

BSJ-4-116

## Chemical Properties

CAS No. : 2519823-34-6

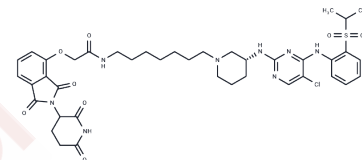
Formula: C<sub>40</sub>H<sub>49</sub>ClN<sub>8</sub>O<sub>8</sub>S

Molecular Weight: 837.38

Keep away from direct sunlight

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	BSJ-4-116 is a highly potent and selective CDK12 degrader (PROTAC) with an IC <sub>50</sub> of 6 nM. It downregulates DDR genes through a premature termination of transcription, primarily through increasing poly(adenylation).
Targets(IC <sub>50</sub> )	CDK,PROTACs
In vitro	BSJ-4-116 (50?nM; 6-24?hours) decreases the level of CDK12 protein, regardless of the mutational status of the cell line.BSJ-4-116 (10-10000 nM; 72 hours) exhibits potent antiproliferative effects in Kelly CDK12C1039F.BSJ-4-116 inhibits the growth of T-ALL cells (Jurkat and MOLT-4 cells) and sensitizes them to PARP inhibition. BSJ-4-116 regulates DDR genes via poly(adenylation). BSJ-4-116 overcomes CDK12C1039F mutation. BSJ-4-116 represents the first example of resistance to a bivalent degrader molecule that is a consequence of an acquired point mutation in the target protein.

## Solubility Information

Solubility	DMSO: 250 mg/mL (298.55 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 (5.97 mM),Sonication is recommended. <i>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.</i>

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	1.1942 mL	5.971 mL	11.942 mL
5 mM	0.2388 mL	1.1942 mL	2.3884 mL
10 mM	0.1194 mL	0.5971 mL	1.1942 mL
50 mM	0.0239 mL	0.1194 mL	0.2388 mL

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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

Jiang B, et al. Discovery and resistance mechanism of a selective CDK12 degrader. Nat Chem Biol. 2021;17(6):675-683.

Liu S, Wu J, Lu X, et al. Targeting CDK12 obviates the malignant phenotypes of colorectal cancer through the Wnt/ $\beta$ -catenin signaling pathway. Experimental Cell Research. 2023: 113613.

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