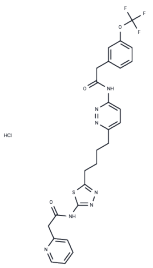
Data Sheet (Cat.No.T39309)

TargetMol

Telaglenastat hydrochloride

Chemical Properties



CAS No. : 1874231-60-3

Formula: C26H25ClF3N7O3S

Molecular Weight: 608.04

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Telaglenastat (CB-839) hydrochloride is a first-in-class, reversible, and orally active inhibitor of glutaminase 1 (GLS1), selectively inhibiting the splice variants KGA (kidney-type glutaminase) and GAC (glutaminase C), as opposed to GLS2. Telaglenastat hydrochloride has an IC50 of 23 nM for endogenous glutaminase in mouse kidney and 28 nM in the brain, inducing autophagy and exhibiting antitumor activity.
Targets(IC50)	Others,Glutaminase,Autophagy
In vitro	Telaglenastat (CB-839), administered at concentrations ranging from 0.1 to 1000 nM for a period of 72 hours, exhibits significant antiproliferative effects on HCC1806 and MDA-MB-231 cell lines, with IC50 values of 49 nM and 26 nM, respectively. Furthermore, at a concentration of 1 μM over the same duration, Telaglenastat activates caspase 3/7 and triggers apoptosis in these cell lines. The findings from the Cell Proliferation Assay and Apoptosis Analysis highlight Telaglenastat's capability to effectively inhibit cell growth and induce apoptosis in TNBC (triple-negative breast cancer) cells, marking its potential in cancer treatment strategies.
In vivo	Telaglenastat (CB-839) demonstrated antitumor activity in TNBC xenograft models, achieving a 61% suppression of tumor growth relative to vehicle control after twice-daily oral administration (200 mg/kg) for 28 days in female nu/nu mice aged 4-6 weeks. The mice were part of a TNBC patient-derived xenograft model[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6446 mL	8.2231 mL	16.4463 mL
5 mM	0.3289 mL	1.6446 mL	3.2893 mL
10 mM	0.1645 mL	0.8223 mL	1.6446 mL
50 mM	0.0329 mL	0.1645 mL	0.3289 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Gross MI, et al. Antitumor activity of the glutaminase inhibitor CB-839 in triple-negative breast cancer. Mol Cancer Ther. 2014 Apr;13(4):890-901.
Biancur DE, et al. Compensatory metabolic networks in pancreatic cancers upon perturbation of glutaminemetabolism. Nat Commun. 2017 Jul 3;8:15965.
Zhou WJ, et al. Estrogen inhibits autophagy and promotes growth of endometrial cancer by promoting glutamine metabolism. Cell Commun Signal. 2019 Aug 20;17(1):99.