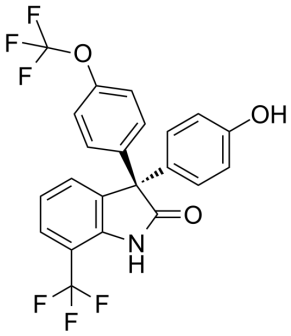
ErSO

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



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.

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