For Research Use Only

Erastin

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Catalog Number: CM01165

产品信息

Catalog Number:
CM01165

CAS号:
571203-78-6

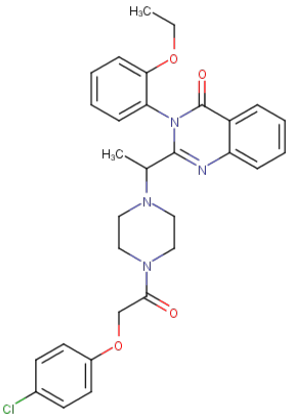
分子式:
$C_{30}H_{31}ClN_4O_4$

主要靶点:
Ferroptosis|VDAC

主要通路:
离子通道|凋亡

分子量:
547.04

溶解度:
H2O:<1 mg/mL,Ethanol:<1 mg/mL,DMSO:16 mg/mL (29.2 mM),The compound is unstable in solution and is recommended to be prepared and used immediately.



体外活性

Treatment of NRAS-mutant HT-1080 fibrosarcoma cells with the RSL molecule erastin (10 μM) resulted in a time-dependent increase in cytosolic and lipid ROS beginning at 2 hours [1]. A lung carcinoma cell line (Calu-1) with an activating mutation in KRAS was sensitive to erastin (IC50 = 4 μM); when infected with lentiviral constructs expressing two different shRNAs targeting KRAS, these cells exhibited resistance to erastin [2]. Erastin exerted potent cytotoxic effects against multiple human colorectal cancer cell lines, possibly via inducing oxidative stress and caspase-9 dependent cell apoptosis. Further, mitochondrial permeability transition pore (mPTP) opening was observed in erastin-treated cancer cells [3].

体内活性

Intraperitoneal injection of erastin at well-tolerated doses dramatically inhibited HT-29 xenograft growth in severe combined immunodeficient (SCID) mice [3].

动物实验

Tumor growth studies were performed in severe combined immunodeficient (SCID) mice xenograft model. Briefly, 2×10^6 viable HT-29 cells in 100 μL of growth medium (per mouse) were subcutaneously inoculated, and mice bearing ~100 mm3 tumors were randomly divided into three groups with 10 mice per group. Mice were treated daily with 10 or 30 mg/kg body weight of erastin (intraperitoneal injection, for 4 weeks) or vehicle control (Saline). Tumor volumes were calculated by the modified ellipsoid formula: (π / 6) ×AB2, where A is the longest and B is the shortest perpendicular axis of a tumor mass. Mice body weights were also recorded every week. Humane endpoints were always utilized to minimize mice suffering. Animals were observed on daily bases. Signs such as significant-reduced locomotion, severe diarrhea, severe piloerection or a sudden weight loss (> 20%) were recorded. If animals reached these endpoints they were euthanized by exsanguination under 2,2,2-tribromoethanol anesthesia (4 mg/10 g body weight). All injections were performed under the 2,2,2-tribromoethanol anesthesia method [3].

细胞实验

BJeLR cells were plated at 100,000 cells/dish in 35 mm tissue culture dishes. After 12h cells were treated with vehicle (DMSO; 10 hrs), erastin (37 μM; 10 hrs), staurosporine (750 nM; 8 hrs), hydrogen peroxide (16 mM; 1 hr) or rapamycin (100 nM; 24 hrs). Cells were fixed with 2.5% glutaraldehyde in 0.1 M Sorenson's buffer (0.1 M H2PO4, 0.1 M HPO4 (pH 7.2)) for at least 1 h, and then treated with 1% OsO4 in 0.1 M Sorenson's buffer for 1 h. Enblock staining used 1% tannic acid. After dehydration through an ethanol series, cells were embedded in Lx-112 and Embed-812 (EMS). Thin sections were cut on an MT-7000 ultramicrotome, stained with 1% uranyl acetate and 0.4% lead citrate, and examined under a Jeol JEM-1200 EXII electron microscope. Pictures were taken on an ORCA-HR digital camera at 5,000-50,000-fold magnification [1].

描述

Erastin is a ferroptosis activator acting on mitochondrial VDAC. It induces ferroptotic cell death in vitro. The product is unstable in solution and is recommended to be dispensed now.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years