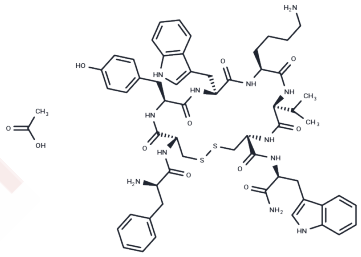
Data Sheet (Cat.No.T13306L)

TargetMol

Vapreotide acetate

Chemical Properties



CAS No. : 849479-74-9

Formula: C59H74N12O11S2

Molecular Weight: 1191.42

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Vapreotide acetate (BMY-41606 acetate) is an antagonist of the neurokinin-1 (NK1) receptor (IC50: 330 nM).
Targets(IC50)	Neurokinin receptor
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	Acute vapreotide administration in rats reduces blood flow in collateral circulation, a critical factor in oesophagogastric variceal bleeding due to portal hypertension in cirrhosis, while its prolonged use slows down the development of such complications. Concurrently, RC-160 significantly diminishes tumor size and weight by approximately 40% through subcutaneous injections at a dosage of 100 μg/day/animal. Early-stage intervention with vapreotide is effective in curbing the progression of androgen-independent prostate cancer.

Solubility Information

Solubility	H2O: 34.5 mg/mL (28.96 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.8393 mL	4.1967 mL	8.3933 mL
5 mM	0.1679 mL	0.8393 mL	1.6787 mL
10 mM	0.0839 mL	0.4197 mL	0.8393 mL
50 mM	0.0168 mL	0.0839 mL	0.1679 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
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.
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.
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.
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.