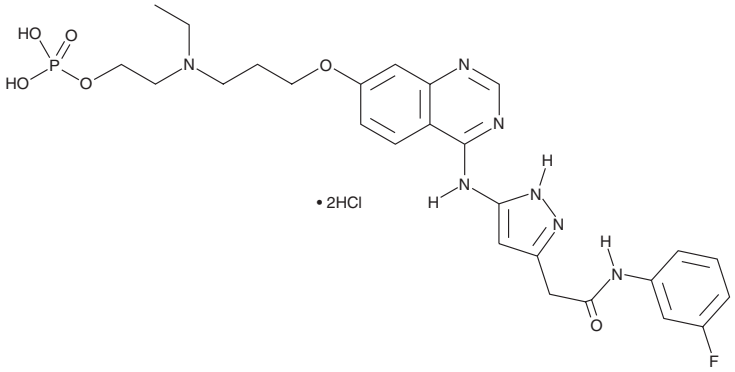
PRODUCT INFORMATION

AZD 1152 (hydrochloride)

Item No. 13647

CAS Registry No.: 722543-50-2
Formal Name: 5-[[7-[3-[ethyl[2-(phosphonooxy) ethyl]amino]propoxy]-4-quinazolinyl]amino]-N-(3-fluorophenyl)-1H-pyrazole-3-acetamide, dihydrochloride
Synonym: Barasertib
MF: $C_{26}H_{31}FN_7O_6P \bullet 2HCl$
FW: 660.5
Purity: ≥95%
UV/Vis.: λ_{max}: 245, 343 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 1152 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 1152 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. AZD 1152 (hydrochloride) is soluble in the organic solvent DMSO at a concentration of approximately 1 mg/ml.

AZD 1152 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 1152 (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 1152 (hydrochloride) has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 1152 is an orally bioavailable prodrug of AZD 1152-HQPA, a selective inhibitor of Aurora kinase B (IC_{50} = 0.36 nM).[1] AZD 1152 is converted to AZD 1152-HQPA in plasma. Inhibition of Aurora B results in disruption of spindle checkpoint functions and chromosome alignment, resulting in inhibition of cytokinesis followed by apoptosis.[2,3] AZD 1152 inhibits tumor xenograft growth *in vivo*.[4,5]

References

1. Mortlock, A.A., Foote, K.M., Heron, N.M., *et al*. Discovery, synthesis, and *in vivo* activity of a new class of pyrazoloquinazolines as selective inhibitors of aurora B kinase. *J. Med. Chem.* **50(9)**, 2213-2224 (2007).
2. Curry, J., Angove, H., Fazal, L., *et al*. Aurora B kinase inhibition in mitosis: Strategies for optimising the use of aurora kinase inhibitors such as AT9283. *Cell Cycle* **8(12)**, 1921-1929 (2009).
3. Moore, A.S., Blagg, J., Linardopoulos, S., *et al*. Aurora kinase inhibitors: Novel small molecules with promising activity in acute myeloid and Philadelphia-positive leukemias. *Leukemia* **24(4)**, 671-678 (2010).
4. Wilkinson, R.W., Odedra, R., Heaton, S.P., *et al*. AZD1152, a selective inhibitor of Aurora B kinase, inhibits human tumor xenograft growth by inducing apoptosis. *Clin. Cancer. Res* **13(12)**, 3682-3688 (2007).
5. Yang, J., Ikezoe, T., Nishioka, C., *et al*. AZD1152, a novel and selective aurora B kinase inhibitor, induces growth arrest, apoptosis, and sensitization for tubulin depolymerizing agent or topoisomerase II inhibitor in human acute leukemia cells *in vitro* and *in vivo*. *Blood* **110(6)**, 2034-2040 (2007).

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