Thioperamide

Cat. No.: HY-12206

CAS No.: 106243-16-7

Molecular Formula: $C_{15}H_{24}N_4S$

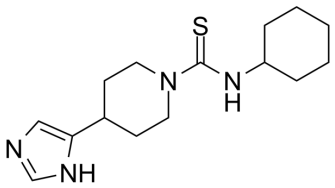
Molecular Weight: 292.44

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (341.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4195 mL	17.0975 mL	34.1950 mL
	5 mM	0.6839 mL	3.4195 mL	6.8390 mL
	10 mM	0.3420 mL	1.7098 mL	3.4195 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (8.55 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.55 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (8.55 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Thioperamide (MR-12842) 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 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].

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.

In Vivo

Thioperamide (5-20 mg/kg; i.p.) is able to facilitate reconsolidation of a contextually-conditioned fear memory in C57BL/6J mice[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Naive female C57BL/6J mice[3]
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

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

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