Plecanatide acetate

Cat. No.:	HY-108741A
CAS No.:	1075732-84-1
Molecular Formula:	$C_{67}H_{108}N_{18}O_{28}S_4$
Molecular Weight:	1741.94
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)

BIOLOGICAL ACTIVITY

Description	Plecanatide acetate, an analogue of Uroguanylin, is an orally active guanylate cyclase-C (GC-C) receptor agonist. Plecanatide acetate activates GC-C receptors to stimulate cGMP synthesis with an EC_{50} of 190 nM in T84 cells assay. Plecanatide acetate can be used for the research of chronic idiopathic constipation, and it also shows anti-inflammatory activity in models of murine colitis[1][2][3].
IC_{50} & Target	EC50: 190 nM (guanylate cyclase-C receptor, T84 cells)[1]
In Vitro	Plecanatide (1 nM-10 μM) activates GC-C receptor to stimulate 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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