Cevimeline hydrochloride hemihydrate

Cat. No.: HY-76772

CAS No.: 153504-70-2

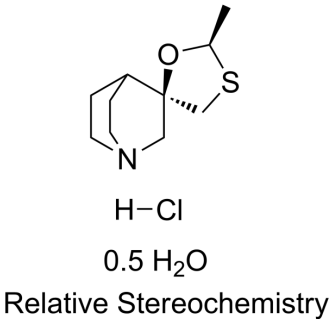
Molecular Formula: $C_{10}H_{17}NOS.HCl._1/_2H_2O$

Molecular Weight: 244.78

Target: mAChR

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 : 100 mg/mL (408.53 mM; Need ultrasonic)
H_2O : ≥ 50 mg/mL (204.27 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0853 mL	20.4265 mL	40.8530 mL
5 mM	0.8171 mL	4.0853 mL	8.1706 mL
10 mM	0.4085 mL	2.0427 mL	4.0853 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (408.53 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.21 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cevimeline hydrochloride hemihydrate (SNI-2011) is a quinuclidine derivative of acetylcholine and a selective and orally active muscarinic M1 and M3 receptor agonist. Cevimeline hydrochloride hemihydrate stimulates secretion by the salivary glands and can be used as a sialogogue for xerostomia[1][2][3][4]. Cevimeline hydrochloride hemihydrate can cross the blood-brain barrier (BBB)[5].

IC_{50} & Target	mAChR1	mAChR3
In Vitro	In digested parotid cells, Cevimeline (0.1-100 μM) increases the intracellular Ca^{2+} concentration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Cevimeline (0.008-0.016 mg/kg; intraperitoneal injection; male Wistar rats) treatment shows slowly increasing and lasting salivation, and increased blood flow increment in the parotid gland and pressor response. Cevimeline inhibits angiotensin II-induced water intake and neuronal activity in the subfornical organ at 0.016 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

Animal Model:	Male Wistar rats (8-week-old) injected with angiotensin-II[1]
Dosage:	0.008 mg/kg, 0.016 mg/kg
Administration:	Intraperitoneal injection
Result:	Showed slowly increasing and lasting salivation, and increased blood flow increment in the parotid gland and pressor response.

REFERENCES

[1]. Ono K, et al. Distinct effects of cevimeline and pilocarpine on salivary mechanisms, cardiovascular response and thirst sensation in rats.Arch Oral Biol. 2012 Apr;57(4):421-8. Epub 2011 Nov 17.

[2]. Witsell DL, et al. Effectiveness of cevimeline to improve oral health in patients with postradiation xerostomia.Head Neck. 2012 Aug;34(8):1136-42. doi: 10.1002/hed.21894. Epub 2012 Jan 9.

[3]. Kondo Y, et al.Cevimeline-induced monophasic salivation from the mouse submandibular gland: decreased Na+ content in saliva results from specific and early activation of Na+/H+ exchange.J Pharmacol Exp Ther. 2011 Apr;337(1):267-74. Epub 2011 Jan 14.

[4]. Voskoboynik B, et al.Cevimeline (Evoxac) overdose.J Med Toxicol. 2011 Mar;7(1):57-9.

[5]. Mitoh Y, et al. Effects of cevimeline on excitability of parasympathetic preganglionic neurons in the superior salivatory nucleus of rats. Auton Neurosci. 2017 Sep;206:1-7.

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