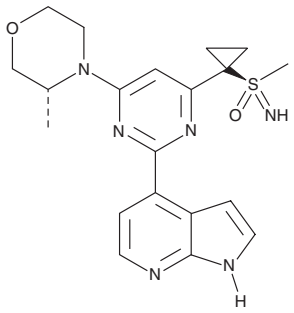
PRODUCT INFORMATION

AZD 6738

Item No. 21035

CAS Registry No.:	1352226-88-0
Formal Name:	4-[4-[1-[[S(R)]-S-methylsulfonimidoyl] cyclopropyl]-6-[(3R)-3-methyl-4-morpholinyl]-2-pyrimidinyl]-1H-pyrrolo[2,3-b]pyridine
Synonym:	Ceralasertib
MF:	$C_{20}H_{24}N_6O_2S$
FW:	412.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 208, 226, 273, 326 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 6738 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 6738 in the solvent of choice, which should be purged with an inert gas. AZD 6738 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZD 6738 in these solvents is approximately 30 mg/ml.

AZD 6738 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 6738 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AZD 6738 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 6738 is an orally bioavailable inhibitor of the serine/threonine protein kinase ataxia telangiectasia mutated (ATM) and Rad3-related (ATR; IC_{50} = 1 nM).[1] It can inhibit ATR substrate Chk1 Ser^{345} phosphorylation in cells with an IC_{50} value of 74 nM.[1] AZD 6738 has been shown to inhibit the proliferation of various solid and hematological cell lines with IC_{50} values of less than 1 µM and to reduce tumor growth in several ATM-deficient xenograft models.[1] When used in combination with either DNA damaging chemotherapy agents or ionizing radiation, AZD 6738 demonstrated synergistic cell killing activity across multiple cell lines *in vitro* and in xenograft studies.[1]

Reference

1. Vendetti, F.P., Lau, A., Schamus, S., *et al.* The orally active and bioavailable ATR kinase inhibitor AZD6738 potentiates the anti-tumor effects of cisplatin to resolve ATM-deficient non-small cell lung cancer *in vivo*. *Oncotarget* **6(42)**, 44289-44305 (2015).

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