

# PRODUCT INFORMATION

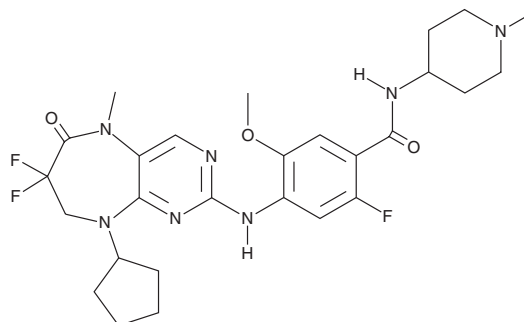


## TAK-960

Item No. 17701

**CAS Registry No.:** 1137868-52-0  
**Formal Name:** 4-[(9-cyclopentyl-7,7-difluoro-6,7,8,9-tetrahydro-5-methyl-6-oxo-5H-pyrimido[4,5-b][1,4]diazepin-2-yl)amino]-2-fluoro-5-methoxy-N-(1-methyl-4-piperidinyl)-benzamide

**MF:** C<sub>27</sub>H<sub>34</sub>F<sub>3</sub>N<sub>7</sub>O<sub>3</sub>  
**FW:** 561.6  
**Purity:** ≥95%  
**UV/Vis.:** λ<sub>max</sub>: 280, 330 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



### Laboratory Procedures

TAK-960 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-960 in the solvent of choice. TAK-960 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of TAK-960 in these solvents is approximately 12, 20, and 25 mg/ml, respectively.

TAK-960 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAK-960 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. TAK-960 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Polo-like kinases (Plks) are serine/threonine kinases with key roles in cell cycling. TAK-960 is an orally bioavailable, selective inhibitor of Plks with IC<sub>50</sub> values of 0.8, 16.9, and 50.2 nM for Plk1, Plk2, and Plk3, respectively.<sup>1,2</sup> It exhibits greater than 20-fold selectivity for Plk1 over FAK, MLCK, and the tyrosine protein kinase Fes, and has minimal activity against a panel of 282 other kinases.<sup>1,2</sup> It inhibits the proliferation of various cancer cell lines, including MDR1-expressing tumors, and also prevents tumor growth in several human cancer cell xenograft models, including a disseminated model of AML- and MDR1-expressing hematological tumors.<sup>1,2</sup>

### References

1. Hikichi, Y., Honda, K., Hikami, K., *et al.* TAK-960, a novel, orally available, selective inhibitor of polo-like kinase 1, shows broad-spectrum preclinical antitumor activity in multiple dosing regimens. *Mol. Cancer Ther.* **11**(3), 700-709 (2012).
2. Nie, Z., Feher, V., Natala, S., *et al.* Discovery of TAK-960: An orally available small molecule inhibitor of polo-like kinase 1 (PLK1). *Bioorg. Med. Chem. Lett.* **23**(12), 3662-3666 (2013).

#### 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.

#### WARRANTY AND LIMITATION OF REMEDY

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM