

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 LDC000067

 Cat. No.
 :
 PC-21088

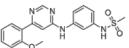
 CAS No.
 :
 1073485-20-7

 Molecular Formula
 :
 C₁₈H₁₈N₄O₃S

 Molecular Weight
 :
 370.43

Target : Cyclin-dependent Kinase (CDK)

Solubility : 10 mM in DMSO



Biological Activity

LDC000067 (LDC067) is a highly specific inhibitor of **CDK9** with IC50 of 44 nM (CDK9-Cyclin T1), highly selective over other CDKs in the range of 55-fold (CDK2) to over 230-fold (CDK6 and CDK7).

LDC000067 displays 55/125/210/ > 227/ > 227-fold selectivity for CDK9 versus CDK2/1/4/6/7, shows better selectivity profile than the known and widely used inhibitors DRB and flavopiridol.

LDC000067 inhibits P-TEFb-dependent in vitro transcription in an ATP-competitive manner, decreases phosphorylation of the Ser2 residue within the CTD of RNAPII, both in cells and nuclear extracts.

CDK9 inhibition by LDC067 increases promoter-proximal RNAPII levels.

LDC000067 attenuates atherosclerosis by inhibiting inflammation and phenotypic switching of vascular smooth muscle cells.

References

Albert TK, et al. Br J Pharmacol. 2014 Jan;171(1):55-68.

Huang S, et al. Aging (Albany NY). 2021 Jun 8;13(11):14892-14909.

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

E-mail: tech@probechem.com