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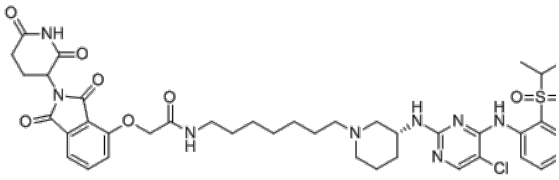
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BSJ-4-116
Cat. No.	:	PC-38058
CAS No.	:	2519823-34-6
Molecular Formula	:	$C_{40}H_{49}ClN_8O_8S$
Molecular Weight	:	837.39
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

BSJ-4-116 is a potent, **CDK12** specific degrader (PROTAC) with IC50 of 6 nM, exhibits anti-proliferative activity in cancer cells.
BSJ-4-116 demonstrates a low nanomolar IC50 for inhibiting CDK12 enzymatic activity and exhibits potent CDK12 degradation in Jurkat cells in a dose- and time-dependent manner, while CDK13 protein level was minimally affected.
BSJ-4-116 also significantly suppresses the phosphorylation of Pol II Ser2 and Thr4, whereas p-Ser5 and p-Ser7 are not inhibited, and not affect the Cyclin K protein level.
BSJ-4-116 downregulates the expression of DDR genes and exhibits anti-proliferative activity in cancer cells.
BSJ-4-116 alone or in combination with PARP inhibitors could be a therapeutic avenue for targeting the intrinsic genomic instability inT-ALL model.
BSJ-4-116 overcomes resistance towards existing covalent inhibitors.

References

Baishan Jiang, et al. ***Nat Chem Biol.*** 2021 Jun;17(6):675-683.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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