Telotristat ethyl

Cat. No.: HY-13055A

CAS No.: 1033805-22-9

Molecular Formula: $C_{27}H_{26}ClF_3N_6O_3$

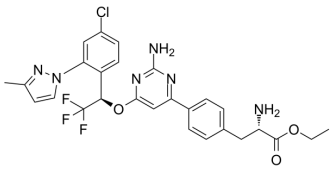
Molecular Weight: 574.98

Target: Tryptophan Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 101.5 mg/mL (176.53 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7392 mL	8.6960 mL	17.3919 mL
5 mM	0.3478 mL	1.7392 mL	3.4784 mL
10 mM	0.1739 mL	0.8696 mL	1.7392 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Telotristat ethyl (LX1032) is a novel, orally-delivered inhibitor of tryptophan hydroxylase that reduces serotonin production.

In Vivo

Telotristat ethyl (15, 50, 150, 300 mg/kg, po, qd) reduces serotonin content in the periphery, but not in the brain of the mice. Telotristat ethyl (200 mg/kg po, qd) prevents the increase in blood neutrophil counts that is observed after TNBS challenge, provides significant protection in a mouse model of inflammatory bowel disease. Telotristat ethyl (200 mg/kg po, qd) protects the mouse IBD model confirmed by histopathology evaluation[1]. Telotristat ethyl (15, 50, 150, 300 mg/kg) depletes 5-HT from the jejunum but not the brain. But Telotristat ethyl (200 mg/kg, p.o.) does not deplete enteric neuronal serotonin (5-HT), or alter constitutive gastrointestinal motility in mice. Telotristat ethyl (200 mg/kg) alleviates the severity of trinitrobenzene sulfonic acid (TNBS)-induced colitis[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]

For studies of the effects of peripheral TPH inhibitors on gut and brain 5-HT concentrations, LP-920540 is formulated in 0.1% Tween 80 in 0.25% methylcellulose and administered to mice once daily via oral gavage at 10 mL/kg for 4 consecutive days. Telotristat ethyl is formulated in 15% cyclodextrin (Captisol^{TM}, pH 3-4) or 0.25% methylcellulose and given to mice once daily via oral gavage at 10 mL/kg for 4 consecutive days. Whole brain, jejunum and colon (mesentery fat removed, gut lumen opened and blotted dry) are collected, snap frozen, and stored at -80°C for future. LP-920540, Telotristat ethyl, LP-778914, LP-778920 and vehicle control are also formulated with 0.5% methycellulose at appropriate doses in coded vials. The contents of the coded vials are given by oral gavage in amounts determined by the weights of the recipient mice. After the experiments, results are analyzed.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nutrients. 2022, 14(1), 117.

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REFERENCES

[1]. Tamas Oravecz, et al. LX1606 (aka LX1032), a Novel Inhibitor of Serotonin Synthesis, Alleviates Development of Inflammatory Bowel Disease in a Preclinical Model.

[2]. Margolis, K.G., et al., Pharmacological reduction of mucosal but not neuronal serotonin opposes inflammation in mouse intestine. Gut, 2013.

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