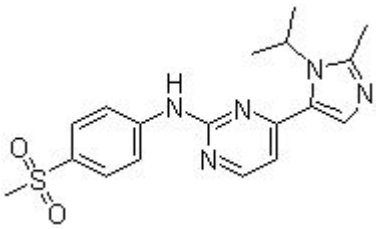
Product Introduction

AZD5438

AZD5438 is a potent inhibitor of **CDK1/2/9** with **IC50** of 16 nM/6 nM/20 nM. It is less potent to CDK5/6 and also inhibits GSK3β. Phase 1.

Technical Data:

Molecular Weight (MW):	371.46	
Formula:	C18H21N5O2S	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 74 mg/mL	
	Water <1 mg/mL	
	Ethanol 74 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	602306-29-6	

Biological Activity

AZD5438 exhibits the potent inhibitory effect on activity of cyclin-dependent kinases including cyclin E-cdk2, cyclin A-cdk2, cyclin B1-cdk1, cyclin D3-cdk6, and cyclin T-cdk9 with IC50 of 6 nM, 45 nM, 16 nM, 21 nM, and 20 nM, respectively. Besides, AZD5438 also inhibits the kinase activity of p25-cdk5 and glycogen synthase kinase 3β with IC50 of 14 nM and 17 nM, respectively. [1] AZD5438 induces cell cycle arrest by inhibiting phosphorylation of cdk-dependent substrates, and exhibits the broad antiproliferative activity against a range of tumor cell lines including lung, colorectal, breast, prostate, and hematologic

tumors with IC50 ranging from 0.2 μM (MCF-7) to 1.7 μM (ARH-77). [1]

In vivo, oral treatment of AZD5438 leads to statistically significant inhibition against the growth of human tumor xenografts derived from a wide range of different cancer types including breast, colon, lung, prostate, and ovarian with maximum TGI ranging from 38% to 153%. [1] In the SW620 xenograft model, AZD5438 causes the inhibition of several cell cycle proteins such as, phH3, phosphonucleolin, PP1a, and several phospho-pRb epitopes in a dose-dependent manner. [1]

A potent inhibitor of cyclin-dependent kinase (CDK) 1, 2, and 9.

References

[1] Byth KF, et al. Mol Cancer Ther. 2009, 8(7), 1856-1866.

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