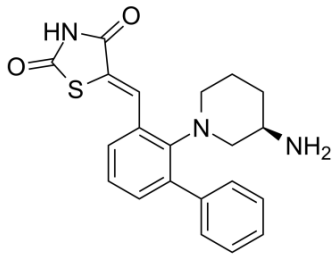
AZD1208

Cat. No.:	HY-15604
CAS No.:	1204144-28-4
Molecular Formula:	$C_{21}H_{21}N_3O_2S$
Molecular Weight:	379.48
Target:	Pim; Autophagy; Apoptosis
Pathway:	JAK/STAT Signaling; Autophagy; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (131.76 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6352 mL	13.1759 mL	26.3519 mL
	5 mM	0.5270 mL	2.6352 mL	5.2704 mL
	10 mM	0.2635 mL	1.3176 mL	2.6352 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD1208 is an orally bioavailable, highly selective PIM kinases inhibitor[1].

In Vitro

AZD1208 shows good antiproliferative activity in a megakaryoblastic leukemia cell line, MOLM-16, with GI_{50} values less than 100 nM[1]. AZD1208 (10 μM) inhibits the growth of Ramos cells, and at 1 μM, strongly inhibits PIM kinases in all cell at 1 μM. AZD1208 induces apoptosis, and PIM2 knockdown is mainly associated with an alteration of the cell cycle[2]. The combination of AZD1208 and AZD2014 rapidly activates AMPKα, a negative regulator of translation machinery through mTORC1/2 signaling in AML cells; profoundly inhibits AKT and 4EBP1 activation; and suppresses polysome formation[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

MOLM-16 cells, purchased from DSMZ and cultured in RPMI containing 10% fetal bovine serum (FBS) and 1% L-glutamine, are plated at 20,000 cells per well in 96 well plates overnight. Cells are treated for 72 hours with compound or control vehicle (dimethyl sulfoxide) and cell viability is measured after the addition of Cell Titer-Blue for 4 hours at 37°C and reading of fluorescence on a Tecan Infinite® 200. The GI_{50} is determined by calculating growth at each dose relative to vehicle treated cells and cell viability at the time of treatment.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367). pii: eaan4368.
- Sci Transl Med. 2018 Jul 18;10(450). pii: eaaq1093.
- Nat Commun. 2019 Apr 23;10(1):1844.
- eNeuro. 2019 Aug 22;6(4):ENEURO.0003-19.2019.
- Charles University Faculty of Science. 2019 Jun

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REFERENCES

[1]. Dakin LA, et al. Discovery of novel benzylidene-1,3-thiazolidine-2,4-diones as potent and selective inhibitors of the PIM-1, PIM-2, and PIM-3 protein kinases. Bioorg Med Chem Lett. 2012 Jul 15;22(14):4599-604.

[2]. Kreuz S, et al. Loss of PIM2 enhances the anti-proliferative effect of the pan-PIM kinase inhibitor AZD1208 in non-Hodgkin lymphomas. Mol Cancer. 2015 Dec 8;14:205.

[3]. Harada M, et al. The novel combination of dual mTOR inhibitor AZD2014 and pan-PIM inhibitor AZD1208 inhibits growth in acute myeloid leukemia via HSF pathway suppression. Oncotarget. 2015 Nov 10;6(35):37930-47.

Caution: Product has not been fully validated for medical applications. For research use only.

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