CU-115

Cat. No.: HY-131945

CAS No.: 2471982-20-2

Molecular Formula: $C_{21}H_{11}F_7INO_2$

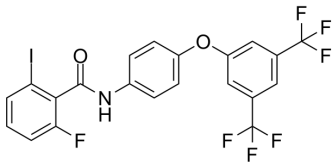
Molecular Weight: 569.21

Target: Toll-like Receptor (TLR)

Pathway: Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (175.68 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7568 mL	8.7841 mL	17.5682 mL
5 mM	0.3514 mL	1.7568 mL	3.5136 mL
10 mM	0.1757 mL	0.8784 mL	1.7568 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CU-115 is a potent TLR8 antagonist (IC_{50}=1.04 μM), and shows selective for TLR8 over TLR7 (IC_{50}=>50 μM). CU-115 decreases TNF-α and IL-1β production activated by R-848 in THP-1 cells[1].

IC_{50} & Target

TLR8	TLR7
1.04 μM (IC_{50})	50 μM (IC_{50})

In Vitro

In endosomal and non-endosomal TLR specificity studies, Human embryonic kidney (HEK) 293 cells expressing human tolllike receptor (hTLR) gene and an inducible secreted embryonic alkaline phosphatase (SEAP) reporter gene were incubated with CU-115 for 16 hours. As a result, CU-115 displays activity for TLR7 and TLR8 at low concentrations (0.5 μM). CU-115 does not modulate the NF-kB inhibition induced by Pam2CSK4, Pam3CSK4, Poly(I:C), LPS, R848, and Flic in HEK-293 TLR1/2, TLR2/6, TLR3, and TLR4 cells. And CU-115 inhibits TLR9 signaling at 1, 5, and 20 μM and ~10-25% inhibition. CU-115 (5-20 μM) inhibits increases in type I IFN transcriptional activity induced by the ssRNA nucleic acid ligands 3p-hpRNA

or G3-YSD in a luciferase reporter assay.
CU-115 (0.5, 1.0, 5, and 20 μM; 16 hours) is nontoxic at low concentrations (0.5 and 20 μM) and toxic at 100 μM in Hek293 TLR7 and TLR8 cells. CU-115 also is nontoxic at low concentrations (0.5 and 20 μM) and displays partial toxicity at 100 μM in THP Dual cells.
The enzyme-linked immunosorbent assay (ELISA) is performed to measure upregulation/inhibition of TNF-α in human THP-1 cells (hTHP-1). CU-115 (5-20 μM) abolishes the TNF-α production activated by R848 (1 μg/ml) in hTHP1. It also represses the expression of IL-1β in hTHP-1 cells. These results suggest that CU-115 suppresses TLR8 and TLR7 signaling pathways.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- ACS Nano. 2021 Sep 2;acsnano.1c03252.
- Int J Mol Sci. 2023, 24(1), 653.

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REFERENCES

[1]. Rosaura Padilla-Salinas, et al. Discovery of Novel Small Molecule Dual Inhibitors Targeting Toll-Like Receptors 7 and 8. J Med Chem. 2019 Nov 27;62(22):10221-10244.

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