Product Data Sheet

Chemical Properties

Product Name: Nintedanib (BIBF 1120)
Cas No.: 656247-17-5
M.Wt: 539.62
Formula: C31H33N5O4
Synonyms: Vargatef

Chemical Name: methyl (3Z)-3-[[4-[methyl-[2-(4-methylpiperazin-1-yl)acetyl]amino]anilino]-phenylmethylidene]-2-oxo-1H-indole-6-carboxylate

 Canonical SMILES: CN1CCN(CC(=O)N(C)C2=CC=C(C=C2)NC(=C3C4=CC(C=C(C=C4)C(=O)OC)NC3=O)C5=CC=CC=C5

Solubility: ≥5.4 mg/mL in DMSO, <2.91 mg/mL in EtOH, <2.8 mg/mL in H2O
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: PDGFR

Description:
Nintedanib (BIBF 1120) is an indolinone-derived oral active, triple angiokinase inhibitor of vascular endothelial growth factor receptor (VEGFR)1-3, fibroblast growth factor receptor
(FGFR)1-3 and platelet-derived growth factor receptor (PDGFR)α/β1. It has shown potent antiangiogenic activity at nanomolar (IC50, 20-100 nmol/L) by blocking these receptor-mediated signaling pathways1,2. Nintedanib (BIBF 1120) is in clinical development for the treatment of idiopathic pulmonary fibrosis as these receptors have been shown to be potentially involved in the pathogenesis of pulmonary fibrosis3,4. As a novel angiogenesis inhibitor, it is also being widely evaluated in different cancer models and has displayed significant anti-tumor activities by inhibiting tumor blood vessel formation5-7. To further evaluate its antitumor effects on multiple tumors, Nintedanib is currently entering several clinical trials, including non-small cell lung cancer8, ovarian cancer6, colorectal cancer7, hepatocellular carcinoma9 and many other solid tumors. In addition, the possibilities of combining Nintedanib therapy with other treatments such as docetaxel10 and afatinib 11 are being tested in different tumor models. The most common drug-related adverse events in patients were diarrhea, nausea, vomiting and lethargy7.

**Reference:**


### Protocol

**Cell experiment:**

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>PLC5, Hep3B, SK-Hep1, HuH7 and HepG2 cells</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>
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<tr>
<td>Reacting conditions</td>
<td>20 μM, 48 hours</td>
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<tr>
<td>Applications</td>
<td>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.</td>
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</tbody>
</table>

### Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Female NOD/SCID mice injected with A459, Calu-6 or H1993 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>Oral administration, 50 mg/kg 5 days a week</td>
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<tr>
<td>Applications</td>
<td>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.</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>
</tr>
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</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.