

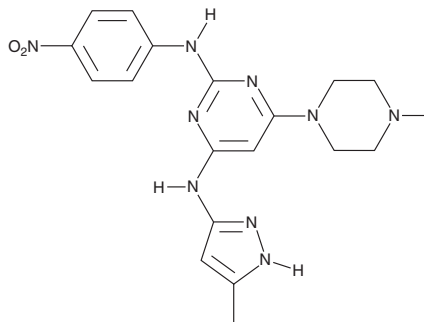
# PRODUCT INFORMATION



## AKI-603

Item No. 40840

**CAS Registry No.:** 1432515-73-5  
**Formal Name:** 6-(4-methyl-1-piperazinyl)-N<sup>4</sup>-(5-methyl-1H-pyrazol-3-yl)-N<sup>2</sup>-(4-nitrophenyl)-2,4-pyrimidinediamine  
**MF:** C<sub>19</sub>H<sub>23</sub>N<sub>9</sub>O<sub>2</sub>  
**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 ( $IC_{50} = 12 \text{ nM}$ ).<sup>1</sup> It reduces the proliferation of HL-60 promyeloblast 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<sub>2</sub>/M phase in SUM149 triple negative breast cancer cells.<sup>2</sup> It decreases the endogenous elevated levels of  $\beta$ -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  $\mu$ M. 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).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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