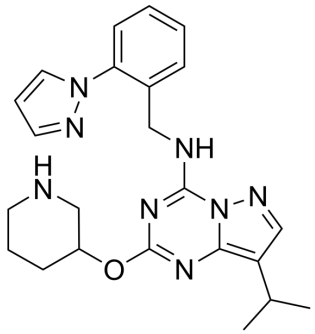
LDC4297

Cat. No.:	HY-12653
CAS No.:	1453834-21-3
Molecular Formula:	$C_{23}H_{28}N_8O$
Molecular Weight:	432.52
Target:	CDK; HSV; HIV
Pathway:	Cell Cycle/DNA Damage; Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 60 mg/mL (138.72 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3120 mL	11.5602 mL	23.1203 mL
5 mM	0.4624 mL	2.3120 mL	4.6241 mL
10 mM	0.2312 mL	1.1560 mL	2.3120 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 (5.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LDC4297 is a selective inhibitor of CDK7 with an IC_{50} value of 0.13 nM. LDC4297 inhibits human cytomegalovirus (HCMV) replication with an EC_{50} value of 24.5 nM. LDC4297 shows broad antiviral activities to Herpesviridae, Adenoviridae, Poxviridae, Retroviridae and Orthomyxoviridae with EC_{50} value of 0.02-1.21 μM. LDC4297 can be used for the research of infection[1].

IC_{50} & Target	CDK7	HSV-1	HSV-2

	0.13 nM (IC_{50})	0.02 μM (EC50)	0.27 μM (EC50)

In Vitro

LDC4297 (0-10 μM; 6 d) dose-dependently inhibits HCMV replication with an EC_{50} value of 24.5 nM[1].
LDC4297 (0-10 μM; 4 d) shows anti-proliferative activity to primary cultures of fibroblasts derived from human (HFF) with a GI_{50} value of 4.5 μM[1].
LDC4297 (20 μM; 12-96 h) shows anti-HCMV activity through a multifaceted mode of action that involves an interference with virus-induced Rb phosphorylation[1].
LDC4297 (0-10 μM; 7 d) shows broad antiviral activities to HCMV, GPCMV, MCMV, HVV-6A, HSV-1, HSV-2, VZV, EBV, HAdV-2, Vaccinia virus, HIV-1 (nl4-3), HIV-1 (4LIG7) and Influenza A virus with EC_{50} values of 0.02, 0.05, 0.07, 0.04, 0.02, 0.27, 0.06, 1.21, 0.25, 0.77, 1.04, 1.13 and 0.99 μM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Primary cultures of fibroblasts derived from human (HFF) with virus infection
Concentration:	20 μM
Incubation Time:	12, 24, 48 and 96 hours
Result:	Showed inhibitory effect towards viral protein synthesis at the stage of immediate early (IE) gene expression and the drug-mediated reduction of IE1p72 levels partially recovered over time. Exerted an inhibitory effect on human cytomegalovirus (HCMV) induced an up-regulation of protein expression or protein phosphorylation, and reduced Rb expression in the uninfected control cells at 24 h.

In Vivo

LDC4297 (100 mg/kg; p.o. once) shows promising pharmacokinetic analyses[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CD1 mice[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; 100 mg/kg once
Result:	Showed a half-life of 1.6 h, and the time to a mean peak plasma concentration of 1,297.6 ng/mL is reached 0.5 h after administration with a continued presence in plasma for at least 8 h and a bioavailability of 97.7%.

CUSTOMER VALIDATION

- Front Mol Biosci. 2021 Aug 19;8:697457.
- Front Oncol. 2021 May 24;11:664848.
- bioRxiv. 2023 Apr 7.

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REFERENCES

[1]. Hutterer C, et al. 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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA