

Product Data Sheet

Product Name: GSK1070916

Cat. No.: GC10008

Chemical Properties

Cas. No. 942918-07-2

Chemical Name 3-[4-[4-[2-[3-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1-ethylpyrazol-3-yl]phenyl]-1,1-dimethylurea

SMILES CCN1C=C(C(=N1)C2=CC=C(C=C2)NC(=O)N(C)C)C3=C4C=C(NC4=NC=C3)C5=CC(=CC=C5)CN(C)C

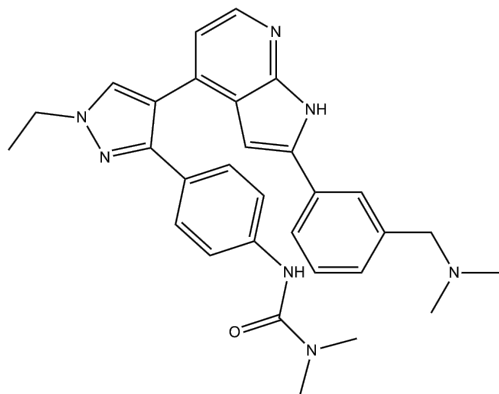
Formula $C_{30}H_{33}N_7O$ M.Wt 507.63

Solubility >25.4mg/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

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

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Cell experiment: A panel of tumor cell lines are plated in 96-well plates in the recommended growth media and incubated at 37°C in 5% CO₂ overnight. The following day, the cells are treated with serial dilutions of GSK-1070916. At this time, one set of cells is treated with CellTiter-Glo for a time equal to 0 (T=0) measurement. Following a 6- to 7-d incubation with compound, cell proliferation is measured using the CellTiter-Glo reagent[2].

Animal experiment: Mice: Tumors are initiated by injection of tumor cell suspensions (A549, SW620, HCT116, H460, MCF-7, HL60, K562) or tumor fragments (Colo205) s.c. into nude (A549, SW620, HCT116, H460, MCF-7, HL60, and Colo205) or severe combined immunodeficient (SCID; K562) mice. When the tumors reach a volume of 80 to 200 mm³, the mice are randomized into groups of 5 to 10 mice per group. GSK-1070916 is administered at 25, 50, or 100 mg/kg once daily for 5 consecutive days-on, 2d-off, schedule for two (Colo205 and HL60) or three (A549, SW620, HCT116, H460, MCF-7, K562) cycles. Tumors are measured twice weekly[2].

References:

- [1]. Adams ND, et al. Discovery of GSK-1070916, a potent and selective inhibitor of Aurora B/C kinase. J Med Chem. 2010 May 27;53(10):3973-4001.
- [2]. Hardwicke MA, et al. GSK-1070916, a potent Aurora B/C kinase inhibitor with broad antitumor activity in tissue culture cells and human tumor xenograft models. Mol Cancer Ther. 2009 Jul;8(7):1808-17.

Background

GSK1070916 is a potent and selective inhibitor of Aurora B/C Kinase with K_i values of 0.38nM and 1.5nM, respectively [1].

GSK1070916 is a reversible ATP-competitive inhibitor of Aurora B and Aurora C with K_i values of 0.38nM and 1.5nM, respectively. The SPA assay shows GSK1070916 has a good selectivity over Aurora A. It inhibits Aurora B with IC₅₀ value of 5nM but 1259nM for Aurora A. It is also reported that

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treatment of GSK1070916 suppresses cell proliferation in A549 human lung cancer cells with EC50 value of 7nM. Moreover, both in vitro and in vivo assays demonstrate GSK1070916 can inhibit the phosphorylation of histone H3, which is a substrate of Aurora B. GSK1070916 can also suppress tumor growth in mice with HL-60 tumor xenografts [1].

References:

[1] Adams ND, Adams JL, Burgess JL, Chaudhari AM, Copeland RA, Donatelli CA, Drewry DH, Fisher KE, Hamajima T, Hardwicke MA, Huffman WF, Koretke-Brown KK, Lai ZV, McDonald OB, Nakamura H, Newlander KA, Oleykowski CA, Parrish CA, Patrick DR, Plant R, Sarpong MA, Sasaki K, Schmidt SJ, Silva DJ, Sutton D, Tang J, Thompson CS, Tummino PJ, Wang JC, Xiang H, Yang J, Dhanak D. Discovery of GSK1070916, a potent and selective inhibitor of Aurora B/C kinase. J Med Chem. 2010 May 27;53(10):3973-4001.

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