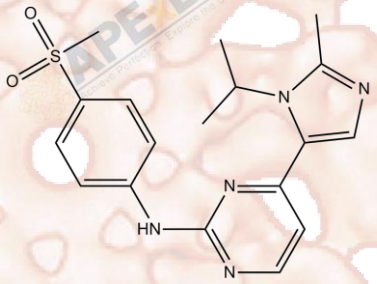
Product Data Sheet

AZD-5438



Cat. No.:	A8326
CAS No.:	602306-29-6
Formula:	C18H21N5O2S
M.Wt:	371.46
Synonyms:	AZD 5438;AZD5438
Target:	Cell Cycle/Checkpoint
Pathway:	Cyclin-Dependent Kinases
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾18.55 mg/mL in DMSO; ⩾42.1 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.6921 mL	13.4604 mL	26.9208 mL
5 mM	0.5384 mL	2.6921 mL	5.3842 mL
10 mM	0.2692 mL	1.3460 mL	2.6921 mL

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

Biological Activity

Shortsummary	Potent CDK1/2/9 inhibitor
IC_{50} & Target	16 nM (CDK1), 6 nM (CDK2), 20 nM (CDK9)

In Vitro

Cell Viability Assay

Cell Line:	MCF-7 breast cancer cells,
Preparation method:	The solubility of this compound in DMSO is >18.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	48 h

	Applications:	AZD5438 induced cell cycle arrest by inhibiting phosphorylation of cdk-dependent substrates, and exhibited the broad antiproliferative activity against asynchronous LoVo, MCF-7 and SW620 tumor cell lines. AZD5438 showed antiproliferative in 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).
In Vivo	**Animal experiment**	
	Animal models:	Female nude mice bearing established BT474c, Colo-205, HX147, PC-3, and SW620 human tumor xenografts
	Dosage form:	Oral gavage, 37.5–75 mg/kg, once or twice daily for 3 wk
	Applications:	In vivo, oral treatment of AZD5438 significantly inhibited 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%. In the SW620 xenograft model, AZD5438 inhibited several cell cycle proteins such as, phH3, phosphonucleolin, PP1a, and several phospho-pRb epitopes in a dose-dependent manner.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Cingöz O, Goff SP. "Cyclin-dependent kinase activity is required for type Iinterferon production." Proc Natl Acad Sci U S A. 2018 Mar 27;115(13):E2950-E2959.PMID:29507205

2. Yuan J, Jiang YY, et al. "Super-Enhancers Promote Transcriptional Dysregulation in Nasopharyngeal Carcinoma." Cancer Res. 2017 Dec1;77(23):6614-6626.PMID:28951465

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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[J]. Molecular cancer therapeutics, 2009, 8(7): 1856-1866.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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