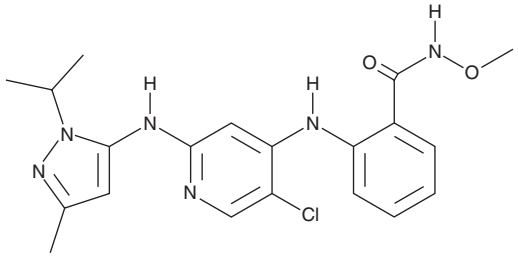
PRODUCT INFORMATION

GSK2256098

Item No. 22955

CAS Registry No.:	1224887-10-8
Formal Name:	2-[[5-chloro-2-[[3-methyl-1-(1-methylethyl)-1H-pyrazol-5-yl]amino]-4-pyridinyl]amino]-N-methoxy-benzamide
MF:	$C_{20}H_{23}ClN_6O_2$
FW:	414.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 252, 288 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

GSK2256098 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2256098 in the solvent of choice, which should be purged with an inert gas. GSK2256098 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GSK2256098 in these solvents is approximately 30 mg/ml.

GSK2256098 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2256098 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GSK2256098 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2256098 is an inhibitor of focal adhesion kinase (FAK).[1] It is selective for FAK, inhibiting only FAK greater than 50% in a panel of 261 kinases. GSK2256098 inhibits FAK autophosphorylation at tyrosine 397 (Y397) in OVCAR8 ovarian, U87MG glioblastoma, and A549 lung cancer cell lines (IC_{50}s = 15, 8.5, and 12 nM, respectively). It induces apoptosis and increases PARP levels, decreases viability (IC_{50} = 25 µM), and inhibits colony formation in L3.6P1 cells. GSK2256098 (75 mg/kg per day) also leads to lower tumor weight and fewer metastases in the Ishikawa orthotopic mouse model of uterine cancer.[2]

References

1. Zhang, J., He, D.H., Zajack-Kaye, M., *et al.* A small molecule FAK kinase inhibitor, GSK2256098, inhibits growth and survival of pancreatic ductal adenocarcinoma cells. *Cell Cycle* **13(19)**, 3143-3149 (2014).
2. Thanapprapasr, D., Previs, R.A., Hu, W., *et al.* PTEN expression as a predictor of response to focal adhesion kinase inhibition in uterine cancer. *Mol. Cancer. Ther.* **14(16)**, 1466-1475 (2015).

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