

# Product data sheet



MedKoo Cat#: 591314 Name: Mepyramine CAS: 91-84-9 Chemical Formula: C <sub>17</sub> H <sub>23</sub> N <sub>3</sub> O Exact Mass: 285.1841 Molecular Weight: 285.391	
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:

Mepyramine, a histamine H1 antagonist. It has mild hypnotic properties and some local anesthetic action and is used for allergies (including skin eruptions) both parenterally and locally. It is a common ingredient of cold remedies.

## 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
TBD	TBD	TBD

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.50 mL	17.52 mL	35.04 mL
5 mM	0.70 mL	3.50 mL	7.01 mL
10 mM	0.35 mL	1.75 mL	3.50 mL
50 mM	0.07 mL	0.35 mL	0.70 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

- Liu B, Zhang X, Wang C, Zhang G, Zhang H. Antihistamine mepyramine directly inhibits KCNQ/M channel and depolarizes rat superior cervical ganglion neurons. *Neuropharmacology*. 2008 Mar;54(4):629-39. doi: 10.1016/j.neuropharm.2007.11.012. Epub 2007 Nov 28. PMID: 18222495.
- Zeng S, Guo ZG. Mepyramine inhibits platelet activating factor-induced rabbit platelet aggregation: role of intracellular histamine. *Zhongguo Yao Li Xue Bao*. 1997 Mar;18(2):155-8. PMID: 10072970.

### In vivo study

- Hao J, Brosse L, Bonnet C, Ducrocq M, Padilla F, Penalba V, Desplat A, Ruel J, Delmas P. The widely used antihistamine mepyramine causes topical pain relief through direct blockade of nociceptor sodium channels. *FASEB J*. 2021 Dec;35(12):e22025. doi: 10.1096/fj.202100976RR. PMID: 34758144.
- Fitzsimons CP, Monczor F, Fernández N, Shayo C, Davio C. Mepyramine, a histamine H1 receptor inverse agonist, binds preferentially to a G protein-coupled form of the receptor and sequesters G protein. *J Biol Chem*. 2004 Aug 13;279(33):34431-9. doi: 10.1074/jbc.M400738200. Epub 2004 Jun 10. PMID: 15192105.

## 7. Bioactivity

Biological target:

Mepyramine, a histamine H1 antagonist.

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## In vitro activity

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The KCNQ/M K(+) channel plays a crucial role in controlling neuron excitability. Here, we demonstrate that mepyramine and diphenhydramine, two structurally related first-generation antihistamines, can act as potent KCNQ/M channel blockers. Mepyramine also inhibited the individual homomeric KCNQ1-4 and heteromeric KCNQ3/Q5 currents. Moreover, mepyramine inhibited KCNQ2/Q3 current in an outside-out patch excised from HEK293 cells and the inhibitory effect was neither observed when it was applied intracellularly nor affected by blocking phospholipase C (PLC) activity, indicating an extracellular and direct channel blocking mechanism.

Reference: Neuropharmacology. 2008 Mar;54(4):629-39. <https://pubmed.ncbi.nlm.nih.gov/18222495/>

## In vivo activity

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Locally applied mepyramine had analgesic effects on the scorpion toxin-induced excruciating pain and produced pain relief in acute, inflammatory, and chronic pain mouse models. Collectively, these data provide evidence that mepyramine has the potential to be developed as a topical analgesic agent.

Reference: FASEB J. 2021 Dec;35(12):e22025. <https://pubmed.ncbi.nlm.nih.gov/34758144/>

*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.*