Vapreotide

Cat. No.: HY-P0061

CAS No.: 103222-11-3

Molecular Formula: $C_{57}H_{70}N_{12}O_9S_2$

Molecular Weight: 1131.37

Sequence: {d-Phe}-Cys-Tyr-{d-Trp}-Lys-Val-Cys-Trp-NH2 (Disulfide bridge: Cys2-Cys7)

Sequence Shortening: {d-Phe}-CY-{d-Trp}-KVCW-NH2 (Disulfide bridge: Cys2-Cys7)

Target: Neurokinin Receptor

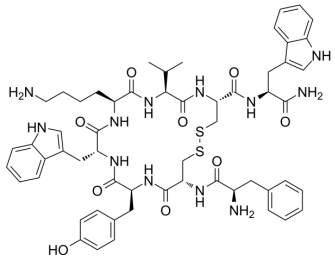
Pathway: GPCR/G Protein; Neuronal Signaling

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 : 100 mg/mL (88.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8839 mL	4.4194 mL	8.8388 mL
	5 mM	0.1768 mL	0.8839 mL	1.7678 mL
	10 mM	0.0884 mL	0.4419 mL	0.8839 mL

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

BIOLOGICAL ACTIVITY

Description Vapreotide is a neurokinin-1 (NK1) receptor antagonist, with an IC_{50} of 330 nM.

IC_{50} & Target NK1

In Vitro

Vapreotide attenuates the effect of SP on calcium release in a concentration-dependent manner. The concentration required for Vapreotide to completely inhibit the effect of SP is about 100 times higher than that required for the NK1R antagonist aprepitant. The effect of Vapreotide on cell proliferation is mediated primarily by SSTR2. In order to further establish the NK1R antagonist effect of Vapreotide, U373MG cells are pretreated with SSTR2 selective antagonist CYN followed by incubation with Vapreotide and SP stimulation. The results show that pretreatment with CYN does not reverse the inhibitory effect of Vapreotide on SP-stimulated IL-8 mRNA expression. Vapreotide reduces HIV-1 replication in MDM as indicated by limited HIV gag mRNA expression compared to control MDM. In addition, SP treatment (10 μM) reverses Vapreotide inhibition of HIV-1 replication in MDM. This observation indicates that the inhibition of HIV-1 replication by

Vapreotide is most likely due to its interaction with NK1R[1].

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

PROTOCOL

Cell Assay [1]

The HEK293-NK1R cells and U373MG cells are incubated with or without Vapreotide (0, 5, 10, 20 μM) for 10 minutes and then incubated with or without SP for 3 hours. In some experiments, cells are first incubated with CYN for 10 minutes, and then Vapreotide is added and incubated for an additional 10 minutes, followed by stimulation with SP for 3 hours. Mock treated cells are used as controls[1].

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

CUSTOMER VALIDATION

- Neurotox Res. 2022 Nov 15.

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REFERENCES

[1]. Spitsin S et al. Analog of somatostatin vapreotide exhibits biological effects in vitro via interaction with neurokinin-1 receptor. Neuroimmunomodulation. 2013;20(5):247-55.

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