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产品名称: 炔诺酮乙酸酯

产品别名: **Norethindrone acetate; 醋酸炔诺酮; 19-Norethindrone acetate**

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                               |           |            |
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| 生物活性:                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                               |           |            |
| Description               | Norethindrone acetate is a female progestin approved by FDA for the treatment of endometriosis, uterine bleeding caused by abnormal hormone levels, and secondary amenorrhea.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                               |           |            |
| IC <sub>50</sub> & Target | Progesterone Receptor[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                               |           |            |
| In Vivo                   | <p>Norethindrone acetate could be a cost-effective alternative with relatively mild side effects in the treatment of symptomatic endometriosis. Subjects treated with norethindrone acetate obtain dysmenorrhea and noncyclic pelvic pain relief[1]. Norethindrone acetate alone is a well-tolerated, effective option to manage pain and bleeding for all stages of endometriosis. Post-Norethindrone acetate bleeding scores are improved regardless of prior hormonal regimen, and post-Norethindrone acetate pain scores improved in all patients except for those previously prescribed GnRH-agonist plus add-back[2]. Norethindrone acetate shows low acute toxicity in experimental animals and is consistent with the lack of toxicity seen in humans. Administration of norethindrone acetate alone to rodents at several multiples of the human dose results in no treatment related mortality, hematological changes, behavioral changes, or organ pathology[3]. Norethindrone acetate administration leads to significant and proportional reductions of the concentrations of triglycerides, cholesterol and phospholipids of plasma lipoproteins of density &lt;1.006 of rats fed a high carbohydrate diet. Norethindrone acetate (0.1 mM) also significantly inhibits the incorporation of both palmitate and glycerol into triglycerides of isolated hepatocytes from fed rats[4].</p> |                               |           |            |
| Solvent&Solubility        | <p><b>In Vitro:</b><br/><b>DMSO : ≥ 100 mg/mL (293.72 mM)</b><br/><b>H<sub>2</sub>O : 0.67 mg/mL (1.97 mM; Need ultrasonic)</b><br/>* "≥" means soluble, but saturation unknown.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                               |           |            |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | Solvent Mass<br>Concentration | 1 mg      | 5 mg       |
|                           | Preparing                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 1 mM                          | 2.9372 mL | 14.6860 mL |
|                           | Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 5 mM                          | 0.5874 mL | 2.9372 mL  |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 10 mM                         | 0.2937 mL | 1.4686 mL  |
|                           | <p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。</p> <p><b>In Vivo:</b></p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:</p> <p>——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                               |           |            |



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|-----------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|                       | <p>Solubility: <math>\geq 2.5</math> mg/mL (7.34 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (7.34 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 <math>\mu</math>L PEG300 中, 混合均匀向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2. 请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (7.34 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (7.34 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中, 混合均匀。</p> <p>3. 请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (7.34 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (7.34 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p> |
| References            | <p>[1]. Muneyyirci-Delale O, et al. Effect of norethindrone acetate in the treatment of symptomatic endometriosis. Int J Fertil Womens Med. 1998 Jan-Feb;43(1):24-7.</p> <p>[2]. Kaser DJ, et al. Use of norethindrone acetate alone for postoperative suppression of endometriosis symptoms. J Pediatr Adolesc Gynecol. 2012 Apr;25(2):105-8.</p> <p>[3]. Maier WE, et al. Pharmacology and toxicology of ethinyl estradiol and norethindrone acetate in experimental animals. Regul Toxicol Pharmacol. 2001 Aug;34(1):53-61.</p> <p>[4]. Cheng DC, et al. Norethindrone acetate inhibition of triglyceride synthesis and release by rat hepatocytes. Atherosclerosis. 1983 Jan;46(1):41-8.</p>                                                                                                                                                                                                                                                                                                                                                                                          |
| 实验参考:                 |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |
| Animal Administration | <p>Rats: Female Sprague-Dawley rats (200-210 g), 6 of which serve as controls, are individually caged in an animal room illuminated from 9:00 a.m. to 9:00 p.m. Each of the 7 rats receiving norethindrone acetate is fed 35 <math>\mu</math>g/day for 2 weeks. Water and the rat chow which is high in carbohydrate are available ad libitum[4].</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| References            | <p>[1]. Muneyyirci-Delale O, et al. Effect of norethindrone acetate in the treatment of symptomatic endometriosis. Int J Fertil Womens Med. 1998 Jan-Feb;43(1):24-7.</p> <p>[2]. Kaser DJ, et al. Use of norethindrone acetate alone for postoperative suppression of endometriosis symptoms. J Pediatr Adolesc Gynecol. 2012 Apr;25(2):105-8.</p> <p>[3]. Maier WE, et al. Pharmacology and toxicology of ethinyl estradiol and norethindrone acetate in experimental animals. Regul Toxicol Pharmacol. 2001 Aug;34(1):53-61.</p> <p>[4]. Cheng DC, et al. Norethindrone acetate inhibition of triglyceride synthesis and release by rat hepatocytes. Atherosclerosis. 1983 Jan;46(1):41-8.</p>                                                                                                                                                                                                                                                                                                                                                                                          |