Perhexiline maleate

Cat. No.: HY-B1334A

CAS No.: 6724-53-4

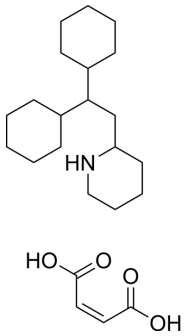
Molecular Formula: $C_{23}H_{39}NO_4$

Molecular Weight: 393.56

Target: Mitochondrial Metabolism; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

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

Ethanol : 25 mg/mL (63.52 mM; ultrasonic and warming and heat to 60°C)
DMSO : 3.57 mg/mL (9.07 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5409 mL	12.7045 mL	25.4091 mL
5 mM	0.5082 mL	2.5409 mL	5.0818 mL
10 mM	0.2541 mL	1.2705 mL	2.5409 mL

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

In Vivo

1. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (5.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (1.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.5 mg/mL (1.27 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (1.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Perhexiline maleate is an orally active CPT1 and CPT2 inhibitor that reduces fatty acid metabolism. Perhexiline maleate induces mitochondrial dysfunction and apoptosis in hepatic cells. Perhexiline maleate can cross the blood brain barrier (BBB) and shows anti-tumor activity. Perhexiline maleate can be used in the research of cancers, and cardiovascular disease like angina[1][2][5].

IC_{50} & Target

IC50: 77 μM (Rat heart CPT 1), 148 μM (Rat liver CPT 1)[1]

In Vitro

Perhexiline (5-25 μM, 2-6 h) maleate reduces cell viability in HepG2 cells[2].
Perhexiline (5-25 μM, 2-6 h) maleate reduces cellular ATP content and Lactate dehydrogenase (LDH) release in HepG2 cells[2].
Perhexiline (20 μM, 2 h) maleate activates caspase 3/7 in HepG2 cells[2].
Perhexiline (5-25 μM, 4 h) maleate causes mitochondrial dysfunction in HepG2 cells[2].
Perhexiline (5 μM, 48 h) maleate selectively induces massive apoptosis in CLL cells (high expression of CPT)[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	HepG2 cells
Concentration:	5, 10, 15, 25 μM
Incubation Time:	2, 4, 6 h
Result:	Induced time- and concentration-dependent cytotoxicity in hepatic cells.

Western Blot Analysis[2]

Cell Line:	HepG2 cells
Concentration:	5, 10, 15, 25 μM
Incubation Time:	2 h
Result:	Reduced Bcl-2 and Mcl-1 level, and increased Bad level.

In Vivo

Perhexiline (200 mg/kg, p.o., daily for 8 weeks) maleate reduces peripheral neural function in female DA rats[4].
Perhexiline (80 mg/kg, oral gavage, for 3 days) maleate demonstrates anti-tumor activity in glioblastoma mouse model[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Orthotopic glioblastoma mouse model[5]
Dosage:	80 mg/kg
Administration:	Oral gavage, for 3 days.
Result:	Reduces tumor size (MR imaging) and improves in overall survival.

CUSTOMER VALIDATION

- BMC Biol. 2024 Apr 12;22(1):83.

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REFERENCES

[1]. E. Marc Jolicoeur, et al. 27 - Refractory Angina. Chronic Coronary Artery Disease, 2018, 412-431.

[2]. Zhen Ren, et al. Mitochondrial dysfunction and apoptosis underlie the hepatotoxicity of perhexiline. Toxicol In Vitro. 2020 Dec;69:104987.

[3]. P-P Liu, et al. Elimination of chronic lymphocytic leukemia cells in stromal microenvironment by targeting CPT with an antiangina drug perhexiline. Oncogene. 2016 Oct 27;35(43):5663-5673.

[4]. Giovanni Licari, et al. Enantioselectivity in the tissue distribution of perhexiline contributes to different effects on hepatic histology and peripheral neural function in rats. Pharmacol Res Perspect. 2018 Jun;6(3):e00406.

[5]. Shiva Kant, et al. Perhexiline Demonstrates FYN-mediated Antitumor Activity in Glioblastoma. Mol Cancer Ther. 2020 Jul;19(7):1415-1422.

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