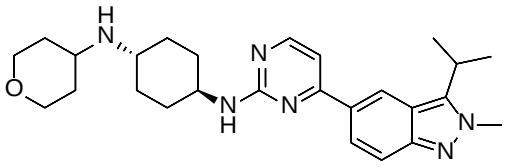
Product data sheet

MedKoo Cat#: 406759 Name: LY2857785 CAS: 1619903-54-6 Chemical Formula: $C_{26}H_{36}N_6O$ Exact Mass: 448.2951 Molecular Weight: 448.615	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

LY2857785 is a potent CDK9 inhibitor with potential anticancer activity. LY2857785 significantly reduces RNAP II CTD phosphorylation and dramatically decreases MCL1 protein levels to result in apoptosis in a variety of leukemia and solid tumor cell lines. LY2857785 inhibits the growth of a broad panel of cancer cell lines, and is particularly efficacious in leukemia cells, including orthotopic leukemia preclinical models as well as in ex vivo acute myeloid leukemia and chronic lymphocytic leukemia patient tumor samples. The inhibition of CDK9 may represent a promising approach as a cancer therapeutic target, especially in hematologic malignancies.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	16.5	36.78
Ethanol	42.0	93.62

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.23 mL	11.15 mL	22.29 mL
5 mM	0.45 mL	2.23 mL	4.46 mL
10 mM	0.22 mL	1.11 mL	2.23 mL
50 mM	0.05 mL	0.22 mL	0.45 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Yin T, Lallena MJ, Kreklau EL, Fales KR, Carballares S, Torrres R, Wishart GN, Ajamie RT, Cronier DM, Iversen PW, Meier TI, Foreman RT, Zeckner D, Sissons SE, Halstead BW, Lin AB, Donoho GP, Qian Y, Li S, Wu S, Aggarwal A, Ye XS, Starling JJ, Gaynor RB, de Dios A, Du J. A novel CDK9 inhibitor shows potent antitumor efficacy in preclinical hematologic tumor models. Mol Cancer Ther. 2014 Jun;13(6):1442-56. doi: 10.1158/1535-7163.MCT-13-0849. Epub 2014 Mar 31. PMID: 24688048.

In vivo study

1. Ou J, Li H, Qiu P, Li Q, Chang HC, Tang YC. CDK9 modulates circadian clock by attenuating REV-ERBα activity. Biochem Biophys Res Commun. 2019 Jun 11;513(4):967-973. doi: 10.1016/j.bbrc.2019.04.043. Epub 2019 Apr 17. PMID: 31005255.

7. Bioactivity

Biological target:

Product data sheet

LY2857785 is a type I reversible and competitive ATP kinase inhibitor against CDK9 (IC_{50} 11 nM) and other transcription kinases CDK8 (IC_{50} 16 nM), and CDK7 (IC_{50} 246 nM).

In vitro activity

Herein, this study describes a potent CDK9 inhibitor, LY2857785, that significantly reduces RNAP II CTD phosphorylation and dramatically decreases MCL1 protein levels to result in apoptosis in a variety of leukemia and solid tumor cell lines. This molecule inhibits the growth of a broad panel of cancer cell lines, and is particularly efficacious in leukemia cells, including orthotopic leukemia preclinical models as well as in ex vivo acute myeloid leukemia and chronic lymphocytic leukemia patient tumor samples.

Mol Cancer Ther. 2014 Jun;13(6):1442-56. https://pubmed.ncbi.nlm.nih.gov/24688048/

In vivo activity

LY2857785 treatment, as well as Cdk9 knock-down, led to lowered expression of Bmal1 in accordance with elevated expression of Rev-Erbα. To conform the circadian-modulating activity of CDK9 in vivo, this study knocked down CDK9 in mice at the anterior hypothalamus covering the central oscillator SCN, and found the respiratory exchange ratio, daily activity and circadian period were altered in the Cdk9-knockdown mice.

Reference: Biochem Biophys Res Commun. 2019 Jun 11;513(4):967-973. https://pubmed.ncbi.nlm.nih.gov/31005255/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.