上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

# 产品名称：匹立尼酸
# 产品别名：Pirinixic acid；Wy-14643

## 生物活性：

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| **Description** | Pirinixic acid (Wy-14643) is a potent agonist of PPARα, with $EC_{50}$s of 0.63 μM, 32 μM for murine PPARα and PPARγ, and 5.0 μM, 60 μM, 35 μM for human PPARα, PPARγ and PPARδ, respectively. |

| **$IC_{50}$ & Target** | PPARα | PPARγ |
|---|---|---|
| | 0.63 μM ($EC_{50}$) | 32 μM ($EC_{50}$) |

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| **In Vitro** | Pirinixic acid (Wy-14643) is an agonist of PPARα, with EC50s of 0.63 μM, 32 μM for murine PPARα and PPARγ, and 5.0 μM, 60 μM, 35 μM for human PPARα, PPARγ and PPARδ, respectively[1]. Pirinixic acid (Wy-14643; 0, 10, 100 μM) enhances protein expression of PPAR-α in synovial fibroblasts. Pirinixic acid (0, 10, 100 μM) shows inhibitroy effects on NO and PGE2 production in LPS-stimulated synovial fibroblasts. Pirinixic acid also effectively downregulates expression of inflammatory mediators such as VCAM-1, ICAM-1, ET-1, and TF in synovial fibroblasts, blocks LPS-induced NF-kB activation, IkB phosphorylation, and NF-kB nuclear translocation in synovial fibroblasts, but Pirinixic acid shows no effects in PPAR-α silenced cells[2]. |
| **In Vivo** | Pirinixic acid (Wy-14643; 10 mg/kg, i.v.) decreases hepatic injury and lipid peroxidation (MDA) levels in obese rats. Pirinixic acid also causes increased SIRT1 activity in Sham and ischemia-reperfusion (IR) group, but shows no effects on SIRT3 protein expression. Pirinixic acid enhances $NAD^+$, and ATP levels, and prevents endoplasmic reticulum stress (ERS) in rats[3]. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : 100 mg/mL** (**308.83 mM;** Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.0883 mL | 15.4416 mL | 30.8833 mL |
| | 5 mM | 0.6177 mL | 3.0883 mL | 6.1767 mL |
| | 10 mM | 0.3088 mL | 1.5442 mL | 3.0883 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: ≥ 2.5 mg/mL (7.72 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.72 mM，饱和度未知) 的澄清溶液。

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| | 以 1 mL 工作液为例，取 100 μL 25.0 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: ≥ 2.5 mg/mL (7.72 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (7.72 mM，饱和度未知) 的澄清溶液。<br>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。<br><br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 2.5 mg/mL (7.72 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (7.72 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。<br>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。 |
| **References** | [1]. Willson TM, et al. The PPARs: from orphan receptors to drug discovery. J Med Chem. 2000 Feb 24;43(4):527-50.<br>[2]. Huang D, et al. PPAR-α Agonist WY-14643 Inhibits LPS-Induced Inflammation in Synovial Fibroblasts via NF-kB Pathway. J Mol Neurosci. 2016 Aug;59(4):544-53.<br>[3]. Pantazi E, et al. PPARα Agonist WY-14643 Induces SIRT1 Activity in Rat Fatty Liver Ischemia-Reperfusion Injury. Biomed Res Int. 2015;2015:894679. |

**实验参考：**

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| **Cell Assay** | Synovial fibroblasts are treated with LPS (100 μg/mL) in the presence or absence of Pirinixic acid. PPAR-α siRNA-transfected cells are also treated with LPS (100 μg/mL) together with Pirinixic acid. After stimulation, the production of NO is determined using Griess reagents. Briefly, 300 μL of supernatant is mixed with 100 μL of Griess reagent and 2.6 mL of deionized water. The mixture is incubated for 30 min at room temperature, and the absorbance at 548 nm is measured. The concentrations of NO in the supernatants are calculated from a standard curve[2]. |
| **Animal Administration** | Synovial fibroblasts are treated with LPS (100 μg/mL) in the presence or absence of Wy-14643. PPAR-α siRNA-transfected cells are also treated with LPS (100 μg/mL) together with Wy-14643. After stimulation, the production of NO is determined using Griess reagents. Briefly, 300 μL of supernatant is mixed with 100 μL of Griess reagent and 2.6 mL of deionized water. The mixture is incubated for 30 min at room temperature, and the absorbance at 548 nm is measured. The concentrations of NO in the supernatants are calculated from a standard curve[2]. |
| **References** | [1]. Willson TM, et al. The PPARs: from orphan receptors to drug discovery. J Med Chem. 2000 Feb 24;43(4):527-50.<br>[2]. Huang D, et al. PPAR-α Agonist WY-14643 Inhibits LPS-Induced Inflammation in Synovial Fibroblasts via NF-kB Pathway. J Mol Neurosci. 2016 Aug;59(4):544-53.<br>[3]. Pantazi E, et al. PPARα Agonist WY-14643 Induces SIRT1 Activity in Rat Fatty Liver Ischemia-Reperfusion Injury. Biomed Res Int. 2015;2015:894679. |