Product Name: Axitinib (AG 013736)  
Revision Date: 01/10/2021

Axitinib (AG 013736)

Cat. No.: A8370  
CAS No.: 319460-85-0  
Formula: C22H18N4OS  
M.Wt: 386.47  
Synonyms: AG 013736  
Target: Tyrosine Kinase  
Pathway: VEGFR  
Storage: Store at -20°C

**Solvent & Solubility**

Insoluble in H2O; ≥19.3 mg/mL in DMSO; ≥3.52 mg/mL in EtOH

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Concentration</strong></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>2.5875 mL</td>
<td>12.9376 mL</td>
<td>25.8752 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5175 mL</td>
<td>2.5875 mL</td>
<td>5.1750 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2588 mL</td>
<td>1.2938 mL</td>
<td>2.5875 mL</td>
</tr>
</tbody>
</table>

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

**Biological Activity**

**Shortsummary**  
VEGFR1/ c-Kit inhibitor

**IC₅₀ & Target**  
0.1 nM (VEGFR1/FLT1), 0.18 nM (VEGFR2/Flik1), 0.2 nM (VEGFR2/KDR), 0.1 nM-0.3 nM (VEGFR3), 1.6 nM (PDGFRβ), 1.7 nM (c-Kit)

**Cell Viability Assay**

**Cell Line:** PAE cells overexpressing RTK, Human umbilical vein endothelial cells (HUVEC)

**Preparation method:** The solubility of this compound in DMSO is >10 mM. 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...
Reacting conditions: Cellular receptor kinase phosphorylation assay: 45 min at 37 °C in the presence of 1 mmol/L Na3VO4

Applications: In transfected or endogenous RTK-expressing cells, axitinib potently blocked growth factor-stimulated phosphorylation of VEGFR-2 and VEGFR-3 with average IC50 values of 0.2 and 0.1 to 0.3 nmol/L, respectively. Axitinib inhibited VEGF-stimulated survival of HUVEC with IC50 value of 0.17 nmol/L.

Animal experiment

Animal models: Female nu/nu mice or severe combined immunodeficient beige mice (ages 7-10 weeks)

Dosage form: Axitinib was dosed as a suspension at 5 mL/kg orally twice daily

Applications: Axitinib dose-dependently inhibits tumor growth in MV522 with ED50 value of 8.8 mg/kg twice daily, based on the relationship between dose and the corresponding TGI (tumor growth inhibition).

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.

Product Citations


References


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.