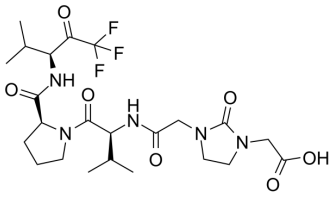
AE-3763

Cat. No.:	HY-19406
CAS No.:	291778-77-3
Molecular Formula:	$C_{23}H_{34}F_3N_5O_7$
Molecular Weight:	549.54
Target:	Elastase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (30.33 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8197 mL	9.0985 mL	18.1970 mL
	5 mM	0.3639 mL	1.8197 mL	3.6394 mL
	10 mM	0.1820 mL	0.9099 mL	1.8197 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (3.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.04 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AE-3763 is a peptide-based human neutrophil elastase inhibitor with an IC_{50} of 29 nM.

IC_{50} & Target

IC50: 29 nM (Human neutrophil elastase)[1]

In Vitro

AE-3763 exhibits potent in vitro inhibitory activity against human neutrophil elastase as well as extremely high solubility and stability in water[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Edema and leukocytes infiltration into the lung are significantly inhibited by infusion of AE-3763. AE3763 significantly improves survival rate by 24 h in a mouse model of fatal shock associated with multiple organ dysfunction. AE-3763 dose-dependently prevents hemorrhage when given intravenously by infusion (ED_{50}: 0.42 mg/kg/h) or by bolus injection (1.2 mg/kg). With regard to the toxicity of AE-3763 in mice, the results of a preliminary study have shown no overt toxic effect even at the high dose of 300 mg/kg, iv[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] D-Galactosamine shock is induced in C3H/HeN mice (6-7 w, 22-25 g). AE-3763 (10 or 100 mg/kg) is administrated intraperitoneally six times at 2 h interval. Control animals receive the vehicle (PBS) instead of AE-3763. Animal's survival rate is observed up to 24 h after shock induction[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Inoue Y, et al. Development of a highly water-soluble peptide-based human neutrophil elastase inhibitor; AE-3763 for treatment of acute organ injury. Bioorg Med Chem. 2009 Nov 1;17(21):7477-86.

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