Product Data Sheet

Product Name: Delcasertib (KAI-9803)
Cat. No.: GC32466

Chemical Properties

Cas. No.	949100-39-4		
Formula	$C_{180}H_{199}N_{45}O_{34}S_2$	M.Wt	2880.28
Solubility	DMSO : ≥ 100 mg/mL (34.72 mM)	Storage	Store at -20° C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Delcasertib (KAI-9803)		

Protocol

Animal experiment:

Rats[1]For pharmacokinetic studies, 14Delcasertib (KAI-9803) (1 mg/kg) is administered to Six-week-old male Crl:CD(SD) rats via the femoral vein and approximately 0.2 mL of blood is collected from the jugular vein at 1, 2, 5, 10, and 15 min postdose with a heparinized syringe containing 10 µL of 400 mM diisopropylfluorophosphate dissolved in acetonitrile to prevent rapid degradation of the peptide. The radioactivity in the blood and plasma samples is measured using a liquid scintillation counter[1].

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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.

Background

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

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].

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. 14C-KAI-9803 is rapidly delivered to many tissues, including the heart (1.21 μg eq/g tissue), while being quickly cleared from the systemic circulation. The

distribution of Delcasertib (KAI-9803) to tissues such as the liver, kidney, and heart is facilitated by the reversible conjugation to TAT47-57[1]. KAI-9803 ameliorates pathological conditions in acute myocardial infarction and reduce pain via specific modulation of membrane-translocation of PKC delta or epsilon. Delcasertib (KAI-9803) has an acceptable safety and tolerability profile when delivered via intracoronary injection during primary percutaneous coronary intervention for ST-segment elevation myocardial infarction[2].

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.
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