PRODUCT INFORMATION

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AZD 5438

Item No. 21598

CAS Registry No.: Formal Name:	602306-29-6 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- N-[4-(methylsulfonyl)phenyl]-2-pyrimidinamine	ŇŠ H
MF:	C ₁₈ H ₂₁ N ₅ O ₂ S	
FW:	371.5	
Purity:	≥98%	
UV/Vis.:	λ _{max} : 300 nm	
Supplied as:	A crystalline solid	
Storage:	-20°C	
Stability:	≥4 years	N-
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.		

Laboratory Procedures

AZD 5438 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 5438 in the solvent of choice, which should be purged with an inert gas. AZD 5438 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 5438 in ethanol is approximately 0.2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Description

AZD 5438 is a potent inhibitor of the cyclin-dependent kinases (CDKs) 1, 2, and 9 (IC₅₀s = 16, 6, and 20 nM, respectively).¹ AZD 5438 also inhibits the kinase activity of Cdk5/p25 and glycogen synthase kinase 3β (GSK3β) (IC₅₀s = 14 and 17 nM, respectively). AZD 5438 induces cell cycle arrest by inhibiting phosphorylation of CDK-dependent substrates and exhibits in vitro antiproliferative activity against a range of tumor cell lines including lung, colorectal, breast, prostate, and hematologic tumors with IC₅₀s ranging from 200 nM (MCF-7) to 1,700 nM (ARH-77).^{1,2} AZD 5438 inhibits growth of human tumor xenografts derived from a wide range of cancer types and inhibits cell cycle proteins.^{1,3}

References

- 1. Byth, K.F., Thomas, A., Hughes, G., et al. AZD5438, a potent oral inhibitor of cyclin-dependent kinases 1, 2, and 9, leads to pharmacodynamic changes and potent antitumor effects in human tumor xenografts. Mol. Cancer. Ther. 8(7), 1856-1866 (2009).
- 2. Raghavan, P., Tumati, V., Yu, L., et al. AZD5438, an inhibitor of Cdk1, 2, and 9, enhances the radiosensitivity of non-small cell lung carcinoma cells. Int. J. Radiat. Oncol. Biol. Phys. 84(4), e507-e514 (2012).
- 3. Dickson, M.A. and Schwartz, G.K. Development of cell-cycle inhibitors for cancer therapy. Curr. Oncol. 16(2), 36-43 (2009).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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