

TLR7/8 agonist 14

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	TLR7/8 agonist 14 is a dual-target agonist of TLR7 and TLR8, with EC50 values of 75 μ M for TLR7 and 96 μ M for TLR8. This compound enhances the secretion of pro-inflammatory cytokines TNF- α , IL-1 β , IL-8, and IFN- γ , while also increasing cytokine secretion and CD86 expression levels. TLR7/8 agonist 14 is applicable in colorectal cancer research.
Targets(IC50)	IFNAR
In vitro	TLR7/8 agonist 14 (compound 69) demonstrates immune-regulatory properties in vitro at concentrations of 1-5 μ M over 24 hours. At a concentration of 5 μ M for 24 hours, it functions as an adjuvant candidate to promote adaptive anti-tumor immunity in immature dendritic cells. At 20 μ M, it activates the downstream MyD88-dependent signaling pathways, resulting in AP-1 activation and the phosphorylation of MEK-1, p38, I κ B, and ERK1/2 in THP-1 cells, thus initiating further downstream signaling. TLR7/8 agonist 14 has a half-life ($t_{1/2}$) of 5.6 minutes and a microsomal intrinsic clearance rate (CL int (mic)) of 246.9 μ L/min/mg, with a liver intrinsic clearance rate (CL int (liver)) of 222.2 ml/min/kg in human liver microsomes at 10 mM for 1 hour.
In vivo	Compound 69, a TLR7/8 agonist (2.5-10 mg/kg, administered subcutaneously once or intratumorally on days 1, 2, and 4), exhibits promising antitumor activity without systemic toxicity in a CT26 colorectal cancer syngeneic mouse model.

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