

Product Data Sheet

Product Name: BSJ-4-116

Cat. No.: GC62263

Chemical Properties

Cas. No. 2519823-34-6

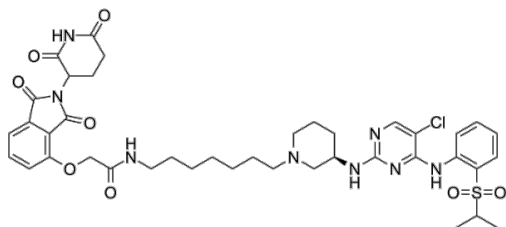
Formula $C_{40}H_{49}ClN_8O_8S$ M.Wt 837.38

Solubility DMSO : 250 mg/mL (298.55 mM; Storage Store at -20°C
Need ultrasonic)

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

BSJ-4-116 is a highly potent and selective CDK12 degrader (PROTAC) with an IC₅₀ of 6 nM. BSJ-4-116 downregulates DDR genes through a premature termination of transcription, primarily through increasing poly(adenylation). BSJ-4-116 exhibits potent antiproliferative effects, alone and in combination with the poly(ADP-ribose) polymerase inhibitor Olaparib [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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BSJ-4-116 (10-10000 nM; 72 hours) exhibits potent antiproliferative effects in Kelly CDK12C1039F[1]. BSJ-4-116 (50 nM; 6-24 hours) decreases the level of CDK12 protein, regardless of the mutational status of the cell line[1]. BSJ-4-116 inhibits the growth of T-ALL cells (Jurkat and MOLT-4 cells) and sensitizes them to PARP inhibition[1]. 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[1].

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

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