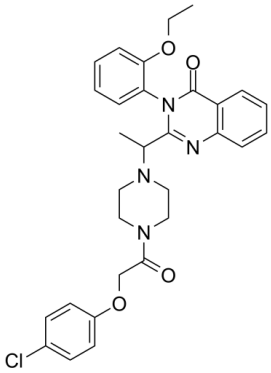
Data Sheet

Product Name:	Erastin
Cat. No.:	CS-1675
CAS No.:	571203-78-6
Molecular Formula:	C30H31ClN4O4
Molecular Weight:	547.04
Target:	Ferroptosis; VDAC
Pathway:	Apoptosis; Membrane Transporter/Ion Channel
Solubility:	DMSO : 20 mg/mL (36.56 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Erastin is a **ferroptosis** inducer. Erastin binds and inhibits voltage-dependent anion channels (**VDAC2/VDAC3**). **In Vitro:** Erastin triggers oxidative, iron-dependent cell death. Treatment of NRAS-mutant HT-1080 fibrosarcoma cells with the RSL molecule erastin (10 μM) results in a time-dependent increase in cytosolic and lipid ROS beginning at 2 hours[1]. Cell death triggered by erastin is significantly inhibited by antioxidants (e.g., α-tocopherol, butylated hydroxytoluene, and β-carotene) and iron chelators, suggesting that ROS- and iron-dependent signaling is required for erastin-induced ferroptosis. Erastin can directly bind to VDAC2/3 in BJeLR cells. Knockdown of VDAC2 and VDAC3, but not VDAC1, leads to erastin resistance. Erastin has the ability to reduce glutathione level by directly inhibiting cystine/glutamate antiporter system Xc− activity, with activation of the ER stress response[2]. Erastin potently inhibits HT-29 cell survival. Erastin shows a dose-dependent effect, and 30 μM of erastin displays the most dramatic effect[3]. **In Vivo:** Intraperitoneal injection of erastin at well-tolerated doses dramatically inhibits HT-29 xenograft growth in severe combined immunodeficient mice[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [3]To test erastin's activity on colorectal cancer cell survival, HT-29 cells are treated with increasing concentrations of erastin (0.1–30 μM). MTT assay was performed[3]. **Animal Administration:** [3]Mice: Mice are treated daily with 10 or 30 mg/kg body weight of erastin (intraperitoneal injection, for 4 weeks) or vehicle control (Saline). Tumor volumes are calculated. Mice body weights are also recorded every week[3].

References:

[1]. Dixon SJ, et al. Ferroptosis: an iron-dependent form of nonapoptotic cell death. Cell. 2012 May 25;149(5):1060-72.

[2]. Xie Y, et al. Ferroptosis: process and function. Cell Death Differ. 2016 Mar;23(3):369-79.

[3]. Huo H, et al. Erastin Disrupts Mitochondrial Permeability Transition Pore (mPTP) and Induces Apoptotic Death of Colorectal Cancer Cells. PLoS One. 2016 May 12;11(5):e0154605.

CAIndexNames:

4(3H)-Quinazolinone, 2-[1-[4-[2-(4-chlorophenoxy)acetyl]-1-piperazinyl]ethyl]-3-(2-ethoxyphenyl)-

SMILES:

O=C1N(C2=CC=CC=C2OCC)C(C(N3CCN(C(COC4=CC=C(Cl)C=C4)=O)CC3)C)=NC5=C1C=CC=C5

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

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