

## **Data Sheet**

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 YKL-5-124

 Cat. No.
 :
 PC-36145

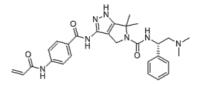
 CAS No.
 :
 1957203-01-8

 Molecular Formula
 :
 C<sub>28</sub>H<sub>33</sub>N<sub>7</sub>O<sub>3</sub>

 Molecular Weight
 :
 515.618

Target : Cyclin-dependent Kinase (CDK)

**Solubility** : 10 mM in DMSO



## **Biological Activity**

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.

YKL-5-124 displays biochemical and cellular selectivity for CDK7 over structurally related kinases CDK12/13, and no significant activity against CDK2 and CDK9 (IC50>1 uM).

YKL-5-124 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.

YKL-5-124 recapitulate THZ1-mediated effects on gene expression and CTD phosphorylation when combined with CDK12/13 inhibitor THZ531.

## References

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

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

E-mail: tech@probechem.com