Lercanidipine hydrochloride

Cat. No.: HY-B0612A

CAS No.: 132866-11-6

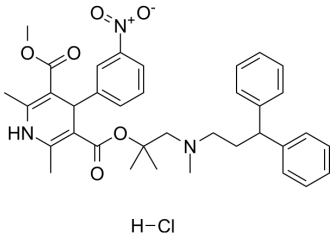
Molecular Formula: $C_{36}H_{42}ClN_3O_6$

Molecular Weight: 648.19

Target: Calcium Channel; Apoptosis; Reactive Oxygen Species; p38 MAPK; NF-κB

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; Apoptosis; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB; MAPK/ERK Pathway

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 (77.14 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5428 mL	7.7138 mL	15.4276 mL
	5 mM	0.3086 mL	1.5428 mL	3.0855 mL
	10 mM	0.1543 mL	0.7714 mL	1.5428 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: ≥ 2.5 mg/mL (3.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lercanidipine is a third-generation, lipophilic, brain-penetrant, vascular-selective and orally active dihydropyridine-calcium channel blocker with a pIC_{50} of 7.74 (converts from μM). Lercanidipine has long lasting antihypertensive action as well as reno- and neuro-protective effect. Lercanidipine also shows anti-oxidant, anti-inflammatory and anti-apoptotic properties. Lercanidipine can be used in cardiovascular and neurological research[1][2][3][4][5].

IC_{50} & Target

calcium channel[1]

In Vitro

Lercanidipine (1, 10 μM, 24 h) exerts suppression of NO, ROS and TNF-a through down-regulation of iNOS, MMP-2/MMP-9, and HMGB1, as well as inhibition of MAPKs, Akt/IkB-a and NF-kB pathways in LPS/IFN-γ-induced VSMCs[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	LPS/IFN-γ-induced VSMC
Concentration:	1 and 10 μM
Incubation Time:	24 h
Result:	Down-regulated LPS/IFN-γ-induced iNOS, MMP-2/-9, NF-kB expression and IkB-a phosphorylation. Decreased cytosolic HMGB1 fraction and extracellular HMGB1 release while increasing nuclear HMGB1 fraction.

In Vivo

Lercanidipine (1, 0.5 and 0.25 mg/kg, i.p., acute administration) significantly reduces neurological deficit score, motor deficits and cerebral infarction volume in the MCAo model rats[3].
Lercanidipine (1.92-0.12 mg/kg, p.o., acute administration) lowers blood pressure effectively and dose-dependently in spontaneous hypertensive rats[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Albino male Wistar rats, middle cerebral artery occlusion (MCAo) model[3]
Dosage:	1, 0.5 and 0.25 mg/kg
Administration:	Intraperitoneal injection (i.p.), acute administration
Result:	Showed neuroprotective effect in focal cerebral ischemic-reperfusion injury model, most effective dose was found to be at 0.5 mg/kg. Significantly attenuated percentage infarct volume, significantly improved the apparent diffusion coefficient. Declined MMP-9 activity significantly in all Lercanidipine treated groups till 240 min post-reperfusion, while MMP-2 activity was inhibited only till 120 min post-reperfusion. Decreased caspase-3 activity significantly in Lercanidipine 15 and 120 min post-reperfusion groups only. Exhibited significant reduction in caspase-9 activity in all groups except at 240 min post-reperfusion group.

Animal Model:	Male SHRs [4]
Dosage:	1.92, 0.96, 0.48, 0.24 and 0.12 mg/kg
Administration:	Oral gavage (p.o.) for once
Result:	Increased the AOC values of mean arterial pressure in a dose-dependent manner (285.4 mmHg×hour for 1.92 mg) as well as decreased BP.

CUSTOMER VALIDATION

- Cell Calcium. March 2022, 102527.

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REFERENCES

[1]. Duda-Seiman D, et al. Calcium Channel Blockers--Benefits Upon Vascular Biology in Hypertensive Patients. Cardiovasc Hematol Agents Med Chem. 2015;13(1):54-62.

[2]. Gupta S, et al. Neuroprotective effect of lercanidipine in middle cerebral artery occlusion model of stroke in rats. Exp Neurol. 2017 Feb;288:25-37.

[3]. Yeh JL, et al. Lercanidipine and labedipinedilol--A attenuate lipopolysaccharide/interferon-γ-induced inflammation in rat vascular smooth muscle cells through inhibition of HMGB1 release and MMP-2, 9 activities. Atherosclerosis. 2013 Feb;226(2):364-72.

[4]. Lee JJ, et al. Drug synergism of antihypertensive action in combination of telmisartan with lercanidipine in spontaneous hypertensive rats. Arch Pharm Res. 2010 Sep;33(9):1411-8.

[5]. Barrios, V., et al., Lercanidipine is an effective and well tolerated antihypertensive drug regardless the cardiovascular risk profile: The LAURA study. Int J Clin Pract, 2006. 60(11): p. 1364-70.

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