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产品名称: 法偈唑  
产品别名: **Fadrozole**

生物活性:				
Description	Fadrozole is a potent, selective and nonsteroidal inhibitor of aromatase with an IC <sub>50</sub> of 6.4 nM.			
IC <sub>50</sub> & Target	IC <sub>50</sub> : 6.4 nM (aromatase)[1]			
In Vitro	Fadrozole hydrochloride is a very potent inhibitor of both human placental and rat ovarian aromatase. In hamster ovarian slices, fadrozole hydrochloride inhibits the production of estrogen with an IC <sub>50</sub> of 0.03 μM. The production of progesterone is inhibited with an IC <sub>50</sub> of 120 μM. Synthesis of other cytochrome P-450 dependent steroids can be suppressed to various degrees with higher doses of fadrozole hydrochloride. [1].			
In Vivo	Fadrozole hydrochloride is able to inhibit the aromatase-mediated androstenedione-induced uterine hypertrophy in immature female rats with an ED <sub>50</sub> of 0.03 mg/kg when given orally. In the same model, aminoglutethimide elicits the same effect with an ED <sub>50</sub> of 30 mg/kg when given orally[1]. Fadrozole hydrochloride prevents the development of both benign and malignant spontaneous mammary neoplasms in female Sprague-Dawley rats. It also slows the spontaneous development of pituitary pars distalis tumors in female rats, and reduces the number of spontaneous pituitary tumors in male and female rats[2]. Administration of fadrozole in male and female mice suppresses the production of 17β-estradiol, accompanied with a 70% reduction in parasite burden. This protective effect is associated in male mice with a recovery of the specific cellular immune response. Interleukin-6 (IL-6) serum levels, and its production by splenocytes, is augmented by 80%, together with a 10-fold increase in its expression in testes of infected male mice. Fadrozole treatment returns these levels to baseline values[3].			
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : ≥ 100 mg/mL (447.89 mM)</b>  * "≥" means soluble, but saturation unknown.			
		Solvent / Mass Concentration	1 mg	5 mg
	Preparing	1 mM	4.4789 mL	22.3944 mL
	Stock Solutions	5 mM	0.8958 mL	4.4789 mL
		10 mM	0.4479 mL	2.2394 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°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 <b>In Vivo:</b> 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				



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	<p>Solubility: <math>\geq 2.17</math> mg/mL (9.72 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.17</math> mg/mL (9.72 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 21.7 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 2.17</math> mg/mL (9.72 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.17</math> mg/mL (9.72 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 21.7 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 2.17</math> mg/mL (9.72 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.17</math> mg/mL (9.72 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 21.7 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]. Browne LJ, et al. Fadrozole hydrochloride: a potent, selective, nonsteroidal inhibitor of aromatase for the treatment of estrogen-dependent disease. J Med Chem. 1991 Feb;34(2):725-36.</p> <p>[2]. Gunson DE, et al. Prevention of spontaneous tumours in female rats by fadrozole hydrochloride, an aromatase inhibitor. Br J Cancer. 1995 Jul;72(1):72-5.</p> <p>[3]. Morales-Montor J, et al. Inhibition of p-450 aromatase prevents feminisation and induces protection during cysticercosis. Int J Parasitol. 2002 Oct;32(11):1379-87.</p>
实验参考:	
Animal Administration	<p>Rats: Rats are treated with daily dosing with fadrozole hydrochloride (CGS 16949A) in purified water by gavage for 2 years. There are 60 rats in each of four groups given 0, 0.05, 0.25 or 1.25 mg/kg daily. Control rats receive only water. Clinical signs are recorded weekly and the animals are examine for palpable masses every 4 weeks for the first 9 months, then every 2 weeks for the remainder of the study[2].</p> <p>Mice: Fadrozole is administered in the form of sub-dermal long-term release pellets (20 mg/wt kg, in three-week-release pellets), starting 1 week prior to the infection, using a 10-gauge needle. Three pellets are administrated during the study. Placebo pellets are administered to another group of infected mice, in the same fashion as the inhibitor. After 1 week, mice are infected and killed 8 weeks later[3].</p>
References	<p>[1]. Browne LJ, et al. Fadrozole hydrochloride: a potent, selective, nonsteroidal inhibitor of aromatase for the treatment of estrogen-dependent disease. J Med Chem. 1991 Feb;34(2):725-36.</p> <p>[2]. Gunson DE, et al. Prevention of spontaneous tumours in female rats by fadrozole hydrochloride, an aromatase inhibitor. Br J Cancer. 1995 Jul;72(1):72-5.</p> <p>[3]. Morales-Montor J, et al. Inhibition of p-450 aromatase prevents feminisation and induces protection during cysticercosis. Int J Parasitol. 2002 Oct;32(11):1379-87.</p>