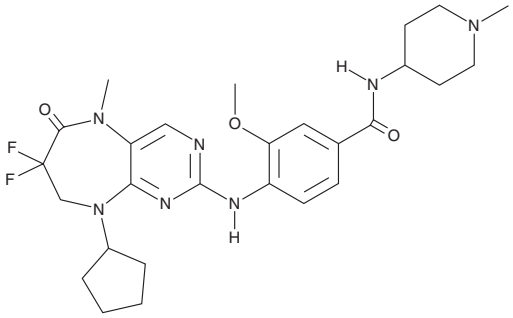
PRODUCT INFORMATION

Ro 3280

Item No. 21669

CAS Registry No.:	1062243-51-9
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]-3-methoxy-N-(1-methyl-4-piperidinyl)-benzamide
MF:	$C_{27}H_{35}F_2N_7O_3$
FW:	543.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 287, 328 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

Ro 3280 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ro 3280 in the solvent of choice, which should be purged with an inert gas. Ro 3280 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of Ro 3280 in these solvents is approximately 30 mg/ml.

Ro 3280 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Ro 3280 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Ro 3280 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ro 3280 is a selective inhibitor of polo-like kinase 1 (Plk1), a proto-oncogene, with IC_{50} values of 3 and 6 nM in an enzymatic assay and H82 lung cancer cells, respectively.[1] Ro 3280 is over 500-fold selective for PlK1 over a panel of 318 kinases. It inhibits tumor growth in a mouse xenograft model using the HT-29 colorectal cancer cell line, increases autophagy and mTOR phosphorylation in NB4 acute myeloid leukemia cells, and induces apoptosis in human bladder cancer and leukemia cells.[1-4]

References

1. Chen, S., Bartkovitz, D., Cai, J., *et al.* Identification of novel, potent and selective inhibitors of Polo-like kinase 1. *Bioorg. Med. Chem. Lett.* **22(2)**, 1247-1250 (2012).
2. Tao, Y.-F., Li, Z.-H., Du, W.-W., *et al.* Inhibiting PLK1 induces autophagy of acute myeloid leukemia cells *via* mammalian target of rapamycin pathway dephosphorylation. *Oncol. Rep.* **37(3)**, 1419-1429 (2017).
3. Zhang, Z., Zhang, G., and Kong, C. Targeted inhibition of Polo-like kinase 1 by a novel small-molecule inhibitor induces mitotic catastrophe and apoptosis in human bladder cancer cells. *J. Cell. Mol. Med.* **21(4)**, 758-767 (2017).
4. Wang, N.-N., Li, Z.-H., Zhao, H., *et al.* Molecular targeting of the oncoprotein PLK1 in pediatric acute myeloid leukemia: RO3280, a novel PLK1 inhibitor, induces apoptosis in leukemia cells. *Int. J. Mol. Sci.* **16(1)**, 1266-1292 (2015).

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