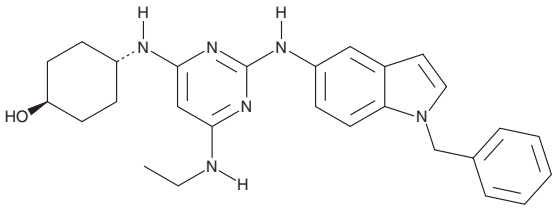
PRODUCT INFORMATION

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:	$C_{27}H_{32}N_6O$
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 IC_{50} 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 (IC_{50}s = > 10-100 µM).[1] At 5-10 µM, this compound blocks retinoblastoma protein phosphorylation at Ser^{780} and Ser^{795}, inducing cell cycle arrest in the G_1 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM