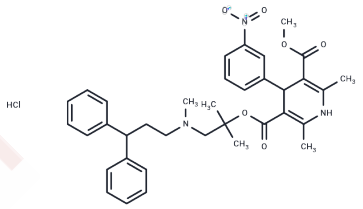
Data Sheet (Cat.No.T6874)

TargetMol

Lercanidipine hydrochloride

Chemical Properties



CAS No. : 132866-11-6

Formula: C36H41N3O6·HCl

Molecular Weight: 648.19

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lercanidipine hydrochloride (Corifeo) is a calcium channel blocker of the dihydropyridine class.
Targets(IC50)	Apoptosis,Calcium Channel,NF-κB,Reactive Oxygen Species,p38 MAPK,ROS
In vitro	In vitro calcium antagonistic activity of Lercanidipine is clearly related to a gradual block of calcium entry into smooth muscle cells via L-type calcium channels. lercanidipine inhibits cellular cholesteryl ester formation. At concentrations similar to those occurring in clinical practice, it may inhibit in vitro macrophage functions involved in atherogenesis and plaque stability[1].
In vivo	In chronically catheterised dogs with experimental renovascular hypertension, lercanidipine decreases diastolic blood pressure in a dose-dependent manner (ED25 = 0.9 mg/kg p.o). In the same animals, long term application of lercanidipine showed permanent decrease of diastolic blood pressure indicating no tolerance of the antihypertensive effect[3]. Lercanidipine possesses significant anticonvulsant effect. It does not affect the muscle coordination or locomotor activity in mice[5]. In clinical studies, lercanidipine has a 24-hour antihypertensive effect and causes no significant increase in heart rate. Lercanidipine has been shown to be effective in a wide range of hypertensive patients, including mild-to-moderate hypertension, severe hypertension, the elderly, and those with isolated systolic hypertension. It is associated with a low rate of adverse events[4].
Kinase Assay	Cell-free enzyme assays are performed to determine the selective inhibition of ROCK1 and ROCK2 by SLx-2119. Reactions are performed on non-binding surface microplates. Four mU of human ROCK1 and ROCK2 are used to phosphorylate 30 μM of the synthetic ROCK peptide substrate S6 Long, prepared at American Peptide with the addition of 10 μM ATP, containing?33P-ATP in the presence of 10 mM Mg2+, 50 mM Tris, pH 7.5, 0.1 mM EGTA and 1 mM DTT at room temperature. One unit is the amount of kinase needed to catalyze the transfer of 1 nmol phosphate/min to the peptide. The reactions are allowed to proceed for 45 minutes and then stopped with 3% phosphoric acid to a final concentration of 1%. The reactions are captured on phospho cellulose filtration microplates and washed with 75 mM phosphoric acid and methanol using a vacuum manifold. Phosphorylation is measured on a Perkin-Elmer MicroBeta 1450.
Cell Research	Cells are incubated for 24 hours in DMEM+EFAF 0.2% and lercanidipine, then with lercanidipine and AcLDL for 24 hours. In the last 2 hours [14C]-oleic acid albumin

Cell Research	complex is added for the determination of cholesterol esterification (ACAT activity). (Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (77.14 mM),Sonication is recommended. Ethanol: 6.5 mg/mL (10.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.09 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0309 mL	0.1543 mL	0.3086 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Shereen M. Tawakkol, et al. Analytical Chemistry Letters. 2014, 4:255-266.
Guo Z, Tian E, Chen S, et al.Lercanidipine's Antioxidative Effect Prevents Noise-Induced Hearing Loss.Antioxidants. 2024, 13(3): 327.
Canavesi M, et al. J Cardiovasc Pharmacol. 2004, 44(4):416-422.
Gasser R, et al. Journal of Clinical and Basic Cardiology. 1999, 2(2):169-174.
Epstein M, et al. Heart Dis. 2001, 3(6):398-407.
Selvaraj N, et al. J Clin Diagn Res. 2015, 9(11):FF01-5.