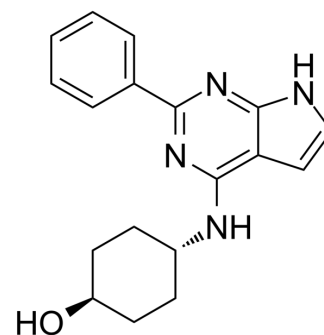


## Derenofylline

<b>Cat. No.:</b>	HY-14858		
<b>CAS No.:</b>	251945-92-3		
<b>Molecular Formula:</b>	C <sub>18</sub> H <sub>20</sub> N <sub>4</sub> O		
<b>Molecular Weight:</b>	308.38		
<b>Target:</b>	Adenosine Receptor		
<b>Pathway:</b>	GPCR/G Protein		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (324.28 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	3.2428 mL	16.2138 mL	32.4275 mL
		5 mM	0.6486 mL	3.2428 mL	6.4855 mL
10 mM		0.3243 mL	1.6214 mL	3.2428 mL	
Please refer to the solubility information to select the appropriate solvent.					
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 6.25 mg/mL (20.27 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 6.25 mg/mL (20.27 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 6.25 mg/mL (20.27 mM); Clear solution</li> </ol>				

### BIOLOGICAL ACTIVITY

<b>Description</b>	Derenofylline (SLV 320) is a potent, selective and orally active adenosine A <sub>1</sub> receptor antagonist, with K <sub>i</sub> values of 1 nM, 200 nM and 398 nM for human A <sub>1</sub> , A <sub>3</sub> and A <sub>2A</sub> receptors respectively. Derenofylline suppresses cardiac fibrosis and attenuates albuminuria without affecting blood pressure in rats <sup>[1]</sup> .		
<b>IC<sub>50</sub> &amp; Target</b>	A1R 1 nM (K <sub>i</sub> )	Adenosine A <sub>3</sub> receptor 200 nM (K <sub>i</sub> )	A2AR 398 nM (K <sub>i</sub> )

<b>In Vitro</b>	Derenofylline (100 $\mu$ M, 72 h) inhibits TGF- $\beta$ 1-induced myofibroblast transformation without affecting the cell viability <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
<b>In Vivo</b>	<p>Derenofylline (0.3-10 mg/kg, oral administration) suppresses adenosine-induced bradycardia in rats<sup>[1]</sup>.</p> <p>Derenofylline (10 mg/kg/d, oral administration, 12 weeks) reduces myocardial fibrosis in 5/6 nephrectomy rats without affecting blood pressure<sup>[1]</sup>.</p> <p>Derenofylline (0.1-5mg/kg, intravenous injection) causes no major haemodynamic effects (heart rate and blood pressure)<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="345 415 1515 722"> <tr> <td data-bbox="345 415 615 478">Animal Model:</td> <td data-bbox="615 415 1515 478">5/6 nephrectomy rats<sup>[1]</sup></td> </tr> <tr> <td data-bbox="345 478 615 541">Dosage:</td> <td data-bbox="615 478 1515 541">10 mg/kg/d</td> </tr> <tr> <td data-bbox="345 541 615 604">Administration:</td> <td data-bbox="615 541 1515 604">Oral administration, mixed with food for 12 weeks</td> </tr> <tr> <td data-bbox="345 604 615 722">Result:</td> <td data-bbox="615 604 1515 722">Attenuated urinary albuminuria by about 50%. Suppressed the increase in CK levels, ALT and AST plasma levels in nephrectomized animals.</td> </tr> </table>	Animal Model:	5/6 nephrectomy rats <sup>[1]</sup>	Dosage:	10 mg/kg/d	Administration:	Oral administration, mixed with food for 12 weeks	Result:	Attenuated urinary albuminuria by about 50%. Suppressed the increase in CK levels, ALT and AST plasma levels in nephrectomized animals.
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## REFERENCES

- [1]. Marta Mateus, et al. Understanding the Role of Adenosine Receptors in the Myofibroblast Transformation in Peyronie's Disease. J Sex Med. 2018 Jul;15(7):947-957.
- [2]. Kalk P, et al. The adenosine A1 receptor antagonist SLV320 reduces myocardial fibrosis in rats with 5/6 nephrectomy without affecting blood pressure. Br J Pharmacol. 2007 Aug;151(7):1025-32.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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