

PRODUCT INFORMATION

Telotristat Etiprate

Item No. 25342

CAS Registry No.: 1137608-69-5

Formal Name: 4-[2-amino-6-[(1R)-1-[4-chloro-2-(3-methyl-1H-pyrazol-1-yl)phenyl]-2,2,2-trifluoroethoxy]-4-pyrimidinyl]-L-phenylalanine, ethyl ester, compd. with N-benzoylglycine

Synonyms: LX 1032 hippurate, LX 1606 hippurate

MF: $C_{27}H_{26}ClF_3N_6O_3 \cdot C_9H_9NO_3$

FW: 754.2

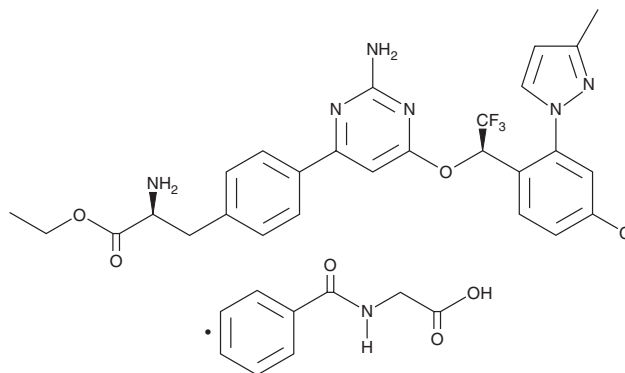
Purity: $\geq 98\%$

UV/Vis.: λ_{max} : 242, 308 nm

Supplied as: A crystalline 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

Telotristat etiprate is supplied as a crystalline solid. A stock solution may be made by dissolving the telotristat etiprate in the solvent of choice. Telotristat etiprate is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of telotristat etiprate in ethanol is approximately 5 mg/ml and approximately 50 mg/ml in DMSO and DMF.

Telotristat etiprate is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, telotristat etiprate should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Telotristat etiprate has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Telotristat etiprate is a prodrug form of telotristat, which is an inhibitor of tryptophan hydroxylase 1 (TPH1).¹ Telotristat etiprate (15-300 mg/kg) reduces levels of serotonin (5-HT; Item No. 14332) in mouse jejunum and blood but not brain.² It inhibits increases in IL-1 β and IL-6 levels, histological damage in the colon, and weight loss in a mouse model of trinitrobenzene sulfonic acid-induced colitis when administered at a dose of 200 mg/kg. In mice infected with the nematode *T. muris*, telotristat etiprate (300 mg/kg per day) reduces colonic levels of myeloperoxidase (MPO), IL-1 β , and IL-17, as well as worm burden.³ Formulations containing telotristat etiprate have been used in the treatment of diarrhea associated with carcinoid syndrome.

References

1. Goldberg, D.R., De Lombaert, S., Aiello, R., *et al.* Discovery of acyl guanidine tryptophan hydroxylase-1 inhibitors. *Bioorg. Med. Chem. Lett.* **26**(12), 2855-2860 (2016).
2. Margolis, K.G., Sevanovic, K., Li, Z., *et al.* Pharmacological reduction of mucosal but not neuronal serotonin opposes inflammation in mouse intestine. *Gut* **63**(6), 928-937 (2014).
3. Kim, J.J., Wang, H., Terc, J.D., *et al.* 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.* **309**(6), G455-G465 (2015).

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.

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