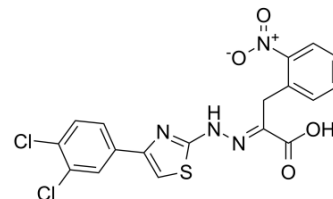


## 4EGI-1

|                    |   |       |          |
|--------------------|---|-------|----------|
| Cat. No.:          | HY-19831  |       |          |
| CAS No.:           | 315706-13-9   |       |          |
| Molecular Formula: | C <sub>18</sub> H <sub>12</sub> Cl <sub>2</sub> N <sub>4</sub> O <sub>4</sub> S |       |          |
| Molecular Weight:  | 451.28  |       |          |
| Target:            | Eukaryotic Initiation Factor (eIF); Autophagy; Apoptosis                        |       |          |
| Pathway:           | Cell Cycle/DNA Damage; Autophagy; Apoptosis                                     |       |          |
| Storage:           | Powder  | -20°C | 3 years  |
|                    |   | 4°C   | 2 years  |
|                    | In solvent  | -80°C | 6 months |
|                    |   | -20°C | 1 month  |



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO :  $\geq 35$  mg/mL (77.56 mM)  
 H<sub>2</sub>O :  $< 0.1$  mg/mL (insoluble)  
 \* " $\geq$ " means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent       |  | Mass      |            |            |
|---------------------------|---------------|--|-----------|------------|------------|
|                           | Concentration |  | 1 mg      | 5 mg       | 10 mg      |
|                           | 1 mM          |  | 2.2159 mL | 11.0796 mL | 22.1592 mL |
|                           | 5 mM          |  | 0.4432 mL | 2.2159 mL  | 4.4318 mL  |
|                           | 10 mM         |  | 0.2216 mL | 1.1080 mL  | 2.2159 mL  |

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

1. Add each solvent one by one: **10% DMSO >> 90%** (20% SBE- $\beta$ -CD in saline)  
 Solubility: 2.5 mg/mL (5.54 mM); Suspended solution; Need ultrasonic

### BIOLOGICAL ACTIVITY

|                           |  |
|---------------------------|--|
| Description               | 4EGI-1 is an inhibitor of eIF4E/eIF4G interaction, with a K <sub>d</sub> of 25 $\mu$ M against eIF4E binding.  |
| IC <sub>50</sub> & Target | Kd: 25 $\mu$ M (eIF4E/eIF4G) <sup>[1]</sup>  |
| In Vitro                  | 4EGI-1 is an inhibitor of eIF4E/eIF4G interaction, with a K <sub>d</sub> of 25 $\mu$ M against eIF4E binding. 4EGI-1 disrupts the eIF4F complex and inhibits expression of oncogenic proteins in mammalian cells. 4EGI-1 (0-40 $\mu$ M) also exhibits proapoptotic activity and inhibits the growth of multiple cancer cell lines <sup>[1]</sup> . 4EGI-1 is cytotoxic to breast cancer cells, such as SKBR-3, MCF-7 and MDA-MB-231 cells, with the IC <sub>50</sub> of appr 30 $\mu$ M, and to the non-CSCs (Cancer stem cells), the IC <sub>50</sub> is about 22 $\mu$ M. 4EGI-1 enhances breast CSC differentiation (40 $\mu$ M), and suppresses breast CSC induced |

|                |  |
|----------------|--|
|                | <p>HUVEC tube-like structure formation (8 <math>\mu</math>M). Moreover, 4EGI-1 selectively inhibits translation that persists in CSC maintenance and dissemination<sup>[2]</sup>. 4EGI-1 (50 <math>\mu</math>M) impairs the formation of eIF4F complex in U87 cells. 4EGI-1 (10, 50 and 100 <math>\mu</math>M) 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<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |
| <b>In Vivo</b> | <p>4EGI-1 (75 mg/kg, i.p.) inhibits breast cancer stem cells (CSC) tumor growth and tumorangiogenesis in vivo<sup>[2]</sup>. 4EGI-1 (75 mg/kg, i.p.) shows inhibitory effect on the tumor volume and weight in mice bearing U87 cells<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>   |

## PROTOCOL

|   |  |
|---|--|
| <b>Cell Assay</b> <sup>[2]</sup>            | <p><b>1 × 10<sup>4</sup> breast CSCs HMLER (CD44<sup>high</sup>/CD24<sup>low</sup>)FA cells</b> and other indicated <b>breast cancer cells</b> are treated with <b>DMSO, or [E]-4EGI-1 or [Z]-4EGI-1 at series of concentrations for 24 hours</b>. The cells are performed cell viability assays with cell viability assay kit. Three independent experiments are performed. Average IC<sub>50</sub> results are shown (mean ± SD, t-test, two-tailed)<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>  |
| <b>Animal Administration</b> <sup>[2]</sup> | <p>Mice<sup>[2]</sup><br/>In the tumor xenografted assay, <b>1×10<sup>5</sup> breast cancer stem cells (CSCs)</b> are mixed with 100 <math>\mu</math>L Matrigel/DMEM mixture (Matrigel: DMEM = 1:2). Breast CSCs/Matrigel/DMEM mixtures are injected into <b>NOD/SCID female mice</b> mammary glands by subcutaneous injection. After the tumor formation (about 75 mm<sup>3</sup> in volume, 5 mice/group), <b>DMSO, or 75 mg/kg [E]-4EGI-1, or 75 mg/kg [Z]-4EGI-1</b> is injected into the mice by <b>intraperitoneal injection daily for 30 days</b>. Tumor volumes are measured every three days. At the 30th day, mice are sacrificed and tumors are excised. Tumors weights are measured. Tumor tissue samples are used for immunohistostaining, Western blot and immunoprecipitation analyses<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |

## CUSTOMER VALIDATION

- Nat Commun. 2017 Jun 8;8:15617.
- Mol Cancer Ther. 2018 Dec;17(12):2676-2688.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA