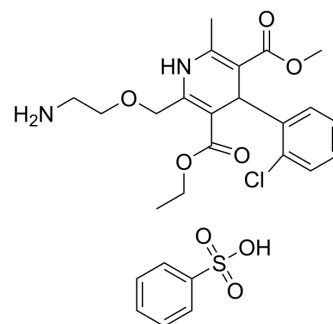


Amlodipine besylate

Cat. No.:	HY-B0317B
CAS No.:	111470-99-6
Molecular Formula:	C ₂₆ H ₃₁ ClN ₂ O ₈ S
Molecular Weight:	567.05
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
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 : ≥ 45 mg/mL (79.36 mM)
 H₂O : 1 mg/mL (1.76 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.7635 mL	8.8176 mL	17.6351 mL
	5 mM	0.3527 mL	1.7635 mL	3.5270 mL
	10 mM	0.1764 mL	0.8818 mL	1.7635 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.41 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.41 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.41 mM); Clear solution
- Add each solvent one by one: PBS
Solubility: 2 mg/mL (3.53 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Amlodipine besylate (Amlodipine benzenesulfonate), an antianginal agent and an orally active dihydropyridine calcium channel blocker, works by blocking the voltage-dependent L-type calcium channels, thereby inhibiting the initial influx of calcium. Amlodipine besylate can be used for the research of high blood pressure and cancer^{[1][2][3]}.

IC₅₀ & Target

L-type calcium channel

In Vitro	<p>Amlodipine besylate (20-40 μM; 48 h) reduces BrdU incorporation to 68.6% and 26.3% at concentrations of 20 and 30 μM in A431 cells, respectively^[3].</p> <p>Amlodipine besylate (30 μM; pretreated for 1 h) significantly attenuates the uridine 5'-triphosphate (UTP)-induced increases of $[\text{Ca}^{2+}]_i$ in A431 cells^[3].</p> <p>Amlodipine besylate (30 μM) inhibits the store-operated Ca^{2+} influx evoked by Thapsigargin in Fluo-3-loaded cells^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Amlodipine besylate (5 mg/kg/day; s.c. for 2 weeks) significantly decreases systolic blood pressure (SBP) in VSMC ATP2B1 KO mice^[4].</p> <p>Amlodipine besylate (10 mg/kg; i.p. once daily for 20 days) causes a significant retardation of tumor growth and prolongs the survival of A431 tumor-bearing mice^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="342 554 1513 789"> <tr> <td data-bbox="342 554 618 617">Animal Model:</td> <td data-bbox="618 554 1513 617">ATP2B1^{loxP/loxP} mice^[4]</td> </tr> <tr> <td data-bbox="342 617 618 680">Dosage:</td> <td data-bbox="618 617 1513 680">5 mg/kg/day</td> </tr> <tr> <td data-bbox="342 680 618 743">Administration:</td> <td data-bbox="618 680 1513 743">Subcutaneously implanted osmotic pump for 2 weeks</td> </tr> <tr> <td data-bbox="342 743 618 789">Result:</td> <td data-bbox="618 743 1513 789">Significantly decreased the blood pressure.</td> </tr> </table>	Animal Model:	ATP2B1 ^{loxP/loxP} mice ^[4]	Dosage:	5 mg/kg/day	Administration:	Subcutaneously implanted osmotic pump for 2 weeks	Result:	Significantly decreased the blood pressure.
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CUSTOMER VALIDATION

- Exp Mol Med. 2021 Apr 2.
- Cells. 2022 Oct 8;11(19):3156.
- J Biochem Mol Toxicol. 2022 Oct 7;e23238.
- Biochem Biophys Res Commun. 2020 Feb 19;522(4):862-868.
- J Chem Thermodyn. 2021, 106495.

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REFERENCES

- [1]. Yoshida J, et, al. Antitumor effects of amlodipine, a Ca^{2+} channel blocker, on human epidermoid carcinoma A431 cells in vitro and in vivo. Eur J Pharmacol. 2004 May 25;492(2-3):103-12.
- [2]. Okuyama Y, et, al. The effects of anti-hypertensive drugs and the mechanism of hypertension in vascular smooth muscle cell-specific ATP2B1 knockout mice. Hypertens Res. 2018 Feb;41(2):80-87.
- [3]. Kishen G. Bulsara, et al. Amlodipine.
- [4]. Haria M, et al. Amlodipine. A reappraisal of its pharmacological properties and therapeutic use in cardiovascular disease [published correction appears in Drugs 1995 Nov;50(5):896]. Drugs. 1995;50(3):560-586.

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