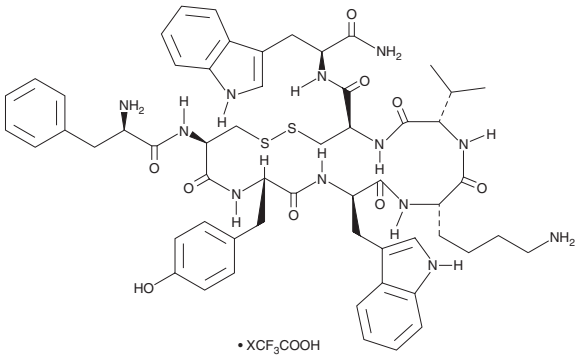
PRODUCT INFORMATION

Vapreotide (trifluoroacetate salt)

Item No. 24558



Formal Name:	D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-L-tryptophanamide, cyclic (2→7)-disulfide, trifluoroacetate salt
Synonyms:	BMY 41606, Octastatin, RC 160
MF:	$C_{57}H_{70}N_{12}O_9S_2 \bullet XCF_3COOH$
FW:	1,131.4
Purity:	≥95%
Supplied as:	A lyophilized powder
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Vapreotide (trifluoroacetate salt) is supplied as a lyophilized powder. A stock solution may be made by dissolving the vapreotide (trifluoroacetate salt) in water. The solubility of vapreotide (trifluoroacetate salt) in water is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Vapreotide is a peptide neurokinin-1 receptor (NK_1) antagonist and analog of somatostatin (IC_{50} = 330 nM in a radioligand binding assay).[1] It inhibits increases in vascular permeability stimulated by substance P (Item No. 24035) in a concentration-dependent manner in isolated guinea pig trachea and main bronchi. Vapreotide (8 nmol per animal) reduces substance P-induced biting and scratching in mice. It increases reaction time to heat stimuli in the hot plate and tail flick tests when administered at a dose of 512 µg/kg, indicating antinociceptive activity in mice.[2] Vapreotide reduces plasma growth hormone (GH) increases induced by phenobarbital (Item Nos. 9001494 | 20987), morphine (Item No. ISO60147), and chlorpromazine (Item No. 16129), hypoglycemia-induced glucagon elevation, and glucose-induced insulin secretion in rats.[3] It also decreases tumor weight and volume in a hamster model of pancreatic ductal adenocarcinoma and suppresses tumor growth and reduces GH secretion in SK-ES-1 and MNNG/HOS osteosarcoma mouse xenograft models.[4,5]

References

1. Bétoin, F., Advenier, C., Fardin, V., *et al. In vitro* and *in vivo* evidence for a tachykinin NK_1 receptor antagonist effect of vapreotide, an analgesic cyclic analog of somatostatin. *Eur. J. Pharmacol.* **279(2-3)**, 241-249 (1995).
2. Eschalier, A., Aumaître, O., Ardid, D., *et al.* Long-lasting antinociceptive effect of RC-160, a somatostatin analog, in mice and rats. *Eur. J. Pharmacol.* **199(1)**, 119-121 (1991).
3. Karashima, T., Cai, R.Z., and Schally, A.V. Effects of highly potent octapeptide analogs of somatostatin on growth hormone, insulin and glucagon release. *Life Sci.* **41(8)**, 1011-1019 (1987).
4. Paz-Bouza, J.I., Redding, T.W., and Schally, A.V. Treatment of nitrosamine-induced pancreatic tumors in hamsters with analogs of somatostatin and luteinizing hormone-releasing hormone. *Proc. Natl. Acad. Sci. U.S.A.* **84(4)**, 1112-1116 (1987).
5. Pinski, J., Schally, A.V., Halmos, G., *et al.* Somatostatin analog RC-160 inhibits the growth of human osteosarcomas in nude mice. *Int. J. Cancer.* **65(6)**, 870-874 (1996).

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