# LDC000067

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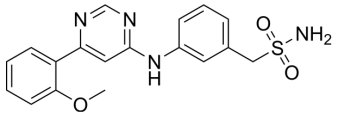
| **Cat. No.:** | HY-15878 |
| **CAS No.:** | 1073485-20-7 |
| **Molecular Formula:** | $C_{18}H_{18}N_4O_3S$ |
| **Molecular Weight:** | 370 |
| **Target:** | CDK; Apoptosis |
| **Pathway:** | Cell Cycle/DNA Damage; Apoptosis |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 47 mg/mL (127.03 mM)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.7027 mL | 13.5135 mL | 27.0270 mL |
| | 5 mM | 0.5405 mL | 2.7027 mL | 5.4054 mL |
| | 10 mM | 0.2703 mL | 1.3514 mL | 2.7027 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.76 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

LDC000067 is a highly specific CDK9 inhibitor with an $IC_{50}$ value of 44±10 nM in vitro.

**$IC_{50}$ & Target**

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| CDK9- Cyclin T1<br>44 nM ($IC_{50}$) | cdk2-cyclin A<br>2441 nM ($IC_{50}$) | cdk1-cyclin B1<br>5513 nM ($IC_{50}$) | cdk4-cyclin D1<br>9242 nM ($IC_{50}$) |
| GSK3A<br>1460 nM ($IC_{50}$) | HGK/MAP4K4<br>820 nM ($IC_{50}$) | ABL2/ARG<br>3640 nM ($IC_{50}$) | |

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| **In Vitro** | The selectivity of LDC000067 for CDK9 over other CDKs exceeds that of the known inhibitors flavopiridol and DRB. LDC000067 displayed 55/125/210/ >227/ >227-fold selectivity for CDK9 versus CDK2/1/4/6/7. LDC000067 inhibits in vitro transcription in an ATP-competitive and dose-dependent manner. Gene expression profiling of cells treated with LDC000067 demonstrates a selective reduction of short-lived mRNAs, including important regulators of proliferation and apoptosis[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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| **Kinase Assay** [1] | The fluorescence resonance energy transfer (FRET)-based LANCE Ultra KinaSelect Ser/Thr kit is used to determine $IC_{50}$ values for various CDK inhibitors. Briefly, a specific ULight MBP peptide substrate (50 nM final concentration) is phosphorylated by a CDK-cyclin pair in buffer (50 mM HEPES-KOH pH 7.5, 10 mM MgCl2, 1 mM EGTA, 2 mM dithiothreitol) containing ATP at the concentration of the $K_m$ values of the individual kinases for 1 h at room temperature. Subsequently, phosphorylation is detected by addition of specific Eu-labelled anti-phospho-antibodies (2 nM), which upon binding to the phosphopeptide give rise to a FRET signal. FRET signals are then recorded[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Nature. 2020 Sep;585(7824):293-297.
- Cell Chem Biol. 2018 Feb 15;25(2):135-142.e5.
- Cell Signal. 2020 Mar;67:109508.
- Toxicol Appl Pharmacol. 2023 May 26;116568.
- Biochem Biophys Res Commun. 2019 Dec 3;520(2):250-256.

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## REFERENCES

[1]. Albert TK, et al. Characterization of molecular and cellular functions of the cyclin-dependent kinase CDK9 using a novel specific inhibitor. Br J Pharmacol. 2014 Jan;171(1):55-68.



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