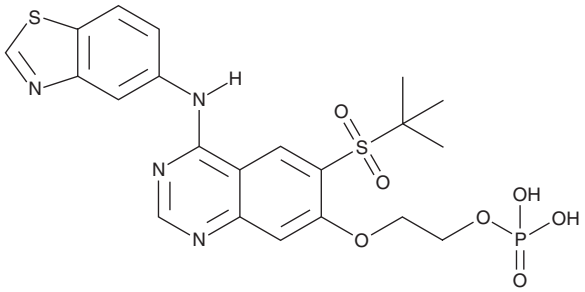
PRODUCT INFORMATION

GSK2983559

Item No. 31458

CAS Registry No.:	1579965-12-0
Formal Name:	2-[[4-(5-benzothiazolylamino)-6-[(1,1-dimethylethyl)sulfonyl]-7-quinazolinyl]oxy]-ethanol, 1-(dihydrogen phosphate)
MF:	$C_{21}H_{23}N_4O_7PS_2$
FW:	538.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 245, 344 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GSK2983559 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2983559 in the solvent of choice, which should be purged with an inert gas. GSK2983559 is soluble in the organic solvent DMSO at a concentration of approximately 1 mg/ml. GSK2983559 is also slightly soluble in dimethyl formamide.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of GSK2983559 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of GSK2983559 in PBS, pH 7.2, is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2983559 is a receptor-interacting protein kinase 2 (RIPK2) inhibitor.[1] It inhibits RIPK2 by 65% in a kinase assay when used at a concentration of 10 µM. GSK2983559 (10 µM) also inhibits VEGFR3 by greater than 90%, as well as 14 additional kinases by 60 to 89% in a panel of 344 kinases. *In vivo*, GSK2983559 (7.5 and 145 mg/kg twice per day) reduces colonic damage in a mouse model of TNBS-induced colitis. GSK2983559 is also a prodrug that is cleaved to an active metabolite in the gastrointestinal tract that inhibits RIPK2 more potently than GSK2983559.

Reference

1. Haile, P.A., Casillas, L.N., Votta, B.J., *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.* **62(14)**, 6482-6494 (2019).

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