



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](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

产品名称: **2,4-PyriMidinediaMine,**  
**5-[5-iodo-4-Methoxy-2-(1-Methylethyl)phenoxy]-**  
产品别名: **AF-353; Ro-4**

生物活性:

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                                                        |           |            |            |
|---------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------|-----------|------------|------------|
| Description               | AF-353 (Ro-4) is a potent, selective and orally bioavailable P2X3/P2X2/3 receptor antagonist, with a pIC50 of 8.0 for both human and rat P2X3, and with a pIC50 of 7.3 for human P2X2/3[1][2].                                                                                                                                                                                                                                                                                                                                                                          |                                                                        |           |            |            |
| IC <sub>50</sub> & Target | pIC50: 8.0 (human P2X3), 8.0 (rat P2X3), 7.3 (human P2X2/3)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                                                                        |           |            |            |
| In Vitro                  | AF-353 (Ro-4) is a highly potent inhibitor of α,β-meATP-evoked intracellular calcium flux in cell lines expressing recombinant rat and human P2X3 and human P2X2/3 channels[1].<br><br>AF-353 (Ro-4) also blocks human P2X2/3 channel function with marginally reduced potency with a p50 of 7.3[1].                                                                                                                                                                                                                                                                    |                                                                        |           |            |            |
| In Vivo                   | AF-353 (Ro-4) does not compromise oxygen levels or cardiac function[2].<br><br>AF-353 (Ro-4) (10 mg/kg, 20 mg/kg; i.v.; for 4-6 hours) inhibits the purinergic response in both normal and spinal cord-injured (SCI) rats[2].<br><br>AF-353 (Ro-4) (10 mg/kg, 20 mg/kg; i.v.; for 4-6 hours) also reduces the inter-contractile interval in normal but not in SCI rats; however, the frequency of non-voiding (NVC) in SCI rats is significantly reduced[2].                                                                                                            |                                                                        |           |            |            |
|                           | Animal Model:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | Female Sprague-Dawley rats (250–300 g) bearing SCI[2]                  |           |            |            |
|                           | Dosage:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | 10 mg/kg, 20 mg/kg                                                     |           |            |            |
|                           | Administration:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | Intravenous injection; interval of 90 minutes, for 4 hours to 6 hours  |           |            |            |
|                           | Result:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | Significantly reduced purinergic response in both normal and SCI rats. |           |            |            |
| Solvent&Solubility        | <b>In Vitro:</b><br><b>DMSO : 100 mg/mL (249.87 mM; Need ultrasonic)</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                                                        |           |            |            |
|                           | <div>Preparing Stock Solutions</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    | <div>Solvent / Mass / Concentration</div>                              | 1 mg      | 5 mg       | 10 mg      |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 1 mM                                                                   | 2.4987 mL | 12.4934 mL | 24.9869 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 5 mM                                                                   | 0.4997 mL | 2.4987 mL  | 4.9974 mL  |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 10 mM                                                                  | 0.2499 mL | 1.2493 mL  | 2.4987 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> <p>Solubility: ≥ 2.5 mg/mL (6.25 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (6.25 mM, 饱和度未知) 的澄清溶液。</p> |                                                                        |           |            |            |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                                                        |           |            |            |
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|            | <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</math> 2.5 mg/mL (6.25 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (6.25 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</math> 2.5 mg/mL (6.25 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (6.25 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]. Gever JR, et al. AF-353, a novel, potent and orally bioavailable P2X3/P2X2/3 receptor antagonist. Br J Pharmacol. 2010 Jul;160(6):1387-1398.</p> <p>[2]. Munoz A, et al. Modulation of bladder afferent signals in normal and spinal cord-injured rats by purinergic P2X3 and P2X2/3 receptors. BJU Int. 2012 Oct;110(8 Pt B):E409-414.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |

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