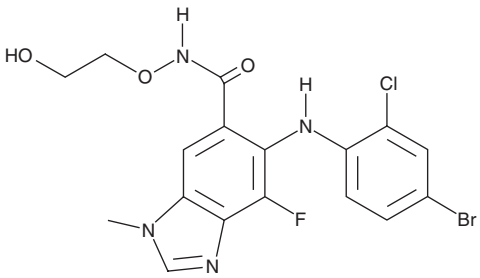
PRODUCT INFORMATION

AZD 6244

Item No. 11599

CAS Registry No.: 606143-52-6
Formal Name: 5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-N-(2-hydroxyethoxy)-1-methyl-1H-benzimidazole-6-carboxamide
Synonyms: ARRY-142886, CL 1,040, NSC 741078, Selumetinib
MF: $C_{17}H_{15}BrClFN_4O_3$
FW: 457.7
Purity: ≥95%
UV/Vis.: λ_{max}: 214, 258 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 6244 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 6244 in the solvent of choice. AZD 6244 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of AZD 6244 in these solvents is approximately 20 and 15 mg/ml, respectively.

AZD 6244 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 6244 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 6244 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MEK kinases are central components of diverse intracellular signaling pathways. MEK1 and MEK2 specifically act downstream of growth factor receptors and the proto-oncogenes Ras and Raf to activate ERK1 and ERK2, often leading to an increase in cell proliferation.[1] AZD 6244 is a potent, highly selective inhibitor of MEK1 and MEK2 (IC_{50} = 14 and 530 nM, respectively).[1-3] It weakly inhibits the EGF receptor (IC_{50} = 7.0 µM) and has no effect on a large panel of other kinases.[2] AZD 6244 suppresses the growth of tumors displaying high levels of phosphorylated MEK1/2 or ERK1/2.[1,3] In addition to suppressing growth, it induces apoptosis and differentiation within tumors.[4] AZD 6244 has potential, alone or in combination therapy, for promoting tumor regression in various forms of cancer, including those involving mutations of the proto-oncogenes Ras and Raf.[5,6]

References

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2. Davis, M.I., Hunt, J.P., Herrgard, S., *et al. Nat. Biotechnol.* **29(11)**, 1046-1051 (2011).
3. Huynh, H., Soo, K.C., Chow, P.K.H., *et al. Mol. Cancer Ther.* **6(1)**, 138-146 (2007).
4. Davies, B.R., Logie, A., McKay, J.S., *et al. Mol. Cancer Ther.* **6(8)**, 2209-2219 (2007).
5. Corcoran, R.B., Cheng, K.A., Hata, A.N., *et al. Cancer Cell* **23(1)**, 121-128 (2013).
6. Robert, C., Dummer, R., Gutzmer, R., *et al. Lancet Oncol.* **14(8)**, 733-740 (2013).

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