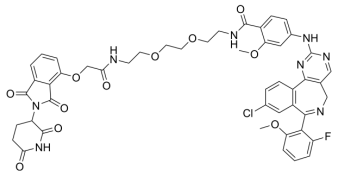
JB170

Cat. No.:	HY-141512
CAS No.:	2705844-82-0
Molecular Formula:	$C_{48}H_{44}ClFN_8O_{11}$
Molecular Weight:	963.36
Target:	PROTACs; Aurora Kinase
Pathway:	PROTAC; Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (103.80 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0380 mL	5.1902 mL	10.3803 mL
	5 mM	0.2076 mL	1.0380 mL	2.0761 mL
	10 mM	0.1038 mL	0.5190 mL	1.0380 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JB170 is a potent and highly specific PROTAC-mediated AURORA-A (Aurora Kinase) degrader (DC_{50}=28 nM) by linking Alisertib, to the Cereblon-binding molecule Thalidomide. JB170 preferentially binds AURORA-A (EC_{50}=193 nM) over AURORA-B (EC_{50}=1.4 μM). JB170-mediated S-phase arrest is caused specifically by AURORA-A depletion. JB170 has excellent ability to inhibit non-catalytic function of AURORA-A kinase[1].

IC_{50} & Target

Aurora A 28 nM (DC50)	Aurora A 99 nM (Kd)	Aurora A 193 nM (EC50)	Cereblon

In Vitro

JB170 (1 μM; 24-72 hours; MV4-11 cells) mediates Aurora-A depletion inhibiting cancer cell survival[1].
JB170 (0.01-10 μM; 6 hours; MV4-11 cells) reduces AURORA-A levels [1].
JB170 (0.5 μM; 12 hours; MV4-11 cells) delays/arrests S-phase progression[1].
JB170 (0.5 μM; 0-72 hours; MV4-11 cells) induces apoptosis is exclusively caused by targeting AURORA-A[1].

JB170 (0.1 μM; 0-9 hours; IMR5 cells) shows rapid AURORA-A depletion. JB170 (0~1 μM; 6 hours; MV4-11 cells) strongly attenuates in mutants with respect to AURORA-A. JB170 (0.1 μM; 18 hours; MV4-11 cells) does not activate AURORA-A. JB170 (0~1 μM; 24 hours; IMR5 cells) largely abrogates AURORA-A^{T217D} depletion. JB170 (1 μM; 4 days; IMR5 cells) mediates Aurora-A depletion inhibiting cancer cell survival. JB170 (IMR5 cells) reduces AURORA-A levels by lowering AURORA-A mRNA levels[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MV4-11 cells
Concentration:	1 μM
Incubation Time:	24-72 hours
Result:	After 72 hours, the number of viable cells was 32% of control levels.

Western Blot Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	0.01~10 μM
Incubation Time:	6 hours
Result:	Substantial degradation was observed at 100 nM and 1 μM.

Apoptosis Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	0.5 μM
Incubation Time:	0~72 hours
Result:	Apoptosis was exclusively caused by targeting AURORA-A.

Cell Cycle Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	0.5 μM
Incubation Time:	12 hours
Result:	Delayed or arrested S-phase progression.

REFERENCES

[1]. Adhikari B, et al. PROTAC-mediated degradation reveals a non-catalytic function of AURORA-A kinase. Nat Chem Biol. 2020;16(11):1179-1188.

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