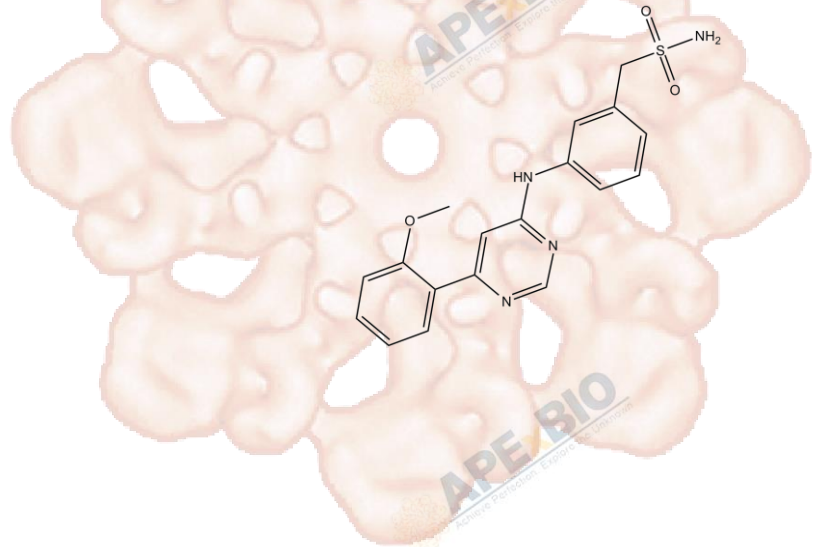


# Product Data Sheet

## LDC000067

<b>Cat. No.:</b>	B4754
<b>CAS No.:</b>	1073485-20-7
<b>Formula:</b>	C <sub>18</sub> H <sub>18</sub> N <sub>4</sub> O <sub>3</sub> S
<b>M.Wt:</b>	370.43
<b>Synonyms:</b>	
<b>Target:</b>	Cell Cycle/Checkpoint
<b>Pathway:</b>	Cyclin-Dependent Kinases
<b>Storage:</b>	Desiccate at -20°C



## Solvent & Solubility

insoluble in H<sub>2</sub>O; insoluble in EtOH;  $\geq 18.52$  mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	2.6996 mL	13.4978 mL	26.9957 mL
	<b>5 mM</b>	0.5399 mL	2.6996 mL	5.3991 mL
	<b>10 mM</b>	0.2700 mL	1.3498 mL	2.6996 mL

Please refer to the solubility information to select the appropriate solvent.

## Biological Activity

Shortsummary

CDK9 inhibitor, novel and highly specific

IC<sub>50</sub> & Target

In Vitro

### Cell Viability Assay

Cell Line:	HEK293T cells, THP1 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	Competitive kinase binding displacement assay: 90 min.DNA microarray

		analysis assay: 90 min.
	Applications:	LDC000067 inhibits CDK9 with an IC50 value of 44(10 nM, and its selectivity for CDK9 over other CDKs is in the range of 55-fold to over 230-fold, especially higher selectivity in an ATP-competitive kinase binding assay. Besides, effects of LDC000067 in whole cells contain induction of the tumour suppressor protein p53 and apoptosis. Moreover, gene expression profiling of cells treated with LDC000067 demonstrate selective reduction of short-lived mRNAs, which encode regulators of proliferation and apoptosis, such as MCL1 and MYC.
In Vivo	<b>Animal experiment</b>	
	Applications:	

## Product Citations

1. Azimi A, Caramuta S, et al. "Targeting CDK2 overcomes melanoma resistance against BRAF and Hsp90 inhibitors." Mol Syst Biol. 2018 Mar 5;14(3):e7858.PMID:29507054
2. Yuan J, Jiang YY, et al. "Super-Enhancers Promote Transcriptional Dysregulation in Nasopharyngeal Carcinoma." Cancer Res. 2017 Dec1;77(23):6614-6626.PMID:28951465

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## References

- [1]. T K Albert<sup>1</sup>, C Rigault<sup>1</sup>, J Eickhoff, K Baumgart, C Antrecht<sup>1</sup>, B Klebl, G Mittler and M Meisterernst. Characterization of molecular and cellular functions of the cyclin-dependent kinase CDK9 using a novel specific inhibitor. British Journal of Pharmacology. 2014, 171: 55–68.

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX<sup>®</sup>BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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[www.apexbt.com](http://www.apexbt.com)

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: [info@apexbt.com](mailto:info@apexbt.com)

