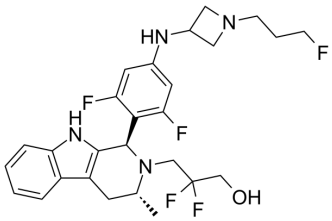
Giredestrant

Cat. No.:	HY-109176
CAS No.:	1953133-47-5
Molecular Formula:	$C_{27}H_{31}F_5N_4O$
Molecular Weight:	522.55
Target:	Estrogen Receptor/ERR
Pathway:	Others
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 (95.68 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9137 mL	9.5685 mL	19.1369 mL
5 mM	0.3827 mL	1.9137 mL	3.8274 mL
10 mM	0.1914 mL	0.9568 mL	1.9137 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.

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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