Data Sheet

Product Name:	Vapreotide
Cat. No.:	CS-5940
CAS No.:	103222-11-3
Molecular Formula:	C57H70N12O9S2
Molecular Weight:	1131.37
Target:	Neurokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	H2O : ≥ 60 mg/mL (53.03 mM)

FCYWKVCW-NH_2(Disulfide bridge: Cys2-Cys7)

BIOLOGICAL ACTIVITY:

Vapreotide is a **neurokinin-1 (NK1) receptor** antagonist, with an **IC_{50}** of 330 nM. IC50 & Target: 330 nM (NK1R)[1]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

L-Tryptophanamide, D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (2→7)-disulfide

SMILES:

[FCYWKVCW-NH2(Disulfide bridge: Cys2-Cys7)]

Caution: Product has not been fully validated for medical applications. For research use only.

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