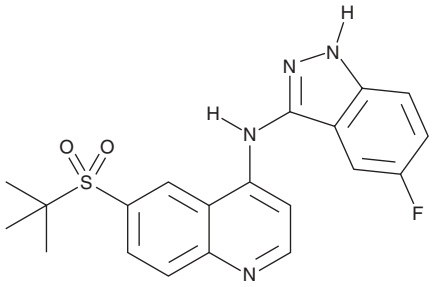
PRODUCT INFORMATION

GSK583

Item No. 19739

CAS Registry No.:	1346547-00-9
Formal Name:	6-[(1,1-dimethylethyl)sulfonyl]-N-(5-fluoro-1H-indazol-3-yl)-4-quinolinamine
MF:	$C_{20}H_{19}FN_4O_2S$
FW:	398.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 222, 352 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

GSK583 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK583 in the solvent of choice, which should be purged with an inert gas. GSK583 is soluble in the organic solvent dimethyl formamide (DMF) at a concentration of approximately 30 mg/ml.

GSK583 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK583 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK583 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK583 is a selective inhibitor of RIP-like interacting CLARP kinase (RICK also known as RIP2 kinase; IC_{50} = 5 nM).[1] RIP2 Kinase is a central component of the innate immune system and enables downstream signaling following activation of the pattern recognition receptors NOD1 and NOD2, leading to the production of inflammatory cytokines. In an *ex vivo* human translational model using intestinal mucosal tissue from inflammatory bowel disease patients, GSK583 inhibited both TNF-α and IL-6 production with an IC_{50} value of 200 nM.[1]

Reference

1. Haile, P. A., Votta, B. J., Marquis, R. W., *et al.* The identification and pharmacological characterization of 6-(tert-butylsulfonyl)-N-(5-fluoro-1H-indazol-3-yl)quinolin-4-amine (GSK583), a highly potent and selective inhibitor of RIP2 kinase. *J. Med. Chem.* **59(10)**, 4867-4880 (2016).

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