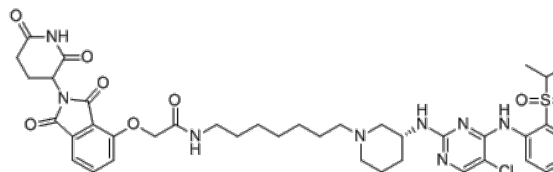


Product Name : BSJ-4-116
Cat. No. : PC-38058
CAS No. : 2519823-34-6
Molecular Formula : C₄₀H₄₉ClN₈O₈S
Molecular Weight : 837.39
Target : PROTAC
Solubility : 10 mM in DMSO



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 in T-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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