

Product Name : YKL-5-124

Synonyms : —

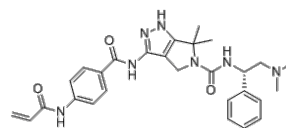
Cat No. : M13066

CAS Number : 1957203-01-8

Molecular Formula : C₂₈H₃₃N₇O₃

Formula Weight : 515.62

Chemical Name : (S)-3-(4-acrylamidobenzamido)-N-(2-(dimethylamino)-1-phenylethyl)-6,6-dimethyl-4,6-dihydropyrrolo[3,4-c]pyrazole-5(1H)-carboxamide



Description : YKL-5-124 is a novel potent, selective, and covalent CDK7 inhibitor (IC₅₀=53.5 nM), inhibits CDK7/CycH/MAT1 enzymatic activity with IC₅₀ of 9.7 nM; displays biochemical and cellular selectivity for CDK7 over structurally related kinases CDK12/13, and no significant activity against CDK2 and CDK9 (IC₅₀>1 μM); targets and forms a covalent bond with CDK7-cysteine 312 (C312); inhibition of CDK7 by YKL-5-124 elicits a transcriptional signature distinct from that of THZ1, shows no discernible effect on RNA Pol II CTD phosphorylation; recapitulate THZ1-mediated effects on gene expression and CTD phosphorylation when combined with CDK12/13 inhibitor THZ531.

Pathway : Angiogenesis

Target : CDK

Receptor : CDK

Solubility : —

SMILES : O=C(N(C1C(C)(C)C2=C1C(NC3=CC=C(NC(C=C)O)C=C3)=O)=NN2)N[C@@H](C4=CC=CC=C4)CN(C)C

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

1. Olson CM, et al. Cell Chem Biol. 2019 Mar 6. pii: S2451-9456(19)30067-4.