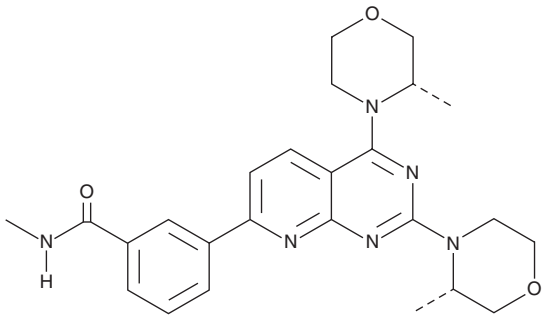
PRODUCT INFORMATION

AZD 2014

Item No. 17378

CAS Registry No.:	1009298-59-2
Formal Name:	3-[2,4-*bis*[(3S)-3-methyl-4-morpholinyl] pyrido[2,3-d]pyrimidin-7-yl]-N-methyl-benzamide
Synonym:	Vistusertib
MF:	$C_{25}H_{30}N_6O_3$
FW:	462.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 222, 277, 387 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZD 2014 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 2014 in the solvent of choice, which should be purged with an inert gas. AZD 2014 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZD 2014 in these solvents is approximately 0.5, 1, and 10 mg/ml, respectively.

Description

AZD 2014 is a potent, selective, ATP-competitive mTORC1/2 dual inhibitor that inhibits phosphorylation of both mTORC1 and 2 substrate proteins in whole cells.[1] It exhibits an IC_{50} value of 2.81 nM against the isolated recombinant enzyme and >1,000-fold selectivity against all PI3K isoforms.[1] AZD 2014 has broad antiproliferative effects across multiple cell lines and reduces tumor growth in *in vivo* models of ER^+ breast cancer.[1] It has efficacy against acute myeloid leukemia when combined with the pan Pim kinase inhibitor AZD 1208 (Item No. 20235) and enhances the radiosensitivity of different cancer cell types.[2-4]

References

1. Guichard, S.M., Curwen, K., Bihani, T., *et al*. AZD2014, an inhibitor of mTORC1 and mTORC2, is highly effective in ER^+ breast cancer when administered using intermittent or continuous schedules. *Mol. Cancer Ther.* **14(11)**, 2508-2518 (2015).
2. Harada, M., Benito, J., Yamamaoto, S., *et al*. The novel combination of dual mTOR inhibitor AZD2014 and pan-PIM inhibitor AZD1208 inhibits growth in acute myeloid leukemia *via* HSF pathway suppression. *Oncotarget* **6(35)**, 37930-37947 (2015).
3. Kahn, J., Hayman, T.J., Jamal, M., *et al*. The mTORC1/mTORC2 inhibitor AZD2014 enhances the radiosensitivity of glioblastoma stem-like cells. *Neuro. Oncol.* **16(1)**, 29-37 (2014).
4. Yu, C.-C., Huang, H.-b., Hung, S.-K., *et al*. AZD2014 radiosensitizes oral squamous cell carcinoma by inhibiting AKT/mTOR axis and inducing G_1/G_2/M cell cycle rrest. *PLoS One* **11(3)**, e0151942 (2016).

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