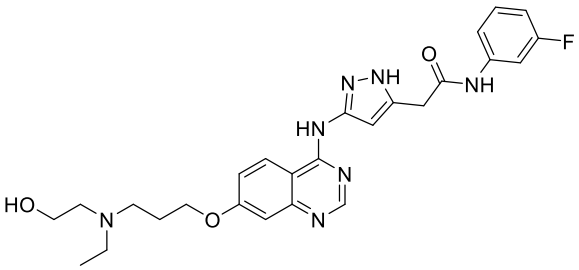
Product data sheet

MedKoo Cat#: 200421 Name: AZD-1152HQPA CAS#: 722544-51-6 Chemical Formula: $C_{26}H_{30}FN_7O_3$ Exact Mass: 507.23942 Molecular Weight: 507.56	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
AZD-1152 HQPA, also known as AZD-2811, is a potent and selective Aurora B inhibitor (IC50 of 0.37 nM versus 1368 nM for Aurora B and A kinases, respectively), serine/ threonine kinase inhibitor, and an active metabolite of Barasertib (AZD-1152). Barasertib is a prodrug and will be converted rapidly to the active drug AZD1152-HQPA in human plasma. Preliminary studies showed that AZD-1152 was active against a variety of solid tumors including colon, breast, and lung cancers. IMPORTANT NOTE: AZD-1152HQPA IS NOT AZD-1152 or Barasertib. Many vendors are selling Barasertib with wrong structure.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	22	43.34

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.97 mL	9.85 mL	19.70 mL
5 mM	0.39 mL	1.97 mL	3.94 mL
10 mM	0.20 mL	0.99 mL	1.97 mL
50 mM	0.04 mL	0.20 mL	0.39 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Zekri A, Mesbahi Y, Boustanipour E, Sadr Z, Ghaffari SH. The Potential Contribution of microRNAs in Anti-cancer Effects of Aurora Kinase Inhibitor (AZD1152-HQPA). J Mol Neurosci. 2018 Aug;65(4):444-455. doi: 10.1007/s12031-018-1118-y. Epub 2018 Jul 26. PMID: 30051358.

2. Zekri A, Ghaffari SH, Ghanizadeh-Vesali S, Yaghmaie M, Salmaninejad A, Alimoghaddam K, Modarressi MH, Ghavamzadeh A. AZD1152-HQPA induces growth arrest and apoptosis in androgen-dependent prostate cancer cell line (LNCaP) via producing aneugenic micronuclei and polyploidy. Tumour Biol. 2015 Feb;36(2):623-32. doi: 10.1007/s13277-014-2664-8. Epub 2014 Oct 3. PMID: 25277659.

In vivo study

1. Diaz RJ, Golbourn B, Shekarforoush M, Smith CA, Rutka JT. Aurora kinase B/C inhibition impairs malignant glioma growth in vivo. J Neurooncol. 2012 Jul;108(3):349-60. doi: 10.1007/s11060-012-0835-2. Epub 2012 Mar 1. PMID: 22382783.

7. Bioactivity

Product data sheet

Biological target:

Barasertib-HQPA (AZD2811) is a highly selective Aurora B inhibitor with an IC50 of 0.37 nM in a cell-free assay.

In vitro activity

The restrictive potentials of AZD1152-HQPA on cell viability, colony formation, nucleus morphology, polyploidy, and cell-cycle distribution were investigated. The expressions level of 88 cancer-related miRNAs in untreated and AZD1152-HQPA-treated NB cell line (SK-N-MC) by real-time PCR using miRNA cancer-array system were studied. After normalizing, the fold change of miRNAs was calculated in the AZD1152-HQPA-treated cell as compared to untreated. The results demonstrate that the inhibition of AURKB by AZD1152-HQPA induced potent antitumor activity, suppressed cell survival, and triggered apoptosis and polyploidy in NB cells. AZD1152-HQPA, at a relevant concentration, modulated a substantial number of cancer-related miRNAs in NB cell. Interestingly, by screening the literature, among the 7 top AZD1152-HQPA-induced upregulated miRNAs (> 3-fold change; $P < 0.01$), all were potential tumor suppressors associated with cell apoptosis and cycle arrest, as well as inhibition of angiogenesis, invasion, and metastasis, while two downregulated miRNAs were known to have oncogenic function. Taken together, this study showed for the first time the potential contribution of miRNAs in the anti-cancer effects of AZD1152-HQPA.

Reference: J Mol Neurosci. 2018 Aug;65(4):444-455. https://dx.doi.org/10.1007/s12031-018-1118-y

In vivo activity

The effects of this drug on flank and intracranial GBM xenografts was investigated. Daily subcutaneous administration of AZD1152-HQPA was well tolerated. No deaths occurred in the drug or vehicle treated animals during the observation period for flank xenografts or during drug administration in animals bearing intracranial xenografts. Animals that received a 4-day course of 25 or 50 mg/kg/day AZD1152-HQPA had a 71 and 70% reduction in flank xenograft tumor volume respectively when compared to vehicle treated animals at 30 days after tumor inoculation (Fig. 4a–e). Tumor weight 12 days after last dose of AZD1152-HQPA was reduced by 73% in animals treated with 25 or 50 mg/kg/day inhibitor dose (Fig. 4b). The growth inhibiting effect of AZD1152-HQPA was maintained for 7 days. Immunodetection of cleaved Caspase-3, showed a nearly 3-fold increase in apoptotic tumor cells in flank xenografts 1 day after completion of AZD1152-HQPA administration (Fig. 5a) and a 7-fold increase in apoptotic tumor cells was observed in intracranial xenografts (Fig 5b). There was a trend towards decreased Aurora B expression in flank tumors treated with AZD1152-HQPA, but this was not statistically significant 1 day after cessation of drug treatment ($P = 0.419$). A significant reduction in Histone H3 phosphorylation ($P = 0.027$) and a trend towards reduced Aurora B threonine 232 phosphorylation ($P = 0.108$) was observed 1 day after cessation of in vivo AZD1152-HQPA treatment (Online Resource 4).

Reference: J Neurooncol. 2012 Jul;108(3):349-60. https://doi.org/10.1007/s11060-012-0835-2

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.