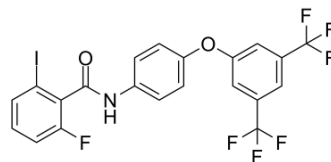


## CU-115

<b>Cat. No.:</b>	HY-131945
<b>CAS No.:</b>	2471982-20-2
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>11</sub> F <sub>7</sub> INO <sub>2</sub>
<b>Molecular Weight:</b>	569.21
<b>Target:</b>	Toll-like Receptor (TLR)
<b>Pathway:</b>	Immunology/Inflammation
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	CU-115 is a potent TLR8 antagonist (IC <sub>50</sub> =1.04 μM), and shows selective for TLR8 over TLR7 (IC <sub>50</sub> >=50 μM). CU-115 decreases TNF-α and IL-1β production activated by R-848 in THP-1 cells <sup>[1]</sup> .	
<b>IC<sub>50</sub> &amp; Target</b>	TLR8 1.04 μM (IC <sub>50</sub> )	TLR7 50 μM (IC <sub>50</sub> )
<b>In Vitro</b>	<p>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-κB 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.</p> <p>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.</p> <p>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.</p>	

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

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