

LDC-4297 HCl (1453834-21-3(free base))

## Chemical Properties

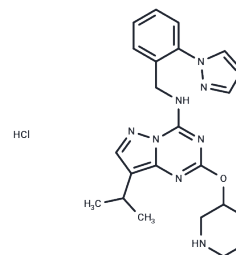
CAS No. :

Formula: C<sub>23</sub>H<sub>29</sub>ClN<sub>8</sub>O

Molecular Weight: 469.02

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	LDC4297 is a potent and selective CDK7 inhibitor with an IC <sub>50</sub> of 0.13 nM.
Targets(IC <sub>50</sub> )	CDK
In vitro	The affinity of LDC4297 for CDK7 proves to be extremely high. Kinase assays performed for CDK1, CDK2, CDK4, CDK6, CDK7, and CDK9 confirms the selective inhibitory activity of LDC4297 for CDK7 in the nano-picomolar range (IC <sub>50</sub> , 0.13±0.06 nM for CDK7 versus IC <sub>50</sub> s between 10 nM and 10,000 nM for all other analyzed CDKs). LDC4297 exerts anticytomegaloviral activity. Human cytomegalovirus (HCMV) replication is inhibited by LDC4297 in a concentration-dependent manner with an EC <sub>50</sub> value of 24.5±1.3 nM. Inhibition is statistically significant and morphological signs of cytotoxicity only occurs at concentrations of 3.3 μM or higher. Anti-HCMV activity of LDC4297 is exerted through a multifaceted mode of action that involves an interference with virus-induced Rb phosphorylation. Virus replication is broadly blocked by LDC4297, whereby the antiviral efficacies varied between the viruses used, i.e., strong efficacy for HSV-1 and VZV (EC <sub>50</sub> s = 0.02 and 0.06 μM, respectively) and intermediate to low efficacy for HSV-2 and EBV (EC <sub>50</sub> s = 0.27 and 1.21 μM, respectively)
In vivo	An analysis of the PK parameters in CD1 mice reveals positive characteristics after oral administration, as demonstrated for a single-dose treatment (100 mg/kg of LDC4297). The half-life (t <sub>1/2z</sub> ) is determined to be 1.6 h, and a time (T <sub>max</sub> ) to a mean peak plasma concentration of 1,297.6 ng/mL is reached 0.5 h after administration, with a continued presence of LDC4297 plasma levels for at least 8 h and a bioavailability of 97.7%
Cell Research	A trypan blue exclusion assay is performed with cultured cells seeded in 24-well plates and incubated with increasing concentrations of antiviral compound LDC4297 (range, 0.1 to 50 μM) for the durations indicated. Cell staining is achieved with 0.1% trypan blue for 10 min at room temperature before the percentage of viable cells is determined by microscopic counting

## Solubility Information

## A DRUG SCREENING EXPERT

Solubility	DMSO: 60 mg/mL (127.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1321 mL	10.6605 mL	21.3211 mL
5 mM	0.4264 mL	2.1321 mL	4.2642 mL
10 mM	0.2132 mL	1.0661 mL	2.1321 mL
50 mM	0.0426 mL	0.2132 mL	0.4264 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Hutterer C,etal. 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.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

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