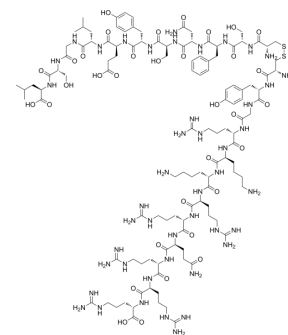


Delcasertib

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:	Sealed storage, away from moisture
	Powder -80°C 2 years
	-20°C 1 year
	* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (34.72 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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
- 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]}.

IC₅₀ & Target

δPKC

In Vitro	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>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].</p> <p>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].</p> <p>Delcasertib (KAI-9803) has been studied for the prevention of reperfusion injury in patients undergoing angioplasty after acute myocardial infarction^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="345 558 1515 827"> <tr> <td data-bbox="345 558 618 621">Animal Model:</td> <td data-bbox="618 558 1515 621">Six-week-old male Crl:CD(SD) rats^[1].</td> </tr> <tr> <td data-bbox="345 621 618 684">Dosage:</td> <td data-bbox="618 621 1515 684">1 mg/kg (Pharmacokinetic Analysis).</td> </tr> <tr> <td data-bbox="345 684 618 747">Administration:</td> <td data-bbox="618 684 1515 747">Via the femoral vein.</td> </tr> <tr> <td data-bbox="345 747 618 827">Result:</td> <td data-bbox="618 747 1515 827">The distribution to tissues such as the liver, kidney, and heart is facilitated by the reversible conjugation to TAT47-57.</td> </tr> </table>	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.
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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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