Product Data Sheet

Amlodipine-d₉ maleate

Cat. No.: HY-B0317AS1 Molecular Formula: C24H20D9ClN2O9

Molecular Weight:

Target: Calcium Channel; Isotope-Labeled Compounds

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; Others

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description Amlodipine-d₉ maleate is deuterated labeled Amlodipine maleate (HY-B0317A). Amlodipine maleate is a dihydropyridine calcium channel blocker, acts as an orally active antianginal agent. Amlodipine maleate blocks the voltage-dependent Ltype calcium channels, thereby inhibiting the initial influx of calcium. Amlodipine maleate can be used for the research of high blood pressure and cancer^{[1][2][3]}. In Vitro Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Amlodipine maleate (20-40 μ M; 48 h) reduces BrdU incorporation to 68.6% and 26.3% at concentrations of 20 and 30 μ M in A431 cells, respectively^[4]. $Am lodipine\ maleate\ (30\ \mu\text{M};\ pretreated\ for\ 1\ h)\ significantly\ attenuates\ the\ uridine\ 5'-triphosphate\ (UTP)-induced\ increases$ of $[Ca^{2+}]_i$ in A431 cells [4]. Amlodipine maleate (30 μM) inhibits the store-operated Ca²⁺influx evoked by Thapsigargin in Fluo-3-loaded cells^[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. In Vivo Amlodipine maleate (5 mg/kg/day; s.c. for 2 weeks) significantly decreases systolic blood pressure (SBP) in VSMC ATP2B1 KO mice^[5]. Amlodipine maleate (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^[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Yoshida J, et, al. Antitumor effects of amlodipine, a Ca2+ 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.

5]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.	
Caution: Product has not been fully validated for medical applications. For research use only.	
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