

产品名称: **Dienogest**
 产品别名: 地诺孕素片

生物活性:

Description

Dienogest(STS-557) is a specific progesterone receptor agonist with potent oral endometrial activity and is used in the treatment of endometriosis. Target: progesterone receptor agonist Dienogest is an orally active synthetic progesterone (or progestin). It is available for use as an oral contraceptive in combination with ethinylestradiol. It has antiandrogenic activity and as a result can improve androgenic symptoms. It is a non-ethinylated progestin which is structurally related to testosterone [1]. Complete sperm suppression was observed in rats sacrificed either 60 or 90 days after dienogest (DNG)+ testosterone undecanoate (TU) administration, for two injections at 45-day interval. The neutral α -glucosidase activity in these treated rats remained in the normal range. Germ cell loss due to apoptosis was frequently observed both after 60 or 90 days of combination treatment. Significant decline in serum gonadotropin and testosterone, both serum and intratesticular levels, were observed in the treated rats. Following stoppage of treatment (given at 45-day interval) after two (0 and 45 days) or three injections (0, 45 and 90 days), complete restoration of spermatogenesis was observed by 120 and 165 days, respectively [2]. Clinical indications: Adenomyosis; Endometriosis FDA Approved Date: 1995 Toxicity: weight gain; increased blood pressure; breast tenderness and nausea

In Vitro:

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

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing	1 mM		3.2111 mL	16.0555 mL	32.1110 mL
Stock Solutions	5 mM		0.6422 mL	3.2111 mL	6.4222 mL
	10 mM		0.3211 mL	1.6055 mL	3.2111 mL

*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。

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。

In Vivo:

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：

——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

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.03 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中，混合均匀；向上述体系中加入 50 μ L Tween-80，混合均匀；然后继续加入 450 μ L 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE- β -CD in saline)

Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.03 mM，饱和度未知) 的澄清溶液。

Solvent&Solubility

	<p>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-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 \rightarrow90% corn oil</p> <p>Solubility: \geq 2.5 mg/mL (8.03 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (8.03 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 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
References	<p>[1]. <u>Oettel M, et al. Effect of ethinyl estradiol-dienogest combination on serum androgen concentrations. Zentralbl Gynakol. 1997;119(12):597-606.</u></p> <p>[2]. <u>Meena R, et al. Extended intervention time and evaluation of sperm suppression by dienogest plus testosterone undecanoate in male rat. Contraception. 2012 Jan;85(1):113-21.</u></p>



源叶生物