



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: 扎替雷定

产品别名: **Zatebradine; UL-FS-49 free base; UL-FS-49CL free base**

生物活性:

|                                                   |                                                                                                                                                                                                                                                                                                                                                                |                                                                                                                                                                                                                       |           |            |            |
|---------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------|------------|------------|
| Description                                       | Zatebradine (UL-FS-49 (free base); UL-FS-49CL (free base)) is a potent inhibitor of hyperpolarization-activated cyclic nucleotide-gated (HCN) channels with an IC50 value of 1.96 μM. Zatebradine blocks the slow inward current through human HCN1, HCN2, HCN3 and HCN4 channels, with IC50 values of 1.83 μM, 2.21 μM, 1.90 μM and 1.88 μM, respectively[1]. |                                                                                                                                                                                                                       |           |            |            |
| IC50 & Target                                     | IC50: 1.96 μM (HCN channels)[1]                                                                                                                                                                                                                                                                                                                                |                                                                                                                                                                                                                       |           |            |            |
| In Vitro                                          | The use-dependent blockade by Zatebradine of the cardiac pacemaker current from rabbit sino-atrial node cells has an apparent Kd of 480 nM[2].                                                                                                                                                                                                                 |                                                                                                                                                                                                                       |           |            |            |
| In Vivo                                           | Zatebradine (0-20 mg/kg; intraperitoneal injection; for 30 minutes; male C57/Bl6-mice) reduces the heart rate dose-dependently from 600 to 200 bpm with ED50 value of 1.8 mg/kg and induces increasing arrhythmia[1].                                                                                                                                          |                                                                                                                                                                                                                       |           |            |            |
|                                                   | Animal Model:                                                                                                                                                                                                                                                                                                                                                  | Male C57/Bl6-mice [1]                                                                                                                                                                                                 |           |            |            |
|                                                   | Dosage:                                                                                                                                                                                                                                                                                                                                                        | 0 mg/kg, 0.1 mg/kg, 1 mg/kg, 10 mg/kg, 20 mg/kg                                                                                                                                                                       |           |            |            |
|                                                   | Administration:                                                                                                                                                                                                                                                                                                                                                | Intraperitoneal injection; for 30 minutes                                                                                                                                                                             |           |            |            |
|                                                   | Result:                                                                                                                                                                                                                                                                                                                                                        | Observed acute blood glucose reduction, dose-dependently reduced glycated hemoglobin, significantly prevented the decrease of IRI levels at doses of 3 and 10 mg/kg, and no difference in food intake or body weight. |           |            |            |
| Solvent&Solubility                                | In Vitro:<br>DMSO : ≥ 50 mg/mL (109.51 mM)<br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                 |                                                                                                                                                                                                                       |           |            |            |
|                                                   | Preparing Stock Solutions                                                                                                                                                                                                                                                                                                                                      | <div>Solvent / Mass / Concentration</div>                                                                                                                                                                             | 1 mg      | 5 mg       | 10 mg      |
|                                                   |                                                                                                                                                                                                                                                                                                                                                                | 1 mM                                                                                                                                                                                                                  | 2.1902 mL | 10.9512 mL | 21.9024 mL |
|                                                   |                                                                                                                                                                                                                                                                                                                                                                | 5 mM                                                                                                                                                                                                                  | 0.4380 mL | 2.1902 mL  | 4.3805 mL  |
|                                                   |                                                                                                                                                                                                                                                                                                                                                                | 10 mM                                                                                                                                                                                                                 | 0.2190 mL | 1.0951 mL  | 2.1902 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℃, 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。                                                                                                                                                                                                                                                                            |                                                                                                                                                                                                                       |           |            |            |
|                                                   | 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 (5.48 mM); Clear solution |                                                                                                                                                                                                                                                                                                                                                                |                                                                                                                                                                                                                       |           |            |            |
| 此方案可获得 ≥ 2.5 mg/mL (5.48 mM, 饱和度未知) 的澄清溶液。        |                                                                                                                                                                                                                                                                                                                                                                |                                                                                                                                                                                                                       |           |            |            |



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)

|            |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |
|------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|            | <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 (5.48 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (5.48 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 (5.48 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (5.48 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]. Stieber J, et al. Bradycardic and proarrhythmic properties of sinus node inhibitors. Mol Pharmacol. 2006 Apr;69(4):1328-37. Epub 2005 Dec 30.</p> <p>[2]. Van Bogaert PP, et al. Use-dependent blockade of cardiac pacemaker current (If) by cilobradine and zatebradine. Eur J Pharmacol. 2003 Oct 8;478(2-3):161-71.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |

源叶生物