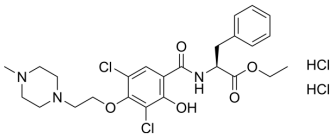
JTE-607

Cat. No.:	HY-110133
CAS No.:	188791-09-5
Molecular Formula:	$C_{25}H_{33}Cl_4N_3O_5$
Molecular Weight:	597.36
Target:	Interleukin Related
Pathway:	Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (418.51 mM; Need ultrasonic)

H_2O : 20 mg/mL (33.48 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6740 mL	8.3702 mL	16.7403 mL
5 mM	0.3348 mL	1.6740 mL	3.3481 mL
10 mM	0.1674 mL	0.8370 mL	1.6740 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (167.40 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.48 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JTE-607, a highly selective inflammatory cytokine synthesis inhibitor, protects from endotoxin shock in mice. JTE-607 inhibits inflammatory cytokine production, including TNF-α, IL-1β, IL-6, IL-8 and IL-10, from LPS-stimulated human PBMCs, with IC_{50}s of 11, 5.9, 8.8, 7.3 and 9.1 nM, respectively[1]. Cleavage and Polyadenylation Specificity Factor 3 (CPSF3) is the target of JTE-607[2].

IC_{50} & Target	IL-10	IL-6	IL-8

In Vitro

JTE-607 inhibits inflammatory cytokine production, including TNF-α, IL-1β, IL-6, IL-8 and IL-10, from LPS-stimulated human PBMCs, with IC_{50}s of 11, 5.9, 8.8, 7.3 and 9.1 nM, respectively. The inhibitory effects of JTE-607 are also seen in mRNA expression of those cytokines[1].

JTE-607 inhibits inflammatory cytokine production from LPS-stimulated human PBMCs with an IC_{50} of approximately 10 nM [1].

JTE607 inhibits LPS-stimulated IL-8 production from monkey and rabbit PBMCs, and TNF-α production from mouse and rat PBMCs with IC_{50}s of 59, 780, 1600 and 19000 nM, respectively[1].

JTE607 also suppresses other cytokines, granulocyte-macrophage colony stimulating factor and IL-1RA with IC_{50}s of 2.4±0.8 and 5.4±0.4 nM, respectively[1].

JTE-607 inhibits cytokine production in monkey, rabbit, mouse and rat with IC_{50}s of 59±26, 780±120, 1600±650 and 19000±3200 nM, respectively[1].

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

RT-PCR[1]

Cell Line:	human peripheral blood mononuclear cells (PBMCs)
Concentration:	100 nM
Incubation Time:	20 hours
Result:	Reduced the increase in the level of mRNAs of TNF-α, IL-1b, IL-6 and IL-8.

In Vivo

JTE-607 (0.3-10 mg/kg, i.v.) shows dose dependent inhibition of mortality after LPS challenge in C. parvum sensitized mice in accordance with a decrease of plasma TNF-α[1].

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

Animal Model:	Male C57BL/6 mice (5 to 6 weeks old) are sensitized by injecting Corynebacterium parvum [1]
Dosage:	0.3, 1, 3, 10 mg/kg
Administration:	Administered intravenously 10 min before the LPS challenge.
Result:	Showed dose dependent inhibition of the mortality at 0.3 to 10 mg/kg and significant effect at 3 and 10 mg/kg.

REFERENCES

[1]. M Kakutani, et al. JTE-607, a novel inflammatory cytokine synthesis inhibitor without immunosuppression, protects from endotoxin shock in mice. Inflamm Res. 1999 Aug;48(8):461-8.

[2]. Nathan T Ross, et al. CPSF3-dependent pre-mRNA processing as a druggable node in AML and Ewing's sarcoma. Nat Chem Biol. 2020 Jan;16(1):50-59.

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