

Product Data Sheet

Product Name: 4EGI-1
Cat. No.: GC10468

Chemical Properties

Cas. No. 315706-13-9

SMILES C1=CC=C(C(=C1)CC(=NNC2=NC(=CS2)C3=CC(=C(C=C3)Cl)Cl)C(=O)O)[N+](=O)[O-]

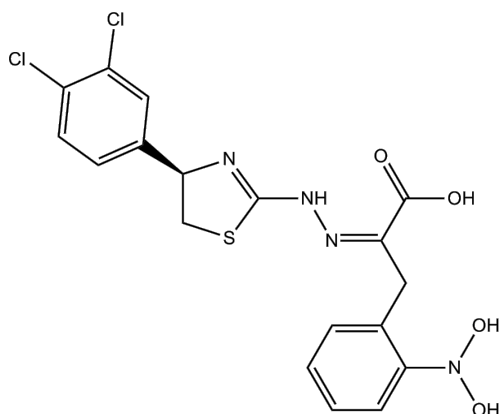
Formula $C_{18}H_{12}Cl_2N_4O_4S$ M.Wt 451.28

Solubility $\geq 22.56\text{mg/mL}$ 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 Jurkat cells

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

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Preparation method The solubility of this compound in DMSO is > 22.6 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 0 ~ 200 µM; 24 hrs

Applications In Jurkat cells, 4EGI-1 (> 50 µM) treatment induced cell death after 24 hrs. In addition, 4EGI-1 significantly increased subG1 DNA content, which was inhibited by cotreatment with the caspase inhibitor zVAD-FMK.

References:

[1]. Moerke N J, Aktas H, Chen H, et al. Small-molecule inhibition of the interaction between the translation initiation factors eIF4E and eIF4G. Cell, 2007, 128(2): 257-267.

Background

4EGI-1 is an inhibitor of eIF4E/eIF4G interaction, with a K_d of 25 µM against eIF4E binding.

4EGI-1 is an inhibitor of eIF4E/eIF4G interaction, with a K_d of 25 µM against eIF4E binding. 4EGI-1 disrupts the eIF4F complex and inhibits expression of oncogenic proteins in mammalian cells. 4EGI-1 (0-40 µM) also exhibits proapoptotic activity and inhibits the growth of multiple cancer cell lines[1]. 4EGI-1 is cytotoxic to breast cancer cells, such as SKBR-3, MCF-7 and MDA-MB-231 cells, with the IC₅₀ of appr 30 µM, and to the non-CSCs (Cancer stem cells), the IC₅₀ is about 22 µM. 4EGI-1 enhances breast CSC differentiation (40 µM), and suppresses breast CSC induced HUVEC tube-like structure formation (8 µM). Moreover, 4EGI-1 selectively inhibits translation that persists in CSC maintenance and dissemination[2]. 4EGI-1 (50 µM) impairs the formation of eIF4F complex in U87 cells. 4EGI-1 (10, 50 and 100 µM) inhibits cell proliferation via inducing apoptosis in U87 cells, and the apoptosis is via Bax activation. 4EGI-1 causes mitochondrial dysfunction, and induces ER stress via GRP-78 activation, in U87 cells[3].

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4EGI-1 (75 mg/kg, i.p.) inhibits breast cancer stem cells (CSC) tumor growth and tumor angiogenesis in vivo[2]. 4EGI-1 (75 mg/kg, i.p.) shows inhibitory effect on the tumor volume and weight in mice bearing U87 cells[3].

References:

- [1]. Moerke NJ, et al. Small-molecule inhibition of the interaction between the translation initiation factors eIF4E and eIF4G. *Cell*. 2007 Jan 26;128(2):257-67.
- [2]. Yi T, et al. 4EGI-1 targets breast cancer stem cells by selective inhibition of translation that persists in CSC maintenance, proliferation and metastasis. *Oncotarget*. 2014 Aug 15;5(15):6028-37.
- [3]. Wu M, et al. Anti-Cancer Effect of Cap-Translation Inhibitor 4EGI-1 in Human Glioma U87 Cells: Involvement of Mitochondrial Dysfunction and ER Stress. *Cell Physiol Biochem*. 2016;40(5):1013-1028. Epub 2016 Dec 12.

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