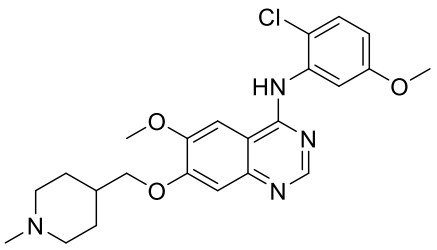
Product data sheet

MedKoo Cat#: 200315 Name: AZM-475271 CAS#: 476159-98-5 Chemical Formula: $C_{23}H_{27}ClN_4O_3$ Exact Mass: 442.17717 Molecular Weight: 442.94	
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:
AZM475271 is orally Src tyrosine kinase inhibitor with potential anticancer and antimetastatic activities. Chemical structurally, AZM-475271 is the 4-amino-quinazoline based anticancer agent. AZM475271 remarkably inhibits growth and metastasis of orthotopically implanted human pancreatic carcinoma cells in nude mice. AZM475271 suppresses tumor growth and metastasis in vitro and in vivo potentially by anti-angiogenic mechanisms.

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	43.15	97.42

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.26 mL	11.29 mL	22.58 mL
5 mM	0.45 mL	2.26 mL	4.52 mL
10 mM	0.23 mL	1.13 mL	2.26 mL
50 mM	0.05 mL	0.23 mL	0.45 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. Bartscht T, Rosien B, Rades D, Kaufmann R, Biersack H, Lehnert H, Ungefroren H. TGF-β Signal Transduction in Pancreatic Carcinoma Cells is Sensitive to Inhibition by the Src Tyrosine Kinase Inhibitor AZM475271. Anticancer Agents Med Chem. 2017;17(7):966-972. doi: 10.2174/1871520616666160926110513. PMID: 27671303.
2. Ischenko I, Guba M, Yezhelyev M, Papyan A, Schmid G, Green T, Fennell M, Jauch KW, Bruns CJ. Effect of Src kinase inhibition on metastasis and tumor angiogenesis in human pancreatic cancer. Angiogenesis. 2007;10(3):167-82. doi: 10.1007/s10456-007-9071-3. Epub 2007 May 8. PMID: 17486419.

In vivo study

1. Ischenko I, Seeliger H, Camaj P, Kleespies A, Guba M, Eichhorn ME, Jauch KW, Bruns CJ. Src tyrosine kinase inhibition suppresses lymphangiogenesis in vitro and in vivo. Curr Cancer Drug Targets. 2010 Aug;10(5):546-53. doi: 10.2174/156800910791517181. PMID: 20370688.
2. Yezhelyev MV, Koehl G, Guba M, Brabletz T, Jauch KW, Ryan A, Barge A, Green T, Fennell M, Bruns CJ. Inhibition of SRC tyrosine kinase as treatment for human pancreatic cancer growing orthotopically in nude mice. Clin Cancer Res. 2004 Dec 1;10(23):8028-36. doi: 10.1158/1078-0432.CCR-04-0621. PMID: 15585638.

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7. Bioactivity

Biological target:

AZM475271 is a potent and selective Src kinase inhibitor with IC50 of 5 nM; no inhibitory activity on Flt3, KDR, Tie-2.

In vitro activity

AZM475271 effectively blocked TGF-β1-induced chemokinesis of Panc-1 cells in a dose-dependent fashion and inhibited the high chemokinetic activity of Panc-1 cells with ectopic expression of a constitutively active ALK5T204D mutant. AZM475271 but not another Src inhibitor, SU6656, partially relieved the suppressive effect of TGF-β1 on E-cadherin and inhibited TGF-β1-induced upregulation of the MMP2, MMP9, N-cadherin and vimentin genes, activity of a TGF-β1-dependent reporter gene, and activation of Smad2 and Smad3.

Reference: Anticancer Agents Med Chem. 2017;17(7):966-972. https://pubmed.ncbi.nlm.nih.gov/27671303/

In vivo activity

Tumors appeared to be palpable at day 14 after tumor cell injection in all animals except mice treated with both AZM475271 and gemcitabine, in which the earliest possible palpation of the tumors was at day 17 after tumor cell injection. In all treated animals, the median tumor volume was significantly less than that in control mice (AZM475271-treated animals, 827 mm^3; gemcitabine-treated animals, 393 mm^3; AZM475271 + gemcitabine-treated animals, 124 mm^3; control animals, 1359 mm^3; Fig. 2; Table 1).

Reference: Clin Cancer Res. 2004 Dec 1;10(23):8028-36. https://pubmed.ncbi.nlm.nih.gov/15585638/