PRODUCT INFORMATION



AZD 1152-HQPA

Item No. 11602

CAS Registry No.:	722544-51-6	
Formal Name:	5-[[7-[3-[ethyl(2-hydroxyethyl) amino]propoxy]-4-quinazolinyl] amino]-N-(3-fluorophenyl)-1H- pyrazole-3-acetamide	
Synonym:	Barasertib-HQPA	H
MF:	C ₂₆ H ₃₀ FN ₇ O ₃	
FW:	507.6	
Purity:	≥98%	
UV/Vis.:	λ _{max} : 223, 235, 324 nm	Ň—Ň
Supplied as:	A crystalline solid	H
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-HQPA is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 1152-HQPA in the solvent of choice. AZD 1152-HQPA is sparingly soluble in ethanol, and is soluble in the organic solvents DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of AZD 1152-HQPA in these solvents is approximately 15 and 1 mg/ml, respectively.

AZD 1152-HQPA is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 1152-HQPA should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 1152-HQPA has a solubility of approximately 0.33 mg/ml in a 1:2 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-HQPA is an inhibitor of Aurora kinase B (IC₅₀ = 0.37 nM) that demonstrates ~3,700-fold greater selectivity for Aurora kinase B over Aurora kinase A (IC₅₀ = 1.368 μ M).^{1,2} It does not have activity against a panel of 50 other serine/threonine or tyrosine kinases, including FLT3, JAK2, and Abl.^{1,2} AZD 1152-HQPA has been shown to inhibit the proliferation of hematopoietic malignant cells $(IC_{50}$ s = 3-40 nM), disrupting spindle checkpoint functions and chromosome alignment, resulting in inhibition of cytokinesis followed by apoptosis, and to inhibit tumor xenograft growth in vivo.^{2,3}

References

- 1. Mortlock, A.A., Foote, K.M., Heron, N.M., et al. Discovery, synthesis, and in vivo activity of a new class of pyrazologuinazolines as selective inhibitors of aurora B kinase. J. Med. Chem. 50(9), 2213-2224 (2007).
- 2. 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).
- Wilkinson, R.W., Odedra, R., Heaton, S.P., et al. AZD1152, a selective inhibitor of Aurora B kinase, inhibits 3 human tumor xenograft growth by inducing apoptosis. Clin. Cancer. Res. 13(12), 3682-3688 (2007).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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