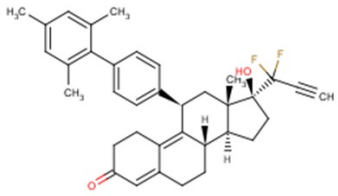
Data Sheet (Cat.No.T11146)

TargetMol
A DRUG SCREENING EXPERT

EC359

Chemical Properties



CAS No.:	2012591-09-0
Formula:	C36H38F2O2
Molecular Weight:	540.68
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	EC359Kd, 10.2 nM, interacts directly with LIFR and effectively blocks LIF/LIFR interaction. It is a potent, selective, highly affinity, and oral active leukemia inhibitor of LIFR.
Targets(IC_{50})	leukemia inhibitory factor receptor: (kd)10.2 nM
In vitro	EC359 (100 nM; 12 hours; BT549 cells) treatment significantly reduces the expression of several (such as STAT1 TGFB1, JUNB, MCL-1, etc) known STAT3 target genes. EC359(100 nM; 1 hour; MDA-MB-231 and BT-549 cells) treatment substantially reduces the LIF activation of STAT3, also reduces the STAT3 activation by OSM and CNTF. EC359 treatment substantially decreases the phosphorylation of AKT, mTOR, S6, and ERK1/2 in MDA-MB231 and BT-549 cells. EC359 treatment also increases the phosphorylation of proapoptotic p38MAPK in BT549 cells.EC359 (0-100 nM; 3 days; BT-549, SUM-159, MDA-MB-231, MDA-MB-468, and HCC1806 cells) treatment reduces cell viability in a dose-dependent manner. EC359 (20 nM, 25 nM; 72 hours; MDA-MB-231 and BT-549 cells) treatment significantly increases caspase-3/7 activity and Annexin V-positive cells in both MDAMB-231 and BT-549 cells. EC359 exhibits significant inhibitory activity on invasion and promotes apoptosis of TNBC cells.
In vivo	Subcutaneous injection;3 days a week;25 days;The weight of the female athymic nude mice remained unchanged, confirming the low toxicity of EC359 and significantly reducing tumor progression.

Solubility Information

Solubility	DMSO: 125 mg/mL (231.19 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.85 mL	9.248 mL	18.495 mL
5 mM	0.37 mL	1.85 mL	3.699 mL
10 mM	0.185 mL	0.925 mL	1.85 mL
50 mM	0.037 mL	0.185 mL	0.37 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Viswanadhapalli S, et al. EC359: A First-in-Class Small-Molecule Inhibitor for Targeting Oncogenic LIFR Signaling in Triple-Negative Breast Cancer. Mol Cancer Ther. 2019 Aug;18(8):1341-1354.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481