

Thioperamide maleate

Chemical Properties

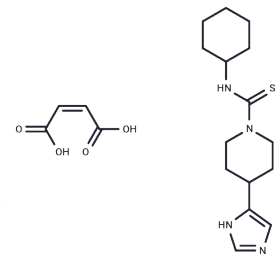
CAS No. : 148440-81-7

Formula: C₁₉H₂₈N₄O₄S

Molecular Weight: 408.52

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Thioperamide maleate (MR-12842 maleate) is an effective and selective H ₃ receptor antagonist (K _i = 4.3 nM) that inhibits [3H]histamine synthesis (K _i = 31 nM).
Targets(IC ₅₀)	Histamine Receptor
In vitro	Thioperamide maleate (0.01, 0.1, 1, 10, 100 μM) promotes the viability of NE-4C stem cells in a concentration-dependent manner[1]. Thioperamide maleate displays similar potencies at human H ₄ and H ₃ receptors with K _{is} of 43 and 60 nM, respectively[2]. Thioperamide maleate inhibits [3H]-(R)-α-MeHA binding rat brain and guinea-pig lung with K _{is} of 2.1 nM and 2.0 nM, respectively. Thioperamide maleate competitively blocks H ₃ -autoreceptors regulating [3H]histamine release with a mean apparent K _i of 4 nM[4].
In vivo	In C57BL/6J mice, Thioperamide (5-20 mg/kg; i.p.) facilitates reconsolidation of a contextually-conditioned fear memory[3].

Solubility Information

Solubility	DMSO: 55 mg/mL (134.63 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4479 mL	12.2393 mL	24.4786 mL
5 mM	0.4896 mL	2.4479 mL	4.8957 mL
10 mM	0.2448 mL	1.2239 mL	2.4479 mL
50 mM	0.049 mL	0.2448 mL	0.4896 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Na Wang, et al. Histamine H3 Receptor Antagonist Enhances Neurogenesis and Improves Chronic Cerebral Hypoperfusion-Induced Cognitive Impairments. *Front Pharmacol.* 2020 Jan 21;10:1583.
- Y Charlier, et al. Differential Effects of Histamine H(3) Receptor Inverse Agonist Thioperamide, Given Alone or in Combination With the N-methyl-d-aspartate Receptor Antagonist Dizocilpine, on Reconsolidation and Consolidation of a Contextual Fear Memory
- Gbahou F, et al. Compared pharmacology of human histamine H3 and H4 receptors: structure-activity relationships of histamine derivatives. *Br J Pharmacol.* 2006;147(7):744-754.
- J M Arrang, et al. Highly Potent and Selective Ligands for Histamine H3-receptors. *Nature.* 1987 May 14-20;327(6118):117-23.

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