Product Data Sheet

Product Name: JPE-1375
Cat. No.: GC68029

Chemical Properties

Cas. No.	1254036-23-1		
Formula	$C_{49}H_{63}FN_{10}O_9$	M.Wt	955.08
Solubility	DMSO : 100 mg/mL (104.70 mM; Need ultrasonic)	Storage	4°C, protect from light
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	JPE-1375		

Background

JPE-1375 is a **complement C5a receptor 1** (**C5aR1**) antagonist. JPE-1375 effectively inhibits polymorphonuclear leukocyte mobilization ($\mathbf{EC_{50}}$=6.9 μM) and reduces **TNF** levels ($\mathbf{EC_{50}}$=4.5 μM) in mice. JPE-1375 can be used in studies of autoimmune and inflammatory diseases[1].

JPE-1375 (0.3, 1.0, 3.0 mg/kg; i.v.; single) inhibits PMN (polymorphonuclear leukocytes) mobilization and TNF with EC_{50} values of 6.9 and 4.5 μM, respectively[1].
JPE-1375 (1 mg/kg; i.v.; single) demonstrates a rapid distribution in the plasma, followed by elimination in mice[1].
JPE-1375 (1 mg/kg; i.v.; single) shows a strong negative correlation between PMN mobilization and TNF production with plasma concentrations[1].

Animal Model:	C57BL/6J wild-type (10 to 12-week-old; C5a pharmacodynamic model)[1].
Dosage:	0.3, 1.0, 3.0 mg/kg

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Administration: Intravenous injection; single.

Result: Significantly decreased C5a-mediated PMN mobilization at 1 and 3 mg/kg doses, while no effect was observed at a 0.3 mg/kg dose.Showed a significant reduction in TNF plasma levels at 1 and 3 mg/kg dose with both compounds reducing C5a-mediated TNF by about 90%.

Animal Model: C57BL/6J wild-type mice(10 to 12-week-old)[1].

Dosage: 1 mg/kg

Administration: Intravenous injection; single.

Result:

Pharmacokinetic Parameters of JPE-1375 in C57BL/6J wild-type mice[1].

	IV (1 mg/kg)
$T_{1/2}$ (h)	0.13
C_{max} (μg/mL)	7.18
AUC_{0-t} (μg/mL•h)	2.40
$AUC_{0-inf, obs}$ (μg/mL•h)	2.41
$AUC_{0-t/0-inf, obs}$ (μg/mL•h)	1.00
$AUMC_{0-inf, obs}$ (μg/mL•h^2)	0.13
$MRT_{0-inf, obs}$ (h)	0.05
$V_{z, obs}$ ((μg)/(μg/mL))	2.38
CL, obs ((μg)/(μg/mL)/h)	12.47
$V_{ss, obs}$ ((μg)/(μg/mL))	0.66

[1]. Cui CS, et al. In Vivo Pharmacodynamic Method to Assess Complement C5a Receptor Antagonist Efficacy. ACS Pharmacol Transl Sci. 2021 Dec 21;5(1):41-51.

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