

PRODUCT INFORMATION

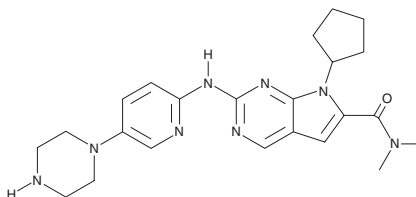


LEE011

Item No. 17666

CAS Registry No.: 1211441-98-3
Formal Name: 7-cyclopentyl-N,N-dimethyl-2-[[5-(1-piperazinyl)-2-pyridinyl]amino]-7H-pyrrolo[2,3-d]pyrimidine-6-carboxamide

Synonym: Ribociclib
MF: C₂₃H₃₀N₈O
FW: 434.5
Purity: ≥95%
UV/Vis.: λ_{max}: 284, 346 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

LEE011 is supplied as a crystalline solid. A stock solution may be made by dissolving the LEE011 in the solvent of choice, which should be purged with an inert gas. LEE011 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of LEE011 in these solvents is approximately 0.5, 10, and 5 mg/ml, respectively.

LEE011 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LEE011 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LEE011 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

LEE011 is a cyclin-dependent kinase (CDK) inhibitor that targets cyclin D1/CDK4 and cyclin D3/CDK6 at nanomolar concentrations.¹⁻³ It inhibits retinoblastoma protein phosphorylation, which prevents CDK-mediated G₁-S phase transition, arresting the cell cycle in the G₁ phase, suppressing DNA synthesis, and inhibiting cancer cell growth.³ LEE011 has been shown to reduce proliferation in 12 of 17 human neuroblastoma-derived cell lines by inducing cytostasis (mean IC₅₀ = 306 nM in sensitive lines).³

References

1. Kim, S., Loo, A., Chopra, R., *et al.* LEE011: An orally bioavailable, selective small molecule inhibitor of CDK4/6 - Reactivating Rb in cancer. *Mol. Cancer Ther.* **12**, (2013).
2. Dickson, M.A. Molecular pathways: CDK4 inhibitors for cancer therapy. *Clin. Cancer Res.* **20**(13), 3379-3383 (2014).
3. Rader, J., Russell, M.R., Hart, L.S., *et al.* Dual CDK4/CDK6 inhibition induces cell-cycle arrest and senescence in neuroblastoma. *Clin. Cancer Res.* **19**(22), 6173-6182 (2013).

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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