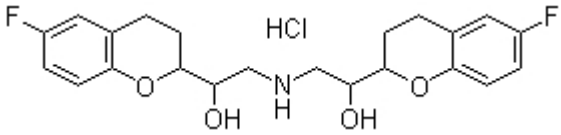
Product Introduction

Nebivolol

Nebivolol selectively inhibits **β1-adrenoceptor** with **IC50** of 0.8 nM.

Technical Data:

Molecular Weight (MW):	441.9	
Formula:	$C_{22}H_{25}F_2NO_4.HCl$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 88 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	152520-56-4	

Biological Activity

Nebivolol shows high affinity and selectivity for beta 1-adrenergic receptor sites in a rabbit lung membrane preparation (K_i value = 0.9 nM and beta 2/beta 1 ratio = 50). [1] Nebivolol displays β1-adrenoceptor selectivity with the $K_i(β2)/K_i(β1)$ value of 40.7 judged by competition experiments to ^{3}H-CGP 12.1777 in the presence of CGP 207.12 A (300 nM, $K_iβ2$) or ICI 118.551 (50 nM, $K_iβ1$). [2] Nebivolol reduces cell proliferation of human coronary smooth muscle cells (haCSMCs) and endothelial cells (haECs) in a concentration- and time-dependent maner. Nebivolol treatment for 7 days causes significant reduction in cell growth of haCSMCs with IC50 of 6.1 μM, and inhibits accelerated haCSMC proliferation stimulated by growth factors PDGF-BB, bFGF, and TGFβ with IC50 values of 6.8 μM, 6.4 μM and 7.7 μM, repectively.

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Nebivolol treatment (10^{-5} M) of haCSMCs for 48 hours induces a moderate apoptosis of 23% and a decrease from 16% to 5% in the number of cells in S-phase. During Nebivolol incubation, NO formation of HaCEs increases, while endothelin-1 transcription and secretion are suppressed. [3]

Administratiion of Nebivolol (initially by iv within 10 minutes of reperfusion and then orally) to rats with myocardial infarction (MI) reduces myocardial apoptosis, which is mediated by regulation of NO . Nebivolol, significantly, prevents left ventricular (LV) pressure changes, reduces total and regional apoptotic cardiomyocytes. Nebivolol treatment lowers mean blood pressure (MBP) in rats with MI slightly, but not significantly. [4]

A highly cardioselective compound under certain conditions.

References

[1] Pauwels PJ, et al. Mol Pharmacol, 1988, 34(6), 843-851.

[2] Brixius K, et al. Br J Pharmacol, 2001, 133(8), 1330-1338.

[3] Brehm BR, et al. Cardiovasc Res, 2001, 49(2), 430-439.

[4] Mercanoglu G, et al. Circ J, 2008, 72(4), 660-670.

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