MEN 10207

Cat. No.: HY-151413

CAS No.: 126050-12-2

Molecular Formula: $C_{57}H_{68}N_{14}O_{10}$

Molecular Weight: 1109.24

Target: Neurokinin Receptor

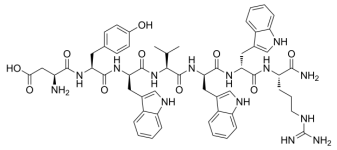
Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (45.08 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9015 mL	4.5076 mL	9.0152 mL
	5 mM	0.1803 mL	0.9015 mL	1.8030 mL
	10 mM	0.0902 mL	0.4508 mL	0.9015 mL

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

BIOLOGICAL ACTIVITY

Description

MEN 10207 is a selective NK-2 tachykinin receptor (Neurokinin Receptor) antagonist. MEN 10207 has pA2 values of 5.2, 7.9 and 4.9 in three monoreceptor in vitro assays for NK-1, NK-2 and NK-3 tachykinin receptors, respectively.

IC_{50} & Target

Tachykinin NK_2 Receptor	NK1	NK3
7.9 (pA2)	5.2 (pA2)	4.9 (pA2)

In Vitro

In competitive radioligand binding assays, MEN 10207 (the IC_{50} ranges of 21-54 nM) has high affinity in bovine stomach membranes and SKLKB82#3 cells, a murine fibroblast cell line transfected with a cDNA encoding for the bovine NK2 receptor [3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

but not Substance P in a dose-dependent manner. And MEN 10207 also effectively blocks the long-term reflex facilitation to the gastrocnemius nerve stimulation[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Decerebrate, spinalized, unanesthetized Female Sprague-Dawley rats (250-280 g)[1]
Dosage:	7 pmol/10 μL, 70 pmol/10 μL, 700 pmol/10 μL
Administration:	Intrathecal administration; once
Result:	Blocked the reflex facilitation to intrathecal Neurokinin A, but not Substance P in a dose-dependent manner.

REFERENCES

[1]. X J Xu, et al. On the role of NK-2 tachykinin receptors in the mediation of spinal reflex excitability in the rat. Neuroscience. 1991;44(2):483-90.

[2]. P Rovero, et al. A highly selective NK-2 tachykinin receptor antagonist containing D-tryptophan. Eur J Pharmacol. 1990 Jan 3;175(1):113-5.

[3]. A K Henderson, et al. Demonstration of a neurokinin A receptor subtype in transfected fibroblasts. Eur J Pharmacol. 1992 Feb 13;225(2):175-8.

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