Nomegestrol acetate

Cat. No.: HY-105634A

CAS No.: 58652-20-3

Molecular Formula: $C_{23}H_{30}O_4$

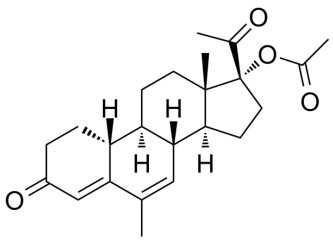
Molecular Weight: 370.48

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 : 62.5 mg/mL (168.70 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6992 mL	13.4960 mL	26.9920 mL
5 mM	0.5398 mL	2.6992 mL	5.3984 mL
10 mM	0.2699 mL	1.3496 mL	2.6992 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: ≥ 2.08 mg/mL (5.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nomegestrol acetate is an orally active, highly selective progestogen and a progesterone receptor complete agonist. Nomegestrol acetate inhibits ovulation. Nomegestrol acetate is also effective in inhibiting the proliferation of human endometrial cancer RL95-2 cells in vitro and in vivo. Nomegestrol acetate can be used in cancer (especially endometrial cancer) and contraceptive studies[1][2][3].

IC_{50} & Target

Progesterone receptor[3].

In Vitro

Nomegestrol acetate (0.3, 1, 3, 10, 30, 100 μM; 24, 48, 72 h) shows anti-proliferative activity against RL95-2 cells in a dose-dependent manner[1].
Nomegestrol acetate (4, 20, 100 μM; 6, 24, 48 h) upregulates protein levels of SUFU and Wnt7a in RL95-2 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	RL95-2 cells
Concentration:	0.3, 1, 3, 10, 30, and 100 μM
Incubation Time:	24, 48, 72 h
Result:	Inhibited the growth of RL95-2 cells in a concentration-dependent manner, with IC_{50} values of 19.88, 21.62 and 52.80 μM for 48, 72 and 24 h.

Western Blot Analysis[1]

Cell Line:	RL95-2 cells
Concentration:	4, 20, 100 μM
Incubation Time:	6, 24, 48 h
Result:	Increased the protein levels of SUFU and Wnt7a (relative to GAPDH expression) in a concentration-dependent manner.

In Vivo

Nomegestrol acetate (50, 100, 200 mg/kg; p.o.; single daily for 28 days) inhibits growth of RL95-2 xenograft tumors in vivo[1].
Nomegestrol acetate (100, 200 mg/kg; p.o.; single daily for 28 days) surpresses the expression of SUFU and Wnt7a in tumor tissues[1].
Nomegestrol acetate (1, 2.5 mg/rat; p.o.; single daily for 4 days) inhibits ovulation in rats[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female athymic nude mice (BALB/c; 18-20 g; 6 to 7-week-old; xenograft nude mouse model)[1].
Dosage:	50, 100, 200 mg/kg
Administration:	Oral gavage; single daily for 28 days
Result:	Reduced the growth of RL95-2 xenograft tumors in vivo. Upregulated the expression of SUFU and Wnt7a in a dose-dependent manner when at 100 and 200 mg/kg.

Animal Model:	Mature female Wistar rats (~200 g)[2].
Dosage:	1, 2.5 mg/rat
Administration:	Oral administration; single daily for 4 days
Result:	Showed only one animal ovulated after receiving 1 mg/kg per day, and no animals ovulated after receiving 2.5 mg/rat per day.

REFERENCES

[1]. Ma AY, et al. Nomegestrol Acetate Suppresses Human Endometrial Cancer RL95-2 Cells Proliferation In Vitro and In Vivo Possibly Related to Upregulating Expression of SUFU and Wnt7a. Int J Mol Sci. 2017 Jun 22;18(7):1337.

[2]. van Diepen HA, et al. Nomegestrol acetate: steroid receptor transactivation profile in Chinese hamster ovary cells and ovulation inhibition in rat and monkey. Contraception. 2011 Aug;84(2):199-204.

[3]. Mueck AO, et al. Nomegestrol acetate, a novel progestogen for oral contraception. Steroids. 2011 May;76(6):531-9.

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