

Product Data Sheet

Product Name: Giredestrant

Cat. No.: GC39630

Chemical Properties

Cas. No. 1953133-47-5

SMILES OCC(F)(F)CN([C@@H]1C2=C(F)C=C(NC3CN(CCCF)C3)C=C2F)[C@H](C)CC4=C1NC5=C4C=CC=C5

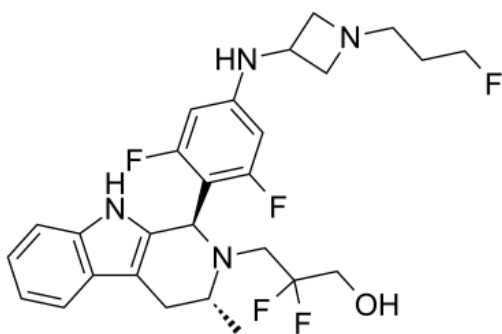
Formula $C_{27}H_{31}F_5N_4O$ M.Wt 522.55

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines MCF-7 cells

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

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MCF-7 cells were cultured in RPMI 1640 containing 10% FBS, in poly-lysine Preparation Method coated 384 well tissue culture plates for 24h and then treated with different concentrations of Giredestrant for 24h.

Reaction
Conditions 0.1nM, 1nM, 10nM, 100nM and 1μM; 24h

Applications Giredestrant significantly degraded ERα protein and inhibited proliferation at low nanomolar concentrations (0.1nM, 1nM, 10nM, 100nM and 1μM, 24h) in MCF-7.

Animal experiment [2]:

Animal models HCI-013 PDX model

Preparation Method Giredestrant was administered by oral gavage in HCI-013 PDX model at a dose of 30mg/kg once daily in a volume of 100μL per mouse for 28d.

Dosage form 30mg/kg; po; 28d

Applications Giredestrant suppressed the mutant ERα-PR proliferation in the mammary gland more so than the standard-of-care agents, tamoxifen and fulvestrant.

References:

[1]. Liang J, Zbieg JR, Blake RA, et al. GDC-9545 (Giredestrant): a potent and orally bioavailable selective estrogen receptor antagonist and degrader with an exceptional preclinical profile for ER+ breast cancer. Journal of Medicinal Chemistry. 2021 Jul 12; 64(16): 11841-11846.

[2]. Liang J, Ingalla ER, Yao X, et al. Giredestrant reverses progesterone hypersensitivity driven by estrogen receptor mutations in breast cancer. Science Translational Medicine. 2022 Sep 21; 14(663): eabo5959.

Background

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Giredestrant is an oral selective estrogen receptor (ER) degrader. Giredestrant can induce an inactive conformation of the estrogen receptor ligand binding domain and promoting proteasome-mediated degradation of the receptor protein. Giredestrant is used to treat estrogen receptor-positive (ER+) breast cancer [1-5].

Giredestrant significantly degraded ER α protein and inhibited proliferation at low nanomolar concentrations (0.1nM, 1nM, 10nM, 100nM and 1 μ M, 24h) in a variety of ER+ breast cancer cell lines (MCF-7, T-47D, CAMA-1 and HCC1500) [5]. In T47D cells, treatment with Giredestrant (50nM, 6d) reduced T47D cell viability [6].

In the HCI-013PDX animal model, Giredestrant (1mg/kg, po, 29d) can strongly induce tumor regression, showing excellent anti-tumor potential [5]. In the HCI-013 PDX model, Giredestrant (30mg/kg, po, 28d) suppressed the mutant ER α -PR proliferation in the mammary gland more so than the standard-of-care agents, tamoxifen and fulvestrant [7]. In the transgenic Esr1 animal model, Giredestrant (30mg/kg, po, 4d) exhibited an antiproliferative response against ESR1 mutant tumors [8].

References:

- [1]. Malhi V, Agarwal P, Gates MR, et al. Optimizing Early-stage Clinical Pharmacology Evaluation to Accelerate Clinical Development of Giredestrant in Advanced Breast Cancer. *Cancer Research Communications*. 2023 Dec 15; 3(12): 2551-2559.
- [2]. Martín M, Lim E, Chavez-MacGregor M, et al. Giredestrant for estrogen receptor–positive, HER2-negative, previously treated advanced breast cancer: Results from the randomized, phase II aceLERA breast cancer study. *Journal of Clinical Oncology*. 2024 Jun 20; 42(18): 2149-2160.
- [3]. Jhaveri KL, Bellet M, Turner NC, et al. Phase Ia/b study of giredestrant $\pm$ palbociclib and $\pm$ luteinizing hormone-releasing hormone agonists in estrogen receptor–positive, HER2-negative, locally advanced/metastatic breast cancer. *Clinical Cancer Research*. 2024 Feb 16; 30(4): 754-766.
- [4]. Pathak N, Oliveira M. New Oral Selective Estrogen Receptor Degradation Redefine Management of Estrogen Receptor–Positive Breast Cancer. *Annual Review of Medicine*. 2025 Jan 27; 76(1): 243-255.
- [5]. Liang J, Zbieg JR, Blake RA, et al. GDC-9545 (Giredestrant): a potent and orally bioavailable selective estrogen receptor antagonist and degrader with an exceptional preclinical profile for ER+ breast cancer. *Journal of Medicinal Chemistry*. 2021 Jul 12; 64(16): 11841-11846.

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- [6]. Herrera-Abreu MT, Guan J, Khalid U, et al. Inhibition of GPX4 enhances CDK4/6 inhibitor and endocrine therapy activity in breast cancer. *Nature Communications*. 2024 Nov 5; 15(1): 9550.
- [7]. Liang J, Ingalla ER, Yao X, et al. Giredestrant reverses progesterone hypersensitivity driven by estrogen receptor mutations in breast cancer. *Science Translational Medicine*. 2022 Sep 21; 14(663): eabo5959.
- [8]. Liang J, Yao X, Aouad P, et al. ER α dysfunction caused by ESR1 mutations and therapeutic pressure promotes lineage plasticity in ER+ breast cancer. *Nature Cancer*. 2025 Jan 13: 1-5.

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