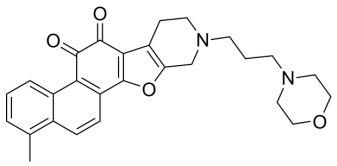
NLRP3-IN-14

Cat. No.:	HY-149121
CAS No.:	2767369-80-0
Molecular Formula:	$C_{27}H_{28}N_2O_4$
Molecular Weight:	444.52
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-14 is a potent and selective NLRP3 inflammasome inhibitor (K_D: 5.87 μM). NLRP3-IN-14 inhibits IL-1β release with an IC_{50} of 0.131 μM. NLRP3-IN-14 can be used for the research of inflammation[1].

IC_{50} & Target

NLRP3 inflammasome
5.87 μM (Kd)

In Vitro

NLRP3-IN-14 (Compound 5j) inhibits IL-1β release with an IC_{50} of 0.131 μM (ELISA assay)[1].
NLRP3-IN-14 (2 μM, 3 h) inhibits the secretion of IL-1β (p17) and caspase-1 (p20) in mice peritoneal macrophages (PMs)[1].
NLRP3-IN-14 inhibits the formation of the NLRP3 inflammasome complex by inhibiting ASC oligomerization[1].
NLRP3-IN-14 (10 μM, 5 h) inhibits the degradation of protein NLRP3 with increasing temperature[1].
NLRP3-IN-14 shows metabolic stability in both human and mouse liver microsomes ($T_{1/2}$: 866.3 min; Cl_{int}: 1.6 μL/min/mg)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Mice peritoneal macrophages(PMs)
Concentration:	2 μM
Incubation Time:	3 h
Result:	Inhibited the secretion of IL-1β (p17) and caspase-1 (p20), without affecting pro-IL-1β and pro-caspase-1.

In Vivo

NLRP3-IN-14 (Compound 5j) (50 mg/kg; i.p.) shows anti-inflammatory effect in septic mouse model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	LPS-induced inflammatory septic mouse model[1]
Dosage:	50 mg/kg
Administration:	i.p.
Result:	Decreased the release of IL-1β in mouse serum.

	Relieved thickening of the alveolar wall in lung.
Animal Model:	Mice[1]
Dosage:	20 or 5 mg/kg
Administration:	p.o. or i.v.
Result:	Pharmacokinetic profile of NLRP3-IN-14 (compound 5j).

parameter	dose (mg/kg)	$T_{1/2}$ (h)	T_{max} (h)	F (%)
PO	20	9.225	0.389	3.0
IV	5	5.028	0.083	

REFERENCES

[1]. Li J, et al. Scaffold Hybrid of the Natural Product Tanshinone I with Piperidine for the Discovery of a Potent NLRP3 Inflammasome Inhibitor. J Med Chem. 2023 Feb 23;66(4):2946-2963.

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