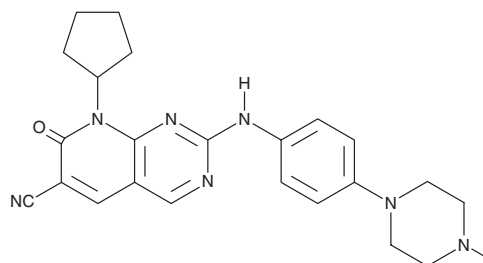


# PRODUCT INFORMATION



**ON-123300**  
Item No. 19902

**CAS Registry No.:** 1357470-29-1  
**Formal Name:** 8-cyclopentyl-7,8-dihydro-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-7-oxo-pyrido[2,3-d]pyrimidine-6-carbonitrile  
**MF:** C<sub>24</sub>H<sub>27</sub>N<sub>7</sub>O  
**FW:** 429.5  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 224, 269, 315, 392 nm  
**Supplied as:** A crystalline 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

ON-123300 is supplied as a crystalline solid. A stock solution may be made by dissolving the ON-123300 in the solvent of choice. ON-123300 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of ON-123300 in these solvents is approximately 0.25, 10, and 16 mg/ml, respectively.

ON-123300 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ON-123300 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ON-123300 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

ON-123300 is a multi-kinase inhibitor.<sup>1,2</sup> It inhibits ARK5, Cdk4, and Cdk6 (IC<sub>50</sub>s = 3.87, 9.82, and 4.95 nM, respectively) as well as FYN, PDGFRβ, Abl, and PI3Kδ (IC<sub>50</sub>s = 11.09, 26, 53.32, and 144 nM, respectively) among others.<sup>2</sup> It inhibits proliferation in a panel of 38 cancer cell lines (GI<sub>50</sub>s = 0.05-5 μM).<sup>2</sup> ON-123300 also inhibits proliferation of U87 glioma cells (IC<sub>50</sub> = 3.4 μM), decreases phosphorylation of Akt and activates ERK, as well as halts the cell cycle in the G<sub>2</sub>/M phase and induces apoptosis.<sup>1</sup> When used in combination with the EGFR inhibitor gefitinib (Item No. 13166), it synergistically induces cytotoxicity of U87, U87 VIII, and U87 PTEN cells. ON-123300 reduces tumor growth in an MDA-MB-231 mouse xenograft model when administered at a dose of 50 mg/kg every other day.<sup>2</sup>

## References

1. Zhang, X., Lv, H., Zhou, Q., *et al.* Preclinical pharmacological evaluation of a novel multiple kinase inhibitor, ON123300, in brain tumor models. *Mol. Cancer Ther.* **13**(5), 1105-1116 (2014).
2. Reddy, M.V.R., Akula, B., Conseza, S.C., *et al.* Discovery of 8-cyclopentyl-2-[4-(4-methyl-piperazin-1-yl)-phenylamino]-7-oxo-7,8-dihydro-pyrido[2,3-d]pyrimidine-6-carbonitrile (7x) as a potent inhibitor of cyclin-dependent kinase 4 (CDK4) and AMPK-related kinase 5 (ARK5). *J. Med. Chem.* **57**(3), 578-599 (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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