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Data Sheet

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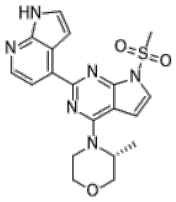
Product Name	:	AD1058
Cat. No.	:	PC-22828
CAS No.	:	2907782-78-7
Molecular Formula	:	$C_{19}H_{20}N_6O_3S$
Molecular Weight	:	412.47
Target	:	ATM/ATR
Solubility	:	10 mM in DMSO



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Biological Activity

AD1058 is a highly potent, selective, brain-penetrant **ATR** inhibitor with IC50 of 1.6 nM.
AD1058 displays excellent selectivity against 15 kinases belonging to six kinase subfamilies (mTOR from PIKK subfamily; AMPKα2β1γ1, DRAK2, BRSK2, ARK5 from CAMK subfamily; CDK2 from CMGC subfamily; IRAK4 from TKL subfamily; FLT3, LCK, MER, LYN, BTK, ABL1, JAK1 from TK subfamily; Aurora B from Aurora subfamily).
AD1058 exhibits potent in vitro antiproliferative activities against a panel of tumor cell lines (B-cell lymphoma: Granta-519 (IC50=0.19 uM), OCI-Ly10, SU-DHL4, ovary cancer: A2780, colorectal cancer: LoVo, HCT116, HT-29, DLD1, lung cancer: NCI-H446, pancreatic cancer: Capan-1, and prostate cancer: PC-3).
AD1058 effectively inhibits Niraparib-induced CHK1 phosphorylation in Granta-519, more potently than AZ20 or AZD6738 at 2 uM. AD1058 (25 and/or 50 mg/kg) exhibits significant inhibition of tumor growth in CB17-SCID mice bearing xenograft tumor inoculating Granta-519, effectively improves the antitumor effect of the clinically ionizing radiotherapy.

References

Liu Z, et al. ***J Med Chem***. 2024 Jul 25. doi: 10.1021/acs.jmedchem.4c00734.

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