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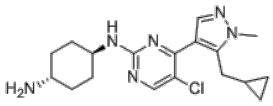
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BTX-A51
Cat. No.	:	PC-35627
CAS No.	:	2079068-74-7
Molecular Formula	:	$C_{18}H_{25}ClN_6$
Molecular Weight	:	360.89
Target	:	Casein Kinase
Solubility	:	10 mM in DMSO



Biological Activity

BTX-A51 (CK1α inhibitor A51) is a novel pan-specific CK1 (CSNK1) inhibitor (Kd=0.5-20 nM, **CK1α** Kd=5.3 nM) that co-targets the transcriptional kinases **CDK7** and **CDK9**, with hardly inhibition of CDK8, CDK13, CDK11a, CDK11b, and CDK19.
BTX-A51 targest both CDK7 and CDK9 with low nM Kd values; induces leukemia cell apoptosis at <160 nM, in correlation to the capacity to stabilize p53.
BTX-A51 shows high and selective sensitivity against leukemic CFUs in colony-forming unit (CFU) assay, without effect on normal hematopoietic CFUs.
Blocking CKIα together with CDK7 and/or CDK9 synergistically stabilize p53, deprives leukemia cells of survival and proliferation-maintaining SE-driven oncogenes, induce apoptosis, abolishes the expression of MYC, MDM2, and the anti-apoptotic oncogene MCL1.
BTX-A51 demonstrates therapeutic efficacy with preserved hematopoiesis and leukemia cure potential in AML mouse models.

References

Minzel W, et al. ***Cell***. 2018 Aug 20. pii: S0092-8674(18)30973-5. doi: 10.1016/j.cell.2018.07.045.

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