Product Name: AZD1152

Chemical Properties

Product Name: AZD1152
Cas No.: 722543-31-9
M.Wt: 587.54
Formula: C26H31FN7O6P
Synonyms: AZD-1152; AZD 1152
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
Canonical SMILES: CCN(CCCOC1=CC2=C(C=C1)C(=NC=N2)NC3=NNC(=C3)CC(=O)NC4=C(C(=CC(=C4)F)CCOP(=O)(O)O
Solubility: ≥5.88mg/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.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Chromatin/Epigenetics
Pathways: Aurora Kinase
Description:
AZD1152 is a highly selective inhibitor of Aurora kinases with IC50 values of 1.37 μM and 0.37 nM for Aurora A and Aurora B, respectively [1].
AZD1152 is a dihydrogen phosphate pro-drug of HQPA which is a highly potent and specific inhibitor of the serine/threonine kinase Aurora kinases. The expression of Aurora kinase A and B are found to be related with the development of various cancers such as ovarian, pancreatic,
breast and colon. Since that, the Aurora family is regarded as attractive target for anticancer treatment. As a selective Aurora kinase inhibitor, AZD1152 showed no significant effect on other kinases including JAK2, FLT3 and Abl. Besides that, AZD1152 exerted potent antitumor activities through inhibiting tumor cell proliferation and inducing apoptosis [1]. AZD1152 treatment potently inhibited cell growth in various leukemic cells including ALL PALL-2, MV4-11 and MOLM13 with IC50 values of 5, 1 and 2.8 nM, respectively. AZD1152 also inhibited clone formation of freshly isolated leukemia cells with IC50 values of less than 3 nM. For the colon cancer HCT-116 cells, incubation of AZD1152 at dose of 30 nM for one day resulted in 80% cell number reduction after 4 days drug wash out. In prostate cancer DU145 and PC3 cells, AZD1152 caused decrease of G0/G1-phase cells and induced G2/M cell cycle arrest. Moreover, AZD1152 treatment enhanced the radio sensitivity of prostate cancer cells which were androgen-insensitive [1, 2 and 3]. In mice model with human MOLM13 cell xenografts, administration of AZD1152 at dose of 25 mg/kg significantly inhibited tumor growth. The combination treatment of AZD1152 at dose of 5 mg/kg and vincristine at dose of 0.2 mg/kg resulted in almost 100% inhibition of tumor growth of MOLM13 xenografts. In mice injected with MiaPaCa-2 cells, the combination of AZD1152 and gemcitabine showed more than double effective than the single treatment [1 and 2].

Reference:

Protocol

Cell experiment:

Cell lines Leukemia cells from patients, bone marrow mononuclear cells from healthy volunteers

Preparation method The solubility of this compound in DMSO is >5.9mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions

Applications AZD1152-HQPA (1-100 nM) induced growth arrest of a variety of
types of leukemia cells with the IC50s of approximately 5, 12, and 8 nM for Philadelphia chromosome–positive ALL PALL-2, acute monocytic leukemia MOLM13, and biphenotypic leukemia MV4-11 cells, respectively. AZD1152 (3 μM, 3 hours) significantly decreased expression of the phosphorylated forms of histone H3 in freshly isolated leukemia cells. AZD1152 increased cell 4N/8N DNA content in a dose- and time-dependent manner in MOLM13 and PALL2 cells. AZD1152-HQPA treatment (1-10 nM) for 24 or 48 hours induced apoptosis in a dose-dependent manner.

Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Female immune-deficient BALB/c nude mice xenografted with human MOLM13 cells</th>
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</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>5 or 25 mg/kg, Intraperitoneal injection, 4 times a week or every another day,</td>
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<tr>
<td>Applications</td>
<td>AZD1152 (25 mg/kg) markedly suppressed the growth and weights of AZD1152-treated tumors.</td>
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<tr>
<td>Other notes</td>
<td>Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.</td>
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Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.
Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.