Product Datasheet

GDC-9545

Catalog No. **BP-01954** · CAS **1953133-47-5** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-02**

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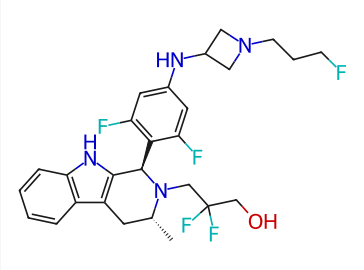
Target	Research area
Estrogen Receptor/ERR	**Endocrinology; Cancer**

Molecular formula	$C_{27}H_{31}F_5N_4O$
Molecular weight	**522.5533**

STRUCTURE



DESCRIPTION

Giredestrant (GDC-9545 and RG6171) is a SERD. GDC-9545 is an orally available selective estrogen receptor degrader/downregulator (SERD), with potential antineoplastic activity. Giredestrant has anti-tumor activity.

BIOLOGICAL ACTIVITY

In Vitro

Giredestrant potently inhibits ERα-mediated luciferase activity in T-47D wild-type breast cancer cells, with an IC50 of 0.05 nM[1].

Giredestrant potently degrades ERα in MCF-7 wild-type breast cancer cells, with a DC50 of 0.03 nM and a maximum degradation efficiency of 101%[1].

Giredestrant inhibits the proliferation of MCF-7 wild-type breast cancer cells, with an EC50 of 0.4 nM[1].

Giredestrant (0.1 nM-1 μM; 24 h) induces significant ERα degradation in ER+ breast cancer cell lines including CAMA-1, EFM-19, HCC1500, MCF-7, MDA-MB-134VI, MDA-MB-330 and T-47D starting at a concentration of 0.1 nM, and exhibits higher efficiency than GDC-0810 (HY-12864) and GDC-0927 (HY-111484)[1].

Giredestrant (1 nM; 0.5-24 h) promotes rapid degradation of ERα in MCF-7 breast cancer cells, with a half-life of 1.8 h[1].

In Vivo

Giredestrant (0.1-10 mg/kg; p.o.; once daily; for 4 consecutive days) acts as an ERα inverse agonist in vivo, which is evidenced by reduced uterine wet weight and a low cuboidal morphology of uterine epithelial cells at the oral dose of 10 mg/kg per day[1].

Giredestrant (0.1-1 mg/kg; p.o.; once daily; for 29 consecutive days) induces tumor regression in the ESR1Y537S-mutant ER+ breast cancer PDX model, even when administered at a daily oral dose as low as 0.1 mg/kg[1].

Giredestrant (3 mg/kg; p.o.; once daily; for 26 consecutive days) inhibits the growth of wild-type ER+ breast cancer xenografts by up to 79%; when combined with Palbociclib (HY-50767), it induces a maximum tumor regression of 108%[1].

SOLUBILITY

Soluble in DMSO, not in water

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. J Med Chem. 2021;64(16):11841-11856. doi:10.1021/acs.jmedchem.1c00847

[2]. Liang J, Ingalla ER, Yao X, et al. Giredestrant reverses progesterone hypersensitivity driven by estrogen receptor mutations in breast cancer. Sci Transl Med. 2022;14(663):eabo5959. doi:10.1126/scitranslmed.abo5959

[3]. Chen YC, Yu J, Metcalfe C, et al. Latest generation estrogen receptor degraders for the treatment of hormone receptor-positive breast cancer. Expert Opin Investig Drugs. 2022;31(6):515-529. doi:10.1080/13543784.2021.1983542

[4]. C Metcalfe, et al. Abstract P5-04-07: GDC-9545: A novel ER antagonist and clinical candidate that combines desirable mechanistic and pre-clinical DMPK attributes