Dienogest

Cat. No.: HY-B0084

CAS No.: 65928-58-7

Molecular Formula: $C_{20}H_{25}NO_2$

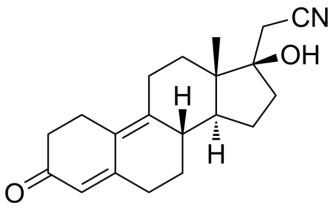
Molecular Weight: 311.42

Target: Progesterone Receptor

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (80.28 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2111 mL	16.0555 mL	32.1110 mL
5 mM	0.6422 mL	3.2111 mL	6.4222 mL
10 mM	0.3211 mL	1.6055 mL	3.2111 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 (8.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dienogest (STS-557) is an orally active and selective progesterone receptor agonist that effectively reduces the gene expression of COX-2, mPGES-1 and aromatase. Dienogest also inhibits the mRNA and protein expression of PGE_2 synthase and the activation of NF-κB. Dienogest can be used in studies of endometriosis, menopause and menorrhagia[1][2].

In Vitro

Dienogest (0.01-1 μM; 24 h) inhibits PGE_2 production via inhibition of PGE_2 synthase gene expressions with the concomitant inhibition of NF-κB activity in a spheroid culture[1].
Dienogest (0.1 μM; 24 h) inhibits aromatase expression gene along with COUP-TFII mRNA expression in a PGE_2-independent

manner[1].

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

Cell Viability Assay[1]

Cell Line:	EM-PR cells
Concentration:	0.01-1 μM
Incubation Time:	24 h
Result:	Inhibited PGE_2 production and suppressed NF-κB binding activity in the spheroid culture.

Cell Viability Assay[1]

Cell Line:	EM-PR cells
Concentration:	0.1 μM
Incubation Time:	24 h
Result:	Decreased the mRNA expression of the PGE_2 synthases COX-2 and mPGES-1 compared with the respective control. Inhibited aromatase mRNA expression and increased the expression of COUP-TFII mRNA level.

In Vivo

Dienogest (1 mg/kg; p.o.; once daily for 14 days) regulates angiogenesis in ectopic endometrial lesions in rat endometrial autograft model[2].

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

Animal Model:	Female Wistar rats (8 to 10-week-old; 180-220 g; rat endometrial autograft model)[2].
Dosage:	1 mg/kg
Administration:	Oral administration; once daily for 14 days.
Result:	Showed significant suppression of angiogenesis of endometrial autografts, as indicated by the reduced size of the microvascular network and decreased microvessel density. Significantly reduced the level of perivascular α-smooth muscle actin within endometrial grafts.

CUSTOMER VALIDATION

- Am J Transl Res. 2022 Apr 15;14(4):2184-2198.

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REFERENCES

[1]. Shimizu Y, et al. Dienogest, a synthetic progestin, inhibits prostaglandin E2 production and aromatase expression by human endometrial epithelial cells in a spheroid culture system. Steroids. 2011 Jan;76(1-2):60-7.

[2]. Katayama H, et al. Effect of dienogest administration on angiogenesis and hemodynamics in a rat endometrial autograft model. Hum Reprod. 2010 Nov;25(11):2851-8.

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

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