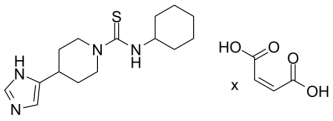
Thioperamide maleate

Cat. No.:	HY-12206A
CAS No.:	148440-81-7
Molecular Formula:	$C_{15}H_{24}N_4S.xC_4H_4O_4$
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
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	H_2O : 100 mg/mL (Need ultrasonic)

BIOLOGICAL ACTIVITY

Description	Thioperamide maleate (MR-12842 maleate) is a potent, orally available, brain penetrant and selective H3 receptor antagonist with a K_i of 4.3 nM for inhibition of [^{3}H]histamine release. Thioperamide maleate inhibits [^{3}H]histamine synthesis with a K_i of 31 nM[1].
IC_{50} & Target	H_3 Receptor
In Vitro	Thioperamide inhibits [^{3}H]-(R)α-MeHA binding rat brain and guinea-pig lung with K_is of 2.1 nM and 2.0 nM, respectively. Thioperamide competitively blocks H3-autoreceptors regulating [^{3}H]histamine release with a mean apparent K_i of 4 nM[1]. Thioperamide (0.01-100 μM; 24 hours) promotes the viability of NE-4C stem cells in a concentration-dependent manner[2]. Thioperamide displays similar potencies at human H4 and H3 receptors (K_i=43 and 60 nM, respectively)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Thioperamide (5-20 mg/kg; i.p.) is able to facilitate reconsolidation of a contextually-conditioned fear memory in C57BL/6J mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	NE-4C stem cells
Concentration:	0.01, 0.1, 1, 10, 100 μM
Incubation Time:	24 hours
Result:	The viability of NE-4C stem cells increased significantly to 150.83±6.91% when (1 μM) was administrated, and increased to 145.11±14.52% and 132.02%±25.65% when 10 μM and 100 μM were administrated respectively.

Animal Model:	Naive female C57BL/6J mice[4]
Dosage:	5, 10 or 20 mg/kg
Administration:	Injections (i.p.)
Result:	Facilitated reconsolidation of a contextually-conditioned fear memory.

REFERENCES

[1]. J M Arrang, et al. Highly Potent and Selective Ligands for Histamine H3-receptors. Nature. 1987 May 14-20;327(6118):117-23.

[2]. 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.

[3]. 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 in Mice. Neuroscience. 2011 Oct 13;193:132-42.

[4]. 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.

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