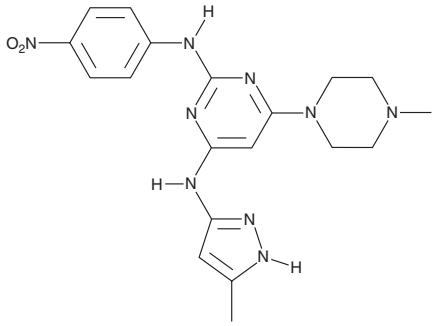
# PRODUCT INFORMATION

## AKI-603

*Item No. 40840*



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| **CAS Registry No.:** | 1432515-73-5 |
| **Formal Name:** | 6-(4-methyl-1-piperazinyl)-$N^4$-(5-methyl-1H-pyrazol-3-yl)-$N^2$-(4-nitrophenyl)-2,4-pyrimidinediamine |
| **MF:** | $C_{19}H_{23}N_9O_2$ |
| **FW:** | 409.5 |
| **Purity:** | ≥98% |
| **Supplied as:** | A solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |

*Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.*

### Laboratory Procedures

AKI-603 is supplied as a solid. A stock solution may be made by dissolving the AKI-603 in the solvent of choice, which should be purged with an inert gas. AKI-603 is slightly soluble (0.1-1 mg/ml) in ethanol and sparingly soluble (1-10 mg/ml) in DMSO.

### Description

AKI-603 is an inhibitor of Aurora A kinase ($IC_{50}$ = 12 nM).[1] It reduces the proliferation of HL-60 promyeoloblast leukemia cells, K562 chronic myeloid leukemia (CML) cells, U937 lymphoma monocytes, MOLT-4 T cell lymphoblasts, and MCF-7 and MDA-MD-231 breast cancer cells ($IC_{50}$s = 69, 137, 43, 18, 424, and 84 nM, respectively). AKI-603 (600 nM) induces cell cycle arrest at the $G_2$/M phase in SUM149 triple negative breast cancer cells.[2] It decreases the endogenous elevated levels of β-catenin, c-Myc, SOX2, Nanog, and octamer-binding transcription factor 4 (Oct4) in epirubicin-resistant MCF-7 breast cancer cells (MCF-7/Epi) when used at a concentration of 5 µM. AKI-603 (78 nM) reduces the number of mammospheres formed by SUM149 cells. *In vivo*, AKI-603 (50 mg/kg per day) decreases tumor volume and weight without reducing body weight in an MCF-7/Epi mouse xenograft model.

### References


1. Luo, Y., Deng, Y.-Q., Wang, J., *et al.* Design, synthesis and bioevaluation of *N*-trisubstituted pyrimidine derivatives as potent aurora A kinase inhibitors. *Eur. J. Med. Chem.* **78**, 65-71 (2014).
2. Zheng, F.-M., Long, Z.-J., Hou, Z.-J.L., Y., *et al.* A novel small molecule aurora kinase inhibitor attenuates breast tumor-initiating cells and overcomes drug resistance. *Mol. Cancer Ther.* **13(8)**, 1991-2003 (2014).





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