

PRODUCT INFORMATION



Linacotide-d₄ Item No. 28798

Formal Name: ((3S,6R,15R,20R,23S,26R,29R,32R,37R,40S,45aS)-32-amino-40-(2-amino-2-oxoethyl)-26-(2-carboxyethyl)-23-(4-hydroxybenzyl)-9-((R)-1-hydroxyethyl)-3-(methyl-d₃)-1,4,7,10,13,22,25,28,31,38,41,47-dodecaoxotetracontahydro-19H-37,20-(epiminomethano)-6,29-(methanodithiomethano)pyrrolo[2,1-s][1,2,27,28]tetrathia[5,8,11,14,17,20,23,32,35,38,41]undecaazacyclotritetracontine-15-carbonyl-3-d)-L-tyrosine

MF: C₅₉H₇₅D₄N₁₅O₂₁S₆
FW: 1,530.8

Chemical Purity: ≥95% (Linacotide)

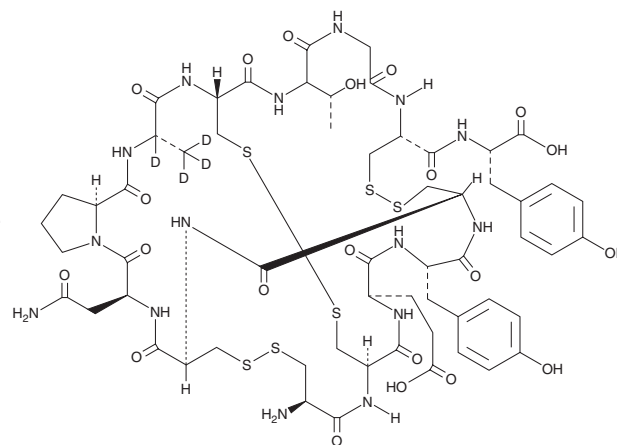
Deuterium

Incorporation: ≥99% deuterated forms (d₁-d₄); ≤1% d₀

Supplied as: A solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Linacotide-d₄ is intended for use as an internal standard for the quantification of linacotide (Item No. 24085) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Linacotide-d₄ is supplied as a solid. A stock solution may be made by dissolving the linacotide-d₄ in the solvent of choice, which should be purged with an inert gas. Linacotide-d₄ is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of linacotide-d₄ in these solvents is approximately 30 mg/ml.

Description

Linacotide is a peptide agonist of the guanylate cyclase C receptor (K_i = 16.4 nM in a radioligand binding assay using mouse intestinal mucosa).¹ Luminal exposure to 5 µg of linacotide stimulates fluid secretion and cGMP concentration in jejunal loops isolated from wild-type mice but not guanylate cyclase C receptor-null mice. Linacotide (100 µg/kg) increases intestinal transit rate in wild-type mice. It also reduces the number of phosphorylated ERK-positive dorsal horn neurons in the thoracolumbar spinal cord, a marker of nociceptive signaling, following noxious colorectal distension and mechanical hypersensitivity in a mouse model of TNBS-induced colitis.² Formulations containing linacotide have been used for the treatment of constipation and pain associated with irritable bowel syndrome.

References

1. Bryant, A.P., Busby, R.W., Bartolini, W.P., *et al.* Linacotide is a potent and selective guanylate cyclase C agonist that elicits pharmacological effects locally in the gastrointestinal tract. *Life Sci.* **86(19-20)**, 760-765 (2010).
2. Castro, J., Harrington, A.M., Hughes, P.A., *et al.* Linacotide inhibits colonic nociceptors and relieves abdominal pain via guanylate cyclase-C and extracellular cyclic guanosine 3',5'-monophosphate. *Gastroenterology* **145(6)**, 1334-1346 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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