LDC4297 hydrochloride

Cat. No.: HY-12653A

CAS No.: 2319747-14-1

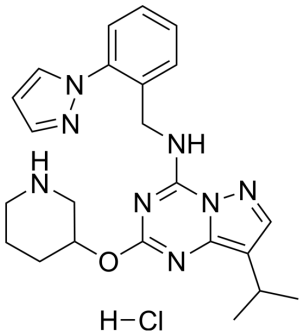
Molecular Formula: $C_{23}H_{29}ClN_8O$

Molecular Weight: 468.98

Target: CDK; HIV; HSV

Pathway: Cell Cycle/DNA Damage; Anti-infection

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (213.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1323 mL	10.6614 mL	21.3229 mL
	5 mM	0.4265 mL	2.1323 mL	4.2646 mL
	10 mM	0.2132 mL	1.0661 mL	2.1323 mL

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

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

CDK7 0.13 nM (IC_{50})	166v VP22-GFP 0.02 μM (EC50)	01-6332 0.27 μM (EC50)	HIV-1 (NL4.3 strain) 1.04 μM (EC50)
4LIG7 1.13 μM (EC50)			

In Vitro

LDC4297 hydrochloride (0-10 μM; 6 d) dose-dependently inhibits HCMV replication with an EC_{50} value of 24.5 nM[1].
LDC4297 hydrochloride (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 hydrochloride (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 hydrochloride (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 hydrochloride (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 (t1/2z) of 1.6 h, and the time to a mean peak plasma concentration of 1297.6 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.

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