Promethazine hydrochloride

Cat. No.: HY-B0781

CAS No.: 58-33-3

Molecular Formula: $C_{17}H_{21}ClN_2S$

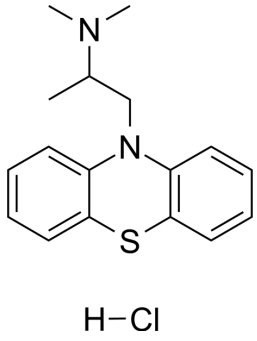
Molecular Weight: 320.88

Target: Histamine Receptor; mAChR; Adrenergic Receptor

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

Storage: 4°C, sealed storage, away from moisture and light

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (311.64 mM; Need ultrasonic)

DMSO : 50 mg/mL (155.82 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1164 mL	15.5821 mL	31.1643 mL
5 mM	0.6233 mL	3.1164 mL	6.2329 mL
10 mM	0.3116 mL	1.5582 mL	3.1164 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 120 mg/mL (373.97 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.79 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Promethazine hydrochloride is an orally active phenothiazine derivative with antihistaminic (H1), sedative, antiemetic, anticholinergic, and antimotion sickness properties. Promethazine hydrochloride is a potent H1 receptor antagonist and a mAChR antagonist. It also has a certain affinity for 5-HT2A and 5-HT2C receptors[1][2].

IC_{50} & Target

H_1 Receptor

In Vitro	Promethazine hydrochloride (1.25-10 μM, 3 days) inhibits adipocyte formation in a dose-dependent manner[1]. Promethazine hydrochloride (10 μM, 0-12 days) decreases the expression of peroxisome proliferator activated receptor γ (PPARG) and reduces the phosphorylation level of CREB in PDGFRα$^+$ cells[1]. Promethazine hydrochloride (10-1000 μM, 1-24 h) has cytotoxic at concentrations greater than 100 μM in L929 lung fibroblast cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Promethazine hydrochloride (0.05-0.1 mg/mL, p.o., 4 weeks) possesses inhibitory effect on ectopic fat cell formation in skeletal muscle in a mouse achilles tendon rupture model[1]. Promethazine hydrochloride (2.4-9.6 mg/kg, p.o.) has no effect on the development of femoral osteoporosis and retarded normal femoral expansion in the adult castrate male rats[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.

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REFERENCES

[1]. Kasai T, et al. Promethazine Hydrochloride Inhibits Ectopic Fat Cell Formation in Skeletal Muscle. Am J Pathol. 2017 Dec;187(12):2627-2634.

[2]. McDonough JA, et al. Microcapsule-gel formulation of promethazine HCl for controlled nasal delivery: a motion sickness medication. J Microencapsul. 2007 Mar;24(2):109-16.

[3]. Wink CS, et al. Effects of promethazine HCl on osteoporotic femora of adult castrated male rats. Acta Anat (Basel).

[4]. Fiorella D, et al. The role of the 5-HT2A and 5-HT2C receptors in the stimulus effects of hallucinogenic drugs. I: Antagonist correlation analysis. Psychopharmacology (Berl). 1995 Oct;121(3):347-56.

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