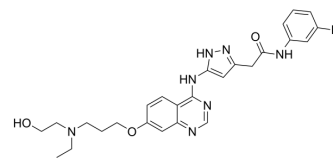


Barasertib-HQPA

Cat. No.:	HY-10126
CAS No.:	722544-51-6
Molecular Formula:	C ₂₆ H ₃₀ N ₇ O ₃
Molecular Weight:	507.56
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 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 22 mg/mL (43.34 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.9702 mL	9.8511 mL	19.7021 mL
	5 mM		0.3940 mL	1.9702 mL	3.9404 mL
	10 mM		0.1970 mL	0.9851 mL	1.9702 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Barasertib-HQPA (AZD2811) is a highly selective Aurora B inhibitor with an IC ₅₀ of 0.37 nM in a cell-free assay. Barasertib-HQPA (AZD2811) 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 treatment induced defective cell survival, polyploidy, and cell death in LNCaP cell line^[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.
 Cell Proliferation Assay^[1].

Cell Line:	AML lines (HL-60, NB4, MOLM13), ALL line (PALL-2), biphenotypic leukemia (MV4-11), acute eosinophilic leukemia (EOL-1), and the blast crisis of chronic myeloid leukemia K562 cells.
Concentration:	0-100 nM. (Barasertib -HQPA)
Incubation Time:	48 h.
Result:	IC ₅₀ values ranged from 3 nM to 40 nM.

In Vivo

Barasertib-HQPA (AZD1152, 25 mg/kg) markedly suppresses the growth and weights of AZD1152-treated tumors^[1].
 ?Barasertib-HQPA (AZD1152, 5 mg/kg) enhances the ability of vincristine or daunorubicin to inhibit the proliferation of human MOLM13 leukemic xenografts^[1].
 ?Barasertib-HQPA (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.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cell Commun Signal. 2023 Sep 30;21(1):268.
- Int J Mol Sci. 2022, 23(2), 763.
- J Cell Sci. 2019 Jul 1;132(13):jcs229385.

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REFERENCES

- [1]. 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.
- [2]. Yang, Jing., 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 15;110(6):2034-40.
- [3]. Zekri A, et al. AZD1152-HQPA induces growth arrest and apoptosis in androgen-dependent prostate cancer cell line (LNCaP) via producing aneuploid micronuclei and polyploidy. Tumour Biol. 2015 Feb;36(2):623-32.
- [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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