Bisoprolol

Cat. No.: HY-129029

CAS No.: 66722-44-9

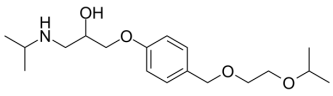
Molecular Formula: $C_{18}H_{31}NO_4$

Molecular Weight: 325.44

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Bisoprolol is a potent, selective and orally active β1-adrenergic receptor blocker with little activity on β2-receptor.
Bisoprolol has the potential for hypertension, coronary artery disease and stable ventricular dysfunction research[1][2].

IC_{50} & Target

Beta-1 adrenergic receptor

In Vitro

Bisoprolol (2 μM, 1 h) protects myocardial cells (H9c2) from ischemia/reperfusion (I/R) injury[2].
Bisoprolol (2 μM, 1 h) reduces the H/R-induced ROS production and apoptosis in H9c2 cells[2].
Bisoprolol (2 μM, 1 h) increases AKT and GSK3β phosphorylation in H9c2 cells[2].
Bisoprolol (100 μM, 24 h) reverses Epinephrine-inhibited emigration in cholesterol-loaded DCs (dendritic cell) through increasing in β-arrestin 2, CCR7 and PI3K phosphorylation[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	H9c2 cells
Concentration:	0.2, 2, 20 μM
Incubation Time:	1 h
Result:	Elevated the survival rates of cardiomyocytes subjected to H/R (hypoxia/reoxygenation) to 73.20%, 90.38%, 81.25% respectively.

Cell Migration Assay [3]

Cell Line:	DCs
Concentration:	100 μM
Incubation Time:	6, 12, 24 h
Result:	Increased the amount of migrating cells by 46.00% (6 h), 64.25% (12 h) and 55.74% (24 h).

In Vivo

Bisoprolol (oral administration, 5 mg/kg, for 1 week) increases left ventricular ejection fraction (LVEF) and decreases the heart rate value[2].
Bisoprolol (oral gavage, 8 mg/kg, daily for four weeks) shows protective effects against Cadmium-induced myocardial

toxicity in rats[4].
Bisoprolol (oral gavage, 1 mg/kg, daily for 6 weeks) reverses small conductance calcium-activated potassium channel (SK) remodeling in a volume-overload rat model[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Ischemia/reperfusion (I/R) injury rats[2]
Dosage:	0.5, 5, 10 mg/kg
Administration:	Oral administration, for 1 week, prior to 0.5 h ischemia/4 h reperfusion.
Result:	Reduced infarct size from 44% in I/R group to 31% in treated group.

Animal Model:	Cadmium-induced rats[4]
Dosage:	2, 8 mg/kg
Administration:	Oral gavage, daily for four weeks.
Result:	Decreased mean arterial pressure (MAP) at 8 mg/kg. Decreased serum biomarkers (ALT, AST) and NF-kB p65 expression and TNF-α levels (cardiac tissue samples) at 8 mg/kg.

CUSTOMER VALIDATION

- Mol Neurobiol. 2019 Jan;56(1):367-377.
- J Pharmaceut Biomed. 2020, 113870.
- ACS Omega. August 8, 2022.

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REFERENCES

[1]. Jing Wang, et al. Bisoprolol, a β 1 antagonist, protects myocardial cells from ischemia-reperfusion injury via PI3K/AKT/GSK3β pathway. Fundam Clin Pharmacol. 2020 Dec;34(6):708-720.

[2]. Hong Yang, et al. Bisoprolol reverses epinephrine-mediated inhibition of cell emigration through increases in the expression of β-arrestin 2 and CCR7 and PI3K phosphorylation, in dendritic cells loaded with cholesterol. Thromb Res. 2013 Mar;131(3):230-7.

[3]. Jinhua Liu, et al. Protective Effects of Bisoprolol Against Cadmium-induced Myocardial Toxicity Through Inhibition of Oxidative Stress and NF-κB Signalling in Rats. J Vet Res. 2021 Oct 20;65(4):505-511.

[4]. Yajuan Ni, et al. Bisoprolol reversed small conductance calcium-activated potassium channel (SK) remodeling in a volume-overload rat model. Mol Cell Biochem. 2013 Dec;384(1-2):95-103.

[5]. Jillian G Baker, et al. The selectivity of beta-adrenoceptor antagonists at the human beta1, beta2 and beta3 adrenoceptors. Br J Pharmacol. 2005 Feb;144(3):317-22.

Caution: Product has not been fully validated for medical applications. For research use only.

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