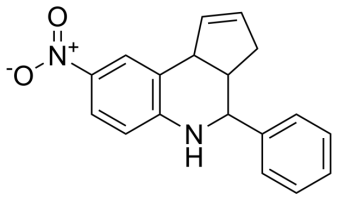
BAP1-IN-1

Cat. No.:	HY-W327122
CAS No.:	353495-21-3
Molecular Formula:	$C_{18}H_{16}N_2O_2$
Molecular Weight:	292.33
Target:	Others
Pathway:	Others
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (171.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4208 mL	17.1040 mL	34.2079 mL
	5 mM	0.6842 mL	3.4208 mL	6.8416 mL
	10 mM	0.3421 mL	1.7104 mL	3.4208 mL

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

BIOLOGICAL ACTIVITY

Description

BAP1-IN-1 (Compound 8) is a BRCA1 associated protein 1 (BAP1) catalytic activity inhibitor with an IC_{50} of 0.1-1 μM[1].

IC_{50} & Target

IC50: 0.1-1 μM (BAP1)[1]

In Vitro

BAP1-IN-1 (Compound 8) (1 μM; 30 min) specifically inhibits BAP1 not just in vitro but also within the cellular context[1].
BAP1-IN-1 (0.1 μM; 24 h) significantly alters 240 genes in BAP1-WT cells, whereas only 33 transcripts are changed in BAP1-KO cells, demonstrating that the gene expression changes mainly depend on the presence of BAP1 protein[1].
BAP1-IN-1 (0-10 μM; 72 h) selectively inhibits cells with ASXL1 GOF mutations[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	CAL51 cells
Concentration:	1 μM
Incubation Time:	30 min

Result:	Remarkably inhibited BAP1 catalytic activity.

Cell Viability Assay[1]

Cell Line:	THP1, MOML13, K562, THP1-ASXL1-WT and THP1-ASXL1-Y591fs cells
Concentration:	0, 0.1, 0.3, 1, 3 and 10 μM
Incubation Time:	72 h
Result:	K562 cells (ASXL1-Y591*) were significantly more sensitive to the treatment. Cells with ASXL1fs mutations were ten times more sensitive to the treatment.

In Vivo

BAP1-IN-1 (Compound 8) (50 mg/kg/d; i.p.; 4 weeks) delays the progression of ASXL1-mutant leukemia and improves survival in mice[1].

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Animal Model:	NSGS mice, K562 (ASXL1-WT/Y591*) xenograft model and patient-derived tumor cells (ASXL1-WT/Q588*) model[1]
Dosage:	50 mg/kg/d
Administration:	Intraperitoneal injection, drug treatments were started at day 28 after transplantation
Result:	Significantly delayed progression of disease in both models.

REFERENCES

[1]. Wang L, et al. Epigenetic targeted therapy of stabilized BAP1 in ASXL1 gain-of-function mutated leukemia. Nat Cancer. 2021 May;2(5):515-526.

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

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