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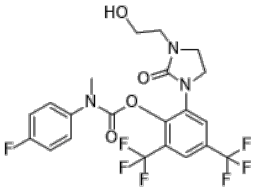
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	RTx-161
Cat. No.	:	PC-22070
CAS No.	:	3035072-49-9
Molecular Formula	:	$C_{21}H_{18}F_7N_3O_4$
Molecular Weight	:	509.38
Target	:	DNA/RNA Synthesis
Solubility	:	10 mM in DMSO



CAS: 3035072-49-9

Biological Activity

RTx-161 (RTx161) is a potent, selective, allosteric **DNA Polymerase θ** (**Polθ**) inhibitor with IC50 of 4.1 nM, exhibits preferential killing of HR-deficient cells.
RTx-161 shows higher potency than recently published Polθ-pol inhibitors ART558 (11.4 nM IC50) and RP6685 (6.9 nM IC50) using the identical assay.
RTx-161 shows selective killing of BRCA2-null HCT116 and DLD1 cells, with little to no effect in BRCA2-WT cells.
RTx-161 also induceds selective killing of mouse embryonic fibroblasts (MEFs) harboring a Brca1 mutation (Brca1cc/cc).
RTx-161 shows concentration dependent inhibition of Polθ-pol MMEJ activity in vitro, selectively causes DNA damage in BRCA2-null DLD1 cells, induces PARP cleavage and apoptosis.
RTx-161 exhibits strong synergistic activity with Olaparib in BRCA2-null HCT116 cells, essentially overcomes cellular resistance to Olaparib.
RTx-161 exclusively inhibits closed Polθ-pol:DNA/DNA complexes, selectively inhibits Polθ-pol in the closed state.

References

Fried W, et al. ***Nat Commun***. 2024 Apr 5;15(1):2862.

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