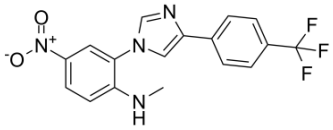
CU-T12-9

Cat. No.:	HY-110353
CAS No.:	1821387-73-8
Molecular Formula:	$C_{17}H_{13}F_3N_4O_2$
Molecular Weight:	362.31
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 : 250 mg/mL (690.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7601 mL	13.8003 mL	27.6007 mL
	5 mM	0.5520 mL	2.7601 mL	5.5201 mL
	10 mM	0.2760 mL	1.3800 mL	2.7601 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: ≥ 2.08 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CU-T12-9 is a specific TLR1/2 agonist with EC_{50} of 52.9 nM in HEK-Blue hTLR2 SEAP assay. CU-T12-9 activates both the innate and the adaptive immune systems. CU-T12-9 selectively activates the TLR1/2 heterodimer, not TLR2/6. CU-T12-9 signals through NF-κB and invokes an elevation of the downstream effectors TNF-α, IL-10, and iNOS[1].

IC_{50} & Target

TLR2	TLR1
52.9 nM (EC50, in HEK-Blue cells)	52.9 nM (EC50, in HEK-Blue cells)

In Vitro

CU-T12-9 directly targets TLR1/2 to initiate downstream signaling. By binding to both TLR1 and TLR2, CU-T12-9 facilitates the TLR1/2 heterodimeric complex formation, which in turn activates the downstream signaling[1].
CU-T12-9 activates the TLR1/2 pathway by inducing NF-κB activation to trigger downstream signaling, such as secreted embryonic alkaline phosphatase (SEAP), NO, and TNF-α[1].

CU-T12-9 (0.39-100 μM; 24 hours) does not produce toxicity up to 100 μM in HEK-Blue hTLR2 and Raw 264.7 cells[1].
CU-T12-9 up-regulates the mRNA levels of TLR1, TLR2, TNF, IL-10, and iNOS. CU-T12-9 (0.1-10 μM) activates TLR1 mRNA and iNOS mRNA after Raw 264.7 cells are treated for 24 hours. CU-T12-9 (0.1-10 μM) activates TLR2 and IL-10 mRNA after Raw 264.7 cells are treated for 2 hours. CU-T12-9 (0.1-10 μM) activates TNF mRNA after Raw 264.7 cells are treated for 8 hours[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	HEK-Blue hTLR2 and Raw 264.7 macrophage cells
Concentration:	0.39, 0.78, 1.56, 3.125, 6.25, 12.5, 25, 50, and 100 μM
Incubation Time:	24 hours
Result:	No toxicity was seen up to 100 μM.

RT-PCR[1]

Cell Line:	Raw 264.7 cells
Concentration:	0.1, 1, 10 μM
Incubation Time:	24 hours for TLR1 and iNOS mRNA assay 2 hours for TLR2 and IL-10 mRNA assay 8 hours for TNF mRNA assay
Result:	Triggered TLR1 mRNA and iNOS mRNA at 24 hours dose-dependently. Dose-dependent activation of TLR2 mRNA and IL-10 mRNA at 2 hours. Showed dose-dependent activation of TNF mRNA at 8 hours.

REFERENCES

[1]. Cheng K, et al. Specific activation of the TLR1-TLR2 heterodimer by small-molecule agonists. Sci Adv. 2015;1(3). pii: e1400139.

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