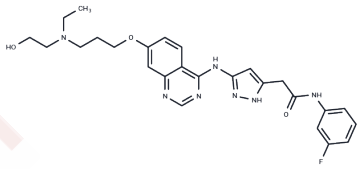
Data Sheet (Cat.No.T2602)

TargetMol

Barasertib-HQPA

Chemical Properties



CAS No. : 722544-51-6

Formula: C26H30FN7O3

Molecular Weight: 507.56

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Barasertib-HQPA (AZD2811) is a highly selective Aurora B inhibitor with an IC50 of 0.37 nM, demonstrating approximately 3,700-fold greater selectivity for Aurora B over Aurora A.
Targets(IC50)	Apoptosis,Aurora Kinase
In vitro	Barasertib inhibited the proliferation of 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 with an IC50 ranging from 3 nM to 40 nM [1]. Barasertib-HQPA treatment induced defective cell survival, polyploidy, and cell death in LNCaP cell line. Treatment of Barasertib-HQPA decreased expression of AR [2].
In vivo	AZD1152 inhibited the proliferation of 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 with an IC50 ranging from 3 nM to 40 nM [1]. AZD1152-HQPA treatment induced defective cell survival, polyploidy, and cell death in LNCaP cell line. Treatment of AZD1152-HQPA decreased expression of AR [2]. AZD1152 potently inhibited the growth of human colon, lung, and hematologic tumor xenografts in immunodeficient mice. In colorectal SW620 tumor-bearing athymic rats treated i.v. with AZD1152, transient suppression of histone H3 phosphorylation followed by accumulation of 4N DNA in cells (2.4-fold higher compared with controls) and then an increased proportion of polyploid cells [3].
Cell Research	LNCaP cells were cultured in RPMI 1640 medium supplemented with 10 % fetal bovine serum, in 5 % CO2 at 37 °C. The cells were treated with 5, 10, 50, 100, and 500 nM of AZD1152-HQPA for 48 h. After 48-h treatment with AZD1152-HQPA, cells were further incubated with 100 µl of MTT (0.5 mg/ml) at 37 °C for 2 h. Precipitated formazan was solubilized with 100 µl of DMSO, and the optical densitometry was measured at a wavelength of570 nm. Cell treated with 0.1 % DMSO was defined as the control group [2].
Animal Research	Female immune-deficient BALB/c nude mice at 4 weeks of age were maintained in pathogen-free conditions with irradiated chow. Animals were bilaterally, subcutaneously injected with 2 × 10^6 MOLM13 cells/tumor in 0.1 mL Matrigel. When MOLM13 cells formed palpable tumors, mice were divided randomly into control (n=5) and treatment groups (n=5), and treatment was begun. AZD1152 (5 or 25 mg/kg) with or without vincristine (0.2 mg/kg) was given to mice by intraperitoneal injection 4 times a week or every another day, respectively. Daunorubicin (1 mg/kg) was given to mice by

Animal Research	intraperitoneal injection 6 times during 2 weeks of treatment either alone or in combination with AZD1152 (5 mg/kg). The dose of these agents was determined by our preliminary studies (data not shown). Control diluent was given to the untreated control mice. Body weight and tumors were measured twice a week. Tumor sizes were calculated by the formula: a × b × c, where "a" is the length, "b" is the width, and "c" is the height in millimeters. At the end of the experiment, animals were killed by CO2 asphyxiation and tumor weights were measured after their careful resection. Tumor tissue was collected for analysis [1].

Solubility Information

Solubility	Ethanol: 3 mg/mL (5.91 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 250 mg/mL (492.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.5 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9702 mL	9.8511 mL	19.7021 mL
5 mM	0.394 mL	1.9702 mL	3.9404 mL
10 mM	0.197 mL	0.9851 mL	1.9702 mL
50 mM	0.0394 mL	0.197 mL	0.394 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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Qi J, Gao X, Zhong X, et al. Selective inhibition of Aurora A and B kinases effectively induces cell cycle arrest in t(8; 21) acute myeloid leukemia. Biomedicine & Pharmacotherapy. 2019, 117: 109113.

Zekri A, et al. 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.

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.

Wang D, Wang Y, Di X, et al.Cortical tension drug screen links mitotic spindle integrity to Rho pathway.Current Biology.2023

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