Data Sheet (Cat.No.T13306L)

TargetMol
A DRUG SCREENING EXPERT

Vapreotide acetate

Chemical Properties

CAS No.:	849479-74-9
Formula:	C57H70N12O9S2.xC2H4O2
Molecular Weight:	1191.39
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Vapreotide acetate is an antagonist of the neurokinin-1 (NK1) receptor (IC50: 330 nM).
Targets(IC_{50})	Others: None
In vitro	In a dose-dependent manner, Vapreotide attenuates the Substance P (SP)-triggered intracellular calcium increases and NF-κB activation.in HEK293-NK1R and U373MG cell lines, Vapreotide inhibits SP-induced IL-8 and MCP-1 production. In vitro, Vapreotide inhibits HIV-1 infection of human MDM, an effect that is reversible by SP pretreatment [1]. Vapreotide significantly inhibits GH-, PRL, and/or alpha-subunit release by human GH-secreting pituitary adenoma cells in concentrations as low as 10(-12)-10(-14) M. Vapreotide inhibits GH release (IC50: 0.1 pM) [2]. Vapreotide exhibits moderate-to-high affinities for SSTR2, -3, and -5 with IC50 of 0.17, 0.1, and 21 nM, respectively, and low affinity for SSTR1 and -4 with IC50 of 200 and 620 nM, respectively. RC-160 inhibits serum-induced proliferation of CHO cells expressing SSTR2 and SSTR5 (EC50s: 53 and 150 pM) [3].
In vivo	Bleeding by rupture of oesophagogastric varices is a severe complication of portal hypertension in cirrhosis. The acute administration of vapreotide to rats decreases collateral circulation blood flow while chronic administration attenuated its development [4]. Tumor volumes and weights are reduced by about 40% by RC-160 by s.c. injections at doses of 100 μg/day/animal. When the therapy is started at an early stage of tumor development Vapreotide can inhibit the growth of androgen-independent prostate cancer [5].

Solubility Information

Solubility	H2O: 14.29 mg/mL (11.99 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.839 mL	4.197 mL	8.394 mL
5 mM	0.168 mL	0.839 mL	1.679 mL
10 mM	0.084 mL	0.42 mL	0.839 mL
50 mM	0.017 mL	0.084 mL	0.168 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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.
2. Hofland LJ, et al. Relative potencies of the somatostatin analogs octreotide, BIM-23014, and RC-160 on theinhibition of hormone release by cultured human endocrine tumor cells and normal rat anterior pituitary cells. Endocrinology. 1994 Jan;134(1):301-6.
3. Buscail L, et al. Inhibition of cell proliferation by the somatostatin analogue RC-160 is mediated by somatostatin receptor subtypes SSTR2 and SSTR5 through different mechanisms. Proc Natl Acad Sci U S A. 1995 Feb 28;92(5):1580-4.
4. Veal N, et al. Hemodynamic effects of acute and chronic administration of vapreotide in rats with cirrhosis. Dig Dis Sci. 2003 Jan;48(1):154-61.
5. Pinski J, et al. Effect of somatostatin analog RC-160 and bombesin/gastrin releasing peptide antagonist RC-3095 on growth of PC-3 human prostate-cancer xenografts in nude mice.

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