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Data Sheet

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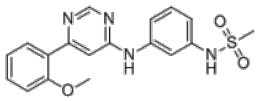

Product Name	:	LDC000067
Cat. No.	:	PC-21088
CAS No.	:	1073485-20-7
Molecular Formula	:	$C_{18}H_{18}N_4O_3S$
Molecular Weight	:	370.43
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO

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.

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