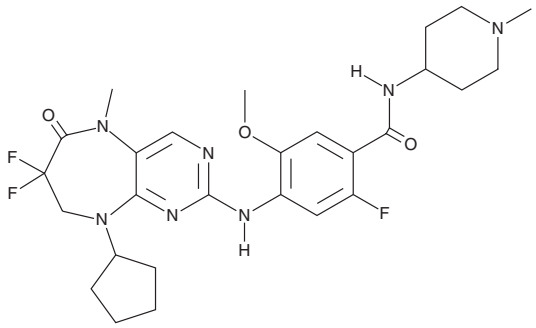
PRODUCT INFORMATION

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:	$C_{27}H_{34}F_3N_7O_3$
FW:	561.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 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_{50} values of 0.8, 16.9, and 50.2 nM for Plk1, Plk2, and Plk3, respectively.[1,2] 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.[1,2] 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.[1,2]

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).

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