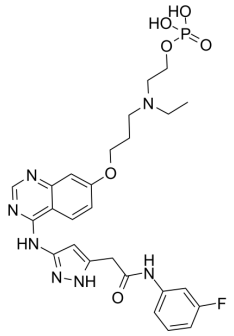
Barasertib

Cat. No.:	HY-10127
CAS No.:	722543-31-9
Molecular Formula:	$C_{26}H_{31}FN_7O_6P$
Molecular Weight:	588
Target:	Aurora Kinase; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33 mg/mL (56.12 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7007 mL	8.5034 mL	17.0068 mL
5 mM	0.3401 mL	1.7007 mL	3.4014 mL
10 mM	0.1701 mL	0.8503 mL	1.7007 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (4.25 mM); Clear solution
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.17 mg/mL (3.69 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (3.69 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (3.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Barasertib (AZD1152), a pro-drug of Barasertib-hQPA, is a highly selective Aurora B inhibitor with an IC_{50} of 0.37 nM in a cell-free assay. Barasertib (AZD1152) induces growth arrest and apoptosis in cancer cells[1].

IC_{50} & Target	Aurora B 0.37 nM (IC_{50})
In Vitro	Barasertib-HQPA (3 μM, 3 hours) significantly decreases expression of the phosphorylated forms of histone H3 in freshly isolated leukemia cells[1]. Barasertib-hydroxyquinazoline pyrazol anilide (HQPA)] is converted rapidly to the active Barasertib-HQPA in plasma[2]. Barasertib-HQPA is used for the in vitro experiments[3]. Barasertib-HQPA induces a marked anti-proliferative effect accompanied by the appearance of a polyploid population, which in most cases led to apoptosis[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Barasertib (AZD1152, 25 mg/kg) markedly suppresses the growth and weights of AZD1152-treated tumors[1]. Barasertib (AZD1152, 5 mg/kg) enhances the ability of vincristine or daunorubicin to inhibit the proliferation of human MOLM13 leukemic xenografts[1]. Barasertib (AZD1152, (10-150 mg/kg/d) potently inhibited the growth of human colon, lung, and hematologic tumor xenografts (mean tumor growth inhibition range, 55% to z100%; $P < 0.05$) in immunodeficient mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female immune-deficient BALB/c nude mice (MOLM13 cells injected)[1].
Dosage:	5 or 25 mg/kg.
Administration:	Intraperitoneal injection 4 times a week or every another day.
Result:	Inhibited the growth of human MOLM13 cells growing as xenografts using an immunodeficient murine model.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- Nat Commun. 2023 Oct 10;14(1):6332.
- Nat Commun. 2019 Apr 18;10(1):1812
- Dev Cell. 2023 Oct 18:S1534-5807(23)00521-X.
- Clin Cancer Res. 2019 Jul 15;25(14):4552-4566.

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REFERENCES

[1]. Yang J, 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. 2007 Sep

[2]. Wilkinson RW, et al. AZD1152, a selective inhibitor of Aurora B kinase, inhibits human tumor xenograft growth by inducing apoptosis. Clin Cancer Res. 2007 Jun 15;13(12):3682-8.

[3]. Evans RP, et al. The selective Aurora B kinase inhibitor AZD1152 is a potential new treatment for multiple myeloma. Br J Haematol. 2008 Feb;140(3):295-302.

[4]. Oke A, et al. AZD1152 rapidly and negatively affects the growth and survival of human acute myeloid leukemia cells in vitro and in vivo. Cancer Res. 2009 May 15;69(10):4150-8.

Caution: Product has not been fully validated for medical applications. For research use only.

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