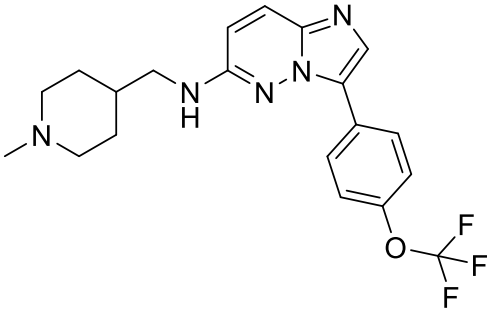
Product data sheet

MedKoo Cat#: 205710 Name: SGI-1776 CAS#: 1025065-69-3 Chemical Formula: $C_{20}H_{22}F_3N_5O$ Exact Mass: 405.17764 Molecular Weight: 405.42	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
SGI-1776 is a small-molecule pan-Pim protein kinase inhibitor with potential antineoplastic activity. Pim kinase inhibitor SGI-1776 binds to and inhibits the activities of Pim-1, -2 and -3, serine-threonine kinases, which may result in the interruption of the G1/S phase cell cycle transition, the expression of pro-apoptotic Bcl2 proteins and tumor cell apoptosis. PIM kinases play key roles in cell cycle progression and apoptosis inhibition and may be overexpressed in various malignancies.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	103.0	254.06
Ethanol	81.0	199.79

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.47 mL	12.33 mL	24.67 mL
5 mM	0.49 mL	2.47 mL	4.93 mL
10 mM	0.25 mL	1.23 mL	2.47 mL
50 mM	0.05 mL	0.25 mL	0.49 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Wen QL, Yi HQ, Yang K, Yin CT, Yin WJ, Xiang FY, Bao M, Shuai J, Song YW, Ge MH, Zhu X. Role of oncogene PIM-1 in the development and progression of papillary thyroid carcinoma: Involvement of oxidative stress. Mol Cell Endocrinol. 2021 Mar 1;523:111144. doi: 10.1016/j.mce.2020.111144. Epub 2020 Dec 28. PMID: 33383107.
2. Hou X, Yu Y, Feng J, Wang J, Zheng C, Ling Z, Ge M, Zhu X. Biochemical changes of salivary gland adenoid cystic carcinoma cells induced by SGI-1776. Exp Cell Res. 2017 Mar 15;352(2):403-411. doi: 10.1016/j.yexcr.2017.02.029. Epub 2017 Feb 20. PMID: 28228352.

In vivo study

1. Takeuchi H, Miyamoto T, Fuseya C, Asaka R, Ida K, Ono M, Tanaka Y, Shinagawa M, Ando H, Asaka S, Shiozawa T. PIM1 is a Poor Prognostic Factor for and Potential Therapeutic Target in Serous Carcinoma of the Endometrium. Int J Gynecol Pathol. 2023 May 1;42(3):282-292. doi: 10.1097/PGP.0000000000000882. Epub 2022 Apr 12. PMID: 35443252.
2. Chen LS, Redkar S, Taverna P, Cortes JE, Gandhi V. Mechanisms of cytotoxicity to Pim kinase inhibitor, SGI-1776, in acute myeloid leukemia. Blood. 2011 Jul 21;118(3):693-702. doi: 10.1182/blood-2010-12-323022. Epub 2011 May 31. PMID: 21628411; PMCID: PMC3142906.

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7. Bioactivity

Biological target:

SGI-1776 is an inhibitor of Pim kinases, with IC50s of 7 nM, 363 nM, and 69 nM for Pim-1, -2 and -3, respectively.

In vitro activity

SGI-1776 effective inhibits proliferation, colony formation, and migration, and promotes apoptosis in BCPAP and TPC-1 cells by SGI-1776. SGI-1776 exhibited a significant dose-dependent increase in apoptotic death in TPC-1 and BCPAP cells. There was a marked decrease in migration rates for both PTC cell lines after treatment with 2.5 μM or 5 μM SGI-1776 at 24, 48, or 72 h, with a more pronounced inhibitory effect on BRAF-positive BCPAP cells compared to RET/PTC-positive TPC-1 cells.

Reference: Mol Cell Endocrinol. 2021 Mar 1;523:111144. https://pubmed.ncbi.nlm.nih.gov/33383107/

In vivo activity

Pim kinase inhibitors, such as SGI-1776, may be a new strategy for acute myeloid leukemia treatment. SGI-1776 demonstrated potent and sustained antitumor activity in mice bearing MV-4-11 tumors. On day 22, most mice in the 75 mg/kg (8 of 9) and all mice in the 200 mg/kg group experienced complete tumor regression, with only minor regrowth in a few mice. Intermittent treatment schedules (2 oral doses/week) at 100 or 200 mg/kg also achieved potent efficacy.

Reference: Blood. 2011 Jul 21; 118(3): 693–702. https://pubmed.ncbi.nlm.nih.gov/21628411/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.