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Data Sheet

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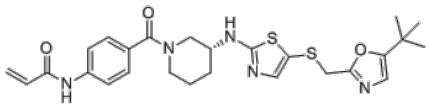

Product Name	:	MFH290
Cat. No.	:	PC-72216
CAS No.	:	2088715-91-5
Molecular Formula	:	$C_{26}H_{31}N_5O_3S_2$
Molecular Weight	:	525.686
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO

Biological Activity

MFH290 (MFH-290) is a potent, highly selective, covalent inhibitor of **CDK12/13** with IC50 of 25/49 nM.
MFH290 forms a covalent bond with Cys-1039 of CDK12, and CDK12-dependent as mutation of Cys-1039 rendered the kinase refractory to MFH290.
MFH290 exhibits excellent kinome selectivity, inhibits the phosphorylation of serine-2 in the C-terminal domain (CTD) of RNA-polymerase II (Pol II), and reduces the expression of key DNA damage repair genes.
MFH290 restored Pol II CTD phosphorylation and DNA damage repair gene expression AND augments the antiproliferative effect of the PARP inhibitor olaparib.

References

Yao Liu, et al. ***J Med Chem***. 2020 Jul 9;63(13):6708-6726.

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