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# Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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# NLRP3-IN-39

**Cat. No.:** HY-161579

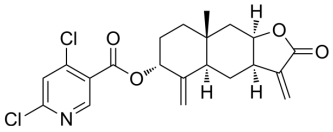
**Molecular Formula:** $C_{21}H_{21}Cl_2NO_4$

**Molecular Weight:** 422.3

**Target:** NOD-like Receptor (NLR); Interleukin Related

**Pathway:** Immunology/Inflammation

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



## BIOLOGICAL ACTIVITY

**Description**

NLRP3-IN-39 (Compound 49) inhibits the assembly and activation of NLRP3 inflammatory vesicles. NLRP3-IN-39 exerts its inhibitory effect by covalently binding to Cys 279 of the NLRP3 protein. NLRP3-IN-39 inhibits Nigericin (HY-127019)-induced IL-1β release from THP-1 cells ($IC_{50}$= 0.29 μM)[1].

| $IC_{50}$ & Target | NLRP3 | IL-1β |
|---|---|---|

**In Vitro**

NLRP3-IN-39 can inhibit Nigericin-induced IL-1β release in various cell types, with IC50 values of 0.29 μM in THP-1 cells, 44.97 nM in PBMCs, and 738.8 nM in BMDMs,respectively[1].

NLRP3-IN-39 (0-1 μM; 24 h) effectively inhibits the secretion of inflammatory cytokines in THP-1 cells and BMDMs without affecting the expression of precursor proteins[1].

NLRP3-IN-39 (0-1 μM; 40 min) significantly inhibits LDH release and pyroptosis induced by NLRP3 inflammasome activation in THP-1 cells and BMDMs. In LPS-primed THP-1 cells, NLRP3-IN-3 shows a significant, concentration-dependent inhibition of nigericin-induced IL-1β release[1].

NLRP3-IN-39 (0-1 μM; 40 min) significantly inhibits LDH release and pyroptosis induced by NLRP3 inflammasome activation in THP-1 cells and BMDMs. In LPS-primed THP-1 cells, NLRP3-IN-39 shows a significant, concentration-dependent inhibition of Nigericin-induced IL-1β release[1].

NLRP3-IN-39 (1 μM; 24 h) reduces the formation of ASC oligomers and ASC specks in Nigericin-induced THP-1 cells, while this phenomenon is not observed in NLRP3 KO THP-1 cells[1].

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

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | THP-1 cells and BMDMs |
| Concentration: | 0, 0.25, 0.5, 1 μM |
| Incubation Time: | 24 h |
| Result: | Inhibited the secretion of IL-1β and caspase-1 in a concentration-dependent manner in LPS/nigericin-induced THP-1 cells and BMDMs, without significantly affecting the expression of pro-IL-1β (p31), pro-caspase-1 (p45), NLRP3, and ASC. |

Immunofluorescence[1]

| | |
|---|---|
| Cell Line: | THP-1 cells and BMDMs |

| | |
|---|---|
| Concentration: | 0, 0.25, 0.5, 1 μM |
| Incubation Time: | 40 min |
| Result: | Inhibits the red fluorescence of pyroptosis in a concentration-dependent manner, showing effects similar to MCC950 (HY-12815). |

**In Vivo**

NLRP3-IN-39 (15, 30 mg/kg; i.p.; single dose) exhibits significant anti-inflammatory effects in a DSS-induced ulcerative colitis mouse model[1].
NLRP3-IN-39 is prepared in 5% DMSO and 95% saline to a concentration of 3 mg/mL.

Pharmacokinetic Analysis in C57BL/6 male mice[1]

| Route | Dose (mg/kg) | $AUC_{0-t}$ (ng·h/mL) | $MRT_{0-t}$ (h) | $T_{max}$ (h) | $T_{1/2}$ (h) | $C_{max}$ (ng/mL) | Clz/F (L/h/kg) | |
|---|---|---|---|---|---|---|---|---|
| i.p. | 30 | 16.75 ± 0.69 | 10.98 ± 0.56 | 0.78 ± 0.61 | 6.83 ± 1.17 | 1.57 ± 0.19 | 68.7 | 637.40 ± 136.40 |

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

| | |
|---|---|
| Animal Model: | DSS-induced ulcerative colitis mouse model [1] |
| Dosage: | 15, 30 mg/kg |
| Administration: | i.p.; single dose |
| Result: | Significantly reduced the increased thickness of colonic tissue, crypt loss, goblet cell depletion, severe mucosal damage, and severe ulcer formation.<br>Significantly lowered the levels of IL-1β and TNF-α in colonic tissue and inhibited the activation of caspase-1. |

## REFERENCES

[1]. Zhao M, et al. Novel Isoalantolactone-Based Derivatives as Potent NLRP3 Inflammasome Inhibitors: Design, Synthesis, and Biological Characterization. J Med Chem. 2024 May 9;67(9):7516-7538.



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