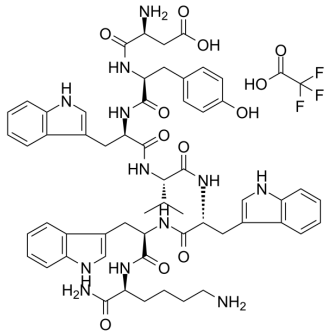
Men 10376 TFA

Cat. No.:	HY-P1276A
Molecular Formula:	$C_{59}H_{69}F_3N_{12}O_{12}$
Molecular Weight:	1195.25
Sequence:	Asp-Tyr-{d-Trp}-Val-{d-Trp}-{d-Trp}-Lys-NH2
Sequence Shortening:	DY-{d-Trp}-V-{d-Trp}{d-Trp}-K-NH2
Target:	Neurokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Sealed storage, away from moisture and light 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)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 1.43 mg/mL (1.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8366 mL	4.1832 mL	8.3665 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

Men 10376 TFA is a selective tachykinin NK-2 receptor antagonist, with a K_i of 4.4 μM for rat small intestine NK-2 receptor[1].

IC_{50} & Target

Ki: 4.4 μM (NK-2 receptor, rat small intestine)[1]

In Vitro

Men 10376 is a selective tachykinin NK-2 receptor, with a K_i of 4.4 μM, and shows low selectivity for NK-1 and NK-3 receptors (K_i, >10 μM)[1]. Men 10376 shows pA_2s of 5.66 and 8.08 for NK-1 (guinea-pig ileum) and NK-2 receptors (endothelium-deprived rabbit pulmonary artery). Men 10376 shows no effect on NK-3 receptor (K_i, >10 μM)[2].

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

In Vivo

Men 10376 (1 and 3 μmol/kg) antagonizes increase in bladder motility produced by the NK-2 receptor agonist in rats[2].

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REFERENCES

[1]. Quartara L, et al. N-terminal truncated analogs of men 10376 as tachykinin NK-2 receptor antagonists. Life Sci. 1992;51(25):1929-36.

[2]. Maggi CA, et al. In vivo evidence for tachykininergic transmission using a new NK-2 receptor-selective antagonist, MEN 10,376. J Pharmacol Exp Ther. 1991 Jun;257(3):1172-8.

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