Telotristat etiprate

Cat. No.: HY-13055

CAS No.: 1137608-69-5

Molecular Formula: $C_{36}H_{35}ClF_3N_7O_6$

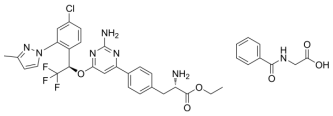
Molecular Weight: 754.15

Target: Tryptophan Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (265.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3260 mL	6.6300 mL	13.2600 mL
	5 mM	0.2652 mL	1.3260 mL	2.6520 mL
	10 mM	0.1326 mL	0.6630 mL	1.3260 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: ≥ 10 mg/mL (13.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 10 mg/mL (13.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Telotristat etiprate (LX1606 Hippurate) 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™, 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.

See more customer validations on www.MedChemExpress.com

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA