Product Datasheet

ART558

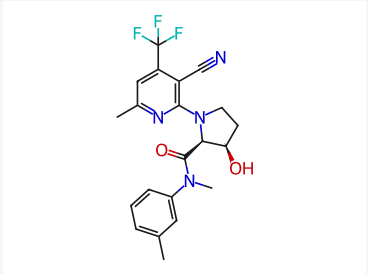
Catalog No. **BP-02034** · CAS **2603528-97-6** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-11**

FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target	Research area
DNA/RNA Synthesis	**Cancer**

Molecular formula	$C_{21}H_{21}F_3N_4O_2$
Molecular weight	**418.4122**

STRUCTURE



DESCRIPTION

ART558 is a nanomolar potent, selective, low molecular weight, allosteric DNA polymerase activity of Polθ inhibitor (IC50=7.9 nM). ART558 can be used for the research of cancer.

BIOLOGICAL ACTIVITY

In Vitro

ART558 (0-10 μM; 7 days; BRCA2wild-type or BRCA2-/- cells) shows synthetic lethality and a combinatorial effect with the PARPi olaparib in previously described isogenic models of BRCA1-deficiency.

ART558 (5 μM; 0-72 hours; BRCA2wild-type or BRCA2-/- cells) shows γH2AX accumulation in cells.

ART558 inhibits the major Polθ mediated DNA repair process, Theta-Mediated End Joining, without targeting NonHomologous End Joining. ART558 elicits DNA damage and synthetic lethality in BRCA1- or BRCA2-mutant tumour cells and enhances the effects of a PARP inhibitor.

ART558 increases biomarkers of single-stranded DNA and synthetic lethality in 53BP1-defective cells whilst the inhibition of DNA nucleases that promote end-resection reversed these effects, implicating these in the synthetic lethal mechanism-of-action. ART558 increases the residence time of YFP-tagged full-length Polθ at sites of laserinduced DNA damage.

SOLUBILITY

Soluble in DMSO 170 mg/mL

REFERENCES

[1]. Zatreanu D, et al. Polθ inhibitors elicit BRCA-gene synthetic lethality and target PARP inhibitor resistance. Nat Commun. 2021 Jun 17;12(1):3636.

Lot CoA and SDS are separate documents.