Diphenhydramine

Cat. No.: HY-B0303

CAS No.: 58-73-1

Molecular Formula: $C_{17}H_{21}NO$

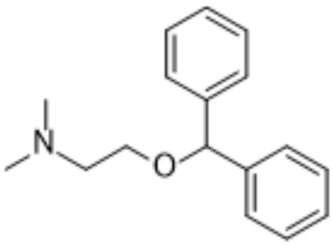
Molecular Weight: 255.35

Target: Endogenous Metabolite; Histamine Receptor; Bacterial; iGluR

Pathway: Metabolic Enzyme/Protease; GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Anti-infection; Membrane Transporter/Ion Channel

Storage:

Pure form	-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 (391.62 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.9162 mL	19.5810 mL	39.1619 mL
5 mM	0.7832 mL	3.9162 mL	7.8324 mL
10 mM	0.3916 mL	1.9581 mL	3.9162 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Diphenhydramine is a first-generation histamine H1-receptor antagonist with anti-cholinergic effect. Diphenhydramine hydrochloride can across the ovine blood-brain barrier (BBB) [1][2][3].

IC_{50} & Target

H_1 Receptor

NMDA Receptor
24.6 μM (IC_{50})

In Vitro

Diphenhydramine (1-300 μM, 30 s) can block NMDA-activated membrane currents. This property can be responsible for or add to its sedative, analgesic and memory related effects[2].

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

Cell Viability Assay[2]

Cell Line:	Human TsA cells
Concentration:	1-300 μM
Incubation Time:	10-30 s
Result:	Did not discriminate between different GluN2 receptor subunits. The IC_{50} value of Diphenhydramine against GluN1/GluN2B was 24.6 μM. The IC_{50} values of Diphenhydramine against GluN1/GluN2A and GluN1_A652C/GluN2A were 24.4 μM and 89.6 μM, respectively, indicating that the receptor modification reduces sensitivity for diphenhydramine. The inhibitory potency of Diphenhydramine did not be overcome with increasing NMDA concentrations. The inhibitory potency of Diphenhydramine did not increase with increasing agonist concentration.

In Vivo

Diphenhydramine (0-10 mg/kg, i.v. and p.o.) has better oral bioavailability when used in combination with Dimenhydrinate (HY-B1215)sup>[3].
Diphenhydramine (20 mg/kg, i.p.) can improve the kidney injury induced by Cisplatin (CDDP) (HY-17394) in mice, and does not affect the anti-tumor efficacy of Cisplatin[4].

Pharmacokinetic parameters for diphenhydramine after single oral or intravenous administration of diphenhydramine HCl (5 mg/kg) to six healthy dogs by using a noncompartmental model with first-order elimination[3]

Route	Dose (mg/kg)	AUC_{last} (ng·h/mL)	C_0 (ng/Ml)	CL (Ml/h/kg)	$T_{1/2}$ (h)	K_{el} (1/h)	MRT (h)	V_{ss_obs} (mL/kg)	C_{max} (ng/mL)	T_{max} (h)	V_z (mL/kg)	F (%)
i.v.	5	391.20	266.10	2833.04	1.89	0.45	2.47	6582.36	/	/	/	/
p.o.	5	153.80	/	/	4.98	0.59	6.97	/	35.80	1.30	180157.36	7.75

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Animal Model:	healthy, fasted mixed-breed dogs[3]
Dosage:	1/5/10 mg/kg
Administration:	i.v., p.o.
Result:	Oral absorption of diphenhydramine was approximately three times greater with a longer half-life when it was administered as the combination product Dimenhydrinate (HY-B1215).

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]. Föhr KJ, et al. Open channel block of NMDA receptors by diphenhydramine. Neuropharmacology. 2015 Dec;99:459-70.

[2]. Ehling S, et al. Diphenhydramine pharmacokinetics after oral and intravenous administration of diphenhydramine and oral administration of dimenhydrinate to healthy dogs, and pharmacodynamic effect on histamine-induced wheal formation: a pilot study. Vet Dermatol. 2019 Apr;30(2):91-e24.

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

[4]. Jason P Berninger, et al. Effects of the antihistamine diphenhydramine on selected aquatic organisms. Environ Toxicol Chem. 2011 Sep;30(9):2065-72.

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