## **Product** Data Sheet

## **Phosphoramidon**

**Cat. No.:** HY-N2021

CAS No.: 36357-77-4 Molecular Formula:  $C_{23}H_{34}N_3O_{10}P$ 

Molecular Weight: 543.5

Target: MMP; Angiotensin-converting Enzyme (ACE); Neprilysin; Endogenous Metabolite

Pathway: Metabolic Enzyme/Protease

**Storage:** Please store the product under the recommended conditions in the Certificate of

Analysis.

## **BIOLOGICAL ACTIVITY**

Description	Phosphoramidon, a microbial metabolite, is a specific metalloprotease thermolysin inhibitor with an IC $_{50}$ of 0.4 $\mu$ g/mL. Phosphoramidon also inhibits endothelin-converting enzyme (ECE), neutral endopeptidase (NEP), and angiotensin-converting enzyme (ACE) with IC $_{50}$ values of 3.5, 0.034, and 78 $\mu$ M, respectively <sup>[1][2][3]</sup> .				
IC <sub>50</sub> & Target	Microbial Metabolite				
In Vitro	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.				
In Vivo	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.				
	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.			

## **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 Cardiovasc Pharmacol. 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. Phosph 21) in vitro. Proc Natl Acad Sci I			lin-1-(1-39) in vivo and conversion of big endothelin	-1-(1-39) to endothelin-1-(1-
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