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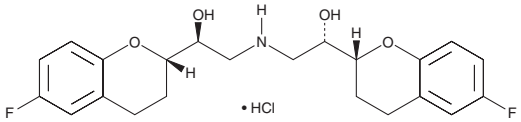
PRODUCT INFORMATION

Nebivolol (hydrochloride)

Item No. 23660

CAS Registry No.: 152520-56-4
Formal Name: (αR,α'R,2R,2'S)-*rel*-α,α'-[iminobis(methylene)] *bis*[6-fluoro-3,4-dihydro-2H-1-benzopyran-2-methanol, monohydrochloride
MF: $C_{22}H_{25}F_2NO_4$ • HCl
FW: 441.9
Purity: ≥98%
UV/Vis.: λ_{max}: 281 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

Nebivolol (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the nebivolol (hydrochloride) in the solvent of choice. Nebivolol (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of nebivolol (hydrochloride) in these solvents is approximately 0.5, 30, and 20 mg/ml, respectively.

Description

Nebivolol is a potent and selective β_1-adrenergic receptor (β_1-AR) antagonist (IC_{50}s = 7.41 and 251 nM for β_1- and β_2-ARs, respectively in a radioligand binding assay using rabbit lung membrane preparations).[1] It is also selective for β_1-ARs over serotonin (5-HT) 5-HT_{1A} and 5-HT_2, α_1- and α_2-adrenergic, histamine H_1, and dopamine D_2 receptors (IC_{50}s = 27.5 and 2,239, 3,162 and >10,000, 5,623, and 10,000 nM, respectively). Nebivolol inhibits cAMP accumulation induced by norepinephrine (Item No. 16673) in primary rat cardiac cells (IC_{50} = 22 nM) and induces vasodilation in mouse renal arteries *via* a nitric oxide- and cGMP-dependent mechanism (EC_{50} = 11.36 µM).[2,3] It decreases contraction of isolated human left ventricular trabeculae induced by isoproterenol (Item No. 15592; IC_{50} = 7.0 µM) but does not exert intrinsic sympathomimetic activity (ISA).[4] Nebivolol inhibits proliferation of human coronary artery smooth muscle cells (HCASMCs) in the presence and absence of growth factors (IC_{50}s = 6.1, 6.8, 6.4, and 7.7 µM for HCASMCs grown in media containing no growth factor, PDGFBB, basic FGF, and TGF-β1, respectively).[5] Formulations containing nebivolol have been used to treat hypertension.

References

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2. Pauwels, P.J., Leysen, J.E., and Janssen, P.A. *Eur. J. Pharmacol.* **172(6)**, 471-479 (1989).
3. Georgescu, A., Pluteanu, F., Flonta, M.L., *et al. Pharmacology* **81(2)**, 110-117 (2008).
4. Brixius, K., Bundkirchen, A., Bölck, B., *et al. Br. J. Pharmacol.* **133(8)**, 1330-1338 (2001).
5. Brehm, B.R., Wolf, S.C., Bertsch, D., *et al. Cardiovasc. Res.* **49(2)**, 430-439 (2001).

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