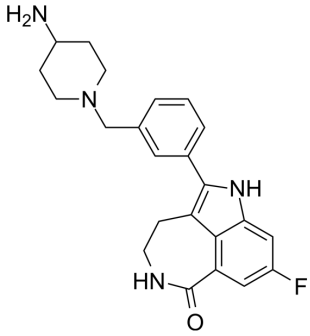
PARP-1-IN-1

Cat. No.:	HY-144642
CAS No.:	2763840-83-9
Molecular Formula:	$C_{23}H_{25}FN_4O$
Molecular Weight:	392.47
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PARP-1-IN-1 is a high selective and orally active PARP-1 inhibitor (IC_{50}=0.96 nM). PARP-1-IN-1 has well tolerance and remarkable single dose activity in the MDA-MB-436 xenotransplantation model[1].

In Vitro

PARP-1-IN-1 (compound Y49) (48 hours) has effective inhibition on different cancer cells (IC_{50}s of 9.64, 123.5 106.3 μM for MX-1, MCF7 and A548 cells, respectively)[1].

PARP-1-IN-1 (2.5-10 μM, 48 hours) successfully inhibits the activity of PARP-1 and reduces the production of PAR in A549 cells, which is dose-dependent to some extent[1].

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

Western Blot Analysis[1]

Cell Line:	A549 cells
Concentration:	2.5 μM, 5 μM and 10 μM
Incubation Time:	48h
Result:	Inhibited the activity of PARP-1 successfully and reduced the production of PAR in cancer cells. PARP-1-IN-1 was dose-dependent to some extent.

In Vivo

PARP-1-IN-1 (compound Y49) (50 mg/kg/day; p.o.; daily for 18 days) inhibits the growth of MDA-MB-436 tumor in BALB/c nude mice[1].

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

Animal Model:	Female athymic BALB/c nude mice (inoculated MDA-MB-436 cells)[1]
Dosage:	50 mg/kg
Administration:	p.o., daily for 18 days
Result:	Inhibited the growth of MDA-MB-436 tumor significantly, and no significant change in the body weight of PARP-1-IN-1 treated mice.

REFERENCES

[1]. Yu J, et al. Structure-based design, synthesis, and evaluation of inhibitors with high selectivity for PARP-1 over PARP-2. Eur J Med Chem. 2022;227:113898.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA