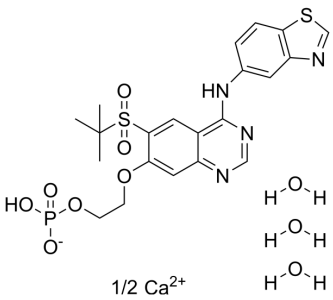
GSK2983559

Cat. No.:	HY-112038A
Molecular Formula:	$C_{21}H_{28}CaN_4O_{10}PS_2^+$
Molecular Weight:	612
Target:	RIP kinase
Pathway:	Apoptosis
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : < 1 mg/mL (ultrasonic;warming;heat to 80°C) (insoluble or slightly soluble) DMF : < 1 mg/mL (ultrasonic;warming;heat to 80°C) (insoluble) Ethanol : < 1 mg/mL (ultrasonic) (insoluble)

BIOLOGICAL ACTIVITY

Description	GSK2983559 is an orally active and potent receptor interacting protein 2 (RIP2) kinase inhibitor. GSK2983559 blocks many proinflammatory cytokine responses in vivo and in human inflammatory bowel disease explant samples[1].
IC_{50} & Target	RIPK2

In Vitro

GSK2983559 (1-1024 nM; 2 h) blocks MDP-induced IL-8 in THP-1 cells[2].

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

Cell Viability Assay[2]

Cell Line:	THP-1 cells
Concentration:	1-1024 nM
Incubation Time:	2 hours
Result:	Inhibited IL-8 production with an IC_{50} of 1.34 nM.

In Vivo

GSK2983559 (oral gavage; 3 and 10 mg/kg; once) inhibits effectively MDP-induced IL-6 in mouse[2].

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

Animal Model:	C57BL/6 mice (female) injected with MDP (100 μg)[2]
Dosage:	3 and 10 mg/kg
Administration:	Oral gavage; 3 and 10 mg/kg; once

Result:	Suppressed serum IL-6 levels in a dose-dependent manner.

REFERENCES

[1]. Pamela A Haile, et al. Discovery of a First-in-Class Receptor Interacting Protein 2 (RIP2) Kinase Specific Clinical Candidate, 2-((4-(Benzo[d]thiazol-5-ylamino)-6-(tert-butylsulfonyl)quinazolin-7-yl)oxy)ethyl Dihydrogen Phosphate, for the Treatment of Inflammatory Diseases. J Med Chem. 2019 Jul 25;62(14):6482-6494.

[2]. Shuwei Wu, et al. Design, synthesis, and structure-activity relationship of novel RIPK2 inhibitors. Bioorg Med Chem Lett. 2022 Sep 2;75:128968.

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