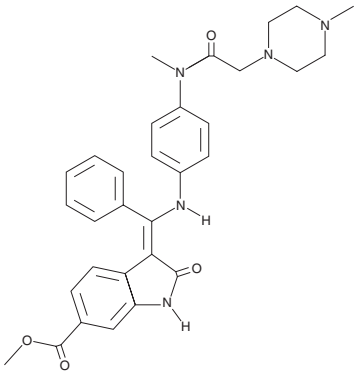
PRODUCT INFORMATION

BIBF 1120

Item No. 11022

CAS Registry No.: 656247-17-5
Formal Name: (3Z)-2,3-dihydro-3-[[[4-[methyl[2-(4-methyl-1-piperazinyl)acetyl]amino]phenyl]amino]phenylmethylene]-2-oxo-1H-indole-6-carboxylic acid, methyl ester
Synonym: Nintedanib
MF: $C_{31}H_{33}N_5O_4$
FW: 539.6
Purity: ≥95%
UV/Vis.: λ_{max}: 288, 392 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BIBF 1120 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIBF 1120 in the solvent of choice, which should be purged with an inert gas. BIBF 1120 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BIBF 1120 in ethanol, DMSO, and DMF is approximately 2, 5, and 10 mg/ml, respectively.

BIBF 1120 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIBF 1120 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BIBF 1120 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIBF 1120 is an inhibitor of the receptor tyrosine kinases VEGFR, FGFR, and PDGFR (IC_{50}s = 13-34, 37-610, 59, and 65 nM for VEGFR1-3, FGFR1-4, PDGFRα, and PDGFRβ, respectively).[1] It is selective for VEGFR, FGFR, and PDGFR over a panel of 33 kinases but does inhibit FLT3, LCK, LYN, and Src (IC_{50}s = 16-156 nM). BIBF 1120 inhibits growth factor-dependent proliferation of human umbilical vascular endothelial cells (HUVECs), human microvascular skin endothelial cells (HSMECs), human umbilical artery smooth muscle cells (HUASMCs), and bovine retinal pericytes (BRPs; EC_{50}s = 7-290 nM). *In vivo*, BIBF 1120 (100 mg/kg) reduces tumor microvessel density and the number of PDGFRβ-expressing perivascular cells in a FaDu head and neck small cell carcinoma mouse xenograft model. It also inhibits tumor growth in a Caki-1 renal cancer mouse xenograft model. Formulations containing BIBF 1120 have been used in the treatment of idiopathic pulmonary fibrosis and non-small cell lung cancer (NSCLC).

Reference

1. Hilberg, F., Roth, G.J., Krssak, M., *et al.* BIBF 1120: Triple angiokinase inhibitor with sustained receptor blockade and good antitumor efficacy. *Cancer Res.* **68(12)**, 4774-4782 (2008).

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