

| | |
|-----------------------------|---|
| Product Name: | Nintedanib |
| Product Number: | N065 |
| CAS Number: | 656247-17-5 |
| Molecular Formula: | $C_{31}H_{33}N_5O_4$ |
| Molecular Weight: | 539.63 |
| Solubility: | Practically insoluble in water (9.66 mg/L) |
| Source: | synthetic |
| Storage Conditions: | -20C |
| Description: | Nintedanib is an indolinone derivative and small molecule tyrosine-kinase inhibitor, inhibiting endothelial growth factor activity in enzymatic assays. It targets vascular endothelial growth factor receptor (VEGFR) 1-3, fibroblast growth factor receptor (FGFR), and platelet-derived growth factor receptor (PDGFR) α and β , which may result cell apoptosis, a reduction in tumor vasculature; and the inhibition of tumor cell proliferation and migration. This agent also inhibits some Src family of tyrosine kinases, including Src, Lck, Lyn, and FLT-3. |
| Mechanism of Action: | Nintedanib binds to the ATP-binding site in the cleft between the amino and carboxy terminal lobes of the kinase domain. Nintedanib binds to and blocks the activation of cell receptors involved in blood vessel formation (angiogenesis) and reshaping. It inhibits cell proliferation in 3 cell types: endothelial cells, pericytes, and smooth muscle cells, resulting in apoptosis. The compound blocks the intracellular signalling needed for the proliferation, migration and transformation of fibroblasts. |
| Cancer Applications | Nintedanib exerts its anti-cancer effect by binding to and blocking the activation of cell receptors involved in tumor blood vessel formation and reshaping, |
| References: | Hilberg F et al (2008) BIBF 1120: Triple angiokine inhibitor with sustained receptor blockade and good antitumor efficacy. Cancer Res. 68(12):4774-4782. PMID 18559524 Lehtonen, ST et al (2016) Pirfenidone and nintedanib modulate properties of fibroblasts and myofibroblasts in idiopathic pulmonary fibrosis. Resp. Res.17(14) DOI 10.1186. PMID 26846335 |