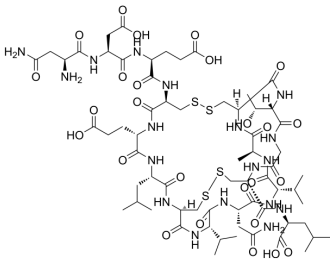
Plecanatide



Cat. No.:	HY-108741
CAS No.:	467426-54-6
Molecular Formula:	$C_{65}H_{104}N_{18}O_{26}S_4$
Molecular Weight:	1681.89
Sequence:	Asn-Asp-Glu-Cys-Glu-Leu-Cys-Val-Asn-Val-Ala-Cys-Thr-Gly-Cys-Leu (Disulfide bridge: Cys4-Cys12; Cys7-Cys15)
Sequence Shortening:	NDECELCVNVACTGCL (Disulfide bridge: Cys4-Cys12; Cys7-Cys15)
Target:	Guanylate Cyclase
Pathway:	GPCR/G Protein
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (59.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5946 mL	2.9728 mL	5.9457 mL
	5 mM	0.1189 mL	0.5946 mL	1.1891 mL
	10 mM	0.0595 mL	0.2973 mL	0.5946 mL

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

BIOLOGICAL ACTIVITY

Description

Plecanatide, an analogue of Uroguanylin, is an orally active guanylate cyclase-C (GC-C) receptor agonist. Plecanatide activates GC-C receptors to stimulate cGMP synthesis with an EC_{50} of 190 nM in T84 cells assay. Plecanatide shows anti-inflammatory activity in models of murine colitis[1][2][3].

IC_{50} & Target

EC50: 190 nM (guanylate cyclase-C)[1]

In Vitro

Plecanatide (1 nM-10 μM) activates GC-C receptor to stimulate cyclic guanosine monophosphate (cGMP) synthesis in a dose-dependent manner with EC_{50} of 190 nM in T84 cells[1].

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

In Vivo

Plecanatide (0.5 and 2.5 mg/kg, p.o.) ameliorates spontaneous and chemically induced colitis after treatment for 7 days in

BALB/c mice, and 14 days in TCRα$^{-/-}$ mice[1].
Plecanatide (0.005-5 mg/kg, once daily for 7 days) also shows anti-inflammatory activity in dextran sulfate sodium (DSS) and trinitrobenzene sulfonic (TNBS)-induced colitis in BDF-1 mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c mice (2-4 month old) are induced colitis by TNBS[1]
Dosage:	0, 0.5 and 2.5 mg/kg
Administration:	P.o. for 7 days
Result:	Effectively reduced colitis severity scores as compared to vehicle treatment.

REFERENCES

[1]. Shailubhai K,et, al. Plecanatide and dolcanatide, novel guanylate cyclase-C agonists, ameliorate gastrointestinal inflammation in experimental models of murine colitis. World J Gastrointest Pharmacol Ther. 2015 Nov 6;6(4):213-22.

[2]. Rao SSC. Plecanatide: a new guanylate cyclase agonist for the treatment of chronic idiopathic constipation. Therap Adv Gastroenterol. 2018 Jun 8;11:1756284818777945.

[3]. Shailubhai K, et, al. Plecanatide, an oral guanylate cyclase C agonist acting locally in the gastrointestinal tract, is safe. Dig Dis Sci. 2013 Sep;58(9):2580-6.

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