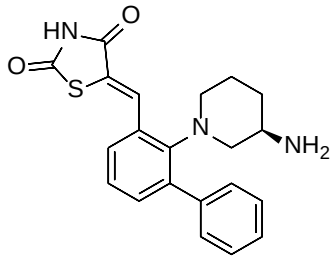
Product data sheet

MedKoo Cat#: 205763 Name: AZD-1208 CAS#: 1204144-28-4 Chemical Formula: $C_{21}H_{21}N_3O_2S$ Exact Mass: 379.1355 Molecular Weight: 379.48	
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:
AZD1208 is orally available, small molecule inhibitor of PIM kinases with potential antineoplastic activity. Pan-PIM kinase inhibitor AZD1208 inhibits the activities of PIM1, PIM2 and PIM3 serine/threonine kinases, which may result in the interruption of the G1/S phase cell cycle transition, thereby causing cell cycle arrest and inducing apoptosis in cells that overexpress PIMs. The growth inhibition of several leukemia cell lines by this agent is correlated with the expression levels of PIM1, which is the substrate of STAT transcription factors. PIM kinases are downstream effectors of many cytokine and growth factor signaling pathways and are upregulated 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	37.57	99.00
DMSO:PBS (pH 7.2) (1:4)	0.20	0.53
DMF	0.10	0.26

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.64 mL	13.18 mL	26.35 mL
5 mM	0.53 mL	2.64 mL	5.27 mL
10 mM	0.26 mL	1.32 mL	2.64 mL
50 mM	0.05 mL	0.26 mL	0.53 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. Cervantes-Gomez F, Stellrecht CM, Ayres ML, Keating MJ, Wierda WG, Gandhi V. PIM kinase inhibitor, AZD1208, inhibits protein translation and induces autophagy in primary chronic lymphocytic leukemia cells. Oncotarget. 2019 Apr 19;10(29):2793-2809. doi: 10.18632/oncotarget.26876. PMID: 31073371; PMCID: PMC6497463.
2. Yadav AK, Kumar V, Bailey DB, Jang BC. AZD1208, a Pan-Pim Kinase Inhibitor, Has Anti-Growth Effect on 93T449 Human Liposarcoma Cells via Control of the Expression and Phosphorylation of Pim-3, mTOR, 4EBP-1, S6, STAT-3 and AMPK. Int J Mol Sci. 2019 Jan 16;20(2):363. doi: 10.3390/ijms20020363. PMID: 30654529; PMCID: PMC6359068.

In vivo study

1. Fu R, Xia Y, Li M, Mao R, Guo C, Zhou M, Tan H, Liu M, Wang S, Yang N, Zhao J. Pim-1 as a Therapeutic Target in Lupus Nephritis. Arthritis Rheumatol. 2019 Aug;71(8):1308-1318. doi: 10.1002/art.40863. Epub 2019 Jul 2. PMID: 30791224.

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7. Bioactivity

Biological target: AZD1208 is a Pim kinase inhibitor with IC50s of 0.4 nM, 5 nM, and 1.9 nM for Pim1, Pim2, and Pim3 in cell-free assays, respectively.

In vitro activity

To determine the cytotoxicity resulting from AZD1208 treatment, endogenous cell death was subtracted from the cytotoxicity of each CLL (chronic lymphocytic leukemia) sample. Cells from healthy donors treated with either 3-μM or 10-μM AZD1208 had an average 2% cell death rate, whereas CLL cells had a 6% cell death rate when treated with 3-μM AZD1208 and an 18% cell death rate when treated with 10-μM AZD1208. These data clearly suggest that AZD1208 causes negligible cytotoxicity in healthy peripheral blood lymphocytes and moderate cell death in malignant CLL lymphocytes.

Reference: Oncotarget. 2019 Apr 19;10(29):2793-2809. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6497463/

In vivo activity

The therapeutic effect of the Pim-1 inhibitor AZD1208 was assessed in a lupus-prone (NZB × NZW)F1 mouse model (n = 10 mice per group). AZD1208 reduced the severity of proteinuria, glomerulonephritis, renal immune complex deposits, and serum anti-dsDNA antibody levels, concomitant with the suppression of NFATc1 expression and NLRP3 inflammasome activation, in diseased (NZB × NZW)F1 mice (each $P < 0.05$ versus controls).

Reference: Arthritis Rheumatol. 2019 Aug;71(8):1308-1318. https://onlinelibrary.wiley.com/doi/abs/10.1002/art.40863

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.