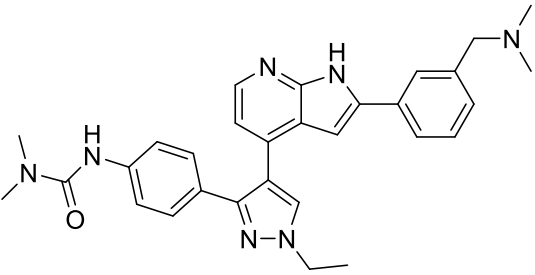


Product data sheet



MedKoo Cat#: 205477 Name: GSK1070916 CAS: 942918-07-2 Chemical Formula: C ₃₀ H ₃₃ N ₇ O Exact Mass: 507.27466 Molecular Weight: 507.642	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

GSK1070916, also known as NMI-900 or GSK-1070916A, is an ATP-competitive inhibitor of the serine/threonine kinases Aurora B and C with potential antineoplastic activity. Aurora B/C kinase inhibitor GSK1070916A binds to and inhibits the activity of Aurora kinases B and C, which may result in inhibition of cellular division and a decrease in the proliferation of tumor cells that overexpress the Aurora kinases B and C.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	2.0	3.94
DMSO	40.56	79.89
DMSO:PBS (pH 7.2) (1:1)	0.5	0.98
Ethanol	51.1	100.66

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.97 mL	9.85 mL	19.70 mL
5 mM	0.39 mL	1.97 mL	3.94 mL
10 mM	0.20 mL	0.99 mL	1.97 mL
50 mM	0.04 mL	0.20 mL	0.39 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

- 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. doi: 10.1021/jm901870q. PMID: 20420387.
- Hardwicke MA, Oleykowski CA, Plant R, Wang J, Liao Q, Moss K, Newlander K, Adams JL, Dhanak D, Yang J, Lai Z, Sutton D, Patrick D. GSK1070916, 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. doi: 10.1158/1535-7163.MCT-09-0041. Epub 2009 Jun 30. PMID: 19567821.

In vivo study

Product data sheet



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. doi: 10.1021/jm901870q. PMID: 20420387.

2. Hardwicke MA, Oleykowski CA, Plant R, Wang J, Liao Q, Moss K, Newlander K, Adams JL, Dhanak D, Yang J, Lai Z, Sutton D, Patrick D. GSK1070916, 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. doi: 10.1158/1535-7163.MCT-09-0041. Epub 2009 Jun 30. PMID: 19567821.

7. Bioactivity

Biological target:

GSK-1070916 is a potent and selective ATP-competitive inhibitor of aurora B and aurora C with Kis of 0.38 and 1.5 nM, respectively, and is >250- fold selective over Aurora A.

In vitro activity

Biochemical characterization revealed that compound 17k (GSK1070916) has an extremely slow dissociation half-life from Aurora B (>480 min), distinguishing it from clinical compounds 1 and 2. In vitro treatment of A549 human lung cancer cells with compound 17k results in a potent antiproliferative effect (EC(50) = 7 nM).

Reference: *J Med Chem.* 2010 May 27;53(10):3973-4001. <https://pubmed.ncbi.nlm.nih.gov/20420387/>

In vivo activity

GSK1070916 shows dose-dependent inhibition of phosphorylation of an Aurora B-specific substrate in mice and consistent with its broad cellular activity, has antitumor effects in 10 human tumor xenograft models including breast, colon, lung, and two leukemia models. These results show that GSK1070916 is a potent Aurora B/C kinase inhibitor that has the potential for antitumor activity in a wide range of human cancers.

Reference: *Mol Cancer Ther.* 2009 Jul;8(7):1808-17. <https://pubmed.ncbi.nlm.nih.gov/19567821/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.