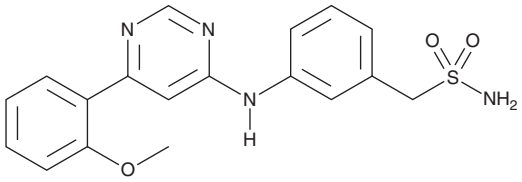
PRODUCT INFORMATION

LDC000067

Item No. 29419

CAS Registry No.:	1073485-20-7
Formal Name:	3-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]-benzenemethanesulfonamide
Synonym:	LDC067
MF:	$C_{18}H_{18}N_4O_3S$
FW:	370.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 213, 265, 302 nm
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

LDC000067 is supplied as a crystalline solid. A stock solution may be made by dissolving the LDC000067 in the solvent of choice, which should be purged with an inert gas. LDC000067 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of LDC000067 in ethanol is approximately 2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

LDC000067 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LDC000067 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LDC000067 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LDC000067 is a cyclin-dependent kinase 9 (Cdk9) inhibitor (IC_{50} = 44 nM).[1] It is selective for Cdk9 over Cdk1, -2, -4, -6, and -7 (IC_{50}s = 5.5, 2.44, 9.24, >10, and >10 µM, respectively), as well as a panel of 28 additional kinases at 10 µM. LDC000067 (10 µM) inhibits transcription dependent on the Cdk9-cyclin T complex positive transcription elongation factor b (P-TEFb) *in vitro* and *de novo* RNA synthesis in A549 cells. It induces apoptosis in A549 and MCF-7 cancer cells. LDC000067 prevents IL-1β-induced production of matrix metalloproteinase-3 (MMP-3), MMP-9, MMP-13, IL-6, IL-8, and TNF-α and NF-κB activation in SW 1353 chondrocytes.[2] *In vivo*, LDC000067 (7.5 mg/kg) delays cartilage degeneration in a mouse model of anterior cruciate ligament transection (ACLT). It also prevents bone resorption in mouse models of ACLT- or LPS-induced osteoarthritis.[3]

References

1. Albert, T.K., Rigault, C., Eickhoff, J., *et al.* Characterization of molecular and cellular functions of the cyclin-dependent kinase CDK9 using a novel specific inhibitor. *Br. J. Pharmacol.* **171(1)**, 55-68 (2014).
2. Xue, S., Zhu, L., Wang, C., *et al.* CDK9 attenuation exerts protective effects on catabolism and hypertrophy in chondrocytes and ameliorates osteoarthritis development. *Biochem. Biophys. Res. Commun.* **517(1)**, 132-139 (2019).
3. Xue, S., Shao, Q., Zhu, L.-B., *et al.* LDC000067 suppresses RANKL-induced osteoclastogenesis in vitro and prevents LPS-induced osteolysis in vivo. *Int. Immunopharmacol.* **75:105826** (2019).

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