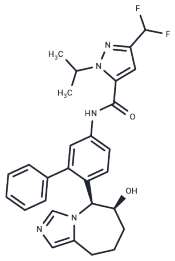
Data Sheet (Cat.No.T10895)

TargetMol

CSN5i-3

Chemical Properties



CAS No. : 2375740-98-8

Formula: C28H29F2N5O2

Molecular Weight: 505.56

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	CSN5i-3 is a potent, selective, and orally available inhibitor of the proteolytic subunit of the CSN complex, CSN5. It inhibits CSN-catalyzed Cul1 deneddylation with an IC50 value of 5.8 nM. CSN5i-3 has a killing effect on a variety of cancer cells and can be used as an anticancer drug. [2]
Targets(IC50)	Apoptosis,Others
In vitro	**METHODS**: BV2 microglial cell line cells were pretreated with 1 or 4 μM CSN5i-3 for 2-4 hours to test whether treatment of BV2 cells with CSN5i-3 would result in an increase in NEDDylated CUL1 levels. **RESULTS** Treatment of BV2 cells with CSN5i-3 for 4 hours under basal conditions required only normal "cell culture stress" to result in an increase in NEDDylated CUL1, accompanied by a decrease in unmodified CUL1 levels, and CSN5i-3-treated BV2 cells showed a shift from a de-NEDDylated cullin band to a NEDD8-CUL1 band. [1]
In vivo	**METHODS**: Subcutaneous SU-DHL-1 xenografts were implanted in SCID-bg mice and CSN5i-3 (50, 100 mg/kg, oral, once daily) was used to observe the growth of CSN5i-3 human xenograft models in vivo. **RESULTS** CSN5i-3 inhibited tumor growth in the mouse model of human xenografts. [2]

Solubility Information

Solubility	DMSO: 247.5 mg/mL (489.56 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: 5 mg/mL (9.89 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	1.978 mL	9.890 mL	19.780 mL
5 mM	0.3956 mL	1.978 mL	3.956 mL
10 mM	0.1978 mL	0.989 mL	1.978 mL
50 mM	0.0396 mL	0.1978 mL	0.3956 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

Tian Y, et al. The COP9 signalosome reduces neuroinflammation and attenuates ischemic neuronal stress in organotypic brain slice culture model. Cell Mol Life Sci. 2023 Aug 19;80(9):262.
Schlierf A, et al. Targeted inhibition of the COP9 signalosome for treatment of cancer. Nat Commun. 2016 Oct 24;7:13166.