

Product Data Sheet

Product Name: AZD1152

Cat. No.: GC14709

Chemical Properties

Cas. No. 722543-31-9

Chemical Name 2-[ethyl-[3-[4-[[5-[2-(3-fluoroanilino)-2-oxoethyl]-1H-pyrazol-3-yl]amino]quinazolin-7-yl]oxypropyl]amino]ethyl dihydrogen phosphate

SMILES CCN(CCCOC1=CC2=C(C=C1)C(=NC=N2)NC3=NNC(=C3)CC(=O)NC4=CC(=CC=C4)F)CCOP(=O)(O)O

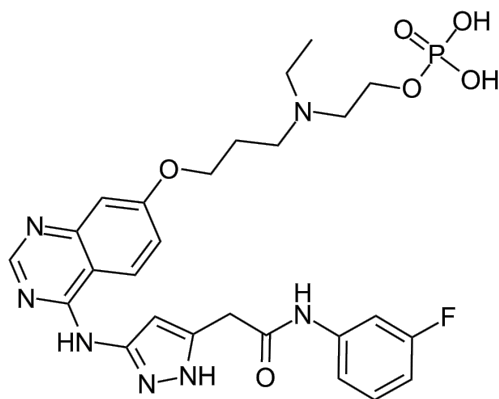
Formula $C_{26}H_{31}FN_7O_6P$ M.Wt 587.54

Solubility ≥ 30 mg/mL in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Animal experiment [1]:

Animal models Female immune-deficient BALB/c nude mice

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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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 0.1mL Matrigel. When MOLM13 cells formed palpable tumors, mice were divided randomly into control and treatment groups, and treatment was begun. AZD1152 (5 or 25mg/kg) with or without vincristine (0.2mg/kg) was given to mice by Preparation Method intraperitoneal injection 4 times a week or every another day, respectively. Daunorubicin (1mg/kg) was given to mice by intraperitoneal injection 6 times during 2 weeks of treatment either alone or in combination with AZD1152 (5mg/kg). Control diluent was given to the untreated control mice. Body weight and tumors were measured twice a week. At the end of the experiment, animals were killed and tumor weights were measured after their careful resection. Tumor tissue was collected for analysis.

Dosage form 5, 25mg/kg/day; i.p.

Applications AZD1152 inhibited the proliferation of MOLM13 xenografts in vivo. AZD1152 enhanced the ability of vincristine or daunorubicin to inhibit the proliferation of human MOLM13 leukemic xenografts in vivo.

References:

[1] Wilkinson R W, Odedra R, Heaton S P, et al. AZD1152, a selective inhibitor of Aurora B kinase, inhibits human tumor xenograft growth by inducing apoptosis[J]. Clinical cancer research, 2007, 13(12): 3682-3688.

Background

AZD1152 is a highly selective Aurora B kinase (AURKB) inhibitor with an IC₅₀ value of 0.37nM^[1]. AZD1152 is a prodrug that is converted in vivo to its active form, AZD1152-hydroxyquinazoline pyrazol anilide (AZD1152-hQPA), and is primarily used for research and treatment of malignant

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tumors such as acute myeloid leukemia^[2]. AZD1152 inhibits Aurora B kinase activity by competitively binding to its ATP binding site, affecting histone H3 phosphorylation, spindle assembly, and cytokinesis, thus inducing apoptosis and inhibiting tumor cell proliferation^[3].

In vivo, AZD1152 (5, 25mg/kg) administered intraperitoneally to mice with MOLM13 cell xenograft tumors inhibited tumor growth. Furthermore, combination treatment with AZD1152 and vincristine or daunorubicin enhanced their anti-tumor effects^[4]. AZD1152 (50, 100mg/kg) administered intraperitoneally to mice with H841 cell xenograft tumors for 10 days inhibited tumor growth and induced tumor regression^[5]. AZD1152 (35mg/kg) administered intraperitoneally to mice with a colorectal cancer model for 4 days enhanced the cytotoxic effect of 8 Gy radiation on tumor cells^[6].

References:

- [1] Yang J, Ikezoe T, Nishioka C, 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[J]. Blood, The Journal of the American Society of Hematology, 2007, 110(6): 2034-2040.
- [2] Aihara A, Tanaka S, Yasen M, et al. The selective Aurora B kinase inhibitor AZD1152 as a novel treatment for hepatocellular carcinoma[J]. Journal of hepatology, 2010, 52(1): 63-71.
- [3] Borah N A, Reddy M M. Aurora kinase B inhibition: a potential therapeutic strategy for cancer[J]. Molecules, 2021, 26(7): 1981.
- [4] Wilkinson R W, Odedra R, Heaton S P, et al. AZD1152, a selective inhibitor of Aurora B kinase, inhibits human tumor xenograft growth by inducing apoptosis[J]. Clinical cancer research, 2007, 13(12): 3682-3688.
- [5] Helfrich B A, Kim J, Gao D, et al. Barasertib (AZD1152), a small molecule Aurora B inhibitor, inhibits the growth of SCLC cell lines in vitro and in vivo[J]. Molecular cancer therapeutics, 2016, 15(10): 2314-2322.
- [6] Tao Y, Leteur C, Calderaro J, et al. The aurora B kinase inhibitor AZD1152 sensitizes cancer cells to fractionated irradiation and induces mitotic catastrophe[J]. Cell Cycle, 2009, 8(19): 3172-3181.

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