NLRP3-IN-12

Cat. No.: HY-151952

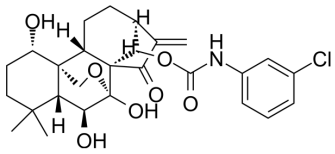
Molecular Formula: $C_{27}H_{32}ClNO_7$

Molecular Weight: 518

Target: NOD-like Receptor (NLR)

Pathway: Immunology/Inflammation

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

NLRP3-IN-12 is a specific NLRP3 inflammasome inhibitor. NLRP3-IN-12 reduces the release of IL-1β by targeting the NLRP3 protein, with an IC_{50} of 0.45 μM. NLRP3-IN-12 can be used for the research of inflammatory bowel disease[1].

IC_{50} & Target

NLRP3 inflammasome[1]

In Vitro

NLRP3-IN-12 (compound 6E) (0.5-2 μM; pretreated for 1 h) inhibits LPS/ATP-stimulated expression of cleaved caspase-1 and IL-1β in THP-M cells[1].

NLRP3-IN-12 (2 μM) inhibits GSDMD-mediated pyroptosis in THP-M cells[1].

NLRP3-IN-12 (1 μM) exhibits the half-life ($T_{1/2}$) are 53.4 min and 31.8 min in human and rat liver microsomes, respectively[1].

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

Western Blot Analysis[1]

Cell Line:	THP-M cells
Concentration:	0.5, 1, 2 μM
Incubation Time:	Pretreated for 1 h, then stimulated with LPS (1 μg/mL) for 4.5 h, and ATP (5 mM) for 0.5 h.
Result:	Reduced the secretion of IL-1β and caspase-1. Had no effect on the levels of NLRP3, pro-IL-1β, ASC, pro-caspase 1, p65, p-p65, IκBα, and p-IκBα.

In Vivo

NLRP3-IN-12 (compound 6E) (5-10 mg/kg; i.p. daily for 10 d) attenuates DSS-induced colitis severity in mice[1].

NLRP3-IN-12 (20 mg/kg; i.v.) exhibits the half-life ($T_{1/2}$) is 6.64 h, elimination rate constant (Kel) is 0.107 h, clearance rate (CL) is 105 mL/kg/min and steady-state apparent volume of distribution (Vd_{ss}) is 23.1 L/kg in rats[1].

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

Animal Model:	C57BL/6 mice were induced acute colitis by drinking 3% DSS (dextran sulfate sodium)[1]
Dosage:	5, 10 mg/kg
Administration:	Intraperitoneal injection daily for 10 days in aqueous solution containing DMSO/0.5% CMC-Na/normal saline

Result:	Attenuated DSS-induced weight loss, loose stools, bloody stools, shortened colons, increased disease activity index score, and lower survival rate.

REFERENCES

[1]. Pang L, et, al. Development of novel oridonin analogs as specifically targeted NLRP3 inflammasome inhibitors for the treatment of dextran sulfate sodium-induced colitis. Eur J Med Chem. 2023 Jan 5;245(Pt 2):114919.

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