Dexmedetomidine hydrochloride

Cat. No.: HY-17034A

CAS No.: 145108-58-3

Molecular Formula: $C_{13}H_{17}ClN_2$

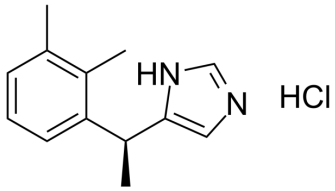
Molecular Weight: 236.74

Target: Adrenergic 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)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (1056.01 mM; Need ultrasonic)

H_2O : ≥ 50 mg/mL (211.20 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.2240 mL	21.1202 mL	42.2404 mL
5 mM	0.8448 mL	4.2240 mL	8.4481 mL
10 mM	0.4224 mL	2.1120 mL	4.2240 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 (8.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dexmedetomidine hydrochloride ((+)-Medetomidine hydrochloride) is a potent, selective and orally active agonist of α2-adrenoceptor, with a K_i of 1.08 nM. Dexmedetomidine hydrochloride shows 1620-fold selectivity against α1-adrenoceptor. Dexmedetomidine hydrochloride exhibits anxiolysis, sedation, and modest analgesia effects[1][2][3].

IC_{50} & Target

α2-adrenergic receptor

1.08 nM (IC_{50})

In Vitro	Medetomidine has high selectivity for α2 adrenoceptors (K_i=1.08 nM) over α1 adrenoceptors (K_i=1750 nM) in rat brain membranes as measured by the displacement of [^{3}H]clonidine[1]. Medetomidine (0.1-100 nM) inhibits the twitch response in field-stimulated mouse vas deferens, with a pD_2 of 9.0[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Medetomidine (10-100 μg/kg; i.v. at 5-min intervals) produces a dose-dependent pupillary dilatation in pentobarbitone-anaesthetized rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague-Dawley rats (270-350 g)[1]
Dosage:	1, 5, 10, 50, 100 mg/kg
Administration:	I.v. at 5-min intervals
Result:	Produced the pupil dilatation of 2.5 mm (approximately half of the maximum effect) at the cumulative dose of 4 μg/kg.

CUSTOMER VALIDATION

- Nat Commun. 2023 Jul 7;14(1):4011.
- Biomed Pharmacother. 2023 Nov 23:169:115915.
- Cardiovasc Drugs Ther. 2023 Jul 1.
- Eur J Neurosci. 2021 Nov 4.
- J Neuropathol Exp Neurol. 2022 Jul 11;nlac055.

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REFERENCES

[1]. Virtanen R, et, al. Characterization of the selectivity, specificity and potency of medetomidine as an alpha 2-adrenoceptor agonist. Eur J Pharmacol. 1988 May 20;150(1-2):9-14.

[2]. Gertler R, et, al. Dexmedetomidine: a novel sedative-analgesic agent. Proc (Bayl Univ Med Cent). 2001 Jan;14(1):13-21.

[3]. Sajid B, et, al. A comparison of oral dexmedetomidine and oral midazolam as premedicants in children. J Anaesthesiol Clin Pharmacol. Jan-Mar 2019;35(1):36-40.

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