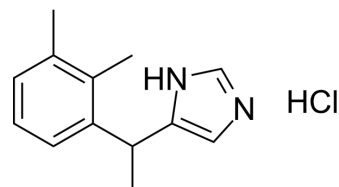


## Medetomidine hydrochloride

<b>Cat. No.:</b>	HY-17034B
<b>CAS No.:</b>	86347-15-1
<b>Molecular Formula:</b>	C <sub>13</sub> H <sub>17</sub> ClN <sub>2</sub>
<b>Molecular Weight:</b>	236.74
<b>Target:</b>	Adrenergic Receptor
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling
<b>Storage:</b>	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 : 100 mg/mL (422.40 mM; Need ultrasonic)  
 Ethanol : 100 mg/mL (422.40 mM; Need ultrasonic)  
 H<sub>2</sub>O : ≥ 50 mg/mL (211.20 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (10.56 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (10.56 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (10.56 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (10.56 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (10.56 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Medetomidine hydrochloride is an orally active α<sub>2</sub>-adrenoceptor agonist (K<sub>i</sub>: 1.08 nM). Medetomidine hydrochloride has

	sedative and analgesic effects. Medetomidine hydrochloride can cause peripheral vasoconstriction through the activation of $\alpha_2$ adrenoceptors on blood vessels <sup>[1][2][3][4]</sup> .	
<b>IC<sub>50</sub> &amp; Target</b>	$\alpha_2$ -adrenergic receptor 1.08 nM (Ki)	$\alpha_1$ -adrenergic receptor 1750 nM (Ki)
<b>In Vitro</b>	<p>Medetomidine (0-1 <math>\mu</math>M, 1 h) hydrochloride inhibits aldosterone release from the adrenocortical cell suspension<sup>[7]</sup>.</p> <p>Medetomidine (10 nM) hydrochloride activates a kicking response in Cyprids<sup>[8]</sup>.</p> <p>Medetomidine (1 <math>\mu</math>M) hydrochloride increases cellular cAMP production by activating <math>\beta</math>-like receptors in CHO cells<sup>[8]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	
<b>In Vivo</b>	<p>Medetomidine (200 <math>\mu</math>g/kg, p.o. or i.m.) hydrochloride induces a sedation in cats<sup>[4]</sup>.</p> <p>Medetomidine (20 <math>\mu</math>g/kg, i.v.) hydrochloride shows sedative and analgesic effects in dogs<sup>[5]</sup>.</p> <p>Medetomidine (0.05-0.3 mg/kg, s.c.) hydrochloride protects against Diazinon-induced toxicosis in mice<sup>[6]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	
	Animal Model:	Diazinon (75 mg/kg, orally)-induced toxicosis in mice <sup>[6]</sup>
	Dosage:	0.05, 0.1 and 0.3 mg/kg
	Administration:	Subcutaneous injection (s.c.), 15 min before Diazinon.
	Result:	Protected the mice from the toxicity induced by Diazinon. Decreased the occurrence of Straub tail, excessive salivation and tremor. Increased the latencies to onset of tremor and death when compared with control.
	Animal Model:	Dogs <sup>[5]</sup>
	Dosage:	20 $\mu$ g/kg
	Administration:	Intravenous injection (i.v.)
	Result:	Showed sedative and analgesic effects. Increased in SAP, MAP, DAP, MPAP, PCWP, CVP, SVR, PVR, core body temperature. Decreased in HR, CO, CI, SV, SI, RR, pH.

## REFERENCES

- [1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother.* 2019;53(2):211-216.
- [2]. Kallio A, et al. Acute effects of medetomidine, a selective alpha 2-adrenoceptor agonist, on anterior pituitary hormone and cortisol secretion in man. *Acta Endocrinol (Copenh).* 1988 Sep;119(1):11-5.
- [3]. R Virtanen, et al. Characterization of the selectivity, specificity and potency of medetomidine as an  $\alpha_2$ -adrenoceptor agonist.
- [4]. O. B. Ansah, et al. Comparing oral and intramuscular administration of medetomidine in cats.
- [5]. Kuo WC, et al. Comparative cardiovascular, analgesic, and sedative effects of medetomidine, medetomidine-hydromorphone, and medetomidine-butorphanol in dogs. *Am J Vet Res.* 2004 Jul;65(7):931-7.
- [6]. Yakoub LK, et al. Medetomidine protection against diazinon-induced toxicosis in mice. *Toxicol Lett.* 1997 Sep 19;93(1):1-8.
- [7]. Jager LP, et al. Effects of atipamezole, detomidine and medetomidine on release of steroid hormones by porcine adrenocortical cells in vitro. *Eur J Pharmacol.* 1998 Apr 3;346(1):71-6.

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

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