

Data Sheet

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Product Name :COH34

Cat.No. :URK-V2223

CAS No. :906439-72-3

Molecular Formula :C₁₈H₁₅NOS

Molecular Weight :293.384

Target :PARP

Solubility :

S OH

Biological Activity

COH34 (PARG inhibitor COH34, NSC191252) is a potent, selective and cell-active PARG inhibitor (IC50=0.37 nM). COH34 specifically inhibits poly(ADP-ribose) glycohydrolase (PARG) and strongly binds to the catalytic domain of PARG with Asn869 as a key binding residue (Kd=0.547 uM), does not suppress the activity of PARP1 and had little impact on TARG1 at 1 uM.

COH34 suppresses the PARG-dependent dePARylation process, suppresses DNA repair in cells.

COH34 exhibits lethality in BRCA-mutant and PARP inhibitor–resistant cancer cells UWB1.289 and PEO-1 (EC50=2.1 and 0.8 uM, respectively), selectively kills BRCA-mutant and PARP inhibitor–resistant cancer cells.

COH34 exhibits antitumor activity (at 20 mg/kg) in PARP inhibitor-resistant and DNA damage repair-defective cell line xenografts.

References

1. Shih-Hsun Chen, et al. Sci Adv. 2019 Apr 10;5(4):eaav4340.

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