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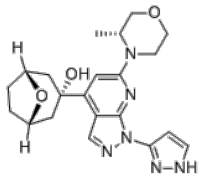
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	RP-3500
Cat. No.	:	PC-72315
CAS No.	:	2417489-10-0
Molecular Formula	:	$C_{21}H_{26}N_6O_3$
Molecular Weight	:	410.478
Target	:	ATM/ATR
Solubility	:	10 mM in DMSO



Biological Activity

RP-3500 (RP3500) is a novel potent, selective, orally bioavailable **ATR** inhibitor with IC50 of 1.0 and 0.33 nM in biochemical and cell-based assays, respectively.
RP-3500 displays 30-fold selectivity over mTOR and >2,000-fold selectivity over ATM, DNA-PK, and PI3Kα kinases.
RP-3500 inhibited phosphorylated checkpoint kinase 1 (pCHK1) (IC80=18.6 nM) and induction of phosphorylated H2A.X variant histone (γH2AX), phosphorylated DNA-PK catalytic subunit (pDNA-PKcs), and phosphorylated KRAB-associated protein 1 (pKAP1).
RP-3500 demonstrated potent single-agent efficacy and/or tumor regression in multiple xenograft models at minimum effective doses (MED) of 5 to 7 mg/kg once daily.
RP-3500 demonstrated superior efficacy when combined with PARP inhibitor olaparib or niraparib.

References

Anne Roulston, et al. ***Mol Cancer Ther.*** 2022 Feb;21(2):245-256.

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