Cevimeline hydrochloride

Cat. No.: HY-70020B

CAS No.: 107220-28-0

Molecular Formula: $C_{10}H_{18}ClNOS$

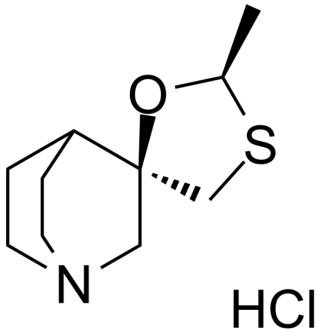
Molecular Weight: 235.77

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

H_2O : ≥ 50 mg/mL (212.07 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.2414 mL	21.2071 mL	42.4142 mL
5 mM	0.8483 mL	4.2414 mL	8.4828 mL
10 mM	0.4241 mL	2.1207 mL	4.2414 mL

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

BIOLOGICAL ACTIVITY

Description

Cevimeline hydrochloride (AF102B hydrochloride) is a quinuclidine derivative of acetylcholine and a selective and orally active muscarinic M1 and M3 receptor agonist. Cevimeline hydrochloride stimulates secretion by the salivary glands and can be used as a sialogogue for xerostomia[1][2][3][4]. Cevimeline hydrochloride can cross the blood-brain barrier (BBB)[5].

IC_{50} & Target

Muscarinic M1 and M3 receptor[1]

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]. 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.

[2]. 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.

[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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