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**Product Data Sheet**


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Product Name: Telotristat

Cat. No.: GC16279

**Chemical Properties**

Cas. No. 1033805-28-5

Chemical Name (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]propanoic acid

SMILES CC1=NN(C=C1)C2=C(C=CC(=C2)Cl)C(C(F)(F)F)OC3=NC(=NC(=C3)C4=CC=C(C=C4)CC(C(=O)O)N)NFormula C<sub>25</sub>H<sub>22</sub>ClF<sub>3</sub>N<sub>6</sub>O<sub>3</sub> M.Wt 546.93

Solubility 0.5mg/mL in ethanol, 10mg/mL in DMSO, or DMF Storage Store at -20°C

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.

Structure **Protocol**

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].

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

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### Animal experiment:

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
- [2].  
US20080153852

### Background

Telotristat is a tryptophan hydroxylase 1 (TPH1) inhibitor (IC<sub>50</sub> = 16 nM).[1] Dietary administration of telotristat to pregnant and lactating mice decreases serotonin levels in maternal serum and decreases the femoral bone volume to tissue volume ratio, trabecular number and thickness, and cortical tissue mineral density, as well as serum calcium levels, in pups.[2]

### Reference:

- [1]. Goldberg, D.R., De Lombaert, S., Aiello, R., et al. Discovery of acyl guanidine tryptophan hydroxylase-1 inhibitors. Bioorg. Med. Chem. Lett. 26(12), 2855-2860 (2016).

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[2]. Weaver, S.R., Fricke, H.P., Xie, C., et al. Peripartum dietary supplementation of a small-molecule inhibitor of tryptophan hydroxylase 1 compromises infant, but not maternal, bone. *Am. J. Physiol. Endocrinol. Metab.* 215(6), E1133-E1142 (2018).

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