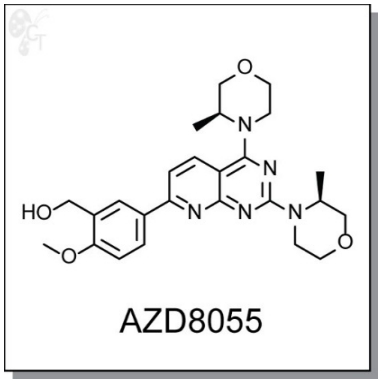
Product Specification Sheet

Product Name: AZD8055

Catalog Number: C2955



Technical information:

Chemical Formula:	$C_{25}H_{31}N_5O_4$
CAS #:	1009298-09-2
Molecular Weight:	465.54
Purity:	> 98%
Appearance:	White
Solubility:	Soluble in DMSO up to 22 mM
Chemical Name:	(5-(2,4-bis((S)-3-methylmorpholino)pyrido[2,3-d]pyrimidin-7-yl)-2-methoxyphenyl)methanol
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.215mL of DMSO for each mg of AZD8055
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

AZD8055 is a potent and orally bioavailable ATP-competitive inhibitor of mTOR kinase with an IC50 of 0.8 nM. It inhibits both mTORC1 and mTORC2. AZD8055 shows excellent selectivity (1,000-fold) against all class I PI3K isoforms and other members of the PI3K-like kinase family. Furthermore, AZD8055 showed no significant activity against a panel of 260 kinases at concentrations up to 10 μM [1].

The serine/threonine kinase mTOR is crucial for cell growth and proliferation. It regulates cap-dependent translation through the mTORC1 complex and Akt activation through the mTORC2 complex. AZD8055 inhibits the phosphorylation of mTORC1 substrates p70S6K and 4E-BP1 as well as the phosphorylation of the mTORC2 substrate AKT. AZD8055 potently inhibits proliferation, induces autophagy and apoptosis in different cells. In vivo, AZD8055 induces a dose-dependent pharmacodynamic effect on phosphorylated S6 and phosphorylated AKT and results in significant tumor growth inhibition and/or regression in xenografts representing a broad range of tumor types [1-4].

Reference:

1. Chresta CM, et al. AZD8055 is a potent, selective, and orally bioavailable ATP-competitive mammalian target of rapamycin kinase inhibitor with in vitro and in vivo antitumor activity. Cancer Res. 2010. 70(1):288-98. Pubmed ID: 20028854
2. Sini P, et al. Simultaneous inhibition of mTORC1 and mTORC2 by mTOR kinase inhibitor AZD8055 induces autophagy and cell death in cancer cells. Autophagy. 2010. 6(4). Pubmed ID: 20364113
3. Willems L, et al. The dual mTORC1 and mTORC2 inhibitor AZD8055 has anti-tumor activity in acute myeloid leukemia. . Leukemia. 2012. 26(6):1195-202. Pubmed ID: 22143671
4. Naing A,et al. Safety, tolerability, pharmacokinetics and pharmacodynamics of AZD8055 in advanced solid tumours and lymphoma. Br J Cancer. 2012. 107(7):1093-9. Pubmed ID: 22935583

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