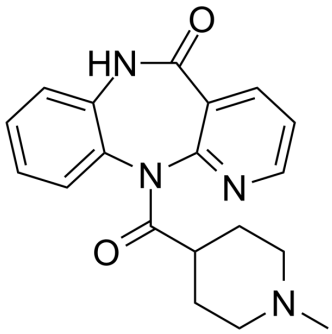
Nuvenzepine

Cat. No.:	HY-U00119
CAS No.:	96487-37-5
Molecular Formula:	$C_{19}H_{20}N_4O_2$
Molecular Weight:	336.39
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	Nuvenzepine is an mAChR antagonist, has the potential for gastrospasm treatment.
IC_{50} & Target	mAChR[1]
In Vitro	Nuvenzepine shows a four-fold higher affinity than pirenzepine in competitively antagonizing acetylcholine-induced contractions on isolated ileal musculature and on longitudinal ileum dispersed cells. Nuvenzepine is almost equipotent to pirenzepine in competitively preventing bethanechol-induced gall-bladder contractions and it displays a four-fold higher potency than pirenzepine in blocking vagal-stimulated tracheal constrictions[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Intraduodenally administration of Nuvenzepine displays a long-lasting and dose-dependent inhibition of neostigmine-induced intestinal motility in anaesthetized cats. On ileal motor activity, Nuvenzepine shows a potency 10 times greater than that of pirenzepine. Nuvenzepine is also active, unlike pirenzepine, on colonic stimulated motility. Furthermore, in conscious cats, Nuvenzepine inhibits pentagastrin-stimulated gastric acid secretion resulting 25-30 times more potent than pirenzepine[2]. Nuvenzepine has been found to be very active in inhibiting gastric acid secretion and intestinal hypermotility in rats, with very slight atropine-like side effects. The oral absorption rate is relatively slow, that the absolute bioavailability is 30 to 40%, that the elimination rate is slow and there is no accumulation in the body, and that there is very little metabolism[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Barocelli E, et al. Functional comparison between nuvenzepine and pirenzepine on different guinea pig isolated smooth muscle preparations. Pharmacol Res. 1994 Aug-Sep;30(2):161-70.

[2]. Barocelli E, et al. Gastrointestinal activities of a new pirenzepine-analog, nuvenzepine, in the cat. Farmaco. 1990 Oct;45(10):1089-99.

[3]. Caselli G, et al. Determination of nuvenzepine in human plasma by a sensitive [3H]pirenzepine radioreceptor binding assay. J Pharm Sci. 1991 Feb;80(2):173-7.

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

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