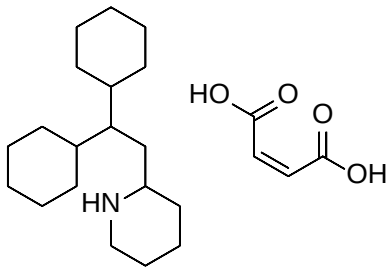
Product data sheet

MedKoo Cat#: 318471 Name: Perhexiline Maleate CAS: 6724-53-4 (maleate) Chemical Formula: $C_{23}H_{39}NO_4$ Molecular Weight: 393.568	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Perhexiline maleate is an anti-anginal metabolic modulator. It inhibits the mitochondrial enzyme carnitine palmitoyltransferase CPT-1 and to a lesser extent CPT-2. This causes a shift in myocardial substrate utilisation from long chain fatty acids to carbohydrates, resulting in increased glucose and lactate utilization and increased ATP production for the same O2 consumption as before and consequently increases myocardial efficiency.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	25.0	63.52
DMSO	37.73	95.87
DMSO:PBS (pH 7.2) (1:1)	0.5	1.27
Ethanol	10.72	27.23

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.54 mL	12.70 mL	25.41 mL
5 mM	0.51 mL	2.54 mL	5.08 mL
10 mM	0.25 mL	1.27 mL	2.54 mL
50 mM	0.05 mL	0.25 mL	0.51 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Ren Z, Chen S, Seo JE, Guo X, Li D, Ning B, Guo L. Mitochondrial dysfunction and apoptosis underlie the hepatotoxicity of perhexiline. Toxicol In Vitro. 2020 Dec;69:104987. doi: 10.1016/j.tiv.2020.104987. Epub 2020 Aug 28. PMID: 32861758; PMCID: PMC7938330.
2. Kennedy JA, Unger SA, Horowitz JD. Inhibition of carnitine palmitoyltransferase-1 in rat heart and liver by perhexiline and amiodarone. Biochem Pharmacol. 1996 Jul 26;52(2):273-80. doi: 10.1016/0006-2952(96)00204-3. PMID: 8694852.

In vivo study

1. Kant S, Kesarwani P, Guastella AR, Kumar P, Graham SF, Buelow KL, Nakano I, Chinnaiyan P. Perhexiline Demonstrates FYN-mediated Antitumor Activity in Glioblastoma. Mol Cancer Ther. 2020 Jul;19(7):1415-1422. doi: 10.1158/1535-7163.MCT-19-1047. Epub 2020 May 19. PMID: 32430486; PMCID: PMC7335329.

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2. Liu PP, Liu J, Jiang WQ, Carew JS, Ogasawara MA, Pelicano H, Croce CM, Estrov Z, Xu RH, Keating MJ, Huang P. 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. doi: 10.1038/onc.2016.103. Epub 2016 Apr 11. PMID: 27065330; PMCID: PMC5064824.

7. Bioactivity

Biological target:

Perhexiline maleate is an orally active CPT1 and CPT2 inhibitor.

In vitro activity

In primary human hepatocytes, HepaRG cells, and HepG2 cells, perhexiline induced cell death in a concentration- and time-dependent manner. Perhexiline treatment also caused a significant increase in caspase 3/7 activity at 2 h and 4 h. Pretreatment with specific caspase inhibitors suggested that both intrinsic and extrinsic apoptotic pathways contributed to perhexiline-induced cytotoxicity, which was confirmed by increased expression of TNF-α, cleavage of caspase 3 and 9 upon perhexiline treatment. Moreover, perhexiline caused mitochondrial dysfunction, demonstrated by the classic glucose-galactose assay at 4 h and 24 h. Results from JC-1 staining suggested perhexiline caused loss of mitochondrial potential.

Reference: Toxicol In Vitro. 2020 Dec;69:104987. https://pubmed.ncbi.nlm.nih.gov/32861758/

In vivo activity

As shown in Figure 6a–6b, there was a significant decrease in leukemia cell counts in all mice after drug treatment (P<0.01). Importantly, flow cytometry analysis of the cell for expression of CD5 and IgM revealed that 59% of the cells were $CD5^+/IgM^+$ leukemia cells in the pre-treatment samples, whereas only 0.9% cells were $CD5^+/IgM^+$ after drug treatment (Figure 6c), indicating that the leukemia cell population ($CD5^+/IgM^+$ population) were selectively eliminated *in vivo* by Perhexiline, with most (99%) of the remaining cells were CD5/IgM negative cells.

Reference: Oncogene. 2016 Oct 27;35(43):5663-5673. https://pubmed.ncbi.nlm.nih.gov/27065330/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.