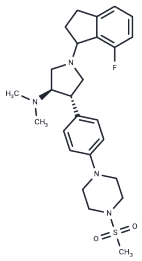
Data Sheet (Cat.No.T10205)

TargetMol

A-395

Chemical Properties



CAS No. : 2089148-72-9

Formula: C26H35FN4O2S

Molecular Weight: 486.65

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	A-395 is an antagonist of polycomb repressive complex 2 (PRC2) protein-protein interactions that potently inhibits the trimeric PRC2 complex (EZH2-EED-SUZ12, IC50: 18 nM).
Targets(IC50)	Histone Methyltransferase
In vitro	The embryonic ectoderm development (EED) protein is an essential subunit of PRC2. A-395 antagonizes the H3K27me3 binding functions of EED by binding to the H3K27me3-binding pocket, thereby preventing the allosteric activation of PRC2's catalytic activity. A-395 competes for H3K27me3 peptide binding to EED (IC50: 7 nM) and selectively modulates PRC2 activity in cells, markedly reducing the H3K27 methyl mark. A-395 inhibits H3K27me2 and H3K27me3 (IC50s: 390 nM and 90 nM, respectively) and induces growth inhibition in human tumor cell lines sensitive to SAM-competitive EZH2 inhibitors, unlike its close chemical analog A-395N.
Cell Research	1,000 multiple myeloma cells are seeded in each well of 96-well cell culture plates and treated with A-395 (0.001-100 μM) or DMSO control for 10 d before the cell proliferation assay. Cell proliferation assays are conducted with the CellTiter-Glo Luminescent Cell Viability Assay.

Solubility Information

Solubility	DMSO: 100 mg/mL (205.49 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (2.05 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0549 mL	10.2743 mL	20.5486 mL
5 mM	0.411 mL	2.0549 mL	4.1097 mL
10 mM	0.2055 mL	1.0274 mL	2.0549 mL
50 mM	0.0411 mL	0.2055 mL	0.411 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

He Y, et al. The EED protein-protein interaction inhibitor A-395 inactivates the PRC2 complex. Nat Chem Biol. 2017 Apr;13(4):389-395.
Inhibition of EED-mediated histone methylation alleviates neuroinflammation by suppressing WNT-mediated dendritic cell migration