



兰博利德 LABLEAD
高 新 技 术 企 业

RU.521



货号：R3556

储存条件：粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

Cyclic GMP-AMP synthase (cGAS), acting as a sensor of DNA from pathogens or mislocalized self-DNA, synthesizes a cyclic dinucleotide that initiates an inflammatory cellular response. RU.521 is a potent, small-molecular inhibitor of cGAS. It binds to the cGAS/dsDNA complex with a K_d value of 36.2 nM. In RAW macrophage cells stably expressing an interferon-responsive element coupled to a luciferase gene, RU.521 suppressed dsDNA-activated reporter activity with an IC_{50} value of 700 nM. When RAW cells were stimulated with immunogenic ligands for RIG-I, Tlr2/1, Tlr3, Tlr4, and JAK/STAT signaling pathways, RU.521 at its EC75 value failed to suppress the activation of cells by all the immunogenic ligands tested. Treatment of BMDM cells isolated from $Trex1^{-/-}$ mice with RU.521 at its IC_{50} value for 24 hours significantly decreased IFNB1 expression as compared to DMSO-treated cells.

作用机制

RU.521 inhibits cGAS by targeting the pocket of cGAS and subsequently pushing the benzimidazole ring away from the enzyme. It potentially inhibits every catalytic step of cGAS, including substrate binding, intermediate and product formation, or displaces substrates from the catalytic pocket of cGAS.

产品信息

CAS 号	2262452-06-0	
分子式	C19H12Cl2N4O3	
分子量	415.23	
溶解度	DMSO	107.5 mg/mL (258.9 mM)
	Water	insoluble

