

Product Data Sheet

Product Name: LX1606 Hippurate (Telotristat etiprate)

Cat. No.: GC10007

Chemical Properties

Cas. No. 1137608-69-5

Chemical Name 2-benzamidoacetic acid;ethyl (2S)-2-amino-3-[4-[2-amino-6-[(1R)-1-[4-chloro-2-(3-methylpyrazol-1-yl)phenyl]-2,2,2-trifluoroethoxy]pyrimidin-4-yl]phenyl]propanoate

SMILES CCOC(=O)C(CC1=CC=C(C=C1)C2=CC(=NC(=N2)N)OC(C3=C(C=C(C=C3)Cl)N4C=C(C(=N4)C)C(F)(F)F)N.C1=CC=C(C=C1)C(=O)NCC(=O)O

Formula $C_{36}H_{35}ClF_3N_7O_6$ M.Wt 754.17

Solubility DMF: 50 mg/ml, DMSO: 50 mg/ml, DMSO: PBS (pH 7.2) (1:2): 0.33 mg/ml, Ethanol: 5 mg/ml
Storage Store at -20°C, protected from light

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

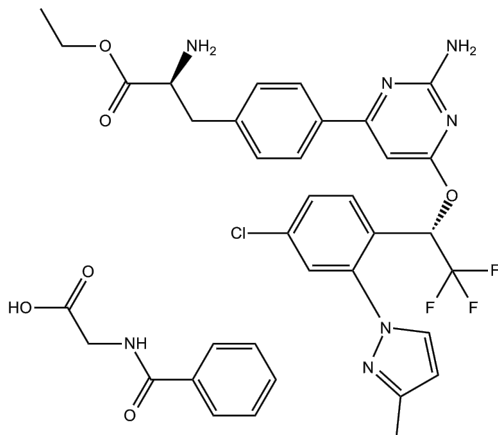
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines BON-1 cells

BON-1 cells were cultured in RPMI-1640 medium supplemented with 10% fetal bovine serum (FBS), and 1% penicillin-streptomycin at 37°C in 5%

Preparation Method CO₂/atmosphere. BON-1 cells were seeded onto 96-well microplates (1×10⁴ cells/well) and cultured for 24h. Cells were treated with the different concentrations of LX1606 Hippurate (0, 1, 5, 10, and 100μM) for 72h, cell viability was assessed.

Reaction 0, 1, 5, 10, and 100μM; 72h
Conditions

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Applications LX1606 Hippurate treatment decreased the cell viability of BON-1 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models C57BL/6 mice

Preparation Method C57BL/6 mice (8 weeks old) were kept in sterilized, filter-topped cages under specific pathogen-free conditions and fed autoclaved food. Acute colitis was induced by giving 5% DSS in drinking water for 5 days. Mice received vehicle or LX1606 Hippurate at a dosage of 300mg/kg orally every day starting 1 day prior to administration of 5% DSS and were euthanized on day 5 post-DSS to assess disease severity.

Dosage form 300mg/kg/day; 6 days; p.o.

Applications LX1606 Hippurate treatment significantly alleviated acute DSS-induced colitis in mice.

References:

[1] Molina-Cerrillo J, Sierra-Ramirez A, López-Aceituno J L, et al. A Combination of the Tryptophan Hydroxylase Inhibitor Telotristat with the mTOR Inhibitor Everolimus as an Effective Strategy Against Neuroendocrine Tumors: J. Molina-Cerrillo et al[J]. *Drugs in R&D*, 2026: 1-13.

[2] 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[J]. *American Journal of Physiology-Gastrointestinal and Liver Physiology*, 2015, 309(6): G455-G465.

Background

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LX1606 Hippurate (Telotristat etiprate) is an oral, systemically available, small-molecule inhibitor of peripheral serotonin (5-HT) synthesis^[1]. LX1606 Hippurate inhibits tryptophan hydroxylase, thereby inhibiting the excessive production of peripheral 5-HT in the body and reducing symptoms such as defecation and other gastrointestinal issues^[2]. LX1606 Hippurate has been widely used to alleviate rheumatoid arthritis and regulate MAPK signaling pathway^[3].

In vitro, LX1606 Hippurate treatment for 72 hours significantly inhibited the proliferation of BON-1, QGP-1 and HROC57 cells after 72 hours, with IC₅₀ values of 20.71μM, 23.71μM, and 11.43μM, respectively^[4].

In vivo, LX1606 Hippurate treatment via oral administration at a dose of 300mg/kg/day for 6 days alleviated acute dextran sulfate sodium (DSS)-induced colitis and reduced pro-inflammatory cytokine levels in mice^[5].

References:

[1] Gelhorn H L, Kulke M H, O'Dorisio T, et al. Patient-reported symptom experiences in patients with carcinoid syndrome after participation in a study of telotristat etiprate: a qualitative interview approach[J]. Clinical Therapeutics, 2016, 38(4): 759-768.

[2] Kulke M H, O'Dorisio T, Phan A, et al. Telotristat etiprate, a novel serotonin synthesis inhibitor, in patients with carcinoid syndrome and diarrhea not adequately controlled by octreotide[J]. Endocrine-Related Cancer, 2014, 21(5): 705-714.

[3] Zhang L, Lin Y, Xu X, et al. Telotristat Etiprate alleviates rheumatoid arthritis by targeting LGALS3 and affecting MAPK signaling[J]. Intractable & Rare Diseases Research, 2023, 12(1): 45-57.

[4] Molina-Cerrillo J, Sierra-Ramirez A, López-Aceituno J L, et al. A Combination of the Tryptophan Hydroxylase Inhibitor Telotristat with the mTOR Inhibitor Everolimus as an Effective Strategy Against Neuroendocrine Tumors: J. Molina-Cerrillo et al[J]. Drugs in R&D, 2026: 1-13.

[5] 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[J]. American Journal of Physiology-Gastrointestinal and Liver Physiology, 2015, 309(6): G455-G465.

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