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# 产品名称：维司力农
# 产品别名：Vesnarinone；OPC-8212

## 生物活性：

**Description**

Vesnarinone is a quinolinone derivative, and its pharmacodynamic effects include inhibition of phosphodiesterase III (PDE3) activity, increases in calcium flux and decreases in potassium flux. IC50 value: 1.1 μM (for HERG current) Target: PDE3 in vitro: HERG current is inhibited by Vesnarinone with an IC50 of 1.1 μM, whereas KvLQT1/minK current is not significantly depressed by Vesnarinone even at 30 μM. The IC50 value for Vesnarinone inhibition of HERG channels is 1 μM. The IC50 for Vesnarinone inhibition of PDE is reported to be 300 μM. [1] Vesnarinone is a novel cytokine inhibitor, for the treatment of lung fibrosis using a murine model of bleomycin (BLM)-induced pulmonary fibrosis. Vesnarinone inhibits BLM-induced pulmonary fibrosis, at least in part, by the inhibition of acute lung injuries in the early phase. [2] Vesnarinone is a new and novel inotropic drug that has unique and complex mechanisms of action. Vesnarinone inhibits phosphodiesterase, thereby leading to increased intracellular calcium, and also affects numerous myocardial ion channels, resulting in the prolongation of the opening time of sodium channels and the decrease in the delayed outward and inward rectifying potassium current. Vesnarinone has also demonstrated significant effects on cytokine production, which may account for some of its observed clinical benefits.[3] Vesnarinone plays an important role in the regulation of cytokines and suggest that the reduction of cytokine release may contribute to the beneficial effects of the drug in the treatment of heart failure. Vesnarinone inhibits the production of TFN-a and IFN-y by LPS stimulated whole blood from patients with heart failure and from healthy volunteers. [4] in vivo: Vesnarinone reduces the circulating levels of TNF-α. Cumulative evidence showed that a variety of cytokine are involved in the pathogenesis of pulmonary fibrosis. [2]

**Solvent&Solubility**

***In Vitro:***

**DMSO： 16.67 mg/mL (42.15 mM;** Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.5288 mL | 12.6438 mL | 25.2876 mL |
| 5 mM | 0.5058 mL | 2.5288 mL | 5.0575 mL |
| 10 mM | 0.2529 mL | 1.2644 mL | 2.5288 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。

***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: ≥ 1.67 mg/mL (4.22 mM); Clear solution<br>此方案可获得 ≥ 1.67 mg/mL (4.22 mM，饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。<br><br>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)<br>Solubility: ≥ 1.67 mg/mL (4.22 mM); Clear solution<br>此方案可获得 ≥ 1.67 mg/mL (4.22 mM，饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例，取 100 μL 16.699999 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。<br><br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 1.67 mg/mL (4.22 mM); Clear solution<br>此方案可获得 ≥ 1.67 mg/mL (4.22 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。<br>以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |
| **References** | [1]. Katayama Y, et al. Inhibitory effects of Vesnarinone on cloned cardiac delayed rectifier K(+) channels expressed in a mammalian cell line. J Pharmacol Exp Ther. 2000 Jul;294(1):339-46.<br>[2]. Inage M, et al. Vesnarinone represses the fibrotic changes in murine lung injury induced by bleomycin. Int J Biol Sci. 2009;5(4):304-10.<br>[3]. Cavusoglu E, et al. Vesnarinone: a new inotropic agent for treating congestive heart failure. J Card Fail. 1995 Jun;1(3):249-57.<br>[4]. Matsumori A, et al. Vesnarinone, a new inotropic agent, inhibits cytokine production by stimulated human blood from patients with heart failure. Circulation. 1994 Mar;89(3):955-8. |