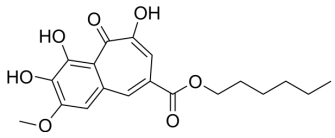
CU-CPT22

Cat. No.:	HY-108471
CAS No.:	1416324-85-0
Molecular Formula:	$C_{19}H_{22}O_7$
Molecular Weight:	362.37
Target:	Toll-like Receptor (TLR)
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (344.95 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7596 mL	13.7981 mL	27.5961 mL
5 mM	0.5519 mL	2.7596 mL	5.5192 mL
10 mM	0.2760 mL	1.3798 mL	2.7596 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 (6.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.90 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.90 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

CU-CPT22 is a potent protein complex of toll-like receptor 1 and 2 (TLR1/2) inhibitor, and competes with the synthetic triacylated lipoprotein (Pam_3CSK_4) binding to TLR1/2 with a K_i of 0.41 μM. CU-CPT22 blocks Pam_3CSK_4-induced TLR1/2 activation with an IC_{50} of 0.58 μM[1].

IC_{50} & Target	TLR1	TLR2

In Vitro	CU-CPT22 is a toll-like receptor 1 and 2 (TLR1/2) inhibitor with an IC_{50} of 0.58±0.09 μM. It is demonstrated that CU-CPT22 is able to compete with Pam_3CSK_4 for binding to TLR1/2 with an inhibition constant (K_i) of 0.41±0.07 μM, which is consistent with its potency observed in the whole cell assay. Increasing the concentration of CU-CPT22 to 6 μM decreases the anisotropy to background levels. It is found that CU-CPT22 inhibits TLR1/2 signaling without affecting other TLRs, showing it is highly selective in intact cells. CU-CPT22 is found to have no significant cytotoxicity at various concentrations up to 100 μM in RAW 264.7 cells.? The result demonstrates that CU-CPT22 can inhibit about 60% of TNF-αand 95% of IL-1β at 8 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	RAW 264.7 cells are planted in 6-well plates at 1,000,000 cells per well with 3 mL of medium and grown for 24 h at 37°C in a 5% CO_2 humidified incubator. After 24 h, non-adherent cells and media are removed and replaced with fresh RPMI 1640 medium (3 mL/well). Two wells of adherent macrophages are treated with Pam_3CSK_4 (300 ng/mL) as the positive control, two wells are treated with 8 μM CU-CPT22, and the other two wells are treated with 8 μM compound DMSO. Plates are then incubated for an additional 24 h. The medium is removed, the cells are washed with PBS (3×1 mL), the plate is put on ice, then 500 μL of lysis buffer is added to each well. The production of the cytokine interleukin-1β (IL-1β) and TNF-α is quantified with enzyme-linked immunosorbent assays (ELISA). The cytokine level in each sample is determined in duplicate [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biomaterials. 2020 May;241:119852.
- Life Sci. 2019 May 1;224:212-221.
- Oral Dis. 2020;00:1-13.
- Cell Tissue Res. 2020 Dec;382(3):585-598.
- Curr Pharm Des. 2021 Jul 16.

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REFERENCES

[1]. Cheng K, et al. Discovery of small-molecule inhibitors of the TLR1/TLR2 complex. Angew Chem Int Ed Engl. 2012 Dec 3;51(49):12246-9.

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