Octreotide acetate

Cat. No.: HY-17365

CAS No.: 79517-01-4

Molecular Formula: $C_{51}H_{70}N_{10}O_{12}S_2$

Molecular Weight: 1079.29

Target: Somatostatin Receptor

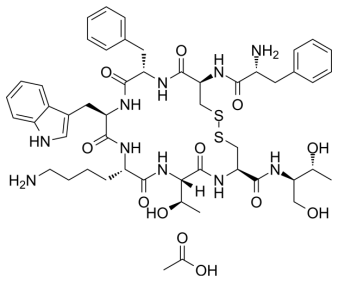
Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Sealed storage, away from moisture and light

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (92.65 mM; Need ultrasonic)

H_2O : 25 mg/mL (23.16 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	0.9265 mL	4.6327 mL	9.2654 mL
5 mM	0.1853 mL	0.9265 mL	1.8531 mL
10 mM	0.0927 mL	0.4633 mL	0.9265 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (92.65 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.25 mg/mL (2.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (2.08 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (2.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Octreotide acetate, a long-acting synthetic analog of native somatostatin, inhibits growth hormone, glucagon, and insulin more potently.

In Vivo	Octreotide-treated groups show a significant reduction in the tumor volume when compared with saline group. Octreotide-PPSG (1.4 mg/kg, i.p.) shows greater antitumor effect than Octreotide-soln (100 μg/kg, i.p.). Octreotide-treatments results in significant inhibitory effect on the expression levels of SSTR2 and SSTR5 in primary HCC-bearing rats compared with the saline group. Octreotide-PPSG appears to inhibit the expression of SSTR2 and SSTR5 to a greater extent than that of Octreotide-soln treated group[1]. A test dose of octreotide acetate significantly decreases the serum gastrin level to approximately one third of the baseline in 2 hr and the effect lasted approximately for 6 hr. On day 21, treatment with sustained-release formulation of octreotide acetatea (5 mg intramuscular, q 4 wk) is initiated[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] Thirty mice with HCC xenografts are randomLy divided into three groups: (A) Octreotide-soln group, (B) Octreotide-PPSG group, and (C) control group. Octreotide-soln group receives i.p. injection of 100 μg/kg octreotide-soln once a day and totally for consecutive 14 days. Octreotide-PPSG group receives a single subcutaneous injection of 1.4 mg/kg Octreotide-PPSG, and the injection volume is about 0.2 mL. Control group receives i.p. injection of saline once a day for consecutive 14 days. Treatment starts on the next day after injection of H22 hepatoma cell suspension and maintains for 14 days. Tumor growth is monitored by periodic caliper measurements on day 7 and day 14 post seeding. Tumor volumes (V) are calculated based on the length and width of tumor by Eq. Rats[1] Twelve male SD rats are divided into two groups, and housed in standard cages at 25°C, with free access to food and water for a week prior to the experiment. Rats are subcutaneously injected with Octreotidereotide solution (Octreotide-soln) or Octreotide-PPSG at an equivalent single dose of 20 mg/kg. The dose is determined based on the clinical dose of Octreotide-soln in human. Rats are fasted for 12 h before dosing and food is returned approximately 2 h post dosing. Blood samples are collected at predetermined time points using heparinized Eppendorf tubes. Immediately after collection, the blood samples are placed on ice until centrifuged at 3000 g for 10 min within 1 h. The plasma is collected and stored at −20°C until analysis. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2023 Feb 21;14(1):962.
- J Pharm Sci. 2022 Oct 10;S0022-3549(22)00454-3.
- J Pharm Biomed Anal. 2022: 115156.
- J Pharm Biomed Anal. 11 December 2021, 114518.
- Basic Clin Pharmacol Toxicol. 2022 Jun 10.

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REFERENCES

[1]. Wang M, et al. Pharmacokinetic and pharmacodynamic study of a phospholipid-based phase separation gel for once a month administration of octreotide. J Control Release. 2016 May 28;230:45-56.

[2]. Kim S, et al. Treatment of Gastrin-Secreting Tumor With Sustained-Release Octreotide Acetate in a Dog. J Am Anim Hosp Assoc. 2015 Nov-Dec;51(6):407-12.

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

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