

Product Data Sheet

Product Name: Endosidin 2

Cat. No.: GC19010

Chemical Properties

Cas. No. 1839524-44-5

Chemical Name 3-fluoro-benzoic acid, (2E)-2-[(4-hydroxy-3-iodo-5-methoxyphenyl)methylene]hydrazide

SMILES FC1=CC(C(N/N=C/C2=CC(I)=C(O)C(OC)=C2)=O)=CC=C1

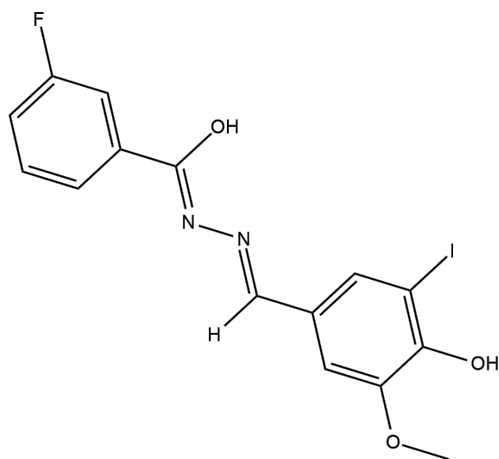
Formula $C_{15}H_{12}FIN_2O_3$ M.Wt 414.2

Solubility 0.25mg/ml in ethanol; 30mg/ml in DMSO & DMF 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 Rat L6 myoblast cells

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

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Rat L6 myoblast cells were cultured in complete Minimum Essential Medium-Alpha (MEM alpha) with nucleosides supplemented with 10% (v/v) fetal bovine serum (FBS), and 1% antibiotic-antimycotic solution (v/v) (100U/mL of penicillin and 100µg/mL of streptomycin). The stock solution of Endosidin 2 was 30mg/ml Preparation Method in DMSO. For inhibition experiments, cells were treated with 100µM Endosidin 2 simultaneously with insulin in KR Buffer with 0.1% BSA for 30 minutes. Untreated controls were exposed to equal amounts of DMSO. Cells were cultured to 80-90% confluence in complete medium, then collected for further analysis.

Reaction
Conditions 100µM; 30min

Applications Endosidin 2 leads to impaired GLUT4 trafficking to the plasma membrane and hinders glucose uptake in response to an insulin stimulus.

Animal experiment [2]:

Animal models BALB/c nude mice

A2780CR cells were intraperitoneally inoculated into 5-week old female Balb/c nude mice (3×10^6 cells in 100µL DMEM per mouse). Endosidin 2 (6mg/kg) was administered intraperitoneally every six days. After 24 days of treatment, mice Preparation Method were euthanized, and the peritoneal metastatic tumors were collected and measured. For in vivo bioluminescent imaging, mice were administrated with 150mg/kg D-luciferin and imaged by Caliper IVIS Lumina II Kinetic system, and the total photon flux was calculated by Living Image 4.4.

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Dosage form 6mg/kg every 6 days for 24 days; i.p.

Applications Endosidin 2 significantly enhances the sensitivity of cisplatin in ovarian cancer cells and reduces tumor growth and metastasis.

References:

- [1] Fujimoto BA, Young M, Carter L, et al. The exocyst complex regulates insulin-stimulated glucose uptake of skeletal muscle cells. *Am J Physiol Endocrinol Metab.* 2019;317(6):E957-E972.
- [2] Zhao Y, Hong X, Chen X, et al. Deregulation of Exo70 Facilitates Innate and Acquired Cisplatin Resistance in Epithelial Ovarian Cancer by Promoting Cisplatin Efflux. *Cancers (Basel).* 2021;13(14):3467.

Background

Endosidin 2 is a selective inhibitor targeting the conserved exosome subunit EXO70^[1]. Endosidin 2 binds to the C-terminal domain of EXO70, blocking its protein-protein interactions with other components of the outer capsule complex, thereby inhibiting exosomes and systemic circulation^[2]. Endosidin 2 is commonly used in the study of endocytosis and recirculation mechanisms in plant and mammalian cells^{[3][4]}.

In vitro, treatment of peripheral blood mononuclear cells (PBMCs) with Endosidin 2 (40 μ M; 1-2h) significantly inhibited the translocation of ACE2 to the cell surface induced by R848 and LPS^[5]. Treatment of skeletal myoblast cells with Endosidin 2(100 μ M; 30min) leads to impaired GLUT4 trafficking to the plasma membrane and hinders glucose uptake in response to an insulin stimulus^[6].

In vivo, in the intraperitoneal transplantation model of ovarian cancer A2780CR cells, Endosidin 2 (6mg/kg every 6 days for 24 days; i.p.) significantly enhances the sensitivity of cisplatin in ovarian cancer cells by inhibiting the function of the Exo70 subunit of the outer capsule complex, overcomes cisplatin resistance in ovarian cancer cells, and thereby reduces tumor growth and metastasis^[7].

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References:

- [1] Zhang C, Brown MQ, van de Ven W, et al. Endosidin2 targets conserved exocyst complex subunit EXO70 to inhibit exocytosis. *Proc Natl Acad Sci U S A*. 2016;113(1):E41-E50.
- [2] Huang L, Li X, Li Y, et al. Endosidin2-14 Targets the Exocyst Complex in Plants and Fungal Pathogens to Inhibit Exocytosis. *Plant Physiol*. 2019;180(3):1756-1770.
- [3] Huang L, Zhang C. Use Endosidin2 to Study Plant Exocytosis and Vacuolar Trafficking. *Methods Mol Biol*. 2018;1789:167-175.
- [4] Ciampelli C, Galleri G, Puggioni S, et al. Inhibition of the Exocyst Complex Attenuates the LRRK2 Pathological Effects. *Int J Mol Sci*. 2023;24(16):12656.
- [5] Yao Y, Subedi K, Liu T, et al. Surface translocation of ACE2 and TMPRSS2 upon TLR4/7/8 activation is required for SARS-CoV-2 infection in circulating monocytes. *Cell Discov*. 2022;8(1):89.
- [6] Fujimoto BA, Young M, Carter L, et al. The exocyst complex regulates insulin-stimulated glucose uptake of skeletal muscle cells. *Am J Physiol Endocrinol Metab*. 2019;317(6):E957-E972.
- [7] Zhao Y, Hong X, Chen X, et al. Deregulation of Exo70 Facilitates Innate and Acquired Cisplatin Resistance in Epithelial Ovarian Cancer by Promoting Cisplatin Efflux. *Cancers (Basel)*. 2021;13(14):3467.

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