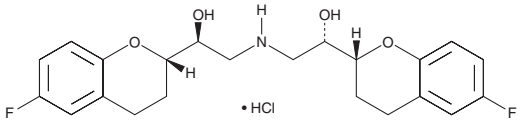
PRODUCT INFORMATION

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: $C_{22}H_{25}F_2NO_4 \bullet HCl$
FW: 441.9
Purity: ≥98%
UV/Vis.: λ_{max}: 281 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

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 an antagonist of the β_1-adrenergic receptor (β_1-AR; IC_{50} = 7.41 nM).[1] It is selective for β_1- over β_2-ARs (IC_{50} = 251 nM), as well as the serotonin (5-HT) receptor subtypes 5-HT_{1A} and 5-HT_2 and the α_1- and α_2-adrenergic, histamine H_1, and dopamine D_2 receptors (IC_{50}s = 27.5, 2,239, 3,162, >10,000, 5,623, and 10,000 nM, respectively). Nebivolol induces vasodilation in isolated mouse renal arteries (EC_{50} = 11.36 µM) and decreases contraction of isolated human left ventricular trabeculae induced by isoproterenol (Item No. 15592; IC_{50} = 7 µM).[2,3] Nebivolol inhibits proliferation of primary 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, PDGF-BB, basic FGF, and TGF-β1, respectively).[4] It is also an inhibitor of the severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) main protease (M^{pro}), also known as 3C-like protease ($3CL^{pro}$; IC_{50} = 60.2 µg/ml), and inhibits SARS-CoV-2 pathogenicity *in vitro* (IC_{50} = 0.03 µg/ml).[5] Formulations containing nebivolol have been used in the treatment of hypertension.

References

1. Pauwels, P.J., Gommeren, W., Van Lommen, G., *et al.* The receptor binding profile of the new antihypertensive agent nebivolol and its stereoisomers compared with various β-adrenergic blockers. *Mol. Pharmacol.* **34(6)**, 843-851 (1988).
2. Georgescu, A., Pluteanu, F., Flonta, M.L., *et al.* Nebivolol induces a hyperpolarizing effect on smooth muscle cells in the mouse renal artery by activation of beta-2-adrenoceptors. *Pharmacology* **81(2)**, 110-117 (2008).
3. Brixius, K., Bundkirchen, A., Bölck, B., *et al.* Nebivolol, bucindolol, metoprolol and carvedilol are devoid of intrinsic sympathomimetic activity in human myocardium. *Br. J. Pharmacol.* **133(8)**, 1330-1338 (2001).
4. Brehm, B.R., Wolf, S.C., Bertsch, D., *et al.* Effects of nebivolol on proliferation and apoptosis of human coronary artery smooth muscle and endothelial cells. *Cardiovasc. Res.* **49(2)**, 430-439 (2001).
5. Hamed, M.I.A., Darwish, K.M., Soltane, R., *et al.* β-Blockers bearing hydroxyethylamine and hydroxyethylene as potential SARS-CoV-2 Mpro inhibitors: Rational based design, *in silico*, *in vitro*, and SAR studies for lead optimization. *RSC Adv.* **11(56)**, 35536-35558 (2021).

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