

Data Sheet

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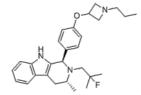
Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name : Palazestrant
Cat. No. : PC-20547
CAS No. : 2092925-89-6
Molecular Formula : C₂₈H₃₆FN₃O

Molecular Weight: 449.6

Target : Estrogen Receptor/ERR

Solubility : 10 mM in DMSO



CAS: 2092925-89-6

1. Parisian AD, et al. Mol Cancer Ther. 2023 Dec

Biological Activity

Palazestrant (OP-1250) is a potent, orally bioavailable complete **estrogen receptor (ER)** antagonist (IC50=6.4 nM) and selective ER degrader, blocks activity of both AF1 and AF2.

OP-1250 demonstrates no agonist-like cross-reactivity on glucocorticoid, progesterone, or androgen receptors.

OP-1250 blocks estrogen-induced transcriptional activity and lacks agonist activity on estrogeninduced genes.

OP-1250 displays in vitro and in vivo efficacy and degrades the ER in breast cancer models.

OP-1250 degrades ERα with comparable potency to fulvestrant (DC50 0.52 nM and 0.56 nM, respectively, in MCF7 cell line.

References

16. doi: 10.1158/1535-7163.MCT-23-0351.