Nintedanib (BIBF 1120)

Cat. No.: A8252
CAS No.: 656247-17-5
Formula: C31H33N5O4
M.Wt: 539.62
Synonyms: Vargatef
Target: Tyrosine Kinase
Pathway: PDGFR
Storage: Store at -20°C

Vargatef is a VEGFR/PDGFR/FGFR inhibitor with IC₅₀ values of 34 nM/13 nM/13 nM (VEGFR1/2/3), 69 nM/37 nM/108 nM (FGFR1/2/3), and 59 nM/65 nM (PDGFRα/β).

**Solvent & Solubility**

- Insoluble in H₂O; insoluble in EtOH; ≥5.34 mg/mL in DMSO

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass Concentration</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.8532 mL</td>
<td>9.2658 mL</td>
<td>18.5316 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3706 mL</td>
<td>1.8532 mL</td>
<td>3.7063 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1853 mL</td>
<td>0.9266 mL</td>
<td>1.8532 mL</td>
<td></td>
</tr>
</tbody>
</table>

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

**Biological Activity**

**Shortsummary**

VEGFR/PDGFR/FGFR inhibitor

**IC₅₀ & Target**

34 nM/13 nM/13 nM (VEGFR1/2/3), 69 nM/37 nM/108 nM (FGFR1/2/3), 59 nM/65 nM (PDGFRα/β)

**Cell Viability Assay**

**Cell Line:** PLC5, Hep3B, SK-Hep1, HuH7 and HepG2 cells

**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 -20°C for several months.
Reacting conditions: 20 μM, 48 hours

Applications: Cell viability was determined by MTT assay after treatment for 48 h. Nintedanib significantly induced the accumulation of sub-G1-positive cells in all the tested HCC cells. Further, induction of apoptosis by nintedanib was also demonstrated by DNA fragmentation assay. Nintedanib exhibited a significant ratio of induction of DNA fragmentation at clinically relevant concentrations in a dose-dependent manner for all of the five HCC cell lines.

Animal experiment

Animal models: Female NOD/SCID mice injected with A459, Calu-6 or H1993 cells

Dosage form: Oral administration, 50 mg/kg 5 days a week

Applications: In A549 xenografts, the single-agent therapy of BIBF 1120 effectively reduced primary tumor size in each setting. For all the three xenografts, a decrease in tumor growth rate was observed across all models, particularly in the combination groups, where the growth curve gradually became linear. End tumor volumes and weights were lower in BIBF 1120 and the combination groups compared to controls, across all models. In A549 and H1993 xenografts, combination was more effective than single agent therapy; however, in Calu-6 xenografts combination therapy was not different from BIBF 1120 single agent therapy.

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

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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.