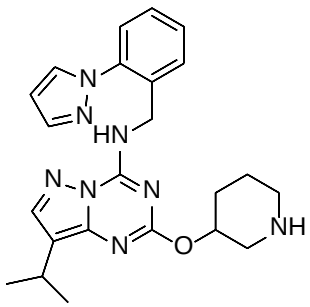
Product data sheet

MedKoo Cat#: 407486 Name: LDC4297 CAS: 1453834-21-3 Chemical Formula: $C_{23}H_{28}N_8O$ Exact Mass: 432.2386 Molecular Weight: 432.532	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
LDC4297, also known as LCD044297, is a potent and selective CDK7 inhibitor. LDC4297 exerts broad-spectrum antiviral activity. LDC4297 inhibits CDK7 in vitro in the nano-picomolar range. CDK7 inhibitor LDC4297 is a promising candidate for further antiviral drug development, possibly offering new options for a comprehensive approach to antiviral therapy.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20.0	46.24
DMSO	40.0	92.48
Ethanol	20.0	46.24

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.31 mL	11.56 mL	23.12 mL
5 mM	0.46 mL	2.31 mL	4.62 mL
10 mM	0.23 mL	1.16 mL	2.31 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Kolloch L, Kreinest T, Meisterernst M, Oeckinghaus A. Control of Expression of Key Cell Cycle Enzymes Drives Cell Line-Specific Functions of CDK7 in Human PDAC Cells. Int J Mol Sci. 2022 Jan 12;23(2):812. doi: 10.3390/ijms23020812. PMID: 35054996; PMCID: PMC8775745.
2. Hutterer C, Eickhoff J, Milbradt J, Korn K, Zeitträger I, Bahsi H, Wagner S, Zischinsky G, Wolf A, Degenhart C, Unger A, Baumann M, Klebl B, Marschall M. A novel CDK7 inhibitor of the Pyrazolotriazine class exerts broad-spectrum antiviral activity at nanomolar concentrations. Antimicrob Agents Chemother. 2015 Apr;59(4):2062-71. doi: 10.1128/AAC.04534-14. Epub 2015 Jan 26. PMID: 25624324; PMCID: PMC4356785.

In vivo study

1. Sonntag E, Hahn F, Bertzbach LD, Seyler L, Wangen C, Müller R, Tannig P, Grau B, Baumann M, Zent E, Zischinsky G, Eickhoff J, Kaufer BB, Bäuerle T, Tsogoeva SB, Marschall M. In vivo proof-of-concept for two experimental antiviral drugs, both directed to cellular targets, using a murine cytomegalovirus model. Antiviral Res. 2019 Jan;161:63-69. doi: 10.1016/j.antiviral.2018.11.008. Epub 2018 Nov 17. PMID: 30452929.

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2. Kelso TW, Baumgart K, Eickhoff J, Albert T, Antrecht C, Lemcke S, Klebl B, Meisterernst M. Cyclin-dependent kinase 7 controls mRNA synthesis by affecting stability of preinitiation complexes, leading to altered gene expression, cell cycle progression, and survival of tumor cells. Mol Cell Biol. 2014 Oct 1;34(19):3675-88. doi: 10.1128/MCB.00595-14. Epub 2014 Jul 21. PMID: 25047832; PMCID: PMC4187722.

7. Bioactivity

Biological target:

LDC4297 is a selective inhibitor of CDK7 with an IC_{50} value of 0.13 nM.

In vitro activity

This study provides first evidence for the antiviral potential of the CDK7 inhibitor LDC4297, i.e., in exerting a block of the replication of human cytomegalovirus (HCMV) in primary human fibroblasts at nanomolar concentrations (50% effective concentration, 24.5 ± 1.3 nM). As a unique feature compared to approved antiherpesviral drugs, inhibition occurred already at the immediate-early level of HCMV gene expression.

Reference: Antimicrob Agents Chemother. 2015 Apr;59(4):2062-71. https://pubmed.ncbi.nlm.nih.gov/25624324/

In vivo activity

This study presents first data for the in vivo efficacy of both experimental drugs (including LDC4297) using an established cytomegalovirus animal model (murine CMV replication in immunodefective Rag -/- mice). The main findings of this study are (i) a strong inhibitory potency against beta- and gamma-herpesviruses of both compounds in vitro, (ii) even more important, a pronounced anticytomegaloviral activity also exerted in vivo, that resulted from (iii) a restriction of viral replication to the site of infection, thus preventing organ dissemination, (iv) in the absence of major compound-associated adverse events.

Reference: Antiviral Res. 2019 Jan;161:63-69. https://pubmed.ncbi.nlm.nih.gov/30452929/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.