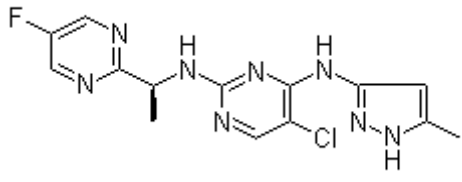


Product Introduction

AZD1480

AZD1480 is a novel ATP-competitive **JAK2** inhibitor with **IC50** of 0.26 nM, selectivity against JAK3 and Tyk2, and to a smaller extent against JAK1. Phase 1/2.

Technical Data:

Molecular Weight (MW):	348.77	
Formula:	C ₁₄ H ₁₄ ClFN ₈	
Solubility (25 °C)	DMSO 70 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1mg/mL	
	Ethanol <1 g/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months-80°C in DMSO	
CAS No.:	935666-88-9	

Biological Activity

5µM AZD1480 induces G2/M arrest and cell death by inhibiting Aurora kinases. [1] AZD1480 is a potent JAK2 inhibitor that can suppress growth, survival, as well as FGFR3 and STAT3 signaling and downstream targets including Cyclin D2 in human multiple myeloma cells. At low micromolar concentrations, AZD1480 blocks cell proliferation and induces apoptosis of myeloma cell lines. [2]AZD1480 effectively blocks constitutive and stimulus-induced JAK1, JAK2, and STAT-3 phosphorylation in both human and murine glioma cells, and leads to a decrease in cell proliferation and

Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

induction of apoptosis. [3]AZD1480 is a potent, competitive small-molecule inhibitor of JAK1/2 kinase, and that it is capable of inhibiting STAT3 phosphorylation and tumor growth in a STAT3-dependent manner. AZD1480 inhibits tumor angiogenesis and metastasis in part by affecting the tumor microenvironment. [4]

AZD1480 inhibits the STAT3 phosphorylation in an xenograft model of human solid tumors and multiple myeloma. [1] In vivo, AZD1480 inhibits the growth of subcutaneous tumors and increases survival of mice bearing intracranial glioblastoma (GBM) tumors by inhibiting STAT-3 activity, indicating that pharmacologic inhibition of the JAK/STAT-3 pathway by AZD1480 should be considered for study in the treatment of patients with GBM tumors. [3]AZD1480 blocks lung infiltration of myeloid cells and formation of pulmonary metastases in both mouse syngeneic experimental and spontaneous metastatic models. Furthermore, AZD1480 reduces angiogenesis and metastasis in a human xenograft tumor model. [4] The Jak2 inhibitor, AZD1480, suppresses the growth of human solid tumor xenografts harboring persistent Stat3 activity. [5]

References

[1] E Derenzini, et al. Blood Cancer J, 2011, 1(12), e46.

[2] Scuto A, et al. Leukemia, 2011, 25(3), 538-50.

[3] McFarland BC, et al. Cancer Ther, 2011, 10(12), 2384-93.

[4] Xin H, et al. Cancer Res, 2011, 71(21), 6601-10.

Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.