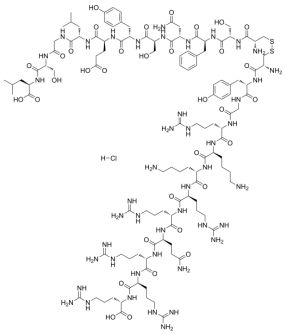
Delcasertib hydrochloride



Cat. No.:	HY-106262B
Molecular Formula:	$C_{120}H_{200}ClN_{45}O_{34}S_2$
Molecular Weight:	2916.74
Sequence Shortening:	Sequence 1:CYGRKKRRQRRR;Sequence 1':CSFNSYELGSL (Disulfide bridge:Cys1-Cys1')
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	Sealed storage, away from moisture and light Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)

SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (34.28 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.3428 mL	1.7142 mL	3.4285 mL
5 mM	0.0686 mL	0.3428 mL	0.6857 mL
10 mM	0.0343 mL	0.1714 mL	0.3428 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (17.14 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Delcasertib (KAI-9803) hydrochloride is a potent and selective δ-protein kinase C (δPKC) inhibitor. Delcasertib (KAI-9803) hydrochloride could ameliorate injury associated with ischemia and reperfusion in animal models of acute myocardial infarction (MI)[1][2].

IC_{50} & Target

δPKC

In Vitro

Delcasertib (KAI-9803) is composed of a selective δ-protein kinase C (δPKC) inhibitor peptide derived from the δV1-1 portion of δPKC (termed "cargo peptide"), conjugated reversibly to the cell-penetrating peptide 11-amino acid, arginine-rich sequence of the HIV type 1 transactivator protein (TAT47–57; termed "carrier peptide") via a disulfide bond[1].

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

In Vivo

Delcasertib (KAI-9803, a single intraperitoneal injection) in mice results in the selective inhibition of PKC translocation in the liver, kidney, lung, heart, and brain[1].

Delcasertib (KAI-9803) administration at the end of ischemia has been found to reduce cardiac damage caused by ischemia-reperfusion in a rat model of acute myocardial infarction[1].

Delcasertib (KAI-9803) has been studied for the prevention of reperfusion injury in patients undergoing angioplasty after acute myocardial infarction[2].

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

Animal Model:	Six-week-old male Crl:CD(SD) rats[1]
Dosage:	1 mg/kg (Pharmacokinetic Analysis).
Administration:	Via the femoral vein.
Result:	The distribution to tissues such as the liver, kidney, and heart is facilitated by the reversible conjugation to TAT47-57.

CUSTOMER VALIDATION

- Nature. 2021 Mar;591(7851):620-626.

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REFERENCES

[1]. Miyaji Y, et al. Distribution of KAI-9803, a novel δ-protein kinase C inhibitor, after intravenous administration to rats. Drug Metab Dispos. 2011 Oct;39(10):1946-53.

[2]. Bates E, et al. Intracoronary KAI-9803 as an adjunct to primary percutaneous coronary intervention for acute ST-segment elevation myocardial infarction. Circulation. 2008 Feb 19;117(7):886-96.

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