Inhibitors



Ceruletide

Cat. No.: HY-A0190 CAS No.: 17650-98-5 Molecular Formula: $C_{58}H_{73}N_{13}O_{21}S_{2}$ Molecular Weight: 1352.41

Sequence: {pGlu}-Gln-Asp-Tyr(SO3H)-Thr-Gly-Trp-Met-Asp-Phe-NH2

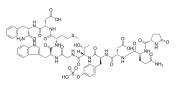
Sequence Shortening: {pGlu}-QD-Y(SO3H)-TGWMDF-NH2

Cholecystokinin Receptor Target:

GPCR/G Protein; Neuronal Signaling Pathway: Storage: Sealed storage, away from moisture

> -80°C Powder 2 years -20°C 1 year

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



Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro DMSO: 83.33 mg/mL (61.62 mM; Need ultrasonic)

H₂O: 2.5 mg/mL (1.85 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	0.7394 mL	3.6971 mL	7.3942 mL
	5 mM	0.1479 mL	0.7394 mL	1.4788 mL
	10 mM	0.0739 mL	0.3697 mL	0.7394 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (1.54 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (1.54 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (1.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Ceruletide is a decapeptide and a potent cholecystokinin receptor agonist. Ceruletide is a safe and effective cholecystokinetic agent with a direct spasmogenic effect on the gallbladder muscle and bile ducts^[1].

Cholecystokinin receptor^[4] IC₅₀ & Target

In Vitro

Ceruletide is similar chemically and biologically to the human gastrointestinal hormones cholecystokinin-pancreozymin (CCK) and gastrin II. Ceruletide stimulates gallbladder contraction, pancreatic exocrine secretion, gastric secretion, and motility in the distal duodenum, jejunum, ileum and colon, while delaying gastric emptying and inhibiting motility in the proximal duodenum^[1]. Ceruletide in supramaximal but not in physiological doses activates NF-kappaB/Rel in vitro. This activation may induce a self-defending genetic program before the onset of cellular injury, which may prevent higher degrees of damage of pancreatic acinar cells after secretagogue hyperstimulation^[2].

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

In Vivo

Ceruletide can be used in animal modeling to construct animal models of pancreatitis.

Ceruletide (0.4-0.5 mcg/kg, i.v.; 3-4 mcg/kg, s.c.) results in emesis and evacuation of the bowel in the intact conscious dog, and recovery is complete 15-30 min after i. v. administration and 2-4 hr after s.c. administration. Ceruletide (5-15 ng/kg, i.v.) shows a marked spasmogenic effect on the pylorus of rats. Ceruletide also reduces blood pressure in anesthetized dogs^[1]. Ceruletide serum bile acid (SBA) stimulation circumvents exogenous and endogenous influences associated with postprandial (PP) SBA stimulation. Ceruletide SBA stimulation may perform as well as PP SBA stimulation in dogs with portosystemic shunt (PSS) and be more sensitive for the detection of hepatic dysfunction in dogs with upper respiratory disease (URD)^[3].

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

PROTOCOL

Animal Administration [3]

Dogs[3]

All dogs undergo serum bile acid (SBA) stimulation with food (<5 kg/body weight [BW] 2 teaspoons, >5 kg BW 2 tablespoons) or 0.3 µg/kg BW Ceruletide IM, respectively, on consecutive days. A diet of moderate protein content and with an increased concentration of fiber is chosen to minimize metabolic complications such as hepatic encephalopathy. Before each test, the dogs are fasted for 12 hours. Blood samples are drawn at baseline, 60 and 120 minutes after feeding, and 20, 30, and 40 minutes postinjection, respectively. The blood samples are collected in plain tubes and left to clot; they are then centrifuged at 6,500 ×g for 1 minute, and the serum is used to measure SBA by a colorimetric test with endpoint determination^[3].

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

CUSTOMER VALIDATION

- Cell Discov. 2023 Jan 3;9(1):1.
- Chem Eng J. 15 October 2022, 136792.
- Sci Adv. 2020 Aug 5;6(32):eaba8415.
- J Exp Clin Cancer Res. 2021 Jan 9;40(1):25.
- Cell Death Dis. 2023 Feb 24;14(2):155.

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REFERENCES

- $[1]. \ Vincent\ ME, et\ al.\ Pharmacology,\ clinical\ uses,\ and\ adverse\ effects\ of\ ceruletide,\ a\ cholecystokinetic\ agent.\ Pharmacotherapy.\ 1982\ Jul-Aug; 2(4):223-34.$
- [2]. Steinle AU, et al. NF-kappaB/Rel activation in cerulein pancreatitis. Gastroenterology. 1999 Feb;116(2):420-30.
- [3]. Bridger N, et al. Comparison of postprandial and ceruletide serum bile acid stimulation in dogs. J Vet Intern Med. 2008 Jul-Aug;22(4):873-8.

4]. Zarrindast MR, et al. Effects c	of cholecystokinin receptor agonist and a	ntagonists on morphin de	ependence in mice. Pharmacol	Toxicol. 1995 Dec;77(6):360-4.
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