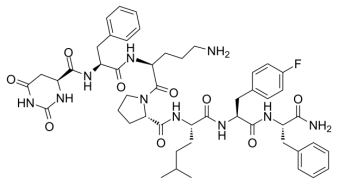
JPE-1375

Cat. No.:	HY-148141
CAS No.:	1254036-23-1
Molecular Formula:	$C_{49}H_{63}FN_{10}O_9$
Molecular Weight:	955.08
Target:	Complement System
Pathway:	Immunology/Inflammation
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (104.70 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0470 mL	5.2352 mL	10.4703 mL
	5 mM	0.2094 mL	1.0470 mL	2.0941 mL
	10 mM	0.1047 mL	0.5235 mL	1.0470 mL

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

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

C5aR1[1].

In Vivo

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].

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

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

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

REFERENCES

[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.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA