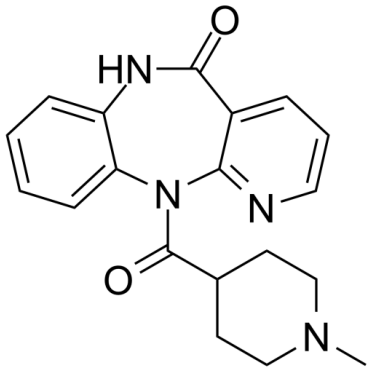
Data Sheet

Product Name:	Nuvenzepine
Cat. No.:	CS-7156
CAS No.:	96487-37-5
Molecular Formula:	C19H20N4O2
Molecular Weight:	336.39
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

Nuvenzepine is an **mAChR** antagonist previously in phase I clinical trials for the treatment of gastrospasm. IC50 & 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]. **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].

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.

CAIndexNames:

5H-Pyrido[2,3-b][1,5]benzodiazepin-5-one, 6,11-dihydro-11-[(1-methyl-4-piperidinyl)carbonyl]-

SMILES:

O=C1C2=CC=CN=C2N(C(C3CCN(C)CC3)=O)C4=CC=CC=C4N1

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

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