



**CAS 号: 199850-67-4**

产品货号: Y79783

生物活性:

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                      |                       |                        |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
|---------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------|-----------------------|------------------------|------------------------|------------------------|---------------------------|----------------------------------------------------------------------|------|------|-------|------|-----------|------------|------------|------|-----------|-----------|-----------|-------|-----------|-----------|-----------|
| Description               | PD-166793 is a potent, selective, orally active and wide-broad spectrum inhibitor of MMP, exhibiting nanomolar potency against MMP-2, MMP-3 and MMP-13 (IC50=4, 7, and 8 nM, respectively) and micromolar potency vs MMP-1, -7 and -9 (IC50=6.0, 7.2, and 7.9 μM, respectively). PD-166793 can attenuate left ventricular remodeling and dysfunction in a rat model of progressive heart failure[1][2][3].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                      |                       |                        |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
| IC50 & Target             | MMP-2<br>4 nM (IC50)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | MMP-3<br>7 nM (IC50) | MMP-13<br>8 nM (IC50) | MMP-1<br>6.0 μM (IC50) | MMP-7<br>7.2 μM (IC50) | MMP-9<br>7.9 μM (IC50) |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
| In Vitro                  | PD-166793 (0.1 μM) leads to a 20% inhibition of AMP deaminase (AMPD) activity in rat heart homogenates[2].<br>PD-166793 (100 μM; 36 h) significantly reduces MMP-9 activity in normal human cardiac fibroblasts[2].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                      |                       |                        |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
| In Vivo                   | PD-166793 (1 mg/kg/d; daily gavage for 10 weeks) largely prevents the adverse remodeling characteristically seen in the aortocaval (AV) fistula model[3].<br>PD-166793 (5 mg/kg; oral gavage) exhibits superior pharmacokinetics (t1/2=43.6 h, Cmax=42.4 μg/mL, AUC0-∞=2822 μg h/mL) in rats[1].<br>Animal Model: Male Sprague-Dawley rats (6 weeks) were induced chronic biventricular volume overload[3]<br>Dosage: 1 mg/kg<br>Administration: Daily gavage beginning 2 weeks before surgery and continued until 8 weeks after surgery<br>Result: Prevented ventricular dilatation and attenuated the hypertrophy typically induced by chronic volume overload.                                                                                                                                                                                                                                                                                                                                                             |                      |                       |                        |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
| Solvent&Solubility        | <div>细胞实验:</div> <div>DMSO 中的溶解度 : 100 mg/mL (242.54 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)</div> <table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div><div>Solvent</div><div>Mass</div><div>Concentration</div></div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.4254 mL</td><td>12.1271 mL</td><td>24.2542 mL</td></tr><tr><td>5 mM</td><td>0.4851 mL</td><td>2.4254 mL</td><td>4.8508 mL</td></tr><tr><td>10 mM</td><td>0.2425 mL</td><td>1.2127 mL</td><td>2.4254 mL</td></tr></table> <div>* 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。<br/>动物实验:<br/>请根据您的 实验动物和给药方式 选择适当的溶解方案。<br/>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;<br/>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/>方案 一<br/>请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline</div> |                      |                       |                        |                        |                        | Preparing Stock Solutions | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div> | 1 mg | 5 mg | 10 mg | 1 mM | 2.4254 mL | 12.1271 mL | 24.2542 mL | 5 mM | 0.4851 mL | 2.4254 mL | 4.8508 mL | 10 mM | 0.2425 mL | 1.2127 mL | 2.4254 mL |
| Preparing Stock Solutions | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | 1 mg                 | 5 mg                  | 10 mg                  |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
|                           | 1 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | 2.4254 mL            | 12.1271 mL            | 24.2542 mL             |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
|                           | 5 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | 0.4851 mL            | 2.4254 mL             | 4.8508 mL              |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |
|                           | 10 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 0.2425 mL            | 1.2127 mL             | 2.4254 mL              |                        |                        |                           |                                                                      |      |      |       |      |           |            |            |      |           |           |           |       |           |           |           |



|                                                                                                                                                          |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |           |            |            |            |
|----------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------|------------|------------|------------|
|                                                                                                                                                          | <p>Solubility: <math>\geq 2.5</math> mg/mL (6.06 mM); 澄清溶液</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL（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 400 <math>\mu</math> L PEG300 中, 混合均匀; 再向上述体系中加入 50 <math>\mu</math> L Tween-80, 混合均匀; 然后再继续加入 450 <math>\mu</math> L 生理盐水 定容至 1 mL。</p> <p>生理盐水的配制: 将 0.9 g 氯化钠, 溶解于 ddH<sub>2</sub> O 并定容至 100 mL, 可以得到澄清透明的生理盐水溶液。</p> <p>方案 二</p> <p>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 2.5</math> mg/mL (6.06 mM); 澄清溶液</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL（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>2 g SBE-<math>\beta</math> -CD（磺丁基醚 <math>\beta</math> -环糊精）粉末定容于 10 mL 的生理盐水中, 完全溶解至澄清透明。</p> <p>方案 三</p> <p>请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% Corn Oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (6.06 mM); 澄清溶液</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL（饱和度未知）的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。</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> |           |            |            |            |
| 参考文献                                                                                                                                                     | <p>[1]. O'Brien PM, et, al. Structure-activity relationships and pharmacokinetic analysis for a series of potent, systemically available biphenylsulfonamide matrix metalloproteinase inhibitors. J Med Chem. 2000 Jan 27;43(2):156-66.</p> <p>[2]. Kaludercic N, et, al. Inhibiting metalloproteases with PD 166793 in heart failure: impact on cardiac remodeling and beyond. Cardiovasc Ther. Spring 2008;26(1):24-37.</p> <p>[3]. Chancey AL, et, al. Effects of matrix metalloproteinase inhibition on ventricular remodeling due to volume overload. Circulation. 2002 Apr 23;105(16):1983-8.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |           |            |            |            |
| 完整储备液配制表:                                                                                                                                                |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |           |            |            |            |
| * 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。 |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |           |            |            |            |
| 可选溶剂                                                                                                                                                     | <div><div>质量</div><div>溶剂体积</div><div>浓度</div></div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 1 mg      | 5 mg       | 10 mg      | 25 mg      |
| DMSO                                                                                                                                                     | 1 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 2.4254 mL | 12.1271 mL | 24.2542 mL | 60.6355 mL |
|                                                                                                                                                          | 5 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 0.4851 mL | 2.4254 mL  | 4.8508 mL  | 12.1271 mL |
|                                                                                                                                                          | 10 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 0.2425 mL | 1.2127 mL  | 2.4254 mL  | 6.0635 mL  |
|                                                                                                                                                          | 15 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 0.1617 mL | 0.8085 mL  | 1.6169 mL  | 4.0424 mL  |
|                                                                                                                                                          | 20 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 0.1213 mL | 0.6064 mL  | 1.2127 mL  | 3.0318 mL  |



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|  |               |           |           |           |           |
|--|---------------|-----------|-----------|-----------|-----------|
|  | <b>25 mM</b>  | 0.0970 mL | 0.4851 mL | 0.9702 mL | 2.4254 mL |
|  | <b>30 mM</b>  | 0.0808 mL | 0.4042 mL | 0.8085 mL | 2.0212 mL |
|  | <b>40 mM</b>  | 0.0606 mL | 0.3032 mL | 0.6064 mL | 1.5159 mL |
|  | <b>50 mM</b>  | 0.0485 mL | 0.2425 mL | 0.4851 mL | 1.2127 mL |
|  | <b>60 mM</b>  | 0.0404 mL | 0.2021 mL | 0.4042 mL | 1.0106 mL |
|  | <b>80 mM</b>  | 0.0303 mL | 0.1516 mL | 0.3032 mL | 0.7579 mL |
|  | <b>100 mM</b> | 0.0243 mL | 0.1213 mL | 0.2425 mL | 0.6064 mL |



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