

Product data sheet



MedKoo Cat#: 326843 Name: Telotristat ethyl CAS#: 1033805-22-9 (ethyl) Chemical Formula: C ₂₇ H ₂₆ ClF ₃ N ₆ O ₃ Exact Mass: 574.1707 Molecular Weight: 574.9892		
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	
Shipping conditions	Ambient temperature	
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

Telotristat ethyl, also known as LX 1032 or LX 1606, is an oral serotonin synthesis inhibitor or peripheral tryptophan hydroxylase (TPH) inhibitor. Telotristat etiprate has activity in controlling diarrhea associated with carcinoid syndrome. LX1606 acts by inhibiting the enzyme tryptophan hydroxylase (TPH) and reduces serotonin production both inside and outside the GI tract without affecting brain serotonin levels. TPH is the rate-limiting enzyme involved in serotonin biosynthesis and is present in metastatic carcinoid tumor cells.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	101.50	176.53

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.74 mL	8.70 mL	17.39 mL
5 mM	0.35 mL	1.74 mL	3.48 mL
10 mM	0.17 mL	0.87 mL	1.74 mL
50 mM	0.03 mL	0.17 mL	0.35 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

TBD

In vivo study

- Kim JJ, Wang H, Terc JD, Zambrowicz B, Yang QM, Khan WI. Blocking peripheral serotonin synthesis by telotristat etiprate (LX1032/LX1606) reduces severity of both chemical- and infection-induced intestinal inflammation. *Am J Physiol Gastrointest Liver Physiol.* 2015 Sep 15;309(6):G455-65. doi: 10.1152/ajpgi.00299.2014. Epub 2015 Jul 23. PMID: 26206858.
- Margolis KG, Stevanovic K, Li Z, Yang QM, Oravec T, Zambrowicz B, Jhaver KG, Diacou A, Gershon MD. Pharmacological reduction of mucosal but not neuronal serotonin opposes inflammation in mouse intestine. *Gut.* 2014 Jun;63(6):928-37. doi: 10.1136/gutjnl-2013-304901. Epub 2013 Jun 7. PMID: 23749550; PMCID: PMC4034681.

7. Bioactivity

Biological target:

Telotristat ethyl (LX1032) is an inhibitor of tryptophan hydroxylase that reduces serotonin production.

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In vitro activity

TBD

In vivo activity

The effect of LX1606 in an acute model of DSS-induced experimental colitis was investigated using a prophylactic treatment strategy (Fig. 2A). Treatment of colitic mice with LX1606 beginning 1 day prior to DSS administration resulted in significantly lower clinical disease activity scores (based on weight loss, fecal blood, and stool consistency) (Fig. 2B). Significantly lower macroscopic scores (based on fecal blood and consistency, rectal bleeding, and erythema) were also observed in LX1606-treated mice compared with vehicle-treated mice on day 5 post-DSS-induced colitis (Fig. 2C). H&E-stained colon cross sections of mice that received DSS and were treated with vehicle displayed distortion of epithelial cell architecture, increased leukocyte infiltration, loss of goblet cells, and significant thickening of the muscularis mucosa layer. In mice that received LX1606, histological damage scores were significantly less severe compared with control mice on day 5 post-DSS induction (Fig. 2D). Decrease in colitis severity was associated with significantly lower colonic MPO activity and lower levels of proinflammatory cytokines (IL-1 β , IL-6) (Fig. 2, E-G).

Reference: Gut. 2014 Jun;63(6):928-37. <https://pubmed.ncbi.nlm.nih.gov/23749550/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.