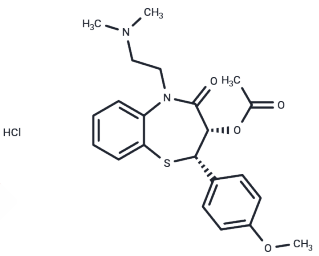
Data Sheet (Cat.No.T0112)

TargetMol

Diltiazem hydrochloride

Chemical Properties



CAS No. : 33286-22-5

Formula: C22H27ClN2O4S

Molecular Weight: 450.98

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	Diltiazem hydrochloride (RG 83606 HCl) is a benzothiazepine calcium channel blocking agent with vasodilating action due to its antagonism of the actions of CALCIUM ion on membrane functions.
Targets(IC50)	Calcium Channel
In vitro	Benzothiazepine Ca2+ antagonist diltiazem hydrochloride interacts with transmembrane segments IIIS6 and IVS6 in the α1 subunit of L-type Ca2+ channels[1]. Diltiazem causes a dose-dependent inhibiton of contractions as well as Ca2+ influx stimulated by alpha adrenoceptor activation and high-K+ depolarization. Diltiazem is roughly equally potent in inhibiting contractions induced by high-K+ and a low concentration of norepinephrine (NE)[2]. Diltiazem also inhibits the Na-dependent Ca-efflux from heart mitochondria. Both the (+)-optical isomers of the cis- and trans-forms of diltiazem inhibit Na-Ca exchange activity with comparable potency (IC50 of 10-20 μM)
In vivo	Diltiazem exhibits noncompetitive inhibition of calcium-induced contractions in depolarized rabbit aorta and lacks parallel effects between the removal of extracellular calcium ([Ca2+]ex) and its addition. It enhances cardiac microcirculation and function in hyperthyroid rat models, significantly reducing left ventricular fibrosis when combined with losartan (4.7±0.7%; P < 0.001). In spontaneously hypertensive rats, diltiazem lowers blood pressure and increases heart rate in a dose-dependent manner after intravenous administration (0.03--1 mg/kg) and through oral administration (100 mg/kg), demonstrating its therapeutic potential in hypertension management.

Solubility Information

Solubility	H2O: 100 mg/mL (221.74 mM),Sonication is recommended. DMSO: 50 mg/mL (110.87 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2174 mL	11.087 mL	22.1739 mL
5 mM	0.4435 mL	2.2174 mL	4.4348 mL
10 mM	0.2217 mL	1.1087 mL	2.2174 mL
50 mM	0.0443 mL	0.2217 mL	0.4435 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

Kraus RL, et al. Molecular mechanism of diltiazem interaction with L-type Ca2+ channels. J Biol Chem. 1998 Oct 16; 273(42):27205-12.
van Breemen C, et al. The mechanism of inhibitory action of diltiazem on vascular smooth muscle contractility. J Pharmacol Exp Ther. 1981 Aug;218(2):459-63.
Chiesi M, et al. Stereospecific action of diltiazem on the mitochondrial Na-Ca exchange system and on sarcolemmal Ca-channels. Biochem Pharmacol. 1987 Sep 1;36(17):2735-40.
Freitas F, et al. Cardiac microvascular rarefaction in hyperthyroid rats is reversed by losartan, diltiazem, and propranolol. Fundam Clin Pharmacol. 2015 Feb;29(1):31-40.
Sato M, et al. Hypotensive effects of diltiazem hydrochloride in the normotensive, spontaneously hypertensive and renal hypertensive rats (author's transl). Nihon Yakurigaku Zasshi. 1979 Mar;75(2):99-106.