Delcasertib

Cat. No.:	HY-106262
CAS No.:	949100-39-4
Molecular Formula:	$C_{120}H_{199}N_{45}O_{34}S_2$
Molecular Weight:	2880.28
Sequence Shortening:	Sequence 1:CYGRKKRRQRRR;Sequence 1':CSFNSYELGSL (Disulfide bridge:Cys1-Cys1')
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	Powder -80°C 2 years -20°C 1 year In solvent -80°C 6 months -20°C 1 month

Sequence 1:Cys-Tyr-Gly-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg;
Sequence 1':Ser-Phe-Asn-Ser-Tyr-Glu-Leu-Gly-Ser-Leu
(Disulfide bridge:Cys_1-$Cys_{1'}$)

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (34.72 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.3472 mL	1.7359 mL	3.4719 mL
	5 mM	0.0694 mL	0.3472 mL	0.6944 mL
	10 mM	0.0347 mL	0.1736 mL	0.3472 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: ≥ 7.69 mg/mL (2.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (0.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (0.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Delcasertib (KAI-9803) is a potent and selective δ-protein kinase C (δPKC) inhibitor. Delcasertib (KAI-9803) 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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