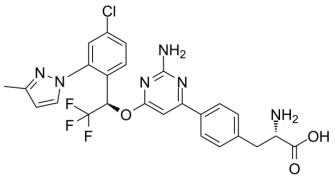
Telotristat

Cat. No.:	HY-13055B
CAS No.:	1033805-28-5
Molecular Formula:	$C_{25}H_{22}ClF_3N_6O_3$
Molecular Weight:	546.93
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 : 33.33 mg/mL (60.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8284 mL	9.1419 mL	18.2839 mL
	5 mM	0.3657 mL	1.8284 mL	3.6568 mL
	10 mM	0.1828 mL	0.9142 mL	1.8284 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 (4.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Telotristat (LP-778902) is a potent tryptophan hydroxylase inhibitor with an in vivo IC_{50} of 0.028 μM.

IC_{50} & Target

IC50: 0.028 μM (tryptophan hydroxylase)[1]

In Vitro

Telotristat is the active moiety of telotristat etiprate. Telotristat etiprate is an ethyl ester prodrug which is hydrolyzed to telotristat. Telotristat etiprate is orally available serotonin synthesis inhibitor for the treatment of carcinoid syndrome[1].

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

In Vivo	Telotristat etiprate is present in very low levels after oral administration. These low levels are due to rapid hydrolysis into the active moiety telotristat. The half-life ranges from approximately 4-12 h. There is no accumulation of telotristat with multiple dose administration over 2 weeks. Exposure to telotristat is approximately dose proportional[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	BON CBA cells are grown in equal volume of DMEM and F12K with 5% bovine serum for 3-4 hours (20 K cell/well) and telotristat is added at a concentration range of 0.07 to 50 μM. The cells are incubated at 37°C overnight. 50 μM of the culture supernatant is then taken for 5HTP measurement. The supernatant is mixed with equal volume of 1M TCA, then filtered through glass fiber. The filtrate is loaded on reverse phase HPLC for 5HTP concentration measurement. The cell viability is measured by treating the remaining cells with Celltiter-Glo Luminescent Cell Viability Assay[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Rats: 14-week-old male C57 albino mice are dosed once daily by oral gavage at 5-10 mL/kg for four consecutive days. Five hours after the last dose, the animals are quickly sacrificed. 5-HT is extracted from the blood or tissues and measured by HPLC. Blood samples are taken for exposure analysis[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lapuerta P, et al. Telotristat etiprate, a novel inhibitor of serotonin synthesis for the treatment of carcinoid syndrome. Clin. Invest. (Lond.) (2015) 5(5), 447–456

[2]. US20080153852

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