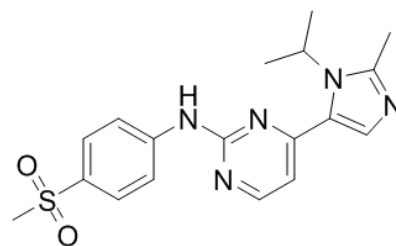


Product Name : AZD-5438
Cat. No. : PC-42060
CAS No. : 602306-29-6
Molecular Formula : C₁₈H₂₁N₅O₂S
Molecular Weight : 371.4566
Target : Cyclin-dependent Kinase (CDK)
Solubility : 10 mM in DMSO
4. Raghavan P, et al. Int J Radiat Oncol Biol Phys. 2012 Nov 15;84(4):e507-14.



Biological Activity

A potent and oral inhibitor of CDK1/2/9 with IC₅₀ of 16/6/20 nM, respectively; also inhibits the kinase activity of p25-Cdk5 (IC₅₀=14 nM) and GSK-3β (IC₅₀=17 nM) in vitro, displays 75-fold less active against cyclin D-Cdk4; shows significant antiproliferative activity in human tumor cell lines (IC₅₀ range: 0.2-1.7 μM), inhibits the phosphorylation of Cdk substrates pRb, nucleolin, PP1A, and RNA polymerase II COOH-terminal domain and blocks cell cycle; inhibits the human tumor xenograft growth in vivo; also inhibits PASTA kinase in *L. monocytogenes* (PrkA) and *L. monocytogenes* growth in a β-lactam-synergism-dependent manner.

Solid Tumors

Phase 1 Discontinued

References

Byth KF, et al. Mol Cancer Ther. 2009 Jul;8(7):1856-66.

Boss DS, et al. Ann Oncol. 2010 Apr;21(4):884-94.

Pensing DA, et al. Antimicrob Agents Chemother. 2014 Aug;58(8):4486-94.

