Sampatrilat

Cat. No.: HY-123348

CAS No.: 129981-36-8

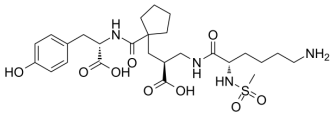
Molecular Formula: $C_{26}H_{40}N_4O_9S$

Molecular Weight: 584.68

Target: Angiotensin-converting Enzyme (ACE); Neprilysin

Pathway: Metabolic Enzyme/Protease

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (171.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7103 mL	8.5517 mL	17.1034 mL
	5 mM	0.3421 mL	1.7103 mL	3.4207 mL
	10 mM	0.1710 mL	0.8552 mL	1.7103 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: ≥ 2.5 mg/mL (4.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sampatrilat (UK-81252) is a potent and orally active vasopeptidase inhibitor of ACE and neutral endopeptidase (NEP). Sampatrilat inhibits C-domain ACE (K_i=13.8 nM) 12.4-fold more potent than that for the N-domain (K_i=171.9 nM). Sampatrilat (UK-81252) can be used for the study of chronic heart failure and blood pressure regulation[1][2].

IC_{50} & Target

Ki: 13.8 nM (cACE); 171.9 nM (nACE)[1]

In Vivo

Sampatrilat (oral adminstration; 30 mg/kg; once a day; 5 weeks) reduces the mortality of the rats with CAL (20% versus 57% for untreatedrats) and increase in the survival rate and improvement of hemodynamic function of the rats with CAL. This compound suppresses tissue ACE and neutral endopeptidase (NEP) activities, but it does not affect the arterial blood

pressure, whereas it attenuates the CAL-induced increases in the left ventricular end-diastolic pressure, heart weight, and collagen content of the viable left Ventricle[2].

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

Animal Model:	Left coronary artery ligation (CAL) and sham-operated rats[2]
Dosage:	30 mg/kg
Administration:	Oral adminstration
Result:	Prevented the increases in heart weight and cardiac collagen content of the rats with CAL.

REFERENCES

[1]. Sharma RK, et al. The Dynamic Nonprime Binding of Sampatrilat to the C-Domain of Angiotensin-Converting Enzyme. J Chem Inf Model. 2016 Dec 27;56(12):2486-2494.

[2]. Maki T, et al. Beneficial effects of sampatrilat, a novel vasopeptidase inhibitor, on cardiac remodeling and function of rats with chronic heart failure following left coronary artery ligation.J Pharmacol Exp Ther. 2003 Apr;305(1):97-105.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA