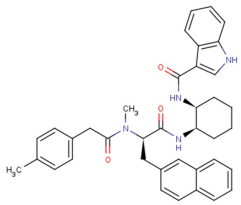
Data Sheet (Cat.No.T12000)

TargetMol
A DRUG SCREENING EXPERT

MEN11467

Chemical Properties



CAS No.:	214487-46-4
Formula:	C38H40N4O3
Molecular Weight:	600.75
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	MEN11467 is a orally- effective and selective peptidomimetic tachykinin NK1 receptor antagonist.
Targets(IC_{50})	Tachykinin NK1 receptor: None
In vivo	Prolonged s.c. treatment with a higher MEN11467 dose (1.7 mmol/kg at five times a week for 6 weeks) completely inhibits the growth of U373 MG tumor for the entire length of the experiment, even following administration of a low exogenous SP dose. After 6 weeks, the tumor mass is not increased compared to the untreated control with TVI%=96%[3].MEN11467 produces a long lasting (>2-3 h) dose-dependent antagonism of bronchoconstriction induced by the selective tachykinin NK1 receptor agonist, [Sar9, Met(O2)11]SP in anaesthetized guinea-pigs (ID50s=29±5, 31±12 and 670±270 μg/kg, after intravenous, intranasal and intraduodenal administration, respectively), without affecting bronchoconstriction induced by methacholine. After oral administration MEN11467 produces a dose-dependent inhibition of plasma protein extravasation induced in guinea-pig bronchi by [Sar9, Met(O2)11] (ID50= 6.7±2 mg/kg) or by antigen challenge in sensitized animals (ID50=1.3 mg/kg). After i.v. administration MEN11467 weakly inhibits the GR 73632-induced foot tapping behaviour in gerbil (ED50=2.96±2 mg/kg), indicating a poor ability to block central tachykinin NK1 receptors[1]. Treatment with MEN11467 (1 mmol/kg twice weekly for 2 weeks) results in a temporary growth arrest of the U373 MG xenograft that last for about 10 days until the last MEN11467 administration (TVI%=56). Thereafter, the tumor start to regrow. MEN11467 anti-tumor activity is partially reverted by the simultaneous administration of an equimolar dose of exogenous substance P (SP), suggesting the specificity of tachykinin NK1 receptor activation in glioma growth.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.665 mL	8.323 mL	16.646 mL
5 mM	0.333 mL	1.665 mL	3.329 mL
10 mM	0.166 mL	0.832 mL	1.665 mL
50 mM	0.033 mL	0.166 mL	0.333 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Cirillo R, et al. Pharmacology of MEN 11467: a potent new selective and orally- effective peptidomimetic tachykinin NK(1) receptor antagonist. Neuropeptides. 2001 Jun-Aug;35(3-4):137-47.
2. Khan S, et al. Effect of the long-acting tachykinin NK(1) receptor antagonist MEN 11467 on tracheal mucus secretion in allergic ferrets. Br J Pharmacol. 2001 Jan;132(1):189-96.
3. Palma C, et al. Anti-tumour activity of tachykinin NK1 receptor antagonists on human glioma U373 MG xenograft. Br J Cancer. 2000 Jan;82(2):480-7.

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