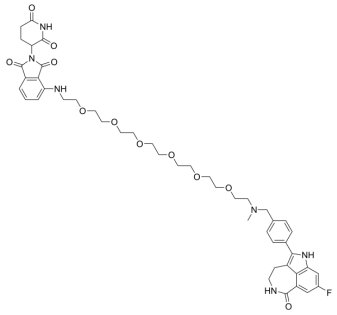
iRucaparib-AP6

Cat. No.:	HY-130644
CAS No.:	2410557-00-3
Molecular Formula:	$C_{46}H_{55}FN_6O_{11}$
Molecular Weight:	886.96
Target:	PARP; PROTACs
Pathway:	Cell Cycle/DNA Damage; Epigenetics; PROTAC
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (56.37 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1274 mL	5.6372 mL	11.2745 mL
	5 mM	0.2255 mL	1.1274 mL	2.2549 mL
	10 mM	0.1127 mL	0.5637 mL	1.1274 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 (2.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

iRucaparib-AP6 is a highly efficient and specific PROTAC PARP1 degrader. iRucaparib-AP6, a non-trapping PARP1 degrader, blocks both the catalytic activity and scaffolding effects of PARP1[1].

IC_{50} & Target

PARP1
82 nM (DC50)

In Vitro

iRucaparib-AP6 (0-10 μM; 24 hours) decreases PARP-1 level in a dose dependent manner, exhibits a half-maximal degrading concentration (DC_{50}) of 82 nM (D_{max} = 92%)[1].
iRucaparib-AP6 (0-20 μM; 24 hours) induces degradation of PARP1 at low concentrations[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Primary rat neonatal cardiomyocyte cells

Concentration:	0.001 μM; 0.01 μM; 0.1 μM; 1 μM; 10 μM
Incubation Time:	24 hours
Result:	Decreased PARP-1 level in primary rat neonatal cardiomyocyte cells.

Western Blot Analysis[1]

Cell Line:	Primary rat neonatal cardiomyocyte cells
Concentration:	0.05 μM; 0.1 μM; 0.2 μM; 0.5 μM; 1 μM; 2 μM; 5 μM;10 μM; 20 μM
Incubation Time:	24 hours
Result:	Induced robust PARP1 degradation at concentrations as low as 50 nM.

CUSTOMER VALIDATION

- J Med Chem. 2020 Oct 8;63(19):11012-11033.

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REFERENCES

[1]. Wang S, et al. Uncoupling of PARP1 trapping and inhibition using selective PARP1 degradation.Nat Chem Biol. 2019 Dec;15(12):1223-1231.

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