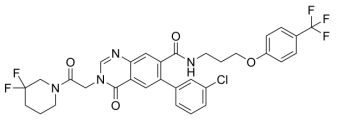
NOD1/2 antagonist-1

Cat. No.:	HY-146034
CAS No.:	2704623-69-6
Molecular Formula:	$C_{32}H_{28}ClF_5N_4O_4$
Molecular Weight:	663.03
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

NOD1/2 antagonist-1 (compound 36b) is a potent NOD1/2 (nucleotide-bindingoligomerization domain-like receptor 1/2) dual antagonist, with IC_{50} values of 1.13 (NOD1) and 0.77 μM (NOD2), respectively. NOD1/2 antagonist-1 has a acceptable $T_{1/2}$ (67.6 min). NOD1/2 antagonist-1 (compound 36b) can improve the antitumor efficacy of Paclitaxel (PTX)[1].

IC_{50} & Target

NOD1	NOD2
1.13 μM (IC_{50})	0.77 μM (IC_{50})

In Vitro

NOD1/2 antagonist-1 (compound 36b) (0-10 μM, 3 h) inhibits C12-iE-DAP-induced or MDP-induced NF-κB activation[1].
NOD1/2 antagonist-1 (0-10 μM, 1 h) suppresses inflammation via NOD1 and NOD2 activation[1].
NOD1/2 antagonist-1 (0-10 μM, 1 h) consistently and dose-dependently reduces the transcription of IL-6, TNF-α and IL-8, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay

Cell Line:	HEK-Blue hNOD1 and HEK-Blue hNOD2 cells[1]
Concentration:	0.001, 0.01, 0.1, 1, 10 μM
Incubation Time:	3 h
Result:	Inhibited C12-iE-DAP-induced or MDP-induced NF-κB activation, and had no or little effect on cell growth.

Western Blot Analysis

Cell Line:	THP-1 cells[1]
Concentration:	1, 10 μM
Incubation Time:	1 h
Result:	Prevented the increases in p-RIP2, p-IKKα/β, p-p65, p-p38, and p-JNK and the degradation of IκBα in a dose-dependent manner, and blocked NOD1-and NOD2-mediated inflammatory cytokine secretion in THP-1 cells.

	RT-PCR
Cell Line:	THP-1 cells[1]
Concentration:	1, 10 μM
Incubation Time:	1 h
Result:	Consistently and dose-dependently reduced the transcription of IL-6, TNF-α and IL-8 stimulated by C12-iE-DAP or MDP, respectively.

In Vivo

NOD1/2 antagonist-1 (compound 36b) (50 mg/kg, IV, once every other day, for 16 days) improves the antitumor efficacy of PTX in B16 tumor-bearing model[1].

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

Animal Model:	C57BL/6 mice (6-8 weeks old, male, B16 tumor-bearing model, 4 groups, n = 7 each group) [1]
Dosage:	50 mg/kg (36b), 50 mg/kg (36b) + 12 mg/kg (PTX) (formulated in DMSO/Cremophor EL/saline at 5:5:90(v:v:v))
Administration:	IV, once every other day (36b), once every 4 days (PTX), for 16 days
Result:	Significantly reduced tumor growth compared with PTX treatment alone, and the tumor weight inhibitory rate increased from 64.07% to 85.46%.

REFERENCES

[1]. Ma Y, Yang J, Wei X, et al. Nonpeptidic quinazolinone derivatives as dual nucleotide-binding oligomerization domain-like receptor 1/2 antagonists for adjuvant cancer chemotherapy. Eur J Med Chem. 2020;207:112723.

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