Medetomidine

Cat. No.: HY-17034

CAS No.: 86347-14-0

Molecular Formula: $C_{13}H_{16}N_2$

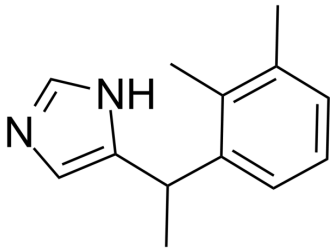
Molecular Weight: 200.28

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (1248.25 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.9930 mL	24.9650 mL	49.9301 mL
5 mM	0.9986 mL	4.9930 mL	9.9860 mL
10 mM	0.4993 mL	2.4965 mL	4.9930 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: ≥ 2.5 mg/mL (12.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (12.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (12.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Medetomidine is an orally active α2-adrenoceptor agonist (K_i: 1.08 nM). Medetomidine has sedative and analgesic effects. Medetomidine can cause peripheral vasoconstriction through the activation of α2 adrenoceptors on blood vessels[1][2][3][4].

IC_{50} & Target

α2-adrenergic receptor	α1-adrenergic receptor
1.08 nM (Ki)	1750 nM (Ki)

In Vitro

Medetomidine (0-1 μM, 1 h) inhibits aldosterone release from the adrenocortical cell suspension[7].
Medetomidine (10 nM) activates a kicking response in Cyprids[8].
Medetomidine (1 μM) increases cellular cAMP production by activating β-like receptors in CHO cells[8].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Medetomidine (200 μg/kg, p.o. or i.m.) induces a sedation in cats[4].
Medetomidine (20 μg/kg, i.v.) shows sedative and analgesic effects in dogs[5].
Medetomidine (0.05-0.3 mg/kg, s.c.) protects against Diazinon-induced toxicosis in mice[6].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Diazinon (75 mg/kg, orally)-induced toxicosis in mice[6]
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[5]
Dosage:	20 μg/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]. O. B. Ansah, et al. Comparing oral and intramuscular administration of medetomidine in cats.

[2]. 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.

[3]. Yakoub LK, et al. Medetomidine protection against diazinon-induced toxicosis in mice. Toxicol Lett. 1997 Sep 19;93(1):1-8.

[4]. 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.

[5]. Ulrika Lind, et al. Octopamine receptors from the barnacle balanus improvisus are activated by the alpha2-adrenoceptor agonist medetomidine.

[6]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-216.

[7]. 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.

[8]. R Virtanen, et al. Characterization of the selectivity, specificity and potency of medetomidine as an a2-adrenoceptor agonist.

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

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