

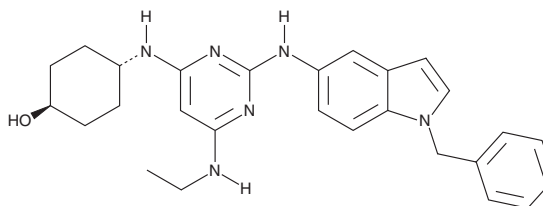
PRODUCT INFORMATION



Cdk4/6 Inhibitor IV

Item No. 17974

CAS Registry No.: 359886-84-3
Formal Name: *trans*-4-[[6-(ethylamino)-2-[[1-(phenylmethyl)-1H-indol-5-yl]amino]-4-pyrimidinyl]amino]-cyclohexanol
Synonyms: CINK4, Cyclin-dependent kinase 4/6 Inhibitor IV
MF: C₂₇H₃₂N₆O
FW: 456.6
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 285 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

Cdk4/6 inhibitor IV is supplied as a crystalline solid. A stock solution may be made by dissolving the Cdk4/6 inhibitor IV in the solvent of choice. Cdk4/6 inhibitor IV is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of Cdk4/6 inhibitor IV in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Cdk4/6 inhibitor IV is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Cdk4/6 inhibitor IV should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Cdk4/6 inhibitor IV has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cdk4/6 inhibitor IV is a cell-permeable triaminopyrimidine that reversibly blocks Cdk4/cyclin D1 and Cdk6/cyclin D1 activity with IC₅₀ values of 1.5 and 5.6 μM, respectively.¹ It demonstrates potent selectivity for Cdk4/6 over Cdk5/p35, v-abl, c-met, IGF-1R, insulin receptor, Cdk2/cyclin A, Cdk2/cyclin E, Cdk4/cyclin D2, Cdk6/cyclin D2, and Cdk1/cyclin B (IC₅₀s = > 10-100 μM).¹ At 5-10 μM, this compound blocks retinoblastoma protein phosphorylation at Ser⁷⁸⁰ and Ser⁷⁹⁵, inducing cell cycle arrest in the G₁ phase and apoptosis in asynchronous cell lines.¹ In mice bearing human HCT116 colon carcinoma xenografts, 30 mg/kg, i.p. Cdk/6 inhibitor IV suppressed tumor growth after 29 days of treatment.¹

Reference

1. Soni, R., O'Reilly, T., Furet, P., *et al.* Selective *in vivo* and *in vitro* effects of a small molecule inhibitor of cyclin-dependent kinase 4. *J. Natl. Cancer Inst.* **93**(6), 436-446 (2001).

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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