BSJ-04-132

Cat. No.: HY-136252

CAS No.: 2349356-39-2

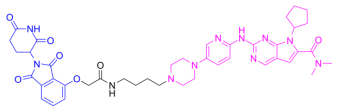
Molecular Formula: $C_{42}H_{49}N_{11}O_7$

Molecular Weight: 819.91

Target: PROTACs; CDK

Pathway: PROTAC; Cell Cycle/DNA Damage

Storage: -20°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (121.96 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2196 mL	6.0982 mL	12.1965 mL
	5 mM	0.2439 mL	1.2196 mL	2.4393 mL
	10 mM	0.1220 mL	0.6098 mL	1.2196 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.05 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BSJ-04-132 is a PROTAC connected by ligands for Cereblon and CDK. BSJ-04-132 is a potent and selective Ribociclib-based CDK4 degrader (PROTAC), with IC_{50}s of 50.6 nM and 30 nM for CDK4/D1 and CDK6/D1, respectively. BSJ-04-132 does not induce CDK6 and IKZF1/3 degradation. BSJ-04-132 has anti-cancer activity[1].

IC_{50} & Target

CDK4/D1	CDK6/D1
50.6 nM (IC_{50})	30 nM (IC_{50})

In Vitro

BSJ-04-132 (0.1-5 μM; for 4 hours) only resultes in degradation of CDK4 in WT cells, not CDK6 and IKZF1/3[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Wildtype (WT) or $Crbn^{-/-}$ Jurkat cells
Concentration:	0.1, 0.5, 1, 5 μM
Incubation Time:	For 4 hours
Result:	Only resulted in degradation of CDK4 in WT cells, not CDK6 and IKZF1/3.

REFERENCES

[1]. Baishan Jiang, et al. Development of Dual and Selective Degraders of Cyclin-Dependent Kinases 4 and 6. Angew Chem Int Ed Engl. 2019 May 6;58(19):6321-6326.

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