Data Sheet (Cat.No.T206986)

TargetMol

NLRP3-IN-75

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	NLRP3-IN-75 is an orally effective inhibitor of NLRP3, capable of suppressing IL-1β secretion with an IC50 of 23 nM. It selectively inhibits NLRP3 activation by disrupting inflammasome assembly without affecting the assembly of NLRC4 or AIM2 inflammasomes. NLRP3-IN-75 demonstrates excellent anti-inflammatory effects in models of acute peritonitis, diabetic nephropathy, and inflammatory bowel disease (IBD).
Targets(IC50)	NF-κB,NOD-like Receptor (NLR),Interleukin
In vitro	NLRP3-IN-75 (Compound 15), at concentrations ranging from 0.03-100 μM over 30 minutes, effectively inhibits IL-1β release in BMDM cells (IC_{50} = 0.106 μM) and THP-1 cells (IC_{50} = 23 nM) induced by LPS and ATP. At a concentration of 10 μM over 4 hours, it maintains IL-1β secretion through NLRC4 or AIM2 inflammasome pathways in flagellin-transfected BMDM cells, demonstrating selective inhibition of the NLRP3 inflammasome. Notably, at the same concentration and duration, NLRP3-IN-75 does not affect the initiation of NLRP3 inflammasome activation, as TNF-α and IL-6 production remain unchanged. Additionally, NLRP3-IN-75 obstructs NLRP3 inflammasome assembly, thereby hindering the interaction between NLRP3 and ASC in LPS and ATP-induced BMDM cells.
In vivo	NLRP3-IN-75, administered orally at 20 mg/kg as a single dose, alleviates LPS and ATP-induced acute peritonitis in mouse models. Over six weeks, NLRP3-IN-75 (20 mg/kg, oral) targets the NLRP3 inflammasome to mitigate HFD and STZ-induced diabetic kidney disease (DKD) in mice. Furthermore, NLRP3-IN-75 (0.2-5 mg/kg, oral, for 10 days) alleviates DSS-induced inflammatory bowel disease in mice. Additionally, at 20 mg/kg per single oral administration, NLRP3-IN-75 exhibits low cardiovascular or pulmonary toxicity in mice.