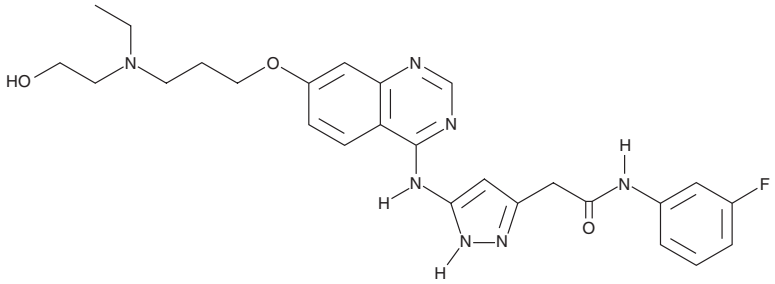
PRODUCT INFORMATION

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
MF:	$C_{26}H_{30}FN_7O_3$
FW:	507.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 235, 324 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-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 (IC_{50} = 0.37 nM) that demonstrates ~3,700-fold greater selectivity for Aurora kinase B over Aurora kinase A (IC_{50} = 1.368 µM).[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 pyrazoloquinazolines 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).
3. 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM