

Product Data Sheet

Product Name: Levonorgestrel

Cat. No.: GC14704

Chemical Properties

Cas. No. 797-63-7

Chemical Name (8R,9S,10R,13S,14S,17R)-13-ethyl-17-ethynyl-17-hydroxy-1,2,6,7,8,9,10,11,12,14,15,16-dodecahydrocyclopenta[a]phenanthren-3-one

SMILES CCC12CCC3C(C1CCC2(C#C)O)CCC4=CC(=O)CCC34

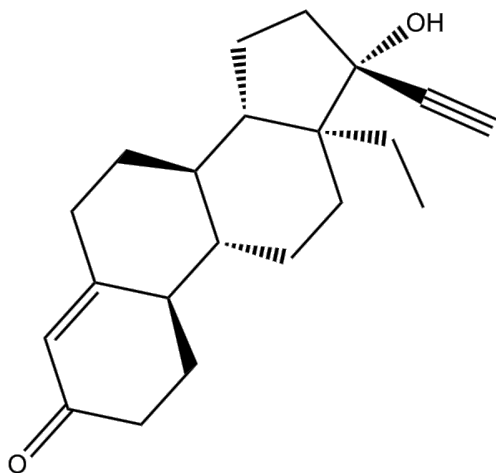
Formula $C_{21}H_{28}O_2$ M.Wt 312.45

Solubility $\geq 13.8\text{mg/mL}$ in DMSO Storage Store at 2-8°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

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

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Cell experiment [1]:

Cell lines	Human endometrial stromal cells (HESCs) and glandular cells (HEGCs)
Preparation Method	HESCs and HEGCs were placed in 200 μL of culture media per well in a 96-well plate with a density of 2×10^4 cells/mL and incubated overnight to allow the cells to attach to the wells. The cells were then treated with 2 μL of Levonorgestrel in a concentration course manner (1×10^{-3}, 10, 25, or 50 μM) and in a time course (24h, 48h, and 72h), with Estradiol (E2) as the opposite control, and DMSO (0.5%) as the negative control. The concentration of Levonorgestrel displaying an inhibitory effect and that of E2 exhibiting a stimulatory effect on cell proliferation (both were 50 μM) were adopted as the final treating concentrations during the followed experiments determined according to the preliminary experiments. The concentration of 50 μM Levonorgestrel is similar to that in the vivo endometrium in the presence of a mirena with a releasing rate of 20 μg/24 hours of Levonorgestrel. Subsequently, the MTT method was used for detection.
Reaction Conditions	1×10^{-3} , 10, 25, or 50 μ M ; 24h, 48h and 72h
Applications	50 μ M Levonorgestrel inhibited the cell proliferation of primary HESCs significantly over time (24h, 48h, and 72h).

Animal experiment [2]:

Animal models	C57BL/6
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Preparation Method Eight-week-old C57BL/6 J mice were used in this study (Shanghai Research Center for Model Organisms). All animal experiments were approved by the Medical Ethics Committee of Shanghai Research Center for Model Organisms. The mice were housed in a room at 25°C with a 12-h light:12-h dark cycle and 50–60% humidity and were given a standard diet (containing 10% fat) and water. Female mice (6–8 weeks of age) were mated randomly, and vaginal plug-positive mice were immediately injected intraperitoneally with saline, Levonorgestrel (8mg/kg), or Progesterone (8mg/kg). Twelve hours after observation of the vaginal plug, the mice were sacrificed via cervical dislocation to measure the CBF. Embryo-tube transportation assays were conducted as described by Ning et al. Seventy-four hours after observation of the vaginal plug, the oviducts and uterus were ligated. The embryos were flushed from the oviducts or uteri with PBS. We counted the embryos remaining in the oviducts, and the results are expressed as percentages of the total number of embryos.

Dosage form 8mg/kg once; i.p.

Applications All the mice in the Levonorgestrel group experienced embryo-tube retention, with an average percentage of embryo retention of 18.27%, whereas none of the mice in the saline-treated group experienced embryo-tube retention. Consistently, the same effect was also observed in the Progesterone group, which had an average percentage of embryo retention of 15.37%, compared with the control group, which had an average percentage of 0%.

References:

- [1]Zhao X, Tang X, Ma T, et al. Levonorgestrel inhibits human endometrial cell proliferation through the upregulation of gap junctional intercellular communication via the nuclear translocation of Ser255 phosphorylated Cx43[J]. BioMed Research International, 2015, 2015(1): 758684.
- [2]Li C, Zhang H Y, Liang Y, et al. Effects of Levonorgestrel and progesterone on Oviductal physiology in mammals[J]. Reproductive Biology and Endocrinology, 2018, 16(1): 59.

Background

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Levonorgestrel is an effective oral progesterone inhibitor [1]. Levonorgestrel can be used for contraception and can be combined with other drugs. Levonorgestrel has anti-cancer activity and can induce cell apoptosis, and is used in the research of osteoporosis and uterine leiomyoma [2].

In vitro, Levonorgestrel (5-25µg/mL; 72h) can inhibit cell proliferation and promote cell apoptosis in uterine smooth muscle tumor cells in a concentration-dependent manner. It can significantly reduce the expression of Bcl-2 and Survivin, increase the phosphorylation level of p38, and activate caspase 3 [2]. Levonorgestrel (50µM) has a time-dependent inhibitory effect on the proliferation of HESCs and HEGCs, and significantly increases cell apoptosis. Levonorgestrel causes a time-dependent increase in the total Cx43 content, but does not cause changes in Ser368 phosphorylated Cx43, while Ser255 phosphorylated Cx43 shows a nuclear translocation phenomenon [3].

In vivo, after random mating in mice, Levonorgestrel (8mg/kg) is intraperitoneally injected immediately, and the frequency of ciliary beating of the fallopian tubes (CBF) in mice decreases significantly. The average percentage of embryo-tube retention in the Levonorgestrel group is 18.27% [4]. Oral administration of Levonorgestrel (0.67mg/kg/day) and quinestrol for 7 days significantly reduces sperm count in SD rats and decreases androgen receptor and Wilms tumor nuclear protein 1 (WT1) staining. Quinestrol alone or in combination with Levonorgestrel induces low fertility in male rats, primarily by interfering with reproductive cell differentiation [5].

References:

- [1] Tellería CM, Carrizo DG, Deis RP. Levonorgestrel inhibits luteinizing hormone-stimulated progesterone production in rat luteal cells. J Steroid Biochem Mol Biol. 1994 Aug;50(3-4):161-6.
- [2] Xu Qing, et al. Levonorgestrel inhibits proliferation and induces apoptosis in uterine leiomyoma cells. Contraception vol. 82,3 (2010): 301-8.
- [3] Zhao X, Tang X, Ma T, et al. Levonorgestrel inhibits human endometrial cell proliferation through the upregulation of gap junctional intercellular communication via the nuclear translocation of Ser255 phosphorylated Cx43[J]. BioMed Research International, 2015, 2015(1): 758684.
- [4] Li C, Zhang H Y, Liang Y, et al. Effects of Levonorgestrel and progesterone on Oviductal physiology in mammals[J]. Reproductive Biology and Endocrinology, 2018, 16(1): 59.
- [5] Liu M, Wan X, Yin Y, et al. Subfertile effects of quinestrol and levonorgestrel in male rats[J]. Reproduction, Fertility and Development, 2012, 24(2): 297-308.

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