Diphenhydramine hydrochloride

Cat. No.: HY-B0303A

CAS No.: 147-24-0

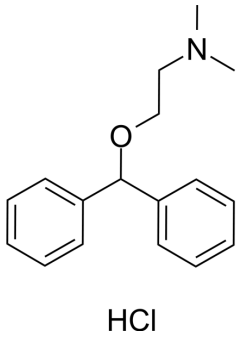
Molecular Formula: $C_{17}H_{22}ClNO$

Molecular Weight: 291.82

Target: Histamine Receptor; Endogenous Metabolite; Bacterial; Apoptosis

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Metabolic Enzyme/Protease; Anti-infection; Apoptosis

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (342.68 mM; Need ultrasonic)
H_2O : 100 mg/mL (342.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4268 mL	17.1338 mL	34.2677 mL
	5 mM	0.6854 mL	3.4268 mL	6.8535 mL
	10 mM	0.3427 mL	1.7134 mL	3.4268 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 130 mg/mL (445.48 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 (8.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.57 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Diphenhydramine hydrochloride is a first-generation histamine H1-receptor antagonist with anti-cholinergic effect. Diphenhydramine hydrochloride can across the ovine blood-brain barrier (BBB)[1][2].

IC_{50} & Target

H_1 Receptor

In Vitro

Diphenhydramine hydrochloride inhibits Cisplatin (HY-17394)-induced cell death in kidney proximal tubular cells (HK-2 and LLC-PK1 cells)[3].
Diphenhydramine (0.2-1 mM, 24 h) hydrochloride inhibits cell viability in CCRF-CEM and Jurkat cells[4].
Diphenhydramine (0.5 and 1 mM, 24 h) hydrochloride induces apoptosis in CCRF-CEM and Jurkat cells[4].
Diphenhydramine (0-10 μg/mL, 24 or 48 h) hydrochloride increases the expression of Bad and Bax, and decreases BCL-2 level in PANC-1 cells[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[5]

Cell Line:	PANC-1 cells
Concentration:	0-10 μg/mL
Incubation Time:	24 or 48 h
Result:	Increased the expression of Bad and Bax, and decreased Bcl2 level. Decreased the expression of p-AKT (Thr308), p-AKT (Ser 473), p-mTOR (Ser 2448), p-FoxO1 (Ser 256), p-MDM2 (Ser 166), p-NF-κB p65 (Ser 536), and p-GSK-3 (Ser 9).

In Vivo

Diphenhydramine (20 mg/kg) hydrochloride inhibits Cisplatin (HY-17394)-induced kidney toxicity in mice[3].
Diphenhydramine (3-30 mg/kg, i.m., 5 minutes prior to a s.c. of 25 mg/kg Dichlorvos) hydrochloride reduces mortality in rats with acute, severe dichlorvos exposure[6].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Rep. 2022 Nov 8;41(6):111615.
- Chemosphere. 2019 Jun;225:378-387.
- Pharmacol Res Perspect. 2021 Oct;9(5):e00879.

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REFERENCES

[1]. Hamano H, et al. Diphenhydramine may be a preventive medicine against cisplatin-induced kidney toxicity. Kidney Int. 2021 Apr;99(4):885-899.

[2]. Jangi SM, et al. Apoptosis of human T-cell acute lymphoblastic leukemia cells by diphenhydramine, an H1 histamine receptor antagonist. Oncol Res. 2004;14(7-8):363-72.

[3]. Zhang R, Chen M, Yu L, et al. Anticancer activity of diphenhydramine against pancreatic cancer by stimulating cell cycle arrest, apoptosis, and modulation of PI3K/Akt/mTOR pathway[J]. Cell proliferation, 2021, 69: 5.

[4]. Simons FE. H1-receptor antagonists. Comparative tolerability and safety. Drug Saf. 1994;10(5):350-380.

[5]. Sadiq MW, et al. Diphenhydramine active uptake at the blood-brain barrier and its interaction with oxycodone in vitro and in vivo. J Pharm Sci. 2011;100(9):3912-3923.

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

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