Diprovocim

Cat. No.: HY-123942

CAS No.: 2170867-89-5

Molecular Formula: $C_{56}H_{56}N_6O_6$

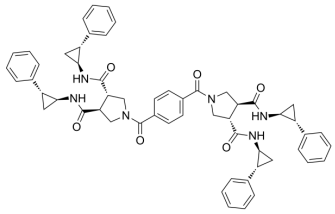
Molecular Weight: 909.08

Target: Toll-like Receptor (TLR); TNF Receptor; p38 MAPK; NF-κB

Pathway: Immunology/Inflammation; Apoptosis; MAPK/ERK Pathway; NF-κB

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 : 33.33 mg/mL (36.66 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.1000 mL	5.5001 mL	11.0001 mL
5 mM	0.2200 mL	1.1000 mL	2.2000 mL
10 mM	0.1100 mL	0.5500 mL	1.1000 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 (2.75 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Diprovocim is a potent TLR1/TLR2 agonist. Diprovocim elicits full agonist activity in human THP-1 cells (EC_{50}=110 pM). Diprovocim stimulates the release of TNF-α from mouse macrophages (EC_{50}=1.3 nM). Diprovocim activates downstream MAPK and NF-κB signaling pathway. Diprovocim displays strong adjuvant activity in mice, particularly abetting cellular immune responses[1][2].

IC_{50} & Target	TLR1	TLR2	p38 MAPK	NF-κB

In Vitro

Diprovocim (5 nM in THP-1 and 500 nM in mouse peritoneal macrophage; 15-120 mins) induces phosphorylation of IKKα, IKK β, p38, JNK, and ERK, as well as degradation of IκBα in THP-1 cells and mouse peritoneal macrophages[2].

Diprovocim (0.01-10000 nM; 4 hours) induces dose-dependent TNF production by THP-1 cells (EC_{50}=110 pM) and human peripheral blood mononuclear cells (PBMC) (EC_{50}=875 pM) and by mouse peritoneal macrophages (EC_{50}=1.3 nM) and bone

marrow-derived dendritic cells (BMDC) (EC_{50}=6.7 nM). In addition to TNF, Diprovocim induced IL-6 production by mouse BMDC[2].

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

Western Blot Analysis[2]

Cell Line:	THP-1 cells and mouse peritoneal macrophages
Concentration:	5 nM in THP-1 and 500 nM in mouse peritoneal macrophages
Incubation Time:	15, 30, 60, 120 mins
Result:	Induced phosphorylation of IKKα, IKKβ, p38, JNK, and ERK, as well as degradation of IκBα.

In Vivo

Diprovocim (10 mg/kg) uses as an adjuvant and mixed with ovalbumin (OVA; 100 μg) by i.m. induces similar levels of serum OVA-specific IgG after 14 days[2].

Diprovocim (10 mg/kg; i.m.) mixed with ovalbumin (OVA; 100 μg) before inoculation with B16-OVA cells immunizes significantly slows tumor growth rate but failed to prolong survival relative to OVA alone after 7 days[2].

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

Animal Model:	WT or $Tlr2^{-/-}$ C57BL/6J mice[2]
Dosage:	10 mg/kg
Administration:	IM
Result:	Induced similar levels of serum OVA-specific IgG, which were highly elevated compared with levels induced by immunization with OVA plus vehicle by i.m. with 100 μg OVA mixed with this drug.

CUSTOMER VALIDATION

- Int Immunopharmacol. 2023 Oct 9;124(Pt B):111034.

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REFERENCES

[1]. Matthew D Morin, et al. Diprovocims: A New and Exceptionally Potent Class of Toll-like Receptor Agonists. J Am Chem Soc. 2018 Oct 31;140(43):14440-14454.

[2]. Ying Wang, et al. Adjuvant effect of the novel TLR1/TLR2 agonist Diprovocim synergizes with anti-PD-L1 to eliminate melanoma in mice. Proc Natl Acad Sci U S A. 2018 Sep 11;115(37):E8698-E8706.

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