Tiotidine

Cat. No.: HY-101232

CAS No.: 69014-14-8

Molecular Formula: $C_{10}H_{16}N_8S_2$

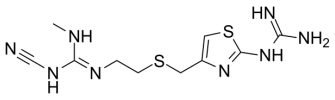
Molecular Weight: 312.42

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	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (400.10 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2008 mL	16.0041 mL	32.0082 mL
5 mM	0.6402 mL	3.2008 mL	6.4016 mL
10 mM	0.3201 mL	1.6004 mL	3.2008 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: ≥ 2.08 mg/mL (6.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tiotidine (ICI 125211) is a potent and selective antagonist of histamine H2-receptor (pA_2=7.3-7.8 for guinea-pig right atrium). Tiotidine has low affinity for both the H1 and the H3 receptors[1][2].

IC_{50} & Target

H_2 Receptor	H_3 Receptor
7.3-7.8 (pA2)	4.8 (pA2)

In Vitro

Tiotidine competitively antagonizes the positive chronotropic action of histamine with an apparent dissociation constant of

30 μM (23-38 μM). Tiotidine abolishes H2-mediated increases in contractile force leaving H2-mediated negative inotropic responses unopposed. The actions of histamine at the A-V node, manifested by lengthening of the P-R interval and A-V block, are attenuated by 2.5 x 10(-7) M Tiotidine[2].

Tiotidine actually behaves as an inverse agonist in U-937 cells, diminishing basal cAMP levels[3].

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

REFERENCES

[1]. Barth H, et al. Structural requirements of imidazole compounds to be inhibitors or activators of histaminemethyltransferase: investigation of histamine analogues and H2-receptor antagonists.

[2]. Trzeciakowski JP, et al. The cardiac pharmacology of tiotidine (LCL 125, 211): a new histamine H2-receptor antagonist. J Pharmacol Exp Ther. 1980 Sep;214(3):629-34.

[3]. Monczor F, et al. Tiotidine, a histamine H2 receptor inverse agonist that binds with high affinity to an inactive G-protein-coupled form of the receptor. Experimental support for the cubic ternary complex model. Mol Pharmacol. 2003 Aug;64(2):512-20.

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

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