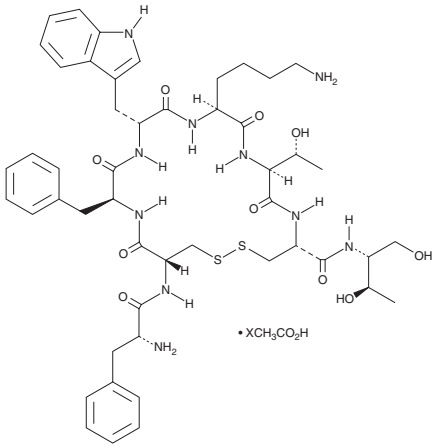
PRODUCT INFORMATION

Octreotide (acetate)

Item No. 23757



Formal Name:	D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-cyclic(2→7)-disulfide, L-cysteinamide, acetate
Synonym:	SMS 201-995
MF:	$C_{49}H_{66}N_{10}O_{10}S_2 \bullet XC_2H_4O_2$
FW:	1,019.2
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years

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

Laboratory Procedures

Octreotide (acetate) is supplied as a solid. A stock solution may be made by dissolving the octreotide (acetate) in the solvent of choice, which should be purged with an inert gas. Octreotide (acetate) is slightly soluble in organic solvents such as DMSO and methanol.

Description

Octreotide is an octapeptide analog of somatostatin that binds to somatostatin receptors (SSTRs) with a higher affinity for the somatostatin subgroup 2 receptors, SST_2, SST_3, and SST_5 (K_is = 875, 0.57, 26.8, >1,000, and 6.8 nM for SST_{1-5} receptors, respectively).[1] Within subgroup 2 SSTRs, it selectively binds to SST_2 over SST_3 and SST_5 receptors with IC_{50} values of 0.02, 92.9, and 21.8 nM, respectively, for human receptors. Octreotide inhibits the secretion of growth hormone *in vitro* in rat pituitary cells three-fold more potently than somatostatin and *in vivo* in rhesus monkey (ID_{50} = 0.38 µg/kg per hour).[2] It inhibits proliferation of VEGF-stimulated human umbilical endothelial cells (HUVECs) with an EC_{50} value of approximately 1 µM.[3] It also inhibits growth of LCI-D20 human hepatocellular carcinoma cell tumors in a nude mouse xenograft model when administered at a dose of 50 µg/kg twice daily. Formulations containing octreotide have been used in the treatment of acromegaly to reduce growth hormone and IGF-1 levels.

References

1. Moore, S.B., van der Hoek, J., de Capua, A., *et al.* Discovery of iodinated somatostatin analogues selective for hsst2 and hsst5 with excellent inhibition of growth hormone and prolactin release from rat pituitary cells. *J. Med. Chem.* **48(21)**, 6643-6652 (2005).
2. Bauer, W., Briner, U., Doepfner, W., *et al.* SMS 201-995: A very potent and selective octapeptide analogue of somatostatin with prolonged action. *Life Sci.* **31(11)**, 1133-1140 (1982).
3. Jia, W.-D., Xu, G.-L., Xu, R.-N., *et al.* Octreotide acts as an antitumor angiogenesis compound and suppresses tumor growth in nude mice bearing human hepatocellular carcinoma xenografts. *J. Cancer Res. Clin. Oncol.* **129(6)**, 327-334 (2003).

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