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Data Sheet

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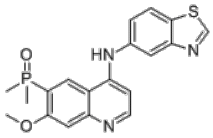
Product Name	:	Zharp2-1
Cat. No.	:	PC-21082
CAS No.	:	2772600-18-5
Molecular Formula	:	$C_{19}H_{18}N_3O_2PS$
Molecular Weight	:	383.41
Target	:	RIP kinase
Solubility	:	10 mM in DMSO



CAS: 2772600-18-5

Biological Activity

Zharp2-1 is a novel potent, selective **RIPK2** inhibitor with IC50 of 38.5 nM in ADP-Glo kinase assay, effectively blocks RIPK2 kinase function and NOD-mediated NF-κB/MAPK activation.
Zharp2-1 has a high affinity to RIPK2 (Kd=3.1 nM) in binding assay.
Zharp2-1 shows no significant binding to RIPK1 with Kd of >30,000 nM or RIPK3 with Kd of 710 nM, does not affect the kinase activity of RIPK1 and RIPK3 even at 1 μM.
Zharp2-1 efficiently inhibits pro-inflammatory cytokine IL-8 in THP-1 cells with an IC50 of 6.4 nM stimulated by L18-MDP and an IC50 of 16.4 nM stimulated by MDP.
Zharp2-1 blocks cellular NOD-mediated activation of MAPK/NF-κB signaling pathway.
Zharp2-1 significantly inhibits MDP-induced cytokine release in PBMCs, with an IC50 of 0.8 nM for IL-8, 8.7 nM for IL-6 and 11.9 nM for TNF-α, exerts a higher efficacy compared to GSK2983559 (Cat# PC-73178).
Zharp2-1 (15 mg/kg, once daily by oral gavage for 6 day) significantly alleviates inflammatory bowel disease in rat model of colitis induced by dinitrobenzene sulfonic acid (DNBS).

References

Yujun Lai, et al. ***Biochem Pharmacol.*** 2023 Aug;214:115647.

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