Screening Libraries

Product Data Sheet

Dihydrexidine hydrochloride

Cat. No.: HY-101299B CAS No.: 137417-08-4 Molecular Formula: C₁₇H₁₈ClNO₂ Molecular Weight: 303.78

Target: **Dopamine Receptor**

Pathway: GPCR/G Protein; Neuronal Signaling Storage:

4°C, sealed storage, away from moisture

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

HCI

SOLVENT & SOLUBILITY

In Vitro

DMSO: 83.33 mg/mL (274.31 mM; Need ultrasonic) H₂O: 10 mg/mL (32.92 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 | D ₁ Receptor 10 nM (IC ₅₀) | |
| 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. |
| | | |

CUSTOMER VALIDATION

- Arthritis Res Ther. 2022 Nov 2;24(1):247.
- Exp Cell Res. 2022 Nov 2;113407.

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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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA