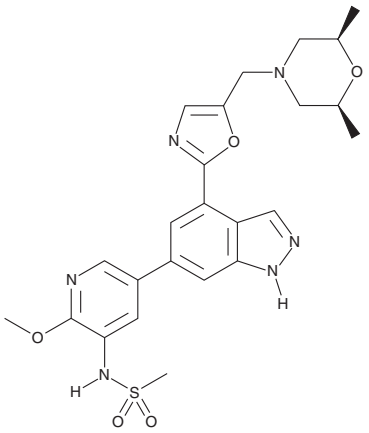
PRODUCT INFORMATION

GSK2292767

Item No. 21757



CAS Registry No.:	1254036-66-2
Formal Name:	*rel*-N-[5-[4-[5-[[(2R,6S)-2,6-dimethyl-4-morpholinyl]methyl]-2-oxazolyl]-1H-indazol-6-yl]-2-methoxy-3-pyridinyl]-methanesulfonamide
MF:	$C_{24}H_{28}N_6O_5S$
FW:	512.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 212, 252, 304, 322, 336 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

GSK2292767 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2292767 in the solvent of choice, which should be purged with an inert gas. GSK2292767 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of GSK2292767 in these solvents is approximately 14 and 16 mg/ml, respectively.

GSK2292767 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2292767 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK2292767 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2292767 is a potent and selective inhibitor of phosphatidylinositol 3-kinase δ (PI3Kδ; K_i = 79 pM).[1] It has >1,000-fold selectivity for PI3Kδ over isoforms PI3Kα, PI3Kβ, and PI3Kγ with K_i values of 501, 630, and 501 nM, respectively. GSK2292767 is >100-fold selective for PI3Kδ over a panel of 250 kinases. It inhibits IFN-γ and IL-2 production (IC_{50}s = 1.9 and 3.16 nM, respectively) in a human lung parenchyma assay. GSK2292767 also protects against eosinophil recruitment (ED_{50} = 35 µg/kg) in the brown Norway rat acute ovalbumin model of Th2-driven lung inflammation.

Reference

1. Down, K., Amour, A., Balwind, I.R., *et al.* Optimization of novel indazoles as highly potent and selective inhibitors of phosphoinositide 3-kinase δ for the treatment of respiratory disease. *J. Med. Chem.* **58(18)**, 7381-7399 (2015).

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