

Nintedanib esylate

Catalog No: tcsc3475



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g



Specifications

CAS No:

656247-18-6

Formula:

$C_{33}H_{39}N_5O_7S$

Pathway:

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:

VEGFR;PDGFR;FGFR

Purity / Grade:

>98%

Solubility:

DMSO : 92.85 mg/mL (142.90 mM; Need ultrasonic and warming)

Alternative Names:

BIBF 1120 (esylate)

Observed Molecular Weight:

649.76

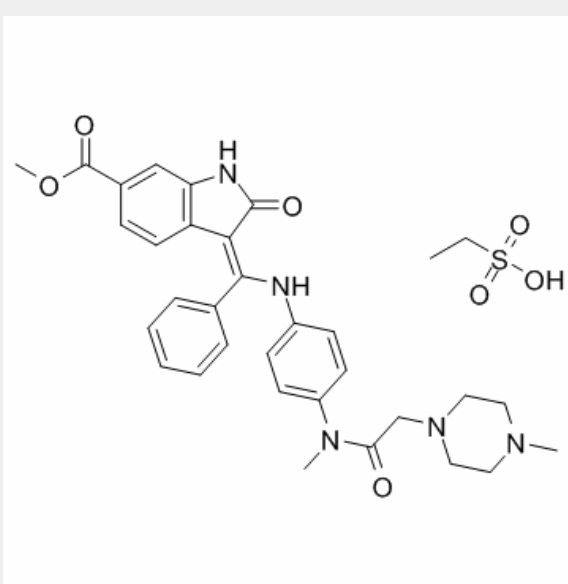
Product Description

Nintedanib esylate (BIBF 1120 esylate) is a potent triple angiokinase inhibitor for **VEGFR1/2/3**, **FGFR1/2/3** and **PDGFRα/β** with **IC₅₀**s of 34 nM/13 nM/13 nM, 69 nM/37 nM/108 nM and 59 nM/65 nM, respectively.

IC₅₀ & Target: IC₅₀: 34 nM (VEGFR1), 13 nM (VEGFR2), 13 nM (VEGFR3), 69 nM (FGFR1), 37 nM (FGFR1), 108 nM (FGFR1), 59 nM (PDGFRα), 65 nM (PDGFRβ)^[1]

In Vitro: Nintedanib (BIBF 1120) binds to the ATP-binding site in the cleft between the amino and carboxy terminal lobes of the kinase domain. Nintedanib (BIBF 1120) inhibits proliferation of PDGF-BB stimulated BRPs with EC₅₀ of 79 nM in cell assays. Nintedanib (BIBF 1120) (100 nM) blocks activation of MAPK after stimulation with 5% serum plus PDGF-BB. Nintedanib (BIBF 1120) prevents PDGF-BB stimulated proliferation with an EC₅₀ of 69 nM in cultures of human vascular smooth muscle cells (HUASMC)^[1].

In Vivo: Nintedanib (BIBF 1120) (25-100 mg/kg daily p.o.) is highly active in all tumor models, including human tumor xenografts growing in nude mice and a syngeneic rat tumor model. This is evident in the magnetic resonance imaging of tumor perfusion after 3 days, reducing vessel density and vessel integrity after 5 days, and profound growth inhibition^[1]. Nintedanib (BIBF 1120) is orally available and displays encouraging efficacy in *in vivo* tumor models while being well tolerated^[2].



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