

Product Data Sheet

Product Name: CDK12-IN-E9

Cat. No.: GC60103

Chemical Properties

Cas. No. 2020052-55-3

SMILES C=CC(NC1=CC=CC(NC2=CC(N3[C@H](CCO)CCCC3)=NC4=C(CC)C=NN24)=C1)=O

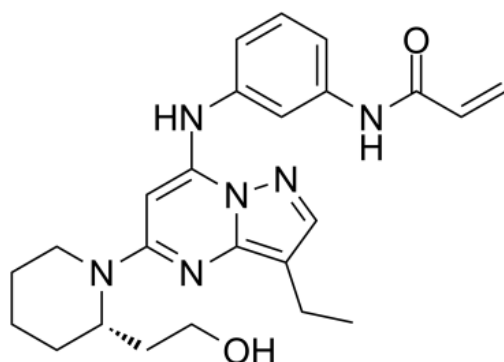
Formula $C_{24}H_{30}N_6O_2$ M.Wt 434.53

Solubility Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

CDK12-IN-E9 is a potent and selective covalent CDK12 inhibitor and a non-covalent CDK9 inhibitor, while avoiding ABC transporter-mediated efflux. CDK12-IN-E9 has weak binding ability to CDK7/CyclinH complex with an $IC_{50} > 1 \mu M$ [1].

CDK12-IN-E9 (E9; 10 nM-10 μM ; 72 hours; Kelly, LAN5, SK-N-BE2, PC-9, NCI-H82 and NCI-H3122

Caution: Product has not been fully validated for medical applications. For research use only.

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cells) treatment shows potent antiproliferative activity in THZ1R NB and lung cancer cells, with IC50 values ranging from 8 to 40 nM[1].CDK12-IN-E9 (E9; 0-3000 nM; 6 hours; Kelly, PC-9, and NCI-H82 cells) treatment leads to a dose-dependent decrease in phosphorylated and total RNAPII in THZ1r NB and lung cancer models, accompanied by decreased MYC and MCL1 expression[1].CDK12-IN-E9 also results in increased PARP cleavage, and an increase in the subG1 population in THZ1r lung cancer cells, while in NB cells, more of a G2/M arrest is seen after a 24-hr exposure to CDK12-IN-E9[1]. Cell Proliferation Assay[1] Cell Line: Kelly, LAN5, SK-N-BE2, PC-9, NCI-H82 and NCI-H3122 cells

[1]. Gao Y, et al. Overcoming Resistance to the THZ Series of Covalent Transcriptional CDK Inhibitors. Cell Chem Biol. 2018 Feb 15;25(2):135-142.e5.

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