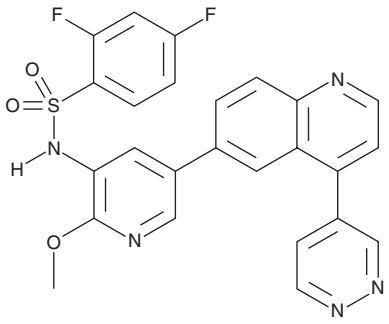
PRODUCT INFORMATION

GSK2126458

Item No. 17377

CAS Registry No.:	1086062-66-9
Formal Name:	2,4-difluoro-N-[2-methoxy-5-[4-(4-pyridazinyl)-6-quinolinyl]-3-pyridinyl]-benzenesulfonamide
Synonyms:	GSK458, Omipalisib
MF:	$C_{25}H_{17}F_2N_5O_3S$
FW:	505.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 300 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

GSK2126458 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2126458 in the solvent of choice, which should be purged with an inert gas. GSK2126458 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GSK2126458 in these solvents is approximately 5 and 1 mg/ml, respectively.

GSK2126458 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2126458 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK2126458 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2126458 is a potent inhibitor of phosphoinositide 3-kinase isoforms (K_is = 19, 130, 24, and 60 pM for p110α, β, δ, and γ, respectively).[1] It also inhibits mTOR in both mTORC1 and mTORC2 (K_is = 180 and 300 nM, respectively), as well as several common mutant forms of p110α.[1] GSK2126458 is orally bioavailable, displays favorable pharmacokinetics, and shows efficacy in tumor growth models.[1] GSK2126458 positively combines with inhibitors of discoidin domain receptor 1 (DDR1) inhibitor DDR1-IN-1 (Item No. 18092) to suppress the growth of SNU-1040 colorectal cancer cells.[2]

References

1. Knight, S.D., Adams, N.D., Burgess, J.L., *et al.* Discovery of GSK2126458, a highly potent inhibitor of P13K and the mammalian target of rapamycin. *ACS Med. Chem. Lett.* **1**, 39-43 (2010).
2. Kim, H.G., Tan, L., Weisberg, E.L., *et al.* Discovery of a potent and selective DDR1 receptor tyrosine kinase inhibitor. *ACS Chem Biol.* **8(10)**, 2145-2150 (2013).

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