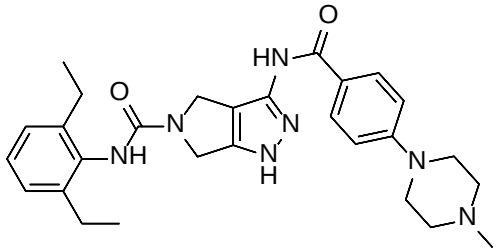
Product data sheet

MedKoo Cat#: 406160 Name: PHA-680632 CAS: 398493-79-3 Chemical Formula: $C_{28}H_{35}N_7O_2$ Exact Mass: 501.2852 Molecular Weight: 501.635	
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:
PHA-680632 is a is potent inhibitor of Aurora A, Aurora B and Aurora C with IC50 of 27 nM, 135 nM and 120 nM, respectively. PHA-680632 is also the first representative of a new class of Aurora inhibitors with a high potential for further development as an anticancer therapeutic. PHA-680632 is active on a wide range of cancer cell lines and shows significant tumor growth inhibition in different animal tumor models at well-tolerated doses.

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	30.0	59.80
DMSO	53.33	106.32
DMSO:PBS (pH 7.2) (1:2)	0.33	65.78

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.99 mL	9.97 mL	19.94 mL
5 mM	0.40 mL	1.99 mL	3.99 mL
10 mM	0.20 mL	1.00 mL	1.99 mL
50 mM	0.04 mL	0.20 mL	0.40 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

1. Tao Y, Zhang P, Frascogna V, Lecluse Y, Auperin A, Bourhis J, Deutsch E. Enhancement of radiation response by inhibition of Aurora-A kinase using siRNA or a selective Aurora kinase inhibitor PHA680632 in p53-deficient cancer cells. Br J Cancer. 2007 Dec 17;97(12):1664-72. doi: 10.1038/sj.bjc.6604083. Epub 2007 Nov 20. PMID: 18026198; PMCID: PMC2360282.
2. Soncini C, Carpinelli P, Gianellini L, Fancelli D, Vianello P, Rusconi L, Storici P, Zugnoni P, Pesenti E, Croci V, Ceruti R, Giorgini ML, Cappella P, Ballinari D, Sola F, Varasi M, Bravo R, Moll J. PHA-680632, a novel Aurora kinase inhibitor with potent antitumoral activity. Clin Cancer Res. 2006 Jul 1;12(13):4080-9. doi: 10.1158/1078-0432.CCR-05-1964. PMID: 16818708.

In vivo study

1. Tao Y, Zhang P, Frascogna V, Lecluse Y, Auperin A, Bourhis J, Deutsch E. Enhancement of radiation response by inhibition of Aurora-A kinase using siRNA or a selective Aurora kinase inhibitor PHA680632 in p53-deficient cancer cells. Br J Cancer. 2007 Dec 17;97(12):1664-72. doi: 10.1038/sj.bjc.6604083. Epub 2007 Nov 20. PMID: 18026198; PMCID: PMC2360282.

2. Soncini C, Carpinelli P, Gianellini L, Fancelli D, Vianello P, Rusconi L, Storici P, Zugnoni P, Pesenti E, Croci V, Ceruti R, Giorgini ML, Cappella P, Ballinari D, Sola F, Varasi M, Bravo R, Moll J. PHA-680632, a novel Aurora kinase inhibitor with potent antitumoral activity. Clin Cancer Res. 2006 Jul 1;12(13):4080-9. doi: 10.1158/1078-0432.CCR-05-1964. PMID: 16818708.

7. Bioactivity

Biological target:

PHA-680632 is an aurora kinase inhibitor with IC50s of 27, 135 and 120 nM for aurora A, B and C.

In vitro activity

In further clonogenic assay, PHA680632 (24 h exposure) proved to be an effective inhibitor of colony formation in vitro, with a dose-dependent effect at the range of 50 nm to 2.5 μm in different cell lines. Clonogenic survival of HCT116, HT29, and A549 cells exposed to a concentration range of PHA680632 are shown in Figure 2. PHA680632 could inhibit the colony formation even with a concentration of 50–100 nm in HCT116 cell lines, while 1 μm of PHA680632 induced only a slight clonogenic survival reduction in HT29 cells.

Reference: Br J Cancer. 2007 Dec 17;97(12):1664-72. https://pubmed.ncbi.nlm.nih.gov/18026198/

In vivo activity

PHA-680632 is active on a wide range of cancer cell lines and shows significant tumor growth inhibition in different animal tumor models at well-tolerated doses. The mechanism of action of PHA-680632 is in agreement with inhibition of Aurora kinases. Histone H3 phosphorylation in Ser10 is mediated by Aurora B kinase, and our kinetic studies on its inhibition by PHA-680632 in vitro and in vivo show that phosphorylation of histone H3 is a good biomarker to follow activity of PHA-680632.

Reference: Clin Cancer Res. 2006 Jul 1;12(13):4080-9. https://pubmed.ncbi.nlm.nih.gov/16818708/

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.