Screening Libraries

Product Data Sheet

CU-CPT-8m

Cat. No.: HY-112050 CAS No.: 125079-83-6 Molecular Formula: $C_{14}H_{12}N_4O$ Molecular Weight: 252.27

Toll-like Receptor (TLR) Target: Pathway: Immunology/Inflammation

Storage: Powder -20°C 3 years 4°C 2 years

> -80°C 6 months In solvent -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 12.5 mg/mL (49.55 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.9640 mL	19.8200 mL	39.6401 mL
	5 mM	0.7928 mL	3.9640 mL	7.9280 mL
	10 mM	0.3964 mL	1.9820 mL	3.9640 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (9.91 mM); Suspended solution; Need ultrasonic and warming
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 1.25 mg/mL (4.96 mM); Clear solution; Need ultrasonic and warming
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.25 mg/mL (4.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	CU-CPT-8m is a specific TLR8 antagonist, with an IC $_{50}$ of 67 nM.	
IC ₅₀ & Target	TLR8 67 nM (IC ₅₀)	
In Vitro	CU-CPT-8m is a specific TLR8 antagonist, with an IC $_{50}$ of 67±10 nM and negligible cytotoxicity. The K $_{\rm d}$ value of CU-CPT-8m is determined to be 220 nM. CU-CPT-8m only reduces the proinflammatory response in the TLR8-overexpressing cells strongly	

supports that CU-CPT-8m directly recognizes TLR8 in cells. It is particularly notable that TLR7 signaling is not affected at concentrations up to 75 μ M. TLR7 and TLR8 are closely related and share many common ligands. Treatment of 1 μ M CU-CPT-8m completely abolishes the elevation of TNF- α and IL-8 mRNA levels induced by R848. CU-CPT-8m inhibits R848-induced TNF- α production in the differentiated THP-1 monocytes cells in a dose-dependent manner with an IC₅₀ of 90±10 nM, which is in good agreement with its IC₅₀ value determined in HEK-Blue TLR8 cells^[1].

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

CUSTOMER VALIDATION

• Infect Immun. 2019 Dec 17;88(1):e00697-19.

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REFERENCES

[1]. Zhang S, et al. Small-molecule inhibition of TLR8 through stabilization of its resting state. Nat Chem Biol. 2018 Jan;14(1):58-64.

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

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