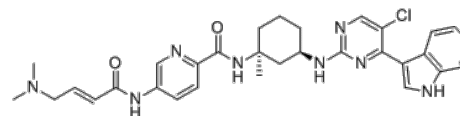


Product Name : SY-1365
Cat. No. : PC-72642
CAS No. : 1816989-16-8
Molecular Formula : C₃₁H₃₅ClN₈O₂
Molecular Weight : 587.125
Target : Cyclin-dependent Kinase (CDK)
Solubility : 10 mM in DMSO (5.9 mg/mL)



Biological Activity

SY-1365 (Mevociclib, SY1365) is a potent, selective, covalent **CDK7** inhibitor with K_i of 17.4 nM.

SY-1365 displayed high selectivity against all other CDKs including CDK2,9 and 12 ($IC_{50} > 2 \mu M$), and 8 of 468 kinases including CDK7 were inhibited >90% in the kinome screen (DiscoverX KINOMEScan) at 1 μM .

SY-1365 dose- and time-dependently inhibited CDK7 substrates including RNAPII CTD phosphorylation at Ser2/5/7 sites and Thr160 of CDK2, caused massive cell death at 100 and 250 nM SY-1365 24 and 48 hours treatment in THP1, HCC70, and RPE-hTERT cell lines.

SY-1365 induced apoptosis in AML and TNBC cell lines but not in immortalized normal cell lines.

SY-1365 showed significant growth inhibition and cell killing in 386 human cell lines representing 26 cancer types in nanomolar range.

SY-1365 demonstrated substantial antitumor effects in multiple AML xenograft models as a single agent.

SY-1365-induced growth inhibition was enhanced in combination with the BCL2 inhibitor venetoclax.

SY-1365 is more potent, selective, and metabolically stable than THZ1.

References

Hu S, et al. Cancer Res. 2019 Jul 1;79(13):3479-3491.

Webb BM, et al. J Biol Chem. 2021 Oct;297(4):101162.

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

E-mail: tech@probechem.com