



上海源叶生物科技有限公司  
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**产品名称: Saquinavir**  
**产品别名: Ro 31-8959**

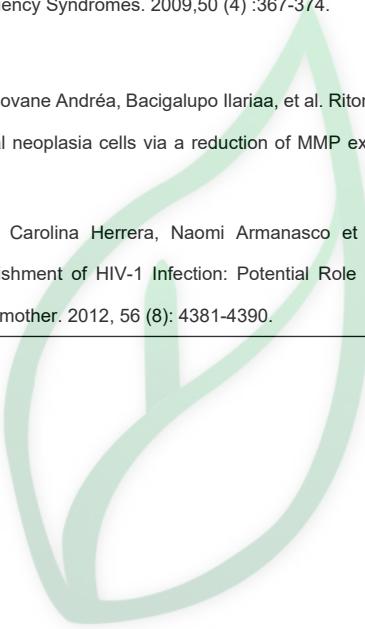
**生物活性:**

| <b>Description</b>            | <p>Saquinavir(Ro 31-8959) is an HIV Protease inhibitor used in antiretroviral therapy. IC50 Value: Target: HIV Protease Saquinavir is a protease inhibitor. Proteases are enzymes that cleave protein molecules into smaller fragments. HIV protease is vital for both viral replication within the cell and release of mature viral particles from an infected cell. Saquinavir binds to the active site of the viral protease and prevents cleavage of viral polyproteins, preventing maturation of the virus. Saquinavir inhibits both HIV-1 and HIV-2 proteases. Studies have also looked at Saquinavir as a possible anti-cancer agent.</p>  |                           |                              |            |       |       |      |           |           |            |      |           |           |           |       |           |           |           |
|-------------------------------|---|---------------------------|------------------------------|------------|-------|-------|------|-----------|-----------|------------|------|-----------|-----------|-----------|-------|-----------|-----------|-----------|
|                               | <p><b>In Vitro:</b><br/>DMSO : 100 mg/mL (149.07 mM; Need ultrasonic)</p> <table border="1"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent / Mass Concentration</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr></thead><tbody><tr><td>1 mM</td><td>1.4907 mL</td><td>7.4533 mL</td><td>14.9067 mL</td></tr><tr><td>5 mM</td><td>0.2981 mL</td><td>1.4907 mL</td><td>2.9813 mL</td></tr><tr><td>10 mM</td><td>0.1491 mL</td><td>0.7453 mL</td><td>1.4907 mL</td></tr></tbody></table>  | Preparing Stock Solutions | Solvent / Mass Concentration | 1 mg       | 5 mg  | 10 mg | 1 mM | 1.4907 mL | 7.4533 mL | 14.9067 mL | 5 mM | 0.2981 mL | 1.4907 mL | 2.9813 mL | 10 mM | 0.1491 mL | 0.7453 mL | 1.4907 mL |
| Preparing Stock Solutions     | Solvent / Mass Concentration  |                           | 1 mg                         | 5 mg       | 10 mg |       |      |           |           |            |      |           |           |           |       |           |           |           |
|                               | 1 mM  | 1.4907 mL                 | 7.4533 mL                    | 14.9067 mL |       |       |      |           |           |            |      |           |           |           |       |           |           |           |
| 5 mM                          | 0.2981 mL   | 1.4907 mL                 | 2.9813 mL                    |            |       |       |      |           |           |            |      |           |           |           |       |           |           |           |
| 10 mM                         | 0.1491 mL   | 0.7453 mL                 | 1.4907 mL                    |            |       |       |      |           |           |            |      |           |           |           |       |           |           |           |
| <b>Solvent&amp;Solubility</b> | <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。<br/>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。</p> <p><b>In Vivo:</b><br/>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:<br/>——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<br/>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br/>Solubility: ≥ 2.5 mg/mL (3.73 mM); Clear solution<br/>此方案可获得 ≥ 2.5 mg/mL (3.73 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 400 μL PEG300 中, 混合均匀<br/>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 (3.73 mM); Clear solution<br/>此方案可获得 ≥ 2.5 mg/mL (3.73 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 (3.73 mM); Clear solution</p> |                           |                              |            |       |       |      |           |           |            |      |           |           |           |       |           |           |           |



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|                   |  |
|-------------------|--|
|                   | <p>此方案可获得 <math>\geq 2.5 \text{ mg/mL}</math> (3.73 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\text{L}</math> 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu\text{L}</math> 玉米油中, 混合均匀。</p>   |
| <b>References</b> | <p>[1]. Marco Donia, Danijela Maksimovic-Ivanic, Sanja Mijatovic, et al. In vitro and in vivo anticancer action of Saquinavir-NO, a novel nitric oxide-derivative of the protease inhibitor saquinavir, on hormone resistant prostate cancer cells. <i>Cell cycle.</i> 2011, 10(3): 492 - 499.</p> <p>[2]. Walmsley Sharon, Avihingsanon Anchalee, Slim Jihad et al. Gemini: A Noninferiority Study of Saquinavir/Ritonavir Versus Lopinavir/Ritonavir as Initial HIV-1 Therapy in Adults. <i>J AIDS Journal of Acquired Immune Deficiency Syndromes.</i> 2009, 50 (4) :367-374.</p> <p>[3]. Saquinavir</p> <p>[4]. Barillari Giovannia, Iovane Andréa, Bacigalupo Ilariaa, et al. Ritonavir or saquinavir impairs the invasion of cervical intraepithelial neoplasia cells via a reduction of MMP expression and activity. <i>AIDS.</i> 2012, 26 (8): 909-919.</p> <p>[5]. Martha Stefanidou, Carolina Herrera, Naomi Armanasco et al. Saquinavir Inhibits Early Events Associated with Establishment of HIV-1 Infection: Potential Role for Protease Inhibitors in Prevention. <i>Antimicrob. Agents Chemother.</i> 2012, 56 (8): 4381-4390.</p> |



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