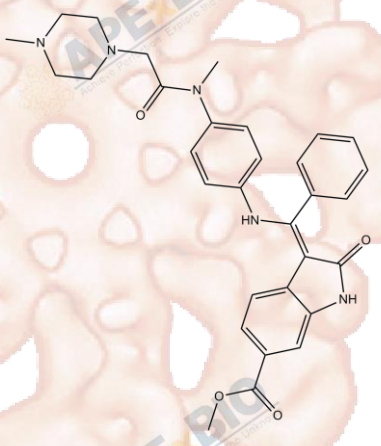
Product Data Sheet

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



Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ⩾5.34 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.8532 mL	9.2658 mL	18.5316 mL
5 mM	0.3706 mL	1.8532 mL	3.7063 mL
10 mM	0.1853 mL	0.9266 mL	1.8532 mL

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

Biological Activity

Shortsummary	VEGFR/PDGFR/FGFR inhibitor
IC_{50} & 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)

In Vitro

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.
In Vivo	**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

1. Mateus PAM, Kido LA, et al. "Association of anti-inflammatory and antiangiogenic therapies negatively influences prostate cancer progression in TRAMP mice." Prostate. 2018 Dec 25.PMID:30585351

2. Pangrazi EN, da Silva RF, et al. "Nintedanib treatment delays prostate dorsolateral lobe cancer progression in the TRAMP model: Contribution to the epithelial-stromal interaction balance." Cell Biol Int. 2017 Oct 5.PMID:28980742

3. Janelle DeJong."Determination of Anti-Fibrotic Effects of Possible Scar-Collagen Antagonists on TGF-β1 Treated Dermal Fibroblasts." 2017 Feb 1;7(2):203-217. eCollection 2017.

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References

[1] Tai W T, Shiau C W, Li Y S, et al. Nintedanib (BIBF-1120) inhibits hepatocellular carcinoma growth independent of angiokinase activity. Journal of hepatology, 2014.

[2] Cenik B K, Ostapoff K T, Gerber D E, et al. BIBF 1120 (nintedanib), a triple angiokinase inhibitor, induces hypoxia but not EMT and blocks progression of preclinical models of lung and pancreatic cancer. Molecular cancer therapeutics, 2013, 12(6): 992-1001.

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.

APExBIO Technology

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