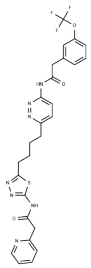
Data Sheet (Cat.No.T6797)

TargetMol
YOUR TARGET MOLECULES

Telaglenastat

Chemical Properties



CAS No. : 1439399-58-2

Formula: C26H24F3N7O3S

Molecular Weight: 571.57

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Telaglenastat (CB 839) (IC50 of 24 nM), an effective, specific, and oral inhibitor, which is bioavailable glutaminase, for recombinant human GAC.
Targets(IC50)	transporter,Glutaminase,Autophagy
In vitro	CB-839 exhibits time-dependent and slowly reversible kinetics. IC50 values for glutaminase inhibition by CB-839 following preincubation with rHu-GAC for-1 hour are < 50 nmol/L, at least 13-fold lower than with BPTES. CB-839 has antiproliferative activity in a triple-negative breast cancer (TNBC) cell line, HCC-1806, while no antiproliferative activity is observed in an estrogen receptor-positive cell line, T47D.[1]
In vivo	In the mouse TNBC model, single agent CB-839 (200 mg/kg, p.o.) suppresses tumor growth by 61% relative to vehicle control. In the mouse JIMT-1 xenograft model, CB-839 alone (200 mg/kg, p.o.) results in 54% tumor growth inhibition (TGI) relative to vehicle control, combination of CB-839 (200 mg/kg, p.o.) with paclitaxel (10 mg/kg, p.o.) largely suppresses the regrowth of the tumors resulting in a TGI relative to vehicle control of 100%.[1]
Kinase Assay	Inhibition of CB-839 on rHu-GAC: The enzymatic activity is measured in assay buffer containing 50 mM Tris-Acetate pH 8.6, 150 mM K2HPO4 , 0.25 mM EDTA, 0.1 mg/mL bovine serum albumin, 1 mM DTT, 2 mM NADP+ and 0.01% Triton X-100. To measure inhibition, the inhibitor (prepared in DMSO) is first pre-mixed with glutamine and glutamate dehydrogenase (GDH) and reactions are initiated by the addition of rHu-GAC. Final reactions contains 2 nM rHu-GAC, 10 mM glutamine, 6 units/mL GDH and 2% DMSO. Generation of NADPH is monitored by fluorescence (Ex340/Em460 nm) every minute for 15 minutes on a SpectraMax M5e plate reader. Relative fluorescence units (RFU) are converted to units of NADPH concentration (μM) using a standard curve of NADPH. Each assay plate incorporates control reactions that monitores the conversion of glutamate (1 to 75 μM) plus NADP+ to α-ketoglutarate plus NADPH by GDH. Under these assay conditions, up to 75 μM glutamate is stoichiometrically converts to α-ketoglutarate/NADPH by GDH. Initial reaction velocities are calculated by fitting the first 5 minutes of each progress curve to a straight line. Inhibition curves are fitted to a four-parameter dose response equation of the form: % activity = Bottom + (Top-Bottom)/(1+10^((LogIC50-X)*HillSlope)).
Cell Research	For viability assays, all cell lines are treated with CB-839 at the indicated concentrations for 72 hours and analyzed for antiproliferative effects using Cell Titer Glo.(Only for

	Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 60 mg/mL (104.97 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	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	0.175 mL	0.8748 mL	1.7496 mL
50 mM	0.035 mL	0.175 mL	0.3499 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.

Reference

Gross MI, et al. Mol Cancer Ther. 2014, 13(4):890-901.
Caiola E, Colombo M, Sestito G, et al. Glutaminase Inhibition on NSCLC Depends on Extracellular Alanine