

Product Data Sheet

Product Name: BMS265246

Cat. No.: GC12865

Chemical Properties

Cas. No. 582315-72-8

Chemical Name (4-butoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)-(2,6-difluoro-4-methylphenyl)methanone

SMILES CCCCOC1=C2C=NNC2=NC=C1C(=O)C3=C(C=C(C=C3F)C)F

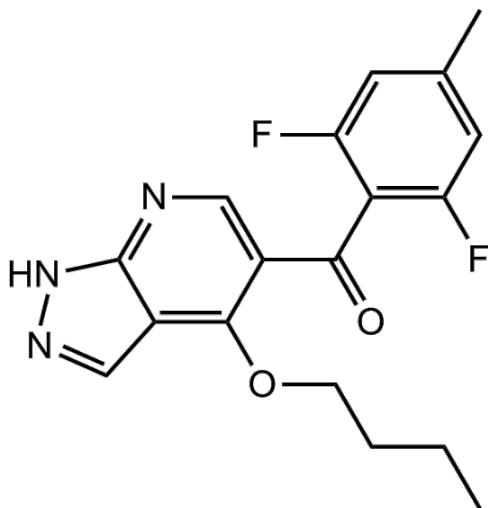
Formula $C_{18}H_{17}F_2N_3O_2$ M.Wt 345.34

Solubility $\geq 17.25\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Caution: Product has not been fully validated for medical applications. For research use only.

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Cell lines HCT-116 cells

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting condition 0.293 ~ 0.492 μ M

Applications In HCT-116 cells, BMS265246 blocked the cell proliferation with EC50 values ranging from 0.293 to 0.492 μ M. Compared with R-547 group, BMS265246 group had a higher proportion of G2-apoptotic cells. BMS265246 treatment resulted in low DNA intensity, large round nuclei and 4N DNA content in the dominant cell population-G2 arrested cells.

References:

[1]. Sutherland JJ, 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. 2011 Feb;10(2):242-54.

Background

BMS265246 is a potent and selective inhibitor for CDK1 and CDK2 (IC50= 6 nM and 9 nM)

Cyclin-dependent kinases (CDK) are a group of serine/threonine kinases. They are activated by coupling to cyclin and participate in the regulation of cell cycle.

BMS265246 inhibited the Cdk4/cycD activity and prevented A2780 Cytos (IC50 = 0.23 μ M and 0.76 μ M) [1]. In HCT-116 cells, BMS-265246 blocked the cell proliferation (EC50= 0.293 μ m—0.492 μ m). Following the treatment of BMS-265246, low DNA intensity, large round nuclei and 4N DNA content were observed in the dominant cell population –G2 arrested cells. [2]

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References:

1. Misra RN, Xiao Hy, Rawlins DB et al. 1H-Pyrazolo[3,4-b]pyridine inhibitors of cyclin-dependent kinases: highly potent 2,6-Difluorophenacyl analogues. Bioorg Med Chem Lett. 2003 Jul 21;13(14):2405-8.
2. Sutherland JJ, 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. 2011 Feb;10(2):242-54.

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