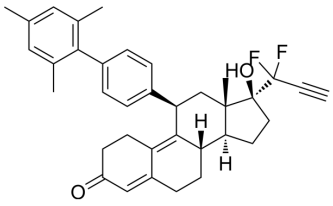
EC359

Cat. No.:	HY-120142
CAS No.:	2012591-09-0
Molecular Formula:	$C_{36}H_{38}F_2O_2$
Molecular Weight:	540.68
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (231.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8495 mL	9.2476 mL	18.4952 mL
	5 mM	0.3699 mL	1.8495 mL	3.6990 mL
	10 mM	0.1850 mL	0.9248 mL	1.8495 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EC359 is a potent, selective, high affinity and orally active leukemia inhibitory factor receptor (LIFR) inhibitor with a K_d of 10.2 nM, which directly interacts with LIFR to effectively block LIF/LIFR interactions[1]. EC359 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

Kd: 10.2 nM (leukemia inhibitory factor receptor)[1]

In Vitro

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[1].
?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[1].

?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[1].

?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[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	BT-549, SUM-159, MDA-MB-231, MDA-MB-468, and HCC1806 cells
Concentration:	0 nM, 1.5 nM, 12.5 nM, 25 nM, 50 nM, 100 nM
Incubation Time:	3 days
Result:	Reduced cell viability in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 and BT-549 cells
Concentration:	20 nM, 25 nM
Incubation Time:	72 hours
Result:	Promoted apoptosis of TNBC cells.

RT-PCR[1]

Cell Line:	BT549 cells
Concentration:	100 nM
Incubation Time:	12 hours
Result:	Reduced the expression of several known STAT3 target genes.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231 and BT-549 cells
Concentration:	100 nM
Incubation Time:	1 hour
Result:	Substantially reduced the LIF activation of STAT3, reduced the STAT3 activation by OSM and CNTF, decreased the phosphorylation of AKT, mTOR, S6, and ERK1/2 in both BT-549 and MDA-MB-231 cells and increased the phosphorylation of proapoptotic p38MAPK in BT549 cells.

In Vivo

EC359 (5 mg/kg; subcutaneous injection; 3 days per week; for 25 days; female athymic nude mice) treatment significantly reduces the tumor progression. The body weights of mice in EC359-treated groups remains unchanged confirming the low toxicity of EC359[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	8-week-old female athymic nude mice with MDA-MB-231 cells[1]
Dosage:	5 mg/kg
Administration:	Subcutaneous injection; 3 days per week; for 25 days
Result:	Significantly reduced the tumor progression.

CUSTOMER VALIDATION

- J Clin Invest. 2022 Aug 1;132(15):e157678.
- Adv Sci (Weinh). 2021 Feb 25;8(9):2003535.
- Cells. 2022, 11(21): 3482.
- Cancers (Basel). 2023 Oct 13, 15(20), 4979.
- bioRxiv. 2023 Oct 2.

See more customer validations on www.MedChemExpress.com

REFERENCES

[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: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA