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# 产品名称：Telotristat
# 产品别名：LP-778902

## 生物活性：

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| **Description** | Telotristat (LP-778902) is a potent tryptophan hydroxylase inhibitor with an in vivo IC50 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]. |
| **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]. |

**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 |

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

***In Vivo:***

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.57 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.57 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

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| | 3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (4.57 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。<br>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |
| **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<br>[2]. US20080153852 |

**实验参考：**

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| **Cell Assay** | 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]. |
| **Animal Administration** | 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]. |
| **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<br>[2]. US20080153852 |