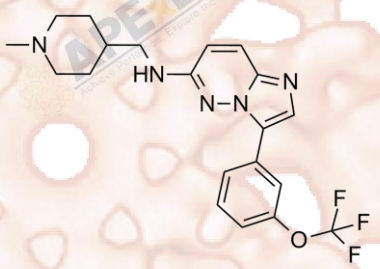
Product Data Sheet

SGI-1776 free base

Cat. No.:	A4192
CAS No.:	1025065-69-3
Formula:	C20H22F3N5O
M.Wt:	405.42
Synonyms:	SGI1776,SGI 1776
Target:	Chromatin/Epigenetics
Pathway:	Pim
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾40.5 mg/mL in DMSO; insoluble in H2O; ⩾101 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.4666 mL	12.3329 mL	24.6658 mL
5 mM	0.4933 mL	2.4666 mL	4.9332 mL
10 mM	0.2467 mL	1.2333 mL	2.4666 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Pim kinase inhibitor,ATP-competitive
IC_{50} & Target	7 nM (Pim1), 363 nM (Pim2), 69 nM (Pim3), 44nM (FLT3)

In Vitro

Cell Viability Assay

Cell Line:	Primary lymphocytes from patients with CLL
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	10 μM, 24 hours

	Applications:	In vitro incubation of primary CLL cells with 1, 3, and 10 μM SGI-1776 for 24 hours resulted in an average increase in apoptosis of 10%, 22%, and 38%, respectively, compared with untreated cells. Incubation of CLL cells with SGI-1776 for 48 or 72 hours further increased the percentage of apoptotic cells.
In Vivo	**Animal experiment**	
	Animal models:	Female BALB/c nude mice bearing 786-O or Caki-1 xenografts
	Dosage form:	Oral administration, 200 mg/kg, once every 5 days, for 3 weeks
	Applications:	Treatment with SGI-1776 resulted in a significant decrease in mean tumor volume in both xenograft models compared with the vehicle-treated controls. Besides that, SGI-1776 induced a reduction in Bad phosphorylation without altering total Bad protein levels. It also induced moderate levels of apoptosis.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Nurbek Mambetsariev. "Mechanisms of TRAF3 mediated regulation of B cell survival." University of Iowa.2018.
2. Kris Cameron Wood,Peter Saville Winter. "Compositions and Methods for Treating Cancer with JAK2 Activity." US Patent App. 15/027,216, 2016.
3. Winter PS, et al. "RAS signaling promotes resistance to JAK inhibitors by suppressing BAD-mediated apoptosis." Sci Signal. 2014 Dec 23.PMID:25538080

See more customer validations on www.apexbt.com.

References

[1] Chen L S, Redkar S, Bearss D, et al. Pim kinase inhibitor, SGI-1776, induces apoptosis in chronic lymphocytic leukemia cells. Blood, 2009, 114(19): 4150-4157.

[2] Mahalingam D, Espitia C M, Medina E C, et al. Targeting PIM kinase enhances the activity of sunitinib in renal cell carcinoma. British journal of cancer, 2011, 105(10): 1563-1573.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt

of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com