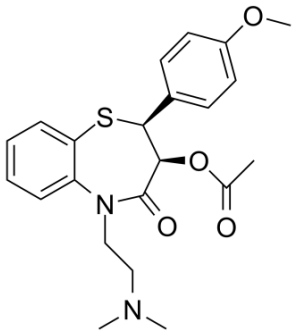
Diltiazem

Cat. No.:	HY-B0632
CAS No.:	42399-41-7
Molecular Formula:	$C_{22}H_{26}N_2O_4S$
Molecular Weight:	414.52
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Diltiazem is an orally active L-type Ca^{2+} channel blocker, with antihypertensive and antiarrhythmic effects. Diltiazem can be used for the research of cardiac arrhythmia, hypertension, and angina pectoris[1][2][3].
IC_{50} & Target	L-type Ca^{2+} channel[1]
In Vitro	Diltiazem (200 μM) in the superfusate, multichannel currents shows a use-dependent decline in amplitude reflecting reductions in the numbers of superpositions of channel openings in isolated guinea pig ventricular myocytes[1]. Diltiazem reduces Ca^{2+} influx by accelerating inactivation during action potentials, and that the use-dependent blockade is due to increases in the number of channels in a sustained closed state[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Diltiazem (100 mg/kg; p.o.; for 4 weeks) prevents aortic aneurysm formation in a blood pressure-independent manner[3]. Diltiazem limits aortic aneurysm formation in mice by a blood pressure–independent anti-inflammatory effect on monocytic cells[3]. Diltiazem (2 mg/kg; i.v.) has $t_{1/2}$ of 61.2 min, CL_{el} of 3.2 mL/min[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ApoE$^{-/-}$ mice, angiotensin II induced aneurysms[3]
Dosage:	100 mg/kg
Administration:	Oral administration, in drinking water, for 4 weeks
Result:	Srongly reduced the vascular remodeling but also lowered the blood pressure.

Animal Model:	Rat (200-250 g)[4]
Dosage:	2 mg/kg (Pharmacokinetic Analysis)
Administration:	Intravenous injection
Result:	$T_{1/2}$ (61.2 min), CL_{el} (3.2 mL/min)

CUSTOMER VALIDATION

- Virology. 2020 Jan 2;539:38-48.
- Virology. 2020 Jan 2;539:38-48.
- Pharmacol Res Perspect. 2020 Apr;8(2):e00575.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Yoshinari Niimi, et al. Diltiazem facilitates inactivation of single L-type calcium channels in guinea pig ventricular myocytes. Jpn Heart J. 2003 Nov;44(6):1005-14.

[2]. S Lin Tang, et l. Structural Basis for Diltiazem Block of a Voltage-Gated Ca2+ Channel. Mol Pharmacol. 2019 Oct; 96(4): 485–492.

[3]. Anja Mieth , et al. L-type calcium channel inhibitor diltiazem prevents aneurysm formation by blood pressure-independent anti-inflammatory effects. Hypertension. 2013 Dec;62(6):1098-104.

[4]. S. J. Downing, et al. Diltiazem pharmacokinetics in the rat and relationship between its serum concentration and uterine and cardiovascular effects. Br J Pharmacol. 1987 Aug; 91(4): 735–745.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA