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**产品名称: Cyclic Pifithrin- $\alpha$  Hydrobromide**  
**产品别名: Pifithrin- $\beta$  hydrobromide; PFT  $\beta$  hydrobromide**

**生物活性:**

|                                     |   |                          |              |            |            |
|-------------------------------------|---|--------------------------|--------------|------------|------------|
| <b>Description</b>                  | Pifithrin- $\beta$ hydrobromide (PFT $\beta$ hydrobromide) is a potent p53 inhibitor with an IC50 of 23 $\mu$ M.  |                          |              |            |            |
| <b>IC<sub>50</sub> &amp; Target</b> | IC50: 23 $\mu$ M (p53)[1]   |                          |              |            |            |
| <b>In Vitro</b>                     | Pifithrin- $\alpha$ hydrobromide (PFT $\beta$ hydrobromide), an inhibitor of the p53 protein, is regarded as a lead compound for cancer and neurodegenerative disease therapy. Pifithrin- $\alpha$ is very unstable in culture medium and rapidly converts to its condensation product pifithrin- $\beta$ , the N-acetyl derivative[2]. After 24 h, the viability assay shows that the pretreatments with 1 and 10 $\mu$ M pifithrin- $\beta$ exerts neuroprotective effects[3].  |                          |              |            |            |
| <b>In Vitro:</b>                    | <b>DMSO : 10 mg/mL (28.63 mM; Need ultrasonic)</b>  |                          |              |            |            |
|                                     | <b>Preparing Stock Solutions</b>  | Solvent<br>Concentration | Mass<br>1 mg | 5 mg       | 10 mg      |
|                                     |   | 1 mM                     | 2.8630 mL    | 14.3148 mL | 28.6295 mL |
|                                     |   | 5 mM                     | 0.5726 mL    | 2.8630 mL  | 5.7259 mL  |
|                                     |   | 10 mM                    | 0.2863 mL    | 1.4315 mL  | 2.8630 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。</p> <p>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></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: ≥ 1 mg/mL (2.86 mM); Clear solution</p> <p>此方案可获得 ≥ 1 mg/mL (2.86 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 10.0 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 → 90% (20% SBE-<math>\beta</math>-CD in saline)</p> <p>Solubility: ≥ 1 mg/mL (2.86 mM); Clear solution</p> <p>此方案可获得 ≥ 1 mg/mL (2.86 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 10.0 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 → 90% corn oil</p> |                          |              |            |            |



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|                   |   |
|-------------------|---|
|                   | <p>Solubility: <math>\geq 1 \text{ mg/mL}</math> (2.86 mM); Clear solution</p> <p>此方案可获得 <math>\geq 1 \text{ mg/mL}</math> (2.86 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> 10.0 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]. Christodoulou MS, et al. Synthesis and biological evaluation of imidazolo[2,1-b]benzothiazole derivatives, as potential p53 inhibitors. <i>Bioorg Med Chem.</i> 2011 Mar 1;19(5):1649-57.</p> <p>[2]. Fernández-Cruz ML, et al. Biological and chemical studies on aryl hydrocarbon receptor induction by the p53 inhibitor pifithrin-<math>\alpha</math> and its condensation product pifithrin-<math>\beta</math>. <i>Life Sci.</i> 2011 Apr 25;88(17-18):774-83.</p> <p>[3]. Da Pozzo E, et al. p53 functional inhibitors behaving like pifithrin-<math>\beta</math> counteract the Alzheimer peptide non-<math>\beta</math>-amyloid component effects in human SH-SY5Y cells. <i>ACS Chem Neurosci.</i> 2014 May 21;5(5):390-9.</p> |



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