β blockers bisoprolol, H-I 42 BS, and HX-CH 44 BS to heart membranes and intact ventricular myocytes of adult rats: Two β_1-binding sites for bisoprolol. *J. Cardiovasc. Pharmacol.* **15(3)**, 421-427 (1990).
3. Haeusler, G., Schliep, H.-J., Schelling, P., *et al.* High β_1-selectivity and favourable pharmacokinetics as the outstanding properties of bisoprolol. *J. Cardiovasc. Pharmacol.* **8(Suppl. 11)**, S2-S15 (1986).
4. Crombie, L. and Jamieson, S.V. Dihydrostilbenes of *Cannabis*. Synthesis of Canniprene. *J. Chem. Soc. Perkin Trans. 1* 1467-1475 (1982).

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