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**Product Data Sheet**

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Product Name: APC 366  
Cat. No.: GC16688

**Chemical Properties**

Cas. No. 158921-85-8

Chemical Name (S)-1-((S)-5-guanidino-2-(1-hydroxy-2-naphthamido)pentanoyl)pyrrolidine-2-carboxamide

SMILES O=C(C1=C(O)C2=CC=CC=C2C=C1)N[C@@H](CCCNC(N)=N)C(N3[C@H](C(N)=O)CCC3)=O

Formula  $C_{22}H_{28}N_6O_4$  M.Wt 440.5

Solubility Soluble to 5 mg/ml in 20% ethanol / Water Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

**Background**

APC 366 is a selective and competitive inhibitor of tryptase [1, 2, 3]. After about 4 h of incubation with human tryptase, APC 366 showed an inhibitory potential with a  $K_i$  value of 530 nM and an  $IC_{50}$  value of  $1400 \pm 240$  nM [3].

Tryptase is a mast cell serine protease. It had been implicated in the allergic asthma pathophysiology [2].

It seemed that actions of tryptase were dependent on an intact catalytic site. APC 366 inhibited DNA synthesis and the proliferation of the smooth muscle cell (SMC) induced by tryptase. In this study, thymidine incorporation was reduced by APC 366 by 79%. Heating to inactivate enzymatic activity also abolished the ability of tryptase to stimulate SMC proliferation and DNA synthesis [4].

In urine in the control pigs, the concentration of histamine was immediately elevated

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

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after allergen challenge. But treatment with APC 366 markedly decreased this release [5]. In vivo in a sheep model, administration with APC 366 decreased allergen-induced early and late-phase bronchoconstriction and hyperresponsiveness [4]. In allergic sheep, APC 366 was administered by aerosol in all experiments. In vehicle control trials, antigen challenge caused peak early and late increases in specific lung resistance with values of  $259 \pm 30\%$  and  $183 \pm 27\%$  over baseline, respectively. 0.5 h before, 4 h after and 24 h after antigen challenge, treatment with APC 366 at 9 mg/3 ml H<sub>2</sub>O slightly decreased the peak early response ( $194 \pm 41\%$ ) and significantly inhibited the late response ( $38 \pm 6\%$ ,  $p < 0.05$ ) [2].

### References:

- [1]. Krishna MT, Chauhan A, Little L, et al. Inhibition of mast cell tryptase by inhaled APC 366 attenuates allergen-induced late-phase airway obstruction in asthma. *Journal of Allergy and Clinical Immunology*, 2001, 107(6): 1039-1045.
- [2]. Clark JM, Abraham WM, Fishman CE, et al. Tryptase inhibitors block allergen-induced airway and inflammatory responses in allergic sheep. *American journal of respiratory and critical care medicine*, 1995, 152(6): 2076-2083.
- [3]. Hallgren J, Estrada S, Karlson U, et al. Heparin antagonists are potent inhibitors of mast cell tryptase. *Biochemistry*, 2001, 40(24): 7342-7349.
- [4]. Berger P, Perng DW, Thabrew H, et al. Tryptase and agonists of PAR-2 induce the proliferation of human airway smooth muscle cells. *Journal of Applied Physiology*, 2001, 91(3): 1372-1379.
- [5]. Sylvain H, Dahlbck M, Van Der Ploeg I, et al. The tryptase inhibitor APC-366 reduces the acute airway response to allergen in pigs sensitized to *Ascaris suum*. *Clinical & Experimental Allergy*, 2002, 32(6): 967-971.

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