Chemical Properties

Product Name: Apatinib

Cas No.: 811803-05-1
M.Wt: 493.58
Formula: C25H27N5O4S
Synonyms: N/A
Chemical Name: N-[4-(1-cyanocyclopentyl)phenyl]-2-(pyridin-4-ylmethylamino)pyridine-3-carboxamide; methanesulfonic acid
Canonical SMILES: CS(=O)(=O)O.C1CCC(C1)(C#N)C2=CC=C(C=C2)NC(=O)C3=C(N=CC=C3)NCC4=CC=NC=C4
Solubility: ≥49.4mg/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: Tyrosine Kinase
Pathways: VEGFR

Description:

Apatinib (YN968D1) is a potent inhibitor of the VEGF signaling pathway with the IC50 value of 1nM for VEGFR-2 in in vitro enzyme experiments [1]. In vitro, Apatinib has shown the inhibition of tyrosine kinase activities with the IC50 values of 0.013μM, 0.429μM and 0.53μM for Ret, c-kit and c-src, respectively. In addition, Apatinib has been revealed to have no significant effects in EGFR, Her-2 or FGFR1 in concentrations up to
10μM. Apart from these, Apatinib has been reported to inhibit the growth factor-stimulated receptor phosphorylation at the cellular level. Furthermore, Apatinib has also reported to slightly inhibitor proliferation of HUVEC by 20% FBS with the IC50 value of 23.4μM and significantly inhibit stimulated by 20ng/mL VEGF with the IC50 value of 0.17μM. Once-daily oral administration of Apatinib has been noted to produce a dose-dependent inhibition of tumor growth in human tumor xenografts in immunodeficient mice [1]

Reference:

Protocol

Cell experiment:

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>HUVEC (human umbilical vein endothelial cells)</th>
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<tbody>
<tr>
<td>Preparation method</td>
<td>Limited solubility. 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.</td>
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<tr>
<td>Reacting conditions</td>
<td>37°C</td>
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<tr>
<td>Applications</td>
<td>In HUVEC, Apatinib decreases VEGF-stimulated phosphorylation of VEGFR-2 KDR in a concentration-dependent manner. It also completely inhibits VEGFR-2 activation at a concentration of 0.1 μM. Furthermore, Apatinib abrogates the phosphorylation of c-kit and PDGFRb in Mo7e and NIH-3T3 cells stimulated with the relevant ligand, respectively, in a concentration-dependent manner. In addition, Apatinib inhibits proliferation, migration and tube formation of HUVEC in vitro and blocking of rat aortic ring budding.</td>
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Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Nude mice human tumor xenografts</th>
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</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>Once daily by oral gavage</td>
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<tr>
<td>Applications</td>
<td>Apatinib inhibits growth of established NCI-H460 human lung tumors, HCT 116 human colon tumors, or SGC-7901 human gastric tumors in nude mice. Apatinib in combination with docetaxel or oxaliplatin exerts synergistic tumor growth inhibition effects against NCI-H460 and Ls174t xenografts, respectively. Apatinib also</td>
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</table>
significantly suppresses angiogenesis in NCI-H460 xenograft tumor tissues.

Other notes 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.

Reference:

Product Citations

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. Shortterm 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.