Giredestrant

Cat. No.: HY-109176

CAS No.: 1953133-47-5

Molecular Formula: $C_{27}H_{31}F_5N_4O$

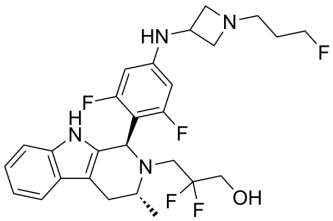
Molecular Weight: 523

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (95.60 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9120 mL	9.5602 mL	19.1205 mL
	5 mM	0.3824 mL	1.9120 mL	3.8241 mL
	10 mM	0.1912 mL	0.9560 mL	1.9120 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Giredestrant (GDC-9545), a non-steroidal estrogen receptor (ER) ligand, is an orally active and selective ER antagonist. Giredestrant potently competes with Estradiol for binding and induces a conformational change within the ER ligand binding domain. Giredestrant has anti-tumor activity[1].

IC_{50} & Target

ER

In Vitro

Giredestrant (GDC-9545) is a novel ER antagonist that combines desirable mechanistic and pre-clinical DMPK attributes. The

highly potent in vivo efficacy of Giredestrant likely arises due to the particular combination of high binding potency, full suppression of ER signaling, and an improved DMPK profile[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Discov. 2023 Nov 20.
- bioRxiv. 2023 Nov 2.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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

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