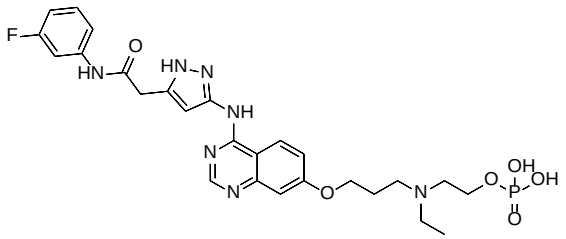
Product data sheet

MedKoo Cat#: 200420 Name: Barasertib CAS#: 722543-31-9 Chemical Formula: $C_{26}H_{31}FN_7O_6P$ Exact Mass: 587.2058 Molecular Weight: 587.54	
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:
Barasertib is an orally bioavailable, small-molecule, dihydrogen phosphate prodrug of the pyrazoloquinazoline Aurora kinase inhibitor AZD1152–hydroxyquinazoline pyrazol anilide (AZD1152-HQPA) with potential antineoplastic activity. Upon administration and rapid conversion from the prodrug form in plasma, barasertib specifically binds to and inhibits Aurora kinase B, which results in the disruption of spindle checkpoint functions and chromosome alignment and, so, the disruption of chromosome segregation and cytokinesis. Consequently, cell division and cell proliferation are inhibited and apoptosis is induced in Aurora kinase B-overexpressing tumor cells. IMPORTANT NOTE: AZD-1152HQPA IS NOT AZD-1152 or Barasertib. Many vendors are selling Barasertib with the 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	33.0	56.17

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.70 mL	8.51 mL	17.02 mL
5 mM	0.34 mL	1.70 mL	3.40 mL
10 mM	0.17 mL	0.85 mL	1.70 mL
50 mM	0.03 mL	0.17 mL	0.34 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. He J, Qi Z, Zhang X, Yang Y, Liu F, Zhao G, Wang Z. Aurora kinase B inhibitor barasertib (AZD1152) inhibits glucose metabolism in gastric cancer cells. Anticancer Drugs. 2019 Jan;30(1):19-26. doi: 10.1097/CAD.0000000000000684. PMID: 30540594.

In vivo study

1. Kasam RK, Ghandikota S, Soundararajan D, Reddy GB, Huang SK, Jegga AG, Madala SK. Inhibition of Aurora Kinase B attenuates fibroblast activation and pulmonary fibrosis. EMBO Mol Med. 2020 Sep 7;12(9):e12131. doi: 10.15252/emmm.202012131. Epub 2020 Aug 6. PMID: 32761869; PMCID: PMC7507328.

7. Bioactivity
Biological target: Barasertib (AZD1152) is an Aurora B inhibitor with an IC50 of 0.37 nM.

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In vitro activity

Barasertib effectively reduced glucose uptake and lactate production in gastric cancer (GC) cells in a dose-dependent and time-dependent manner. The expression levels of GLUT1, LDHA and HK2 were decreased by barasertib treatment of GC cells. Furthermore, barasertib induced the expression of ribosomal protein S7 (RPS7), as a tumor suppressor, to regulate glucose metabolism.

Reference: Anticancer Drugs. 2019 Jan;30(1):19-26. https://journals.lww.com/anti-cancerdrugs/Abstract/2019/01000/Aurora_kinase_B_inhibitor_barasertib__AZD1152_.2.aspx

In vivo activity

To test whether treatment with barasertib prevents the development of lung fibrosis, TGFα mice were concomitantly treated with Dox to induce TGFα expression and either barasertib (40 mg/kg body weight) or vehicle twice per day for 4 weeks (Fig 5A). Induction of TGF α caused extensive subpleural, perivascular, and peribronchial fibrosis (Fig 5B). TGF α - activated mice that were concomitantly given barasertib showed reduced collagen staining with infrequent scattered small fibrotic areas. Further, there was a significant decrease in the lung weights and hydroxyproline levels in TGF α mice treated with barasertib compared to vehicle - treated mice (Fig 5C and D). Together, these findings suggest that inhibition of AURKB activity by barasertib attenuates progressive fibrotic changes in TGFα mice.

Reference: EMBO Mol Med. 2020 Sep 7;12(9):e12131. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7507328/

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.