Data Sheet (Cat.No.T35579)

PKSI-527

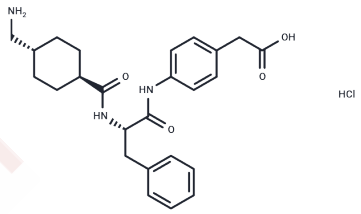
Chemical Properties

CAS No. : 128837-71-8

Formula: C25H32ClN3O4

Molecular Weight: 473.99

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	PKSI-527 is a non-covalent, highly selective small molecule inhibitor of plasma kallikrein with an inhibition constant (Ki) of 0.81 μM for plasma kallikrein. PKSI-527 modulates the kallikrein-kinin system by inhibiting bradykinin generation, significantly reduces arthritis severity in a collagen-induced arthritis mouse model, and improves indicators of disseminated intravascular coagulation and organ damage in endotoxin-induced rat models.
Targets(IC50)	Others,Serine Protease
In vivo	In vivo, PKSI-527 (300 mg/kg per day) reduces hyperplasia, pannus formation, and infiltration of inflammatory cells in the tarsal joint of mice with collagen-induced arthritis.

Solubility Information

Solubility	DMSO: 80 mg/mL (168.78 mM),Sonication is recommended. H2O: 10 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1097 mL	10.5487 mL	21.0975 mL
5 mM	0.4219 mL	2.1097 mL	4.2195 mL
10 mM	0.211 mL	1.0549 mL	2.1097 mL
50 mM	0.0422 mL	0.211 mL	0.4219 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Fujimori Y, et al. Effects of a highly selective plasma kallikrein inhibitor on collagen-induced arthritis in mice. Agents Actions. 1993 May;39(1-2):42-8.

Okamoto S, et al. A finding of highly selective synthetic inhibitor of plasma kallikrein; its action to bradykinin generation, intrinsic coagulation and experimental DIC. Agents Actions Suppl. 1992;38 (Pt 1):198-205.

Tomoo K, et al. Binding diversity of a noncovalent-type low-molecular-weight serine protease inhibitor and function of a catalytic water molecule: X-ray crystal structure of PKSI-527--inhibited trypsin. J Biochem. 2001 Mar; 129(3):455-60.

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