Benidipine hydrochloride

Cat. No.: HY-B1448

CAS No.: 91599-74-5

Molecular Formula: $C_{28}H_{32}ClN_3O_6$

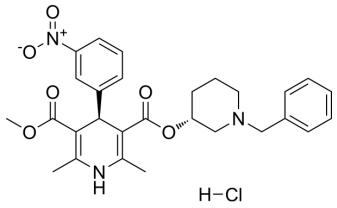
Molecular Weight: 542.02

Target: Calcium Channel; Apoptosis

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; Apoptosis

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 : 33.33 mg/mL (61.49 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8450 mL	9.2248 mL	18.4495 mL
5 mM	0.3690 mL	1.8450 mL	3.6899 mL
10 mM	0.1845 mL	0.9225 mL	1.8450 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.84 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Benidipine hydrochloride is an orally active calcium channel antagonist. Benidipine hydrochloride can inhibit cell proliferation and apoptosis. Benidipine hydrochloride has antioxidant activity and can increase nitric oxide synthase activity and improve coronary circulation in hypertensive rats[1][2][3][4][5][6].

In Vitro

Benidipine hydrochloride (0.01-1 μM, 7 days) promotes mouse endothelial cell differentiation by enhancing phosphorylated Akt[5].

Benidipine hydrochloride (0.1-10 μM) inhibits the proliferation of mesangial cells, at both the G0/G1 and G1/S phases[6].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[5]

Cell Line:	Murine PBMCs
Concentration:	1 μM
Incubation Time:	7 days
Result:	Increased the expression of phosphorylated Akt on serine-473.

Cell Proliferation Assay[6]

Cell Line:	Mesangial cells
Concentration:	0.1-10 μM
Incubation Time:	48 h
Result:	Inhibited the progression of the cell cycle in a dose-dependent manner.

In Vivo

Benidipine hydrochloride (3-10 μg/kg, i.v.) shows a significant anti-apoptotic effect in rabbits, independent of hemodynamics [2].

Benidipine hydrochloride (5 mg/kg, i.v., every day for 6 weeks) increases the activity of endothelial cell-type nitric oxide synthase (eNOS) and improves coronary circulation in hypertensive rats[3].

Benidipine hydrochloride (1-10 mg/kg, p.o., once daily for 1 week) has significant cardioprotective effects against ischemia-reperfusion injury[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MI/R rabbits model[2]
Dosage:	3-10 μg/kg
Administration:	i.v.
Result:	Caused a significant decrease in HR (heart rate), MABP (mean arterial blood pressure), and PRI (pressure-rate index) at 10 μg/kg. Decreased apoptotic positive cells to 7.4% at 3 μg/kg.

Animal Model:	Renovascular hypertensive rats (RHR) model[3]
Dosage:	5 mg/kg
Administration:	i.v.
Result:	Decreased the blood pressure and coronary vascular resistance index. Increased nitrite production and eNOS mRNA expression and the coronary flow at rest, the capillary density.

Animal Model:	Rat heart model[4]
Dosage:	1-10 mg/kg
Administration:	p.o.
Result:	Increased the post-ischemic recovery of LVDP and LV dP/dt max (LVDP: 87.5±10.1 vs 64.6±11.9%; LV dP/dt max: 97.8±10.4 vs 70.2±15.7%) at 3 mg/kg.

REFERENCES

[1]. Yao K, et al. Pharmacological, pharmacokinetic, and clinical properties of benidipine hydrochloride, a novel, long-acting calcium channel blocker. J Pharmacol Sci. 2006 Apr;100(4):243-61.

[2]. Gao F, et al. Anti-apoptotic effect of benidipine, a long-lasting vasodilating calcium antagonist, in ischaemic/reperfused myocardial cells. Br J Pharmacol. 2001 Feb;132(4):869-78.

[3]. Kobayashi N, et al. Benidipine stimulates nitric oxide synthase and improves coronary circulation in hypertensive rats. Am J Hypertens. 1999 May;12(5):483-91.

[4]. Masanori S, et al. Orally administered benidipine and manidipine prevent ischemia-reperfusion injury in the rat heart. Circ J. 2004 Mar;68(3):241-6.

[5]. Ando H, et al. Benidipine, a dihydropyridine-Ca2+ channel blocker, increases the endothelial differentiation of endothelial progenitor cells in vitro. Hypertens Res. 2006 Dec;29(12):1047-54.

[6]. Ono T, et al. Broad antiproliferative effects of benidipine on cultured human mesangial cells in cell cycle phases. Am J Nephrol. 2002 Sep-Dec;22(5-6):581-6.

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