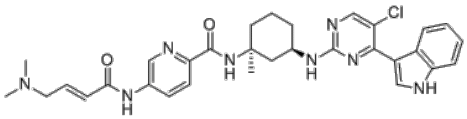
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	SY-1365
Cat. No.	:	PC-72642
CAS No.	:	1816989-16-8
Molecular Formula	:	$C_{31}H_{35}ClN_8O_2$
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 Ki of 17.4 nM.
SY-1365 displayed high selectivity against all other CDKs including CDK2,9 and 12 (IC50>2 uM), and 8 of 468 kinases including CDK7 were inhibited >90% in the kinome screen (DiscoverX KINOMEscan) at 1 uM.
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.

E-mail: tech@probechem.com