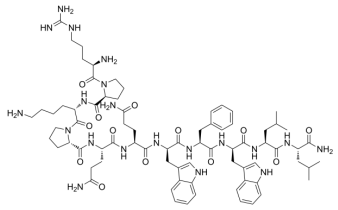
Spantide I

Cat. No.:	HY-P1194
CAS No.:	91224-37-2
Molecular Formula:	$C_{75}H_{108}N_{20}O_{13}$
Molecular Weight:	1497.79
Sequence Shortening:	{D-Arg}-PKPQQ-{D-Trp}-F-{D-Trp}-LL-NH2
Target:	Neurokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (66.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.6677 mL	3.3383 mL	6.6765 mL
	5 mM	0.1335 mL	0.6677 mL	1.3353 mL
	10 mM	0.0668 mL	0.3338 mL	0.6677 mL

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

BIOLOGICAL ACTIVITY

Description

Spantide I, a substance P analog, is a selective NK_1 receptor antagonist, with K_i values of 230 nM and 8150 nM for NK_1 and NK_2 receptor, respectively. Spantide I provides an approach to reduce type 1 and enhance the type 2 cytokine IL-10 in the infected cornea, leading to a significant reduction in corneal perforation[1][2][3].

IC_{50} & Target

NK1	NK2
230 nM (Ki)	8150 nM (Ki)

In Vivo

Spantide I (50 and 100 nM perfused through the cerebral ventricles) causes a complete respiratory arrest in all of the examined animals[2].

Spantide I (36 μg/mouse, ip daily) significantly decreases the number of perforated corneas, bacterial counts, and PMNs. Spantide I also downregulates the mRNA levels for type I cytokines (e.g., IFN-γ) as well as MIP-2, IL-6, TNF-α, and IL-1β[3].

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

Animal Model:	Female, 8-week-old C57BL/6 (B6) and BALB/c mice[3].
Dosage:	36 μg/mouse.
Administration:	IP on days -1 and 0 (day of infection) and daily through 5 days pi (post infection).
Result:	At 3 and 5 days pi, compound-treated mice had significantly less severe ocular disease than did the PBS-treated mice. Contained significantly fewer PMNs than the corneas of PBS-treated mice at 3 and 5 days pi. Significantly reduced levels of corneal TNF-α mRNA at 3 and 5 days pi. Significantly reduced the level of IL-18 mRNA at 1 day pi.

REFERENCES

[1]. J C Beaujouan, et al. Higher potency of RP 67580, in the mouse and the rat compared with other nonpeptide and peptide tachykinin NK1 antagonists. Br J Pharmacol. 1993 Mar;108(3):793-800.

[2]. M Zubrzycka, et al. Comparison of antagonistic properties of substance P analogs, spantide I, II and III, on evoked tongue jerks in rats. Endocr Regul. 2000 Mar;34(1):13-8.

[3]. Linda D Hazlett, et al. Spantide I decreases type I cytokines, enhances IL-10, and reduces corneal perforation in susceptible mice after Pseudomonas aeruginosa infection. Invest Ophthalmol Vis Sci. 2007 Feb;48(2):797-807.

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