Data Sheet (Cat.No.T204225)

TargetMol

PARP1-IN-34

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PARP1-IN-34 (compound 30) is a selective PARP1 inhibitor with an IC50 of 0.32 nM. It is a sub-nanomolar PARP1 inhibitor with 1000-fold selectivity over PARP2, having an IC50 of 326 nM, and exhibits anticancer activity.
Targets(IC50)	PARP
In vitro	PARP1-IN-34 demonstrates EC50 values for PARP1 capture of 34.7, 65.9, and 102.7 nM at 60, 120, and 180 minutes, respectively [1]. It exhibits significantly weaker capture of PARP2, with an EC50 of 9882 nM [1]. The compound forms a more stable PARP1-DNA complex at 180 minutes than AZD9574. PARP1-IN-34 at a concentration of 10 nM over 72 hours induces DNA double-strand breaks in MDA-MB-436 cells and increases γH2AX levels more effectively than AZD9574, resulting in greater DNA damage [1]. It shows minimal antiproliferative activity in BRCA wild-type (CAL-148, 22RV1, and PC3) and normal 293T cells (IC50 > 10,000 nM), whereas in BRCA-mutant MDA-MB-436 cells, it exhibits an IC50 of 2.6 nM, indicating its antiproliferative effects are dependent on PARP1 inhibition [1]. PARP1-IN-34 is a highly selective inhibitor of PARP1 compared to other PARPs, including PARP2, PARP3, PARP5A, PARP5B, PARP6, PARP7, PARP12, PARP14, and PARP15 [1].
In vivo	PARP1-IN-34, administered orally at 0.2-0.6 mg/kg daily for 35 days, induces tumor reduction in a dose-dependent manner in the MDA-MB-436 mouse xenograft model [1]. In the SUM149P T xenograft model, 10 mg/kg of PARP1-IN-34 given daily for 35 days shows synergistic effects with Carboplatin [1]. Additionally, PARP1-IN-34 at doses of 5 and 25 mg/kg daily for 14 days minimally impacts reticulocyte reduction.

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