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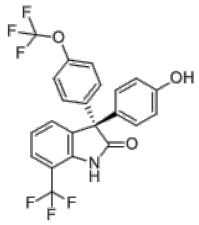
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ErSO
Cat. No.	:	PC-72844
CAS No.	:	2407860-35-7
Molecular Formula	:	$C_{22}H_{13}F_6NO_3$
Molecular Weight	:	453.34
Target	:	Estrogen Receptor/ERR
Solubility	:	10 mM in DMSO



Biological Activity

ErSO is a small molecule activator of unfolded protein response (**UPR**), activates the a-UPR, induces rapid and selective necrosis of ERα-positive breast cancer cell lines in vitro.
ErSO inhibits cell viability of breast cancer cell lines expressing wild-type ERα and the ERαY537S and ERαD538G mutations with IC50 of 11-43 nM (TYS and TDG).
ErSO acts through ERα to elicit strong and sustained cytotoxic activation of the a-UPR.
ErSO does not compete with estrogens for binding to ERα and selectively kills ERα-positive breast cancer cells including those harboring known resistance-mediating ERα mutations.
ErSO kills ERα-positive breast cancer cell lines in vitro induces complete regression in orthotopic cell line xenograft and patient-derived xenograft (PDX) mouse models, regardless of ERα mutational status and without recurrence after cessation of treatment.
ErSO causes complete regression of most tumors in a fulvestrant-resistant, ERα-mutant, PDX mouse model andmetastatic breast cancer mouse models.

References

Boudreau MW, et al. ***Sci Transl Med.*** 2021 Jul 21;13(603):eabf1383.

Boudreau MW, et al. ***J Med Chem.*** 2022 Mar 10;65(5):3894-3912.

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