Bisoprolol hemifumarate

Cat. No.: HY-B0076

CAS No.: 104344-23-2

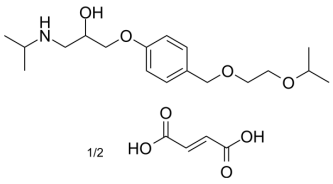
Molecular Formula: $C_{18}H_{31}NO_4 \cdot {}_1/_2C_4H_4O_4$

Molecular Weight: 383.48

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (130.38 mM)
H_2O : 20 mg/mL (52.15 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6077 mL	13.0385 mL	26.0770 mL
5 mM	0.5215 mL	2.6077 mL	5.2154 mL
10 mM	0.2608 mL	1.3038 mL	2.6077 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
Solubility: 100 mg/mL (260.77 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Bisoprolol hemifumarate is a potent, selective and orally active β1-adrenergic receptor blocker with little activity on β2-receptor. Bisoprolol hemifumarate 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 hemifumarate (2 μM, 1 h) protects myocardial cells (H9c2) from ischemia/reperfusion (I/R) injury[2].
Bisoprolol hemifumarate (2 μM, 1 h) reduces the H/R-induced ROS production and apoptosis in H9c2 cells[2].
Bisoprolol hemifumarate (2 μM, 1 h) increases AKT and GSK3β phosphorylation in H9c2 cells[2].
Bisoprolol hemifumarate (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 hemifumarate (oral administration, 5 mg/kg, for 1 week) increases left ventricular ejection fraction (LVEF) and decreases the heart rate value[2].
Bisoprolol hemifumarate (oral gavage, 8 mg/kg, daily for four weeks) shows protective effects against Cadmium-induced myocardial toxicity in rats[4].
Bisoprolol hemifumarate (oral gavage, 1 mg/kg, daily for 6 weeks) reversessmall 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

- Am J Respir Cell Mol Biol. 2023 May 10.
- Mol Neurobiol. 2019 Jan;56(1):367-377.
- ACS Omega. August 8, 2022.

- J Pharmaceut Biomed. 2020, 113870.

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REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

[4]. 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.

[5]. 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.

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