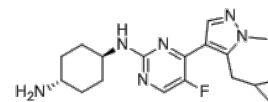


Product Name : Casein Kinase inhibitor A86
Cat. No. : PC-35628
CAS No. : 2079069-01-3
Molecular Formula : C₁₈H₂₅FN₆
Molecular Weight : 344.438
Target : Casein Kinase
Solubility : 10 mM in DMSO



Biological Activity

Casein Kinase inhibitor A86 (CK1 α inhibitor A86) is a novel pan-specific CK1 (**CSNK1**) inhibitor (K_d=1-10 nM, CK1 α K_d=9.8 nM) that co-targets the transcriptional kinases **CDK7** and **CDK9**, with hardly inhibition of CDK8, CDK13, CDK11a, CDK11b, and CDK19.

Casein Kinase inhibitor A86 targets both CDK7 and CDK9 with low nM K_d values; induces leukemia cell apoptosis at <160 nM, in correlation to the capacity to stabilize p53.

Casein Kinase inhibitor A86 shows high and selective sensitivity against leukemic CFUs in colony-forming unit (CFU) assay, without effect on normal hematopoietic CFUs.

Blocking CKI α 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.

Casein Kinase inhibitor A86 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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com