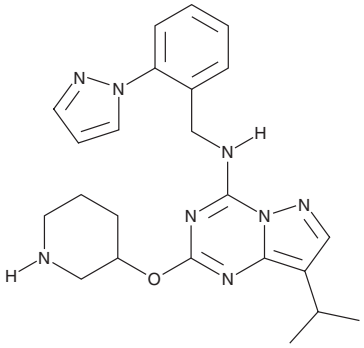
PRODUCT INFORMATION

LDC-4297

Item No. 23398



CAS Registry No.:	1453834-21-3
Formal Name:	8-(1-methylethyl)-2-(3-piperidinyloxy)-N-[[2-(1H-pyrazol-1-yl)phenyl]methyl]-pyrazolo[1,5-a]-1,3,5-triazin-4-amine
MF:	$C_{23}H_{28}N_8O$
FW:	432.5
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LDC-4297 is supplied as a crystalline solid. A stock solution may be made by dissolving the LDC-4297 in the solvent of choice. LDC-4297 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of LDC-4297 in these solvents is approximately 20 mg/ml.

Description

LDC-4297 is an inhibitor of cyclin-dependent kinase 7 (CDK7; IC_{50} = <5 nM).[1] It is selective for CDK7 over CDK4, CDK6, and CDK9 (IC_{50}s = >10, >10, and 1.71 µM, respectively), however, it also inhibits CDK2 and CDK1 (IC_{50}s = 6.4 and 53.7 nM, respectively). LDC-4297 (10-100 nM) induces apoptosis in A549, HeLa, and HCT116 cancer cells in a concentration-dependent manner. It inhibits human cytomegalovirus (HCMV) replication in human fibroblasts (EC_{50} = 24.5 nM).[2] LDC-4297 also reduces replication of *Herpesviridae*, *Adenoviridae*, *Poxviridae*, *Retroviridae*, and *Orthomyxoviridae* family viruses (EC_{50}s = 0.02-1.13 µM).

References

1. Kelso, T.W.R., Baumgart, K., Eickhoff, J., *et al.* 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.* **34(19)**, 3675-3688 (2014).
2. Hutterer, C., Eickhoff, J., Milbradt, J., *et al.* A novel CDK7 inhibitor of the pyrazolotriazine class exerts broad-spectrum antiviral activity at nanomolar concentrations. *Antimicrob. Agents Chemother.* **59(4)**, 2062-2071 (2015).

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