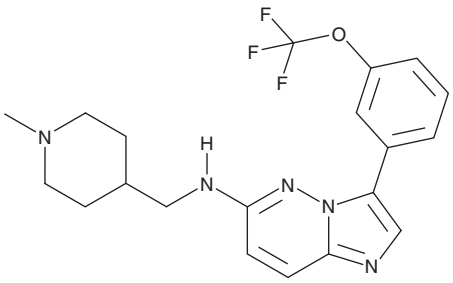
PRODUCT INFORMATION

SGI-1776

Item No. 16423

CAS Registry No.: 1025065-69-3
Formal Name: N-[(1-methyl-4-piperidinyl)methyl]-3-[3-(trifluoromethoxy)phenyl]-imidazo[1,2-b]pyridazin-6-amine
Synonym: Pim-Kinase Inhibitor IX
MF: $C_{20}H_{22}F_3N_5O$
FW: 405.4
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 271, 343 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

SGI-1776 is supplied as a crystalline solid. A stock solution may be made by dissolving the SGI-1776 in the solvent of choice, which should be purged with an inert gas. SGI-1776 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of SGI-1776 in these solvents is approximately 30, 10, and 20 mg/ml, respectively.

Description

The Pim family proteins are serine/threonine kinases involved in cancer progression.[1] SGI-1776 is a potent inhibitor of all three human Pim kinases (IC_{50}s = 7, 363, and 69 nM for Pim-1, Pim-2, and Pim-3, respectively).[2] While it also inhibits FLT3 and haspin (IC_{50}s = 44 and 34 nM, respectively), SGI-1776 has little effect on several other kinases, including cell cycle kinases.[2] SGI-1776 induces apoptosis in lymphocytes from patients with chronic or acute lymphocytic leukemia but not in those from healthy donors.[2,3] At 10 µM, it reduces STAT3 phosphorylation without reducing STAT3 expression in cancer cells, and this correlates with inhibition of cell proliferation.[4] SGI-1776 also enhances the activity of sunitinib against renal cell carcinoma and resensitizes chemoresistant prostate cancer cells to taxanes.[5,6]

References

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2. Chen, L.S., Redkar, S., Bearass, D., *et al.* Pim kinase inhibitor, SGI-1776, induces apoptosis in chronic lymphocytic leukemia cells. *Blood* **114(19)**, 4150-4157 (2009).
3. Chen, L.S., Redkar, S., Taverna, P., *et al.* Mechanisms of cytotoxicity to Pim kinase inhibitor, SGI-1776, in acute myeloid leukemia. *Blood* **118(3)**, 693-702 (2011).
4. Chang, M., Kanwar, N., Feng, E., *et al.* PIM kinase inhibitors downregulate STAT3^{Tyr705} phosphorylation. *Mol. Cancer Ther.* **9(9)**, 2478-2487 (2010).
5. Mahalingam, D., Espitia, C.M., Medina, E.C., *et al.* Targeting PIM kinase enhances the activity of sunitinib in renal cell carcinoma. *Br. J. Cancer* **105(10)**, 1563-1573 (2011).
6. Mumenthaler, S.M., Ng, P.Y.B., Hodge, A., *et al.* Pharmacologic inhibition of Pim kinases alters prostate cancer cell growth and resensitizes chemoresistant cells to taxanes. *Mol. Cancer Ther.* **8(10)**, 2882-2893 (2009)

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