Product Data Sheet

Chemical Properties

**Product Name:** Axitinib (AG 013736)

**Cas No.:** 319460-85-0

**M.Wt:** 386.47

**Formula:** C22H18N4OS

**Synonyms:** AG 013736

**Chemical Name:** N-methyl-2-[[3-[(E)-2-pyridin-2-ylenethyl]-1H-indazol-6-yl]sulfanyl]benzamide

**Canonical SMILES:** CNC(=O)C1=CC=CC=C1SC2=CC3=C(C=C2)C(=NN3)C=CC4=CC=CC=N4

**Solubility:** \(\geq 19.3\text{mg/mL}\) in DMSO

**Storage:** Store at \(-20^\circ\text{C}\)

**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:**

Axitinib is a selective and oral inhibitor of vascular endothelial growth factor (VEGF) receptor tyrosine kinases 1, 2 and 3 with [1].

Axitinib inhibited the phosphorylation of VEGFR-1, 2 and 3 with IC50 values of 1.2 nM, 0.2 nM and 0.1 to 0.3 nM in cells, respectively. In HUVEC cells, Axitinib inhibited VEGFR-2 stimulated cell survival with about 1000-fold selectivity against FGFR-1. Axitinib also significantly suppressed the phosphorylation of VEGF downstream signaling molecules including Akt, eNOS and ERK1/2.
Besides that, axitinib inhibited VEGFR-2 phosphorylation with EC50 value of 0.49 nM in vivo. It delayed tumore growth of human xenograft tumors in mice such as M24met, HCT-116 and SN12C [1].

**Reference:**

### Protocol

#### Cell experiment:

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>PAE cells overexpressing RTK, Human umbilical vein endothelial cells (HUVEC)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>The solubility of this compound in DMSO is &gt;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 -20 °C for several months.</td>
</tr>
<tr>
<td>Reacting conditions</td>
<td>Cellular receptor kinase phosphorylation assay: 45 min at 37 °C in the presence of 1 mmol/L Na3VO4</td>
</tr>
<tr>
<td>Applications</td>
<td>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.</td>
</tr>
</tbody>
</table>

#### Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Female nu/nu mice or severe combined immunodeficient beige mice(ages 7-10 weeks)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>Axitinib was dosed as a suspension at 5 mL/kg orally twice daily</td>
</tr>
<tr>
<td>Applications</td>
<td>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).</td>
</tr>
<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>
</tr>
</tbody>
</table>
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. 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.