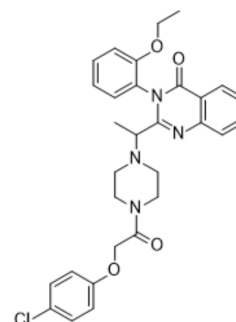


## Erastin

Cat. No.:	HY-15763
CAS No.:	571203-78-6
Molecular Formula:	C <sub>30</sub> H <sub>31</sub> ClN <sub>4</sub> O <sub>4</sub>
Molecular Weight:	547.04
Target:	Ferroptosis; VDAC
Pathway:	Apoptosis; Membrane Transporter/Ion Channel
Storage:	Powder    -20°C    3 years 4°C        2 years

\* The compound is unstable in solutions, freshly prepared is recommended.



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 12.5 mg/mL (22.85 mM; Need ultrasonic)  
H<sub>2</sub>O : < 0.1 mg/mL (insoluble)

Concentration	Solvent	Mass	1 mg	5 mg	10 mg
			1 mM	1.8280 mL	9.1401 mL
5 mM			0.3656 mL	1.8280 mL	3.6560 mL
10 mM			0.1828 mL	0.9140 mL	1.8280 mL

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

#### In Vivo

- Add each solvent one by one: 50% PEG300 >> 50% saline  
Solubility: 5 mg/mL (9.14 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 1.25 mg/mL (2.29 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 1 mg/mL (1.83 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Erastin is a ferroptosis inducer. Erastin exhibits the mechanism of ferroptosis induction related to ROS and iron-dependent signaling. Erastin inhibits voltage-dependent anion channels (VDAC2/VDAC3) and accelerates oxidation, leading to the accumulation of endogenous reactive oxygen species. Erastin also disrupts mitochondrial permeability transition pore (mPTP) with anti-tumor activity<sup>[1][2][3]</sup>.

#### In Vitro

Erastin (10 μM; 24 h) triggers ferroptosis in ectopic endometrial stromal cells (EESCs), and increases the total ROS level at 9 h<sup>[1]</sup>.

Erastin shorts mitochondria and increases membrane density in EESCs<sup>[1]</sup>.  
 Erastin (10  $\mu$ M; 9 h) decreases the mRNA expression levels of iron-related proteins, such as FPN (iron exporter) in EESCs. However, FPN overexpression significantly inhibits erastin-induced ferroptosis in EESCs<sup>[1]</sup>.  
 Erastin (10  $\mu$ M; 24 h) induces mitochondrial permeability transition pore (mPTP) opening in HT-29 colorectal cancer cells<sup>[2]</sup>.  
 Erastin (30  $\mu$ M; 72 h) significantly inhibits the growth of HT-29 colorectal cancer cells<sup>[2]</sup>.  
 The molecular mechanism by which Erastin induces ferroptosis is related to genes regulating iron or mitochondrial fatty acid metabolism. Includes ribosomal protein L8, iron response element binding protein 2 (IREB2), ATP synthase F0 complex subunit C3, citrate synthase, tetrapeptide repeat domain 35, and acyl-CoA synthetase family member 2 (ACSF2)<sup>[3]</sup>.

Note:

1. Different cell lines may have different sensitivity to a same compound. As reported, A549, HCT116, HepG2, H1299 cells may be insensitive to Erastin<sup>[3][4][5]</sup>.

2. Erastin is unstable in solution. Freshly prepared is recommended.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay<sup>[1]</sup>

Cell Line:	Normal endometrial stromal cells (NESCs) and endometrial stromal cells (EESCs)
Concentration:	0, 0.5, 0.8, 1, 1.5, 2, 2.5, 5, 10 $\mu$ M
Incubation Time:	24 hours
Result:	Induced cell detachment and overt death in EESCs, but not NESCs.

Apoptosis Analysis<sup>[1]</sup>

Cell Line:	EESCs infected with adenovirus expressing FPN cDNA (co-incubation for 24 hr)
Concentration:	0, 0.5, 1.5, 2.5, 5 and 2.5 $\mu$ M
Incubation Time:	24 hours
Result:	Induced ferroptosis by decreasing the levels of total ROS and lipid ROS. And reversed by the overexpression of FPN in adenovirus-infected cells.

## In Vivo

Erastin can be used in animal modeling to construct ferroptosis induction model.

Erastin (40 mg/kg; i.p.; once every 3 days for 2 weeks) suppresses endometriotic implants in the mouse endometriosis model, indicating Erastin regresses ectopic lesions by triggering ferroptosis<sup>[1]</sup>.

Erastin (10 mg/kg, 30 mg/kg; i.p.; once daily for 4 weeks) suppresses HT-29 xenograft growth in SCID mice, with more potent efficacy under 30 mg/kg treatment<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mouse model of endometriosis <sup>[1]</sup>
Dosage:	40 mg/kg
Administration:	Intraperitoneal injection; once every 3 days for 2 weeks
Result:	Showed little impact on body weight of mice and hair of mice displayed neat and glossy. Reduced the volume of ectopic lesions.

- Cell Discov. 2022 May 3;8(1):40.
- Nat Cell Biol. 2022 Feb;24(2):168-180.
- Adv Funct Mater. 2023 Apr 28.
- ACS Nano. 2023 Nov 15.
- J Clin Invest. 2024 Jan 23.

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## REFERENCES

- [1]. Li Y, et al. Erastin induces ferroptosis via ferroportin-mediated iron accumulation in endometriosis. Hum Reprod. 2021 Mar 18;36(4):951-964.
- [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.
- [4]. Gai C, et al. MT1DP loaded by folate-modified liposomes sensitizes erastin-induced ferroptosis via regulating miR-365a-3p/NRF2 axis in non-small cell lung cancer cells. Cell Death Dis. 2020 Sep 14;11(9):751.
- [5]. Yang Y, et al. Piperlongumine Inhibits Thioredoxin Reductase 1 by Targeting Selenocysteine Residues and Sensitizes Cancer Cells to Erastin. Antioxidants (Basel). 2022 Apr 4;11(4):710.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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