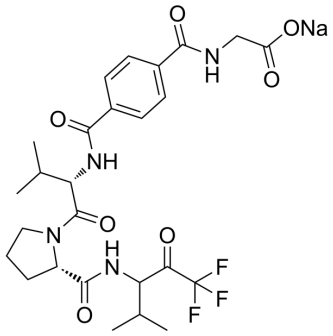
FK706

Cat. No.:	HY-19269
CAS No.:	144055-55-0
Molecular Formula:	$C_{26}H_{32}F_3N_4NaO_7$
Molecular Weight:	592.54
Target:	Elastase
Pathway:	Metabolic Enzyme/Protease
Storage:	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

DMSO : 115 mg/mL (194.08 mM; Need ultrasonic)

H_2O : ≥ 100 mg/mL (168.76 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6876 mL	8.4382 mL	16.8765 mL
5 mM	0.3375 mL	1.6876 mL	3.3753 mL
10 mM	0.1688 mL	0.8438 mL	1.6876 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: ≥ 5 mg/mL (8.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (8.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (8.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FK706 is a potent, slow-binding and competitive inhibitor of human neutrophil elastase with an IC_{50} of 83 nM and a K_i of 4.2 nM. FK706 also inhibits mouse neutrophil elastase and porcine pancreatic elastase with IC_{50}s of 22 nM and 100 nM, respectively, and has no inhibitory activity against other serine proteinases such as human pancreatic trypsin, human pancreatic α-chymotrypsin and human leukocyte cathepsin G. FK706 has anti-inflammatory effect[1][2].

IC_{50} & Target

IC50: 83 nM (Human neutrophil elastase), 22 nM (Mouse neutrophil elastase) and 100 nM (Porcine pancreatic elastase)[1];
Ki: 4.2 nM (Human neutrophil elastase)[1]

In Vitro	FK706 effectively inhibits the hydrolysis of bovine neck ligament elastin (2 mg/mL final concentration) by human neutrophil elastase (4 μg/mL final concentration) with an IC50 value of 230 nM[1]. FK706 blocks the release of inflammatory chemokines, suppresses the expression of IL-8 and MCP-1 mRNA, and suppresses NF-κB activation. It seems possible that FK706 may directly blocks human lung fibroblasts activation of NF-κB, preventing expression of inflammatory chemokines during cigarette smoke–induced lung inflammation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	FK706 (10-100 mg/kg; subcutaneous injection; for 1-6 hours; male C57BL mice) treatment significantly suppresses human neutrophil elastase (20 μg/paw)-induced paw edema in mice in a dose-dependent manner (47% inhibition at a dose of 100 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL mice (6 weeks old) injected with human neutrophil elastase[1]
Dosage:	10 mg/kg, 32 mg/kg, 100 mg/kg
Administration:	Subcutaneous injection; for 1 hour, 2 hours, 4 hours, 6 hours
Result:	Significantly suppressed human neutrophil elastase-induced paw edema in mice in a dose-dependent manner.

REFERENCES

[1]. Shinguh Y, et al. Biochemical and pharmacological characterization of FK706, a novel elastase inhibitor. Eur J Pharmacol. 1997 Oct 15;337(1):63-71.

[2]. Numanami H, et al. Serine protease inhibitors modulate smoke-induced chemokine release from human lung fibroblasts. Am J Respir Cell Mol Biol. 2003 Nov;29(5):613-9.

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