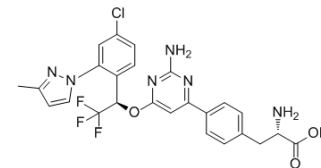


Telotristat

Cat. No.:	HY-13055B		
CAS No.:	1033805-28-5		
Molecular Formula:	C ₂₅ H ₂₂ ClF ₃ N ₆ O 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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 33.33 mg/mL (60.94 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	IC ₅₀ : 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] .
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] .

PROTOCOL

Cell Assay ^[2]	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[2]	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

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

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

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