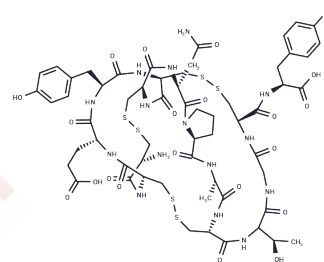


## Linaclotide

## Chemical Properties

CAS No. :	851199-59-2
Formula:	C59H79N15O21S6
Molecular Weight:	1526.74
Storage:	Keep away from moisture Powder: -20°C for 3 years   In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



## Biological Description

Description	Linaclotide, developed for the treatment of constipation-predominant irritable bowel syndrome (IBS-C) and chronic constipation, is a potent and selective guanylate cyclase C agonist.
Targets(IC50)	Guanylate cyclase
In vitro	Linaclotide acts on guanylate cyclase-C receptors on the luminal membrane to increase chloride and bicarbonate secretions into the intestine, inhibit sodium ion absorption, enhance water secretion into the lumen, and improve defecation; the drug is minimally absorbed into the systemic circulation[2]. In vitro, linaclotide inhibits [125I]-STa binding to intestinal mucosal membranes from wt mice in a concentration-dependent manner, while binding to membranes from GC-C null mice significantly decreases. Linaclotide is completely degraded after a 30-minute incubation in jejunal fluid in vitro[1].
In vivo	Linaclotide significantly increases weekly spontaneous bowel movements and complete spontaneous bowel movements (CSBMs) while reducing abdominal pain in patients with chronic constipation [2]. Pharmacokinetic analysis shows very low oral bioavailability (0.10%). In intestinal secretion and transit models, linaclotide exhibits significant pharmacological effects in wt, but not in GC-C null mice: increased fluid secretion into surgically ligated jejunal loops is accompanied by elevated cyclic guanosine-3',5-monophosphate levels and accelerated gastrointestinal transit [1].

## Solubility Information

Solubility	H2O: 20 mg/mL (13.1 mM),Sonication is recommended. DMSO: 150 mg/mL (98.25 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (1.31 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	0.655 mL	3.275 mL	6.5499 mL
5 mM	0.131 mL	0.655 mL	1.310 mL
10 mM	0.0655 mL	0.3275 mL	0.655 mL
50 mM	0.0131 mL	0.0655 mL	0.131 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Bryant AP, et al. Linaclotide is a potent and selective guanylate cyclase C agonist that elicits pharmacological effects locally in the gastrointestinal tract. *Life Sci.* 2010 May 8;86(19-20):760-5.

Love BL, et al. Linaclotide: a novel agent for chronic constipation and irritable bowel syndrome. *Am J Health Syst Pharm.* 2014 Jul 1;71(13):1081-91.

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