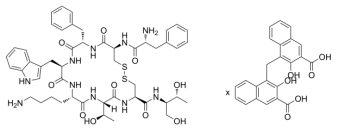
Octreotide pamoate

Cat. No.:	HY-P0036B
CAS No.:	135467-16-2
Molecular Formula:	$C_{49}H_{66}N_{10}O_{10}S_2.xC_{23}H_{16}O_6$
Sequence:	{d-Phe}-Cys-Phe-{d-Trp}-Lys-Thr-Cys-{d-Threoninol} (Disulfide bridge: Cys2-Cys7)
Sequence Shortening:	{d-Phe}-CF{d-Trp}-KTC-{d-Threoninol} (Disulfide bridge: Cys2-Cys7)
Target:	Somatostatin Receptor; Apoptosis
Pathway:	GPCR/G Protein; Neuronal Signaling; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Octreotide (SMS 201-995) pamoate is a somatostatin receptor agonist and synthetic octapeptide endogenous somatostatin analogue. Octreotide pamoate can bind to the somatostatin receptors which are mainly subtypes 2, 3 and 5. Octreotide pamoate increases Gi activity and reduces intracellular cAMP production. Octreotide pamoate has antitumor activity, mediates apoptosis and may also be used in disease studies in acromegaly[1][2].

IC_{50} & Target	SSTR2	SSTR3	SSTR5

In Vitro

Octreotide pamoate ($10^{\boxtimes 8}$mM, 6 hours) induces phosphorylated glycogen synthase kinase 3β (GSK3β) phosphorylation and increases glycogen synthase (GS) activity[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	Human hepatoblastoma HepG2 cell line
Concentration:	$10^{\boxtimes 8}$mM
Incubation Time:	6 hours
Result:	Increased the protein expression levels of phosphorylated Akt and GSK3β by 140.8% and 12.2%, respectively and the mRNA level of GS also increased.

In Vivo

Octreotide pamoate (subcutaneous injection, 30 mg/kg, once) can inhibit tumor growth significantly with no effect on body weight[1].

Octreotide pamoate (intramuscular injection, 60 mg/kg, every 21 days, 42 days) inhibits serum insulin-like growth factor (IGF-I) without toxicity in dogs with appendicular osteosarcoma (OSA)[2].

Octreotide pamoate (subcutaneous injection, 40 μg/kg, Every 12 hours, 8 days) improves hepatic glycogen synthesis in obese male Sprague Dawley (SD) rats[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female nude mice (nu/nu Balbc-A weighing 19-22 g)[1]

Dosage:	30 mg/kg
Administration:	Subcutaneous injection; once
Result:	Showed that the average volume of tumors treated was 25.8% of the control group and no effect on body weight.

Animal Model:	Dogs with appendicular OSA[2]
Dosage:	60 mg/kg
Administration:	Intramuscular injection; every 21 days; 42 days
Result:	Resulted in a 43% decrease in mean serum IGF-I compared with mean baseline concentrations.

Animal Model:	Male Sprague Dawley (SD) rats (3 weeks; 40-60 g)[3]
Dosage:	40 μg/kg
Administration:	Subcutaneous injection; every 12 hours; 8 days
Result:	Significantly improved fat deposition and reduced lipid infiltration.

CUSTOMER VALIDATION

- J Pharm Biomed Anal. 2022: 115156.
- J Pharm Biomed Anal. 11 December 2021, 114518.
- J Pharm Sci. 2022 Oct 10;S0022-3549(22)00454-3.
- Basic Clin Pharmacol Toxicol. 2022 Jun 10.
- Cell Mol Bioeng. 2022.

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REFERENCES

[1]. G. Weckbecker et al. Indirect antiproliferative effect of the somatostatin analog octreotide on MIA PaCa-2 human pancreatic carcinoma in nude mice. Yale J Biol Med. 1997 Sep-Dec;70(5-6):549-54.

[2]. Chand Khanna et al. A randomized controlled trial of octreotide pamoate long-acting release and carboplatin versus carboplatin alone in dogs with naturally occurring osteosarcoma: evaluation of insulin-like growth factor suppression and chemotherapy. Clin Cancer Res. 2002 Jul;8(7):2406-12

[3]. Xiao-Xia Wang,et al. Effects of octreotide on hepatic glycogenesis in rats with high fat diet induced obesity. Mol Med Rep. 2017 Jul;16(1):109-118.

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

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