

Catalog #10-4781 AZD1208

CAS# 1204144-28-4 (5Z)-5-[[2-[(3R)-3-Aminopiperidin-1-yl]-3-phenylphenyl]methylidene]-1,3-thiazolidine-2,4-dione

Lot # FBS4023



AZD1208 is a highly selective and potent pan-PIM kinase inhibitor ($IC_{50} = 3 \text{ nM PIM1}$; 150 nM PIM2; 9 nM PIM3).¹ Displayed efficacy in models of acute myeloid leukemia², prostate cancer³, and triple-negative breast cancer⁴. Combination treatment of AZD1208 and imatinib eliminated chronic myelogenous leukemia stem cells in cell culture and a patient-derived mouse xenograft model.⁵ It improved immunotherapeutic antitumor T-cell response⁶ and disrupted the myeloid cell-mediated immunosuppressive tumor microenvironment increasing T-cell-mediated antitumor immunity.

- 1) Dakin et al. (2012), 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. **22** 4599
- 2) Keeton et al. (2014), AZD1208, a potent and selective pan-Pim kinase inhibitor, demonstrates efficacy in preclinical models of acute myeloid leukemia; Blood **123** 905
- 3) Kirschner *et al.* (2014), *PIK kinase inhibitor AZD1208 for treatment of MYC-driven prostate cancer*, J. Natl. Cancer Inst. **107** dju407
- 4) Braso-Maristany et al. (2016), PIM1 kinase regulates cell death, tumor growth and chemotherapy response in triple-negative breast cancer, Nat. Med. **22** 1303
- 5) Ma et al. (2019), Prosurvival kinase PIM2 is a therapeutic target for eradication of chronic myeloid leukemia stem cells; Proc.Natl. Acad. Sci. USA **116** 10482
- 6) Chatterjee et al. (2019), Targeting PIM Kinase with PD1 Inhibition Improves Immunotherapeutic Antitumor T-cell Response; Clin. Cancer Res. 25 1036
- 7) Xin et al. (2021), Targeting PIM1-Mediated Metabolism in Myeloid Suppressor Cells to Treat Cancer; Cancer Immunol. Res. 9 454

PHYSICAL DATA

379.48
$C_{21}H_{21}N_{3}O_{2}S$
98% (HPLC)
NMR: (Conforms)
DMSO (2 mg/mL with warming)
Yellow solid
Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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