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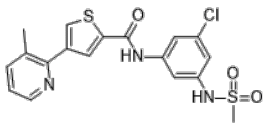
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ATX968
Cat. No.	:	PC-23517
CAS No.	:	2973395-71-8
Molecular Formula	:	$C_{18}H_{16}ClN_3O_3S_2$
Molecular Weight	:	421.91
Target	:	Other Targets
Solubility	:	10 mM in DMSO



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Biological Activity

ATX968 is a potent and selective inhibitor of **DHX9 helicase** activity with DHX9 unwinding IC50 of 8 nM, SPR Kd value of 1.3 nM.
ATX968 is not competitive with nucleotide triphosphate substrates.
ATX968 shows similar affinity and binding kinetics to mouse DHX9 as to human DHX9, extending its potential utility to studies in mouse models.
ATX968 exhibits no significant inhibition against DHX36, a DExH-box RNA helicase related to DHX9, SMARCA2, a DNA helicase, as well as a panel of 97 kinases.
ATX968 treatment revealed a concentration-dependent induction of the Alu-mediated circRNAs (EC50= 101 nM, 95 nM and 236 nM for circBRIP1, circAKR1A and circDKC1 respectively), but no effect on the non–Alu mediated circSETD3 or the corresponding linear transcripts.
TX968 treatment leads to replication stress and DNA damage in multiple MSI-H/dMMR cell lines, induces selective inhibition of proliferation in multiple MSI-H/dMMR indications.
ATX968 exhibits significant and durable tumor regression in MSI-H/dMMR xenograft model but not in a microsatellite stable (MSS)/proficient mismatch repair (pMMR) model.

References

Castro J, et al. ***Cancer Res.*** 2024 Nov 26. doi: 10.1158/0008-5472.CAN-24-0397.

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