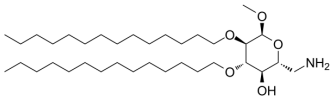
IAXO-102

Cat. No.:	HY-125171
CAS No.:	1115270-63-7
Molecular Formula:	$C_{35}H_{71}NO_5$
Molecular Weight:	585.94
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

Ethanol : 50 mg/mL (85.33 mM; Need ultrasonic)
DMSO : 3.33 mg/mL (5.68 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7067 mL	8.5333 mL	17.0666 mL
5 mM	0.3413 mL	1.7067 mL	3.4133 mL
10 mM	0.1707 mL	0.8533 mL	1.7067 mL

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

In Vivo

1. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (1.42 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (1.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

IAXO-102 is a TLR4 antagonist which negatively regulates TLR4 signalling. IAXO-102 inhibits MAPK and p65 NF-κB phosphorylation and expression of TLR4 dependent proinflammatory protein. IAXO-102 also prevents experimental abdominal aortic aneurysm development[1].

IC_{50} & Target

TLR4

In Vitro

IAXO-102 (1-10 μM, for 2 hours) inhibits MAPK and p65 NF-κB phosphorylation in human umbilical vein endothelial (HUVEC) cells[1].
IAXO-102 (10 μM, for 17 hours) suppresses LPS induced proinflammatory proteins MCP-1 and IL-8 production in HUVEC[1].

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

Western Blot Analysis[1]

Cell Line:	Human umbilical vein endothelial (HUVEC) cells
Concentration:	1-10 μM
Incubation Time:	Pretreatment for 1 hour and then exposed to LPS (100 ng/mL) for additional 1 hour
Result:	Significantly inhibited LPS-stimulated MAPK/p65nF-KB phosphorylation.

In Vivo

IAXO-102 (3 mg/kg/day, s.c. for 28 days) significantly retards Angiotensin II induced increase in aortic diameter in mice[1].

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

Animal Model:	Six-monthold ApoE$^{-/-}$/C57Bl6[1]
Dosage:	3 mg/kg/day
Administration:	S.C. for 28 days
Result:	Significantly retarded Angiotensin II-induced increase in aortic diameter.

CUSTOMER VALIDATION

- Redox Biol. 2023 May 3, 102721.
- Mol Med Rep. 2021 Dec;24(6):868.
- Cancer Chemother Pharmacol. 2022 Aug 12.
- University of Adelaide. School of Biomedicine. 2022 Aug.

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REFERENCES

[1]. Huggins C, et al. A novel small molecule TLR4 antagonist (IAXO-102) negatively regulates non-hematopoietic toll like receptor 4 signalling and inhibits aortic aneurysms development. Atherosclerosis. 2015 Oct;242(2):563-70.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA