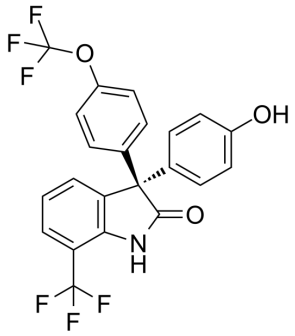
ErSO

Cat. No.:	HY-132247
CAS No.:	2407860-35-7
Molecular Formula:	$C_{22}H_{13}F_6NO_3$
Molecular Weight:	453.33
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (264.71 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2059 mL	11.0295 mL	22.0590 mL
	5 mM	0.4412 mL	2.2059 mL	4.4118 mL
	10 mM	0.2206 mL	1.1029 mL	2.2059 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 5.25 mg/mL (11.58 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (6.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (6.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ErSO is a selective anticipatory unfolded protein response (a-UPR) activator. ErSO acts through ERα to elicit strong and sustained cytotoxic activation of the a-UPR. ErSO can be used for the research of cancer[1].

IC_{50} & Target

a-UPR[1]

In Vitro

ErSO (1~1000 nM; 24 hours; MCF-7 cells) shows that IC_{50} value is 20.3 nM in MCF-7 cells and inhibits cell viability[1].
ErSO (1 μM; 24 hours; TYS cells) rapidly kills ERα-positive breast cancer cells. ErSO is effective against both the breast cancer cell lines expressing wild-type ERα and the ERαY537S and ERαD538G mutations such as human breast cancer cell lines TYS

and TDG. ErSO is also effective against tamoxifen- and fulvestrant-resistant breast cancer cell lines containing wild-type ER α. ErSO activity is independent of the presence of estrogen. ErSO induces rapid killing of ERα-positive MCF-7 human breast cancer cells[1].

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

Cell Viability Assay[1]

Cell Line:	MCF-7 cells
Concentration:	1~1000 nM
Incubation Time:	24 hours
Result:	Showed that IC_{50} value is 20.3 nM in MCF-7 cells and inhibited cell viability.

In Vivo

ErSO (10 or 40 mg/kg; p.o.; 21 days) results in elimination of these tumors, with >90% reduction in all cases[1].

ErSO (0.5~40 mg/kg; p.o.; 3 weeks) is sufficient for a robust response[1].

ErSO (10 and 40 mg/kg; p.o.; 14 days) induces >10,000-fold regression of TYS-luciferase-expressing breast tumors in all five mice and >100,000-fold regression (to undetectable amounts) within 14 days as shown by bioluminescent imaging of luciferase as compared to vehicle-treated mice[1].

ErSO (40 mg/kg; i.p.; 14 days) greatly reduces metastatic burden[1].

ErSO treatment ablates mutant ERα breast cancer cell line xenografts and a PDX mouse model[1].

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

Animal Model:	Nu/J mice[1]
Dosage:	10 or 40 mg/kg
Administration:	P.o.; 21 days
Result:	Resulted in elimination of these tumors, with >90% reduction in all cases.

Animal Model:	Mice[1]
Dosage:	0.5~40 mg/kg
Administration:	P.o.; 3 weeks
Result:	Sufficient for a robust response.

Animal Model:	Mice[1]
Dosage:	40 mg/kg
Administration:	I.p.; 14 days
Result:	Metastatic burden was greatly reduced

REFERENCES

[1]. Boudreau MW, et al. A small-molecule activator of the unfolded protein response eradicates human breast tumors in mice. Sci Transl Med. 2021;13(603):eabf1383.

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

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