Product Data Sheet

Telaglenastat

Cat. No.:	B4799
CAS No.:	1439399-58-2
Formula:	C26H24F3N7O3S
M.Wt:	571.57
Synonyms:	CB-839
Target:	Glutaminase
Pathway:	Metabolic Enzyme/Protease; Proteases/Proteasome; Apoptosis/Autophagy
Storage:	Store at -20° C

Solvent & Solubility

In Vitro

≥28.6 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7496 mL	8.7478 mL	17.4957 mL
5 mM	0.3499 mL	1.7496 mL	3.4991 mL
10 mM	0.1750 mL	0.8748 mL	1.7496 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

Telaglenastat (CAS 1439399-58-2) is an orally bioavailable, selective, and reversible inhibitor belonging to the glutaminase inhibitor compound class. It functions as a potent glutaminase 1 (GLS1) inhibitor in tumor cells, showing marked antagonist activity against both full-length and spliced isoforms of GLS1, while demonstrating greater selectivity over GLS2. In addition, CB-839 Telaglenastat can induce autophagy in cancer cells and exhibits robust antitumor activity through the disruption of glutamine metabolism.

In preclinical models, CB-839 Telaglenastat inhibits endogenous glutaminase activity in both mouse kidney and brain tissues, yielding IC50 values of 23 nM and 28 nM, respectively, when tested in relevant tissue

samples. The compound has been demonstrated to modulate cellular metabolism, leading to reduced proliferation of various cancer cell lines and the induction of apoptosis. Moreover, it interferes with cellular glutaminolysis, ultimately depleting glutamate pools necessary for cell growth.

In cancer research and drug discovery contexts, CB-839 Telaglenastat is widely used for the study of glutamine metabolism and its role in cancer cell survival and proliferation. It serves as a valuable tool in preclinical evaluation of metabolic targeting strategies, providing insights into the therapeutic potential of GLS1 inhibition in oncology.

IC_{50} & Target

In Vitro

Cell Viability Assay

Cell Line:	TNBC cell lines HCC1806 and MDA-MB-231, T47D cell line
Preparation method:	Soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting conditions:	1 μmol/L, 4 hours
Applications:	CB-839 treatment showed a potent effect on the proliferation of HCC1806 and MDA-MB-231 cells with the IC50 of 20 - 55 nmol/L. CB-839 (1 μmol/L) inhibited the metabolism of glutamine, the rates of glutamine consumption and glutamate production in HCC1806 and T47D cell lines. TNBC cell lines exhibited greater sensitivity as measured by the extent of cell growth or cell loss following treatment with 1 μmol/L CB-839 for 72 hours. CB-839 (1 μmol/L, 72 hours) showed antiproliferative activity on breast cancer cell lines.

In Vivo

Animal experiment

Animal models:	Scid/Beige mice bearing orthotopically implanted HCC1806 tumors, Patient-derived TNBC and JIMT-1 cell line xenograft models
Dosage form:	Oral administration, 200 mg/kg, twice daily
Applications:	In primary patient-derived TNBC mouse model, oral dosing of single agent CB-839 (200 mg/kg twice daily) suppressed tumor growth. In the mouse JIMT-1 xenograft model, oral dosing of CB-839 alone (200 mg/kg twice daily) resulted in 54% tumor growth inhibition (TGI). Combination of CB-839 (200 mg/kg, p.o.) with paclitaxel (10 mg/kg, p.o.) largely suppressed the regrowth of the tumors resulting in a TGI relative to vehicle control of 100%.
Preparation method:	Soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

1.Gross M I, Demo S D, Dennison J B, et al. Antitumor activity of the glutaminase inhibitor CB-839 in triple-negative breast cancer[J]. Molecular cancer therapeutics, 2014, 13(4): 890-901.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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