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产品名称：(+/-)-顺式-2-甲基螺[1,3-氧硫杂环戊烷-5,3'-奎宁环]盐酸半水合物
产品别名：Cevimeline hydrochloride hemihydrate；盐酸西维美林半水合物；SNI-2011; AF102B hydrochloride hemihydrate

生物活性：

Description

Cevimeline hydrochloride hemihydrate (SNI-2011), a potent muscarinic receptor agonist, is a candidate therapeutic drug for xerostomia in Sjogren's syndrome. IC50 value: Target: mAChR The general pharmacol. properties of this drug on the gastrointestinal, urinary, and reproductive systems and other tissues were investigated in mice, rats, guinea pigs, rabbits, and dogs. The in vitro metab. of SNI-2011 was also evaluated with rat and dog liver microsomes. After oral administration, plasma concns. of SNI-2011 reached to Cmax within 1 h in both species, suggesting that SNI-2011 was quickly absorbed, and then decreased with a t1/2 of 0.4-1.1 h. The bioavailability was 50% and 30% in rats and dogs, resp. Major metabolites in plasma were both S- and N-oxidized metabolites in rats and only N-oxidized metabolite in dogs, indicating that a large species difference was obsd. in the metab. of SNI-2011. Sex difference was also obsd. in the pharmacokinetics of SNI-2011 in rats, but not in dogs. In the in vitro study, chem. inhibition and pH-dependent studies revealed that the sulfoxidn. and N-oxidn. of SNI-2011 were mediated by cytochrome P 450 (CYP) and flavin-contg. monooxygenase (FMO), resp., in both species. In addn., CYP2D and CYP3A were mainly responsible for the sulfoxidn. in rat liver microsomes.

Solvent&Solubility

In Vitro:

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

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[4]. Washio, Takuo; Kohsaka, Kazuhiro; Arisawa, Hirohiko; et al.Pharmacokinetics and metabolism of the novel muscarinic receptor agonist SNI-2011 in rats and dogs.Arzneimittel-Forschung (2003), 53(1), 26-33.

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