Dihydrexidine hydrochloride

Cat. No.: HY-101299B

CAS No.: 137417-08-4

Molecular Formula: $C_{17}H_{18}ClNO_2$

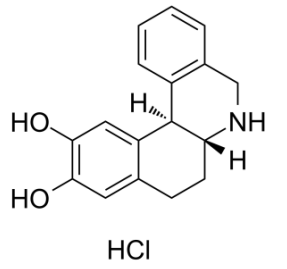
Molecular Weight: 303.78

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

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



relative stereochemistry

SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (274.31 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2919 mL	16.4593 mL	32.9186 mL
5 mM	0.6584 mL	3.2919 mL	6.5837 mL
10 mM	0.3292 mL	1.6459 mL	3.2919 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 (6.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dihydrexidine hydrochloride (DAR-0100 hydrochloride) is a high potent, selective and full efficacy D1-like dopamine receptor (D1/D5) agonist, with an IC_{50} of 10 nM for D1 receptor. Dihydrexidine hydrochloride exhibits potent antiparkinsonian activity [1][2][3][4]. Dihydrexidine hydrochloride can stimulate YAP phosphorylation[5].

IC_{50} & Target

IC50: 10 nM (D1 dopamine receptor), D5 dopamine receptor, 660 nM (D2 dopamine receptor)[1]

In Vitro

Dihydrexidine (DAR-0100) strongly increased YAP phosphorylation in U2OS cells[5].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dihydrexidine hydrochloride has poor oral bioavailability and a relatively short half-life of 1 to 2 h[3]. Dihydrexidine hydrochloride (3 mg/kg; i.p.) produces prominent dopamine D1 receptor agonist effects in vivo[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Sprague–Dawley rats (275-300 g)[4]
Dosage:	3 mg/kg
Administration:	Intraperitoneal injection
Result:	Produces prominent dopamine D1 receptor agonist effects in vivo.

REFERENCES

[1]. Lovenberg TW, et al. Dihydrexidine, a novel selective high potency full dopamine D-1 receptor agonist. Eur J Pharmacol. 1989 Jul 4;166(1):111-3.

[2]. Mottola DM, et al. Dihydrexidine, a novel full efficacy D1 dopamine receptor agonist. J Pharmacol Exp Ther. 1992 Jul;262(1):383-93.

[3]. Salmi P,et al. Dihydrexidine--the first full dopamine D1 receptor agonist. CNS Drug Rev. 2004 Fall;10(3):230-42.

[4]. Gleason, S. D., et al. Effects of dopamine D1 receptor agonists in rats trained to discriminate dihydrexidine. Psychopharmacology, 2006;186(1), 25–31.

[5]. Yu FX, et al. Regulation of the Hippo-YAP pathway by G-protein-coupled receptor signaling. Cell. 2012;150(4):780-791.

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