

Product Data Sheet

Product Name: EI1
Cat. No.: GC14756

Chemical Properties

Cas. No. 1418308-27-6

Chemical Name 6-cyano-N-[(4,6-dimethyl-2-oxo-1H-pyridin-3-yl)methyl]-1-pentan-3-ylindole-4-carboxamide

SMILES CCC(CC)N1C=CC2=C(C=C(C=C21)C#N)C(=O)NCC3=C(C=C(NC3=O)C)C

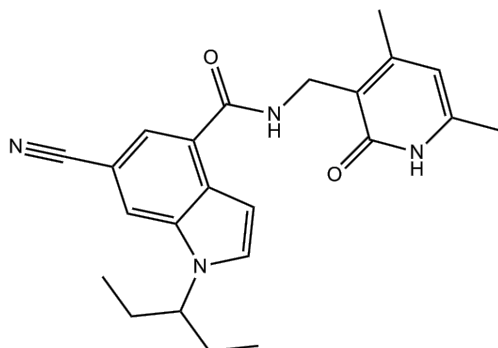
Formula $C_{23}H_{26}N_4O_2$ M.Wt 390.48

Solubility $\geq 19.5\text{mg/mL}$ in DMSO 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



Protocol

Cell experiment [1]:

Cell lines Ezh2 mutant diffused large B-cell lymphoma (DLBCL) cells, Ezh2 wild-type DLBCL cells and mouse embryonic fibroblasts (MEFs)

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Preparation method The solubility of this compound in DMSO is > 19.5 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting condition 10 µM; 11 ~ 15 days

Applications In DLBCL cells, EI1 inhibited the methylation of cellular lysine 27 of histone H3 (H3K27), and up-regulated the expression of Ezh2 target gene p16. In MEFs, EI1 inhibited H3K27me3 and cell proliferation. In DLBCL cells carrying Ezh2 mutation, EI1 selectively inhibited cell growth, as well as induced cell cycle arrest and apoptosis.

References:

[1]. Qia W, Chan HM, Teng L, Li L, Chuai S, Zhang RP, Zeng J, Li M, Fan H, Lin Y, Gu J, Ardayfiob O, Zhang JH, Yan X, Fang J, Mi Y, Zhang M, Zhou T, Feng G, Chen ZJ, Li G, Yang T, Zhao K, Liu X, Yu Z, Lu CX, Atadja P and Li E. Selective inhibition of Ezh2 by a small molecule inhibitor blocks tumor cells proliferation. Proc Natl Acad Sci. 2012 Dec; 109(52): 213605.

Background

IC50: A potent and selective suppressor of Ezh2 with IC50 values of 15 nM and 13 nM for wild type Ezh2 and Ezh2 Y641F mutant, respectively

EI1 performs as a highly potent inhibitor of Ezh2 which is named enhancer of zeste homolog 2. Mutation and over-expression of Ezh2 has been linked to the development of cancer. Since Ezh2 is upregulated in multiple cancers, it seems to play a crucial role in the regulation of tumor angiogenesis and has been considered as a potential target in anticancer therapy. Ezh2 stimulates cancer development by inhibiting tumor-suppressing genes. Suppressing Ezh2 activity may therefore inhibit tumor growth. [1]

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In vitro: Based on studies from DLBCL cells, it was shown that EI1 suppressed cellular H3K27 methylation and activated expression of Ezh2 target gene - p16. EI1 also blocked H3K27 methylation and cell proliferation in mouse embryonic fibroblasts. In addition, EI1 potently and selectively inhibited the growth of DLBCL cells carrying Ezh2 mutation, and therefore resulted in cell cycle arrest and apoptosis. [1]

In vivo: So far, no in vivo study has been conducted.

Clinical trial: So far, no clinical trial has been conducted.

Reference:

[1]Qia W, Chan HM, Teng L, Li L, Chuai S, Zhang RP, Zeng J, Li M, Fan H, Lin Y, Gu J, Ardayfiob O, Zhang JH, Yan X, Fang J, Mi Y, Zhang M, Zhou T, Feng G, Chen ZJ, Li G, Yang T, Zhao K, Liu X, Yu Z, Lu CX, Atadja P and Li E. Selective inhibition of Ezh2 by a small molecule inhibitor blocks tumor cells proliferation. Proc Natl Acad Sci. 2012 Dec; 109(52): 213605.

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