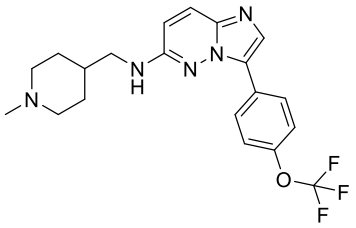
Product data sheet

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. 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.

7. Bioactivity
Biological target:

Product data sheet

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

As shown in Fig. 1H and I, SGI-1776 induced a significant dose-dependent increase in apoptotic death in TPC-1 and BCPAP cells. Furthermore, a wound-healing assay was used to evaluate the effect of SGI-1776 on cell migration. As shown in Fig. 1J, the wound-healing rates of both PTC cell lines at each time point markedly decreased after treatment with 2.5 μM or 5 μM SGI-1776 for 24, 48, or 72 h compared with those in the control group. Besides, the inhibitory effect of SGI-1776 on the migration of BRAF-positive BCPAP cells was more pronounced than that of RET/PTC-positive TPC-1 cells. These data indicated that SGI-1776 could effectively inhibit proliferation, colony formation, and migration and promote apoptosis of BCPAP and TPC-1 cells.

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

In vivo activity

Potent and sustained antitumor activity was seen in MV-4-11 xenografts with oral administration of SGI-1776 (Figure 5A), with tumors in the 75 mg/kg and 200 mg/kg treatment groups disappearing or became almost impalpable within 1 week after treatment. On day 22 of the experiment, 8 of 9 mice treated with 75 mg/kg SGI-1776 and all 10 mice treated with 200 mg/kg experienced a complete regression of their tumors; only 3 mice in 75 mg/kg group and 1 mouse in the 200 mg/kg group experienced a minor regrowth of their tumors at the completion of observation period. Treatment with 50 mg/kg SGI-1776 on a daily schedule or 100 mg/kg on a biweekly schedule resulted in some antitumor effect; no regressions were observed on day 18 but a significant 13% T/C after 50 mg/kg and 11% after 100 mg/kg was observed on day 22. Of note was the observation that potent efficacy was achieved with an intermittent treatment schedule (2 oral doses/wk) at 100 or 200 mg/kg.

Reference: Blood. 2011 Jul 21; 118(3): 693–702. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3142906/

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.