

PRODUCT INFORMATION

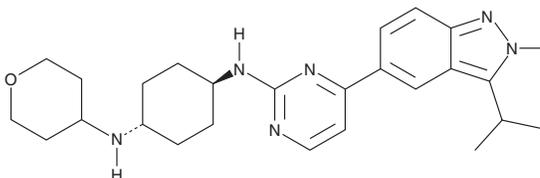


LY2857785

Item No. 34880

CAS Registry No.: 1619903-54-6
Formal Name: *trans*-N¹-[4-[2-methyl-3-(1-methylethyl)-2H-indazol-5-yl]-2-pyrimidinyl]-N⁴-(tetrahydro-2H-pyran-4-yl)-1,4-cyclohexanediamine

MF: C₂₆H₃₆N₆O
FW: 448.6
Purity: ≥98%
UV/Vis.: λ_{max}: 227, 258, 264, 342 nm
Supplied as: A 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

LY2857785 is supplied as a solid. A stock solution may be made by dissolving the LY2857785 in the solvent of choice, which should be purged with an inert gas. LY2857785 is soluble in DMSO.

Description

LY2857785 is an inhibitor of cyclin-dependent kinase 9 (Cdk9; IC₅₀ = 0.011 μM).¹ It also inhibits Cdk8 and Cdk7 (IC₅₀s = 0.016 and 0.246 μM, respectively), as well as five kinases in a panel of 114 kinases (IC₅₀s = <0.1 μM for all). LY2857785 inhibits proliferation in a panel of 48 patient-derived solid tumor cell lines, including colon, non-small cell lung, and prostate cancer cells, as well as a panel of 24 hematologic tumor cell lines, including acute lymphoblastic leukemia and multiple myeloma cells, with mean IC₅₀ values of 0.22 and 0.197 μM, respectively. It inhibits phosphorylation of DNA-dependent RNA polymerase II (RNAP II) in peripheral blood mononuclear cells (PBMCs) isolated from patients with acute myeloid leukemia (AML) or chronic lymphocytic leukemia (CLL; IC₅₀s = 0.044 and 0.145 μM, respectively). LY2857785 (3, 6, and 9 mg/kg) reduces tumor growth in an MV4-11 rat xenograft model.

Reference

1. Yin, T., Lallena, M.J., Kreklau, E.L., *et al.* A novel CDK9 inhibitor shows potent antitumor efficacy in preclinical hematologic tumor models. *Mol. Cancer Ther.* **13**(6), 1442-1456 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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