产品名称：GSK-1070916
产品别名：GSK1070916；GSK-1070916A

生物活性：

Description	GSK-1070916 is a potent and selective ATP-competitive inhibitor of aurora B and aurora C with K_is of 0.38 and 1.5 nM, respectively, and is >250- fold selective over Aurora A.	
IC_{50} & Target	Aurora B	Aurora C
	0.38 nM (Ki)	1.5 nM (Ki)
In Vitro	GSK-1070916 potently inhibits Aurora B/INCENP and Aurora C/INCENP kinases with Kis of 0.38±0.29 and 1.45±0.35 nM, respectively, but is less potent against Aurora A/ TPX2 with a Ki of 492±61 nM. GSK-1070916 also inhibits FLT1, TIE2, SIK, FLT4, and FGFR1 with IC50 values of 42, 59, 70, 74, and 78 nM, respectively. Treatment of A549 human lung cancer cells with GSK-1070916 results in a potent antiproliferative effect (EC50=7 nM)[1]. GSK-1070916 inhibits a panel of tumor cell lines and is shown o inhibits the phosphorylation of HH3- S10 in all cell lines with average EC50 values ranging from 8 to 118 nM[2].	
In Vivo	In nude mice implanted with human colon tumor (HCT116) xenografts, a single dose of GSK-1070916 administered i.p. inhibits HH3-S10 phosphorylation in a dose-dependent manner. Repeated i.p. administration of GSK-1070916 produces complete or partial antitumor activity in 4 of 8 tumor types [lung, A549; colon, HCT116; acute myelogenous leukemia (AML), HL60; and chronic myelogenous leukemia, K562], stable disease in 3 of 8 (colon, Colo205; lung, H460; and breast, MCF-7), and tumor growth delay in 1 of 8 tumor types (colon, SW620). Daily administration of GSK-1070916 is generally well-tolerated[2].	
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 26.5 mg/mL (52.20 mM)** * "≥" means soluble, but saturation unknown.	

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9699 mL	9.8497 mL	19.6994 mL
	5 mM	0.3940 mL	1.9699 mL	3.9399 mL
	10 mM	0.1970 mL	0.9850 mL	1.9699 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Cell Assay	A panel of tumor cell lines are plated in 96-well plates in the recommended growth media and incubated at 37°C in 5% CO_2 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 Administration	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 mm^3, 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.