

Product Name : Casein Kinase inhibitor A51

Synonyms : CK1α inhibitor A51

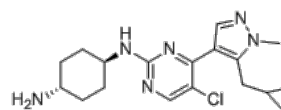
Cat No. : M13233

CAS Number : 2079068-74-7

Molecular Formula : C₁₈H₂₅ClN₆

Formula Weight : 360.89

Chemical Name : (1*r*,4*r*)-N1-(5-chloro-4-(5-(cyclopropylmethyl)-1-methyl-1*H*-pyrazol-4-yl)pyrimidin-2-yl)cyclohexane-1,4-diamine



Description

Casein Kinase inhibitor A51 (CK1α inhibitor A51) is a novel pan-specific CKI (CSNK1) inhibitor (K_d=0.5-20 nM, CK1α K_d=5.3 nM) that co-targets the transcriptional kinases CDK7 and CDK9, with hardly inhibition of CDK8, CDK13, CDK11a, CDK11b, and CDK19; target 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; shows high and selective sensitivity against leukemic CFUs in colony-forming unit (CFU) assay, without effect on normal hematopoietic CFUs; blocking CK1α together with CDK7 and/or CDK9 synergistically stabilize p53, deprive leukemia cells of survival and proliferation-maintaining SE-driven oncogenes, induce apoptosis, abolishes the expression of MYC, MDM2, and the anti-apoptotic oncogene MCL1; demonstrates therapeutic efficacy with preserved hematopoiesis and leukemia cure potential in AML mouse models.

Pathway : Metabolic Enzyme/Protease

Target : Casein Kinase

Receptor : Casein Kinase

Solubility : —

SMILES : CN1C(=C(C=N1)C2=NC(=NC=C2Cl)NC3CCC(CC3)N)CC4CC4

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

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