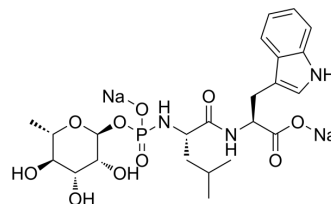


## Phosphoramidon Disodium

<b>Cat. No.:</b>	HY-N2021A
<b>CAS No.:</b>	164204-38-0
<b>Molecular Formula:</b>	C <sub>23</sub> H <sub>32</sub> N <sub>3</sub> Na <sub>2</sub> O <sub>10</sub> P
<b>Molecular Weight:</b>	587.47
<b>Target:</b>	Angiotensin-converting Enzyme (ACE); Neprilysin; MMP; Endogenous Metabolite
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

#### In Vitro

H<sub>2</sub>O : 100 mg/mL (170.22 mM; Need ultrasonic)  
DMSO : 100 mg/mL (170.22 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.7022 mL	8.5111 mL	17.0221 mL
	5 mM	0.3404 mL	1.7022 mL	3.4044 mL
	10 mM	0.1702 mL	0.8511 mL	1.7022 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: PBS  
Solubility: 100 mg/mL (170.22 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Phosphoramidon Disodium, a microbial metabolite, is a specific metalloprotease thermolysin inhibitor with an IC<sub>50</sub> of 0.4 μg/mL. Phosphoramidon Disodium also inhibits endothelin-converting enzyme (ECE), neutral endopeptidase (NEP), and angiotensin-converting enzyme (ACE) with IC<sub>50</sub> values of 3.5, 0.034, and 78 μM, respectively<sup>[1][2][3]</sup>.

#### IC<sub>50</sub> & Target

Microbial Metabolite

<b>In Vitro</b>	Phosphoramidon (1-500 $\mu$ M; 30 min) inhibits ET-converting enzyme (ECE) activity in a dose-dependent manner in solubilized rabbit lung membranes <sup>[5]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
<b>In Vivo</b>	Phosphoramidon (0.25 mg/kg per min; i.v.) suppresses the hypertensive effect of big endothelin-1 in rats <sup>[4]</sup> . Phosphoramidon (1-30 mg/kg; i.v.; once) blocks the pressor activity of porcine big endothelin-1-(1-39) in rats <sup>[5]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
	<table border="1"> <tr> <td>Animal Model:</td> <td>Male Sprague-Dawley rats<sup>[4]</sup></td> </tr> <tr> <td>Dosage:</td> <td>0.25 mg/kg per min</td> </tr> <tr> <td>Administration:</td> <td>Intravenous injection</td> </tr> <tr> <td>Result:</td> <td>Markedly suppressed the hypertensive effect of big endothelin-1.</td> </tr> </table>	Animal Model:	Male Sprague-Dawley rats <sup>[4]</sup>	Dosage:	0.25 mg/kg per min	Administration:	Intravenous injection	Result:	Markedly suppressed the hypertensive effect of big endothelin-1.
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## REFERENCES

- [1]. Umezawa S, et al. A new microbial metabolite phosphoramidon (isolation and structure). *Tetrahedron Letters*, 1972, 13(1): 97-100.
- [2]. Suda H, et al. A thermolysin inhibitor produced by actinomycetes: phosphoramidon. *The Journal of antibiotics*, 1973, 26(10): 621-623.
- [3]. Kukkola PJ, et al. Differential structure-activity relationships of phosphoramidon analogues for inhibition of three metalloproteases: endothelin-converting enzyme, neutral endopeptidase, and angiotensin-converting enzyme. *J CardiovascPharmacol*. 1995;26Suppl 3:S65-8.
- [4]. Matsumura Y, et al. Phosphoramidon, a metalloproteinase inhibitor, suppresses the hypertensive effect of big endothelin-1. *Eur J Pharmacol*. 1990 Aug 21;185(1):103-6.
- [5]. McMahon EG, et al. Phosphoramidon blocks the pressor activity of porcine big endothelin-1-(1-39) in vivo and conversion of big endothelin-1-(1-39) to endothelin-1-(1-21) in vitro. *Proc Natl Acad Sci U S A*. 1991 Feb 1;88(3):703-7.

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

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