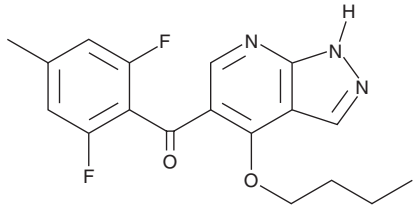
PRODUCT INFORMATION

BMS-265246

Item No. 19168

CAS Registry No.:	582315-72-8
Formal Name:	(4-butoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)(2,6-difluoro-4-methylphenyl)-methanone
MF:	$C_{18}H_{17}F_2N_3O_2$
FW:	345.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 210, 245, 291 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

BMS-265246 is supplied as a crystalline solid. A stock solution may be made by dissolving the BMS-265246 in the solvent of choice, which should be purged with an inert gas. BMS-265246 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of BMS-265246 in these solvents is approximately 5 and 10 mg/ml, respectively.

BMS-265246 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BMS-265246 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BMS-265246 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

Cyclin-dependent kinases (CDKs) are key regulators of cell cycle progression and are therefore promising targets for cancer therapy.[1] BMS-265246 is a cell-permeable inhibitor of Cdk1/cyclin B and Cdk2/cyclin E (IC_{50}s = 6 and 9 nM, respectively).[2] It less potently inhibits Cdk4/cyclin D (IC_{50} = 0.23 µM).[2] BMS-265246 blocks the cycling of HCT116 cells (EC_{50} = 0.29-0.49 µM), resulting in cell cycle arrest in G_2 phase.[3]

References

1. Bettayeb, K., Baunbaek, D., Delehouze, C., *et al.* CDK inhibitors roscovitine and CR8 trigger Mcl-I down-regulation and apoptotic cell death in neuroblastoma cells. *Genes Cancer* **1(4)**, 369-380 (2010).
2. Misra, R.N., Xiao, H., Rawlins, D.B., *et al.* 1H-Pyrazolo[3,4-b]pyridine inhibitors of cyclin-dependent kinases: Highly potent 2,6-Difluorophenacyl analogues. *Bioorg. Med. Chem. Lett.* **13(14)**, 2405-2408 (2003).
3. Sutherland, J.J., Low, J., Blosser, W., *et al.* A robust high-content imaging approach for probing the mechanism of action and phenotypic outcomes of cell-cycle modulators. *Mol. Cancer Ther.* **10(2)**, 242-254 (2011).

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