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## 产品名称: PHTPP

## 产品别名: PHTPP

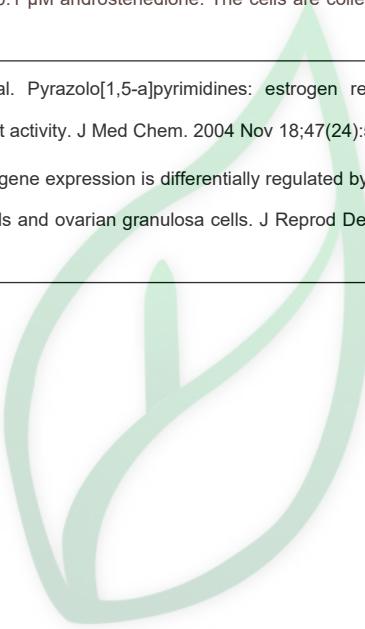
### 生物活性:

Description	PHTPP is a selective ER $\beta$ antagonist.																				
IC <sub>50</sub> & Target	ER $\beta$ [1]																				
In Vitro	PHTPP is a selective ER $\beta$ antagonist. PHTPP reduces FSH-mediated cAMP production by 80% ( $p<0.01$ ) while it has no effect on basal cAMP[1]. PHTPP ( $10^{-6}$ M) inhibits E2-stimulated ER $\beta$ activity, but does not suppress E2-stimulated ER $\alpha$ activity. A high dose of PHTPP ( $10^{-6}$ M) slightly increases class 1 <i>Igf1</i> mRNA expression, and facilitates the DPN-induced increase in class 1 <i>Igf1</i> mRNA expression[2].																				
Solvent&Solubility	<p><b>In Vitro:</b></p> <p>DMSO : 25 mg/mL (59.06 mM; Need ultrasonic)</p> <p>H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)</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>2.3623 mL</td><td>11.8117 mL</td><td>23.6233 mL</td></tr><tr><td>5 mM</td><td>0.4725 mL</td><td>2.3623 mL</td><td>4.7247 mL</td></tr><tr><td>10 mM</td><td>0.2362 mL</td><td>1.1812 mL</td><td>2.3623 mL</td></tr></tbody></table> <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: ≥ 2.5 mg/mL (5.91 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.91 mM, 饱和度未知) 的澄清溶液。</p> <p>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。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。</p> <p>2. 请依序添加每种溶剂: 10% DMSO → 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.91 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.91 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 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>				Preparing Stock Solutions	Solvent \ Mass Concentration	1 mg	5 mg	10 mg	1 mM	2.3623 mL	11.8117 mL	23.6233 mL	5 mM	0.4725 mL	2.3623 mL	4.7247 mL	10 mM	0.2362 mL	1.1812 mL	2.3623 mL
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	[1]. Compton DR, et al. Pyrazolo[1,5-a]pyrimidines: estrogen receptor ligands possessing estrogen																				



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<b>References</b>	receptor beta antagonist activity. J Med Chem. 2004 Nov 18;47(24):5872-93.  [2]. Ogo Y, et al. IGF-1 gene expression is differentially regulated by estrogen receptors $\alpha$ and $\beta$ in mouse endometrial stromal cells and ovarian granulosa cells. J Reprod Dev. 2014;60(3):216-23. Epub 2014 Mar 25.
<b>实验参考:</b>	
<b>Cell Assay</b>	Cells are plated at a density of 3 to $4 \times 10^5$ in 1 mL of medium per well in a 24-well plate for cell viability and cAMP analysis. Cells are cultured in Dulbecco's Modified Eagle Medium with PHTPP (1 $\mu$ M), or ethanol (0.1%) as the vehicle. The incubator is set to an atmosphere of 5% CO <sub>2</sub> in air at 37°C, and cultures are allowed to acclimate for at least 24 h. The culture medium is then aspirated and replaced with serum-free DMEM/F12 containing 0.1 $\mu$ M androstenedione. The cells are collected for intracellular cAMP and to test cell viability[1].
<b>References</b>	[1]. Compton DR, et al. Pyrazolo[1,5-a]pyrimidines: estrogen receptor ligands possessing estrogen receptor beta antagonist activity. J Med Chem. 2004 Nov 18;47(24):5872-93.  [2]. Ogo Y, et al. IGF-1 gene expression is differentially regulated by estrogen receptors $\alpha$ and $\beta$ in mouse endometrial stromal cells and ovarian granulosa cells. J Reprod Dev. 2014;60(3):216-23. Epub 2014 Mar 25.



# 源叶生物