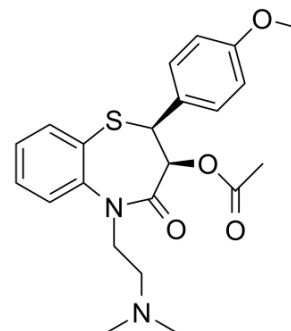


## Diltiazem

<b>Cat. No.:</b>	HY-B0632
<b>CAS No.:</b>	42399-41-7
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>26</sub> N <sub>2</sub> O <sub>4</sub> S
<b>Molecular Weight:</b>	414.52
<b>Target:</b>	Calcium Channel
<b>Pathway:</b>	Membrane Transporter/Ion Channel; Neuronal Signaling
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Diltiazem is an orally active L-type Ca <sup>2+</sup> channel blocker, with antihypertensive and antiarrhythmic effects. Diltiazem can be used for the research of cardiac arrhythmia, hypertension, and angina pectoris <sup>[1][2][3]</sup> .																
<b>IC<sub>50</sub> &amp; Target</b>	L-type Ca <sup>2+</sup> channel <sup>[1]</sup>																
<b>In Vitro</b>	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 <sup>[1]</sup> . Diltiazem reduces Ca <sup>2+</sup> 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 <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.																
<b>In Vivo</b>	Diltiazem (100 mg/kg; p.o.; for 4 weeks) prevents aortic aneurysm formation in a blood pressure-independent manner <sup>[3]</sup> . Diltiazem limits aortic aneurysm formation in mice by a blood pressure-independent anti-inflammatory effect on monocytic cells <sup>[3]</sup> . Diltiazem exhibits T <sub>1/2</sub> of 61.2 min, CL <sub>el</sub> of 3.2 mL/min following intravenous injection (rat 2 mg/kg) <sup>[4]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only. <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Male ApoE<sup>-/-</sup> mice, angiotensin II induced aneurysms<sup>[3]</sup></td> </tr> <tr> <td>Dosage:</td> <td>100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral administration, in drinking water, for 4 weeks</td> </tr> <tr> <td>Result:</td> <td>Strongly reduced the vascular remodeling but also lowered the blood pressure.</td> </tr> </table> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Rat (200-250 g)<sup>[4]</sup></td> </tr> <tr> <td>Dosage:</td> <td>2 mg/kg (Pharmacokinetic Analysis)</td> </tr> <tr> <td>Administration:</td> <td>Intravenous injection</td> </tr> <tr> <td>Result:</td> <td>T<sub>1/2</sub> (61.2 min), CL<sub>el</sub> (3.2 mL/min)</td> </tr> </table>	Animal Model:	Male ApoE <sup>-/-</sup> mice, angiotensin II induced aneurysms <sup>[3]</sup>	Dosage:	100 mg/kg	Administration:	Oral administration, in drinking water, for 4 weeks	Result:	Strongly reduced the vascular remodeling but also lowered the blood pressure.	Animal Model:	Rat (200-250 g) <sup>[4]</sup>	Dosage:	2 mg/kg (Pharmacokinetic Analysis)	Administration:	Intravenous injection	Result:	T <sub>1/2</sub> (61.2 min), CL <sub>el</sub> (3.2 mL/min)
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## CUSTOMER VALIDATION

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

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## 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 Ca<sup>2+</sup> 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.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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