

## Product Data Sheet

Product Name: Cdk4/6 Inhibitor IV

Cat. No.: GC11564

### Chemical Properties

Cas. No. 359886-84-3

Chemical Name *trans*-4-[[6-(ethylamino)-2-[[1-(phenylmethyl)-1H-indol-5-yl]amino]-4-pyrimidinyl]amino]-cyclohexanol

SMILES CCNC1=NC(NC2=CC=C(N(CC3=CC=CC=C3)C=C4)C4=C2)=NC(N[C@H]5CC[C@H](O)CC5)=C1

Formula C<sub>27</sub>H<sub>32</sub>N<sub>6</sub>O M.Wt 456.6

Solubility ≤1mg/ml in ethanol;30mg/ml in DMSO;20mg/ml in dimethyl formamide Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

### Background

Cdk4/6 inhibitor IV is a cell-permeable triaminopyrimidine inhibitor for Cdk4/cyclin D1 and Cdk6/cyclin D1 [1].

Cyclin-dependent kinase 4 (Cdk4) is an important cell cycle kinase, since its activity is required for initiating the phosphorylation of the retinoblastoma protein (pRb).

Hyperphosphorylation of pRb prevents cells from initiating DNA synthesis, releases the sequestered transcription factors, leading to the loss of pRb's growth-inhibitory function, thus allowing cells to enter S phase [1].

In vitro: Cdk4/6 inhibitor IV showed an inhibitory effect on Cdk4/cyclin D1 and Cdk6/cyclin D1 with the IC<sub>50</sub> values of 1.5 and 5.6 μM, respectively. Cdk4/6 inhibitor IV exhibited potent selectivity for Cdk4/6 over Cdk5/p35, c-met, v-abl, IGF-1R, insulin receptor, Cdk2/cyclin A, Cdk2/cyclin E, Cdk4/cyclin D2, Cdk6/cyclin D2, and Cdk1/cyclin B with the IC<sub>50</sub> values ≥ 10-100 μM. In asynchronous cell lines, at 5-10 μM, Cdk4/6 inhibitor IV blocked retinoblastoma protein phosphorylation at Ser780 and Ser795, inducing cell cycle arrest in the G1 phase and

**Caution: Product has not been fully validated for medical applications. For research use only.**

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apoptosis.

In vivo: In mice xenografted with human HCT116 colon carcinoma, treatment with of Cdk4/6 inhibitor IV (i.p, 30 mg/kg) after 29 days suppressed tumor growth.

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]. Journal of the National Cancer Institute, 2001, 93(6): 436-446.

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