

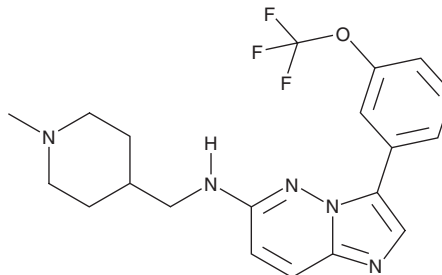
# PRODUCT INFORMATION



## 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<sub>20</sub>H<sub>22</sub>F<sub>3</sub>N<sub>5</sub>O  
**FW:** 405.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 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.<sup>1</sup> SGI-1776 is a potent inhibitor of all three human Pim kinases (IC<sub>50</sub>s = 7, 363, and 69 nM for Pim-1, Pim-2, and Pim-3, respectively).<sup>2</sup> While it also inhibits FLT3 and haspin (IC<sub>50</sub>s = 44 and 34 nM, respectively), SGI-1776 has little effect on several other kinases, including cell cycle kinases.<sup>2</sup> SGI-1776 induces apoptosis in lymphocytes from patients with chronic or acute lymphocytic leukemia but not in those from healthy donors.<sup>2,3</sup> At 10 μM, it reduces STAT3 phosphorylation without reducing STAT3 expression in cancer cells, and this correlates with inhibition of cell proliferation.<sup>4</sup> SGI-1776 also enhances the activity of sunitinib against renal cell carcinoma and resensitizes chemoresistant prostate cancer cells to taxanes.<sup>5,6</sup>

### References

1. Beharry, Z., Mahajan, S., Zemskova, M., *et al.* The Pim protein kinases regulate energy metabolism and cell growth. *Proc. Natl. Acad. Sci. USA* **108**(2), 528-533 (2011).
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<sup>Tyr705</sup> 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).

#### 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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