Product Data Sheet

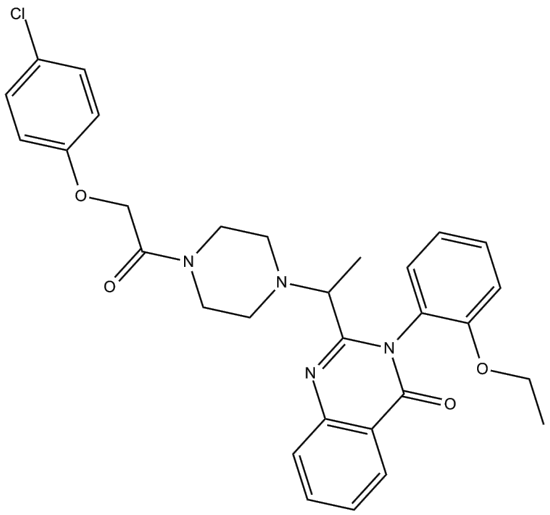
Product Name: Erastin

Cat. No.: GC16630

Chemical Properties

Cas No.	571203-78-6		
化学名	2-[1-[4-[2-(4-chlorophenoxy)acetyl]piperazin-1-yl]ethyl]-3-(2-ethoxyphenyl)quinazolin-4-one		
Canonical SMILES	O=C1N(C2=CC=CC=C2OCC)C(C(N3CCN(C(COC4=CC=C(Cl)C=C4)=O)CC3)C)=NC5=C1C=CC=C5		
分子式	$C_{30}H_{31}ClN_4O_4$	分子量	547.04
溶解度	≥ 10.92mg/mL in DMSO with gentle warming,This product is unstable in solution and it is recommended to prepare and use it immediately.	储存条件	Store at -20° C, unstable in solution, ready to use.
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	143B/BJeHLT/BJeLR/Calu-1/HT-1080
Preparation Method	Soluble in DMSO to 20 mM.
Reaction Conditions	10 μM, 72 h
Applications	Erastin inhibited cystine uptake via system xc^- and triggered ferroptosis in a variety of cellular contexts.(HT-1080: erastin IC_{50} = 0.20 μM; Calu-1: erastin IC_{50} = 0.14 μM)

Animal experiment [2]:

Animal models	BALB/c nude mice (colorectal cancer)
Preparation Method	Soluble in DMSO to 20 mM
Dosage form	10 mg/kg, intravenous injection

Caution: Producthasnot been fully validated for medical applications. For research use only.

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Applications	Erastin inhibited ALDH1 activity, and reduced sphere size and number in colorectal cancer cells.

References:
[1]. Dixon SJ, et al. Pharmacological inhibition of cystine-glutamate exchange induces endoplasmic reticulum stress and ferroptosis. Elife. 2014 May 20;3:e02523.
[2]. Xu X, et al. Targeting SLC7A11 specifically suppresses the progression of colorectal cancer stem cells via inducing ferroptosis. Eur J Pharm Sci. 2020 Sep 1;152:105450.

Background

Erastin is a cell-permeable ferroptosis activatior and an antitumor agent that is selective for cell expressing oncogene RAS.

Erastin induces ferroptosis through directly binding to VDAC2/3 to alter the permeability of the outer mitochondrial membrane, which decreases the rate of NADH oxidation. Besides exerting targeted effects, erastin also enhances chemotherapy, targeted therapy, and immunotherapy in certain cancer cells, suggesting a potential role of erastin in cancer cell treatment.[3]

Erastin and its analogs specifically inhibited cystine uptake via system xc^-, and triggered ferroptosis in a variety of cellular contexts and act much more potently than SAS. Moreover, Erastin was ~2500 times more potent than SAS as an inhibitor of system xc^- function in both HT-1080 and Calu-1 cells (HT-1080: erastin IC_{50} = 0.20 μM, SAS IC_{50} = 450 μM; Calu-1: erastin IC_{50} = 0.14 μM, SAS IC_{50} = 460 μM).[1]

Erastin, an inhibitor of SLC7A11, was found to hold a remarkably stronger cytotoxic effect on colorectal CSCs via in vitro and in vivo experiments. Besides, Erastin attenuated the chemoresistance of colorectal CSCs (colorectal cancer stem cells). For in vivo experiment, Erastin (10 mg/kg) was intravenously injected into mice with colorectal cancer every two days. It was found that Erastin inhibited ALDH1 activity, and reduced sphere size and number in colorectal cancer cells. [2]

References:
[1]. Dixon SJ, et al. Pharmacological inhibition of cystine-glutamate exchange induces endoplasmic reticulum stress and ferroptosis. Elife. 2014 May 20;3:e02523.
[2]. Xu X, et al. Targeting SLC7A11 specifically suppresses the progression of colorectal cancer stem cells via inducing ferroptosis. Eur J Pharm Sci. 2020 Sep 1;152:105450.
[3]. Yang Y, et al. Nedd4 ubiquitylates VDAC2/3 to suppress erastin-induced ferroptosis in melanoma. Nat Commun. 2020 Jan 23;11(1):433.

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