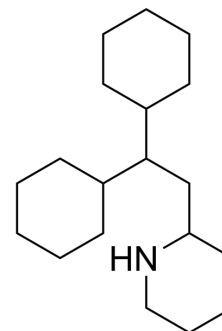


Perhexiline

Cat. No.:	HY-B1334
CAS No.:	6621-47-2
Molecular Formula:	C ₁₉ H ₃₅ N
Molecular Weight:	277.49
Target:	Mitochondrial Metabolism; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Perhexiline is an orally active CPT1 and CPT2 inhibitor that reduces fatty acid metabolism. Perhexiline induces mitochondrial dysfunction and apoptosis in hepatic cells. Perhexiline can cross the blood brain barrier (BBB) and shows anti-tumor activity. Perhexiline can be used in the research of cancers, and cardiovascular disease like angina ^{[1][2][5]} .																
In Vitro	<p>Perhexiline (5-25 μM, 2-6 h) reduces cell viability in HepG2 cells^[2].</p> <p>Perhexiline (5-25 μM, 2-6 h) reduces cellular ATP content and Lactate dehydrogenase (LDH) release in HepG2 cells^[2].</p> <p>Perhexiline (20 μM, 2 h) activates caspase 3/7 in HepG2 cells^[2].</p> <p>Perhexiline (5-25 μM, 4 h) causes mitochondrial dysfunction in HepG2 cells^[2].</p> <p>Perhexiline (5 μM, 48 h) selectively induces massive apoptosis in CLL cells (high expression of CPT)^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HepG2 cells</td> </tr> <tr> <td>Concentration:</td> <td>5, 10, 15, 25 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>2, 4, 6 h</td> </tr> <tr> <td>Result:</td> <td>Induced time- and concentration-dependent cytotoxicity in hepatic cells.</td> </tr> </table> <p>Western Blot Analysis^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HepG2 cells</td> </tr> <tr> <td>Concentration:</td> <td>5, 10, 15, 25 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>2 h</td> </tr> <tr> <td>Result:</td> <td>Reduced Bcl-2 and Mcl-1 level, and increased Bad level.</td> </tr> </table>	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.	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.
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In Vivo	<p>Perhexiline (200 mg/kg, p.o., daily for 8 weeks) reduces peripheral neural function in female DA rats^[4].</p> <p>Perhexiline (80 mg/kg, oral gavage, for 3 days) demonstrates anti-tumor activity in glioblastoma mouse model^[5].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																

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.

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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Caution: Product has not been fully validated for medical applications. For research use only.

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