

Nintedanib Ethanesulfonate PRODUCT DATA SHEET

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Product Name: Nintedanib Ethanesulfonate

Product Number: N066

CAS Number: 656247-18-6

Molecular Formula: $C_{31}H_{33}N_5O_4 \cdot C_2H_6O_3S$

Molecular Weight: 649.77 g/mol

Form: Powder

Appearance: Yellow solid powder

Solubility: Soluble in DMSO (25 mg/mL).

Source: Synthetic

Storage Conditions: -20C

Description: Nintedanib Ethanesulfonate Salt is an organosulfonate salt obtained by

combining Nintedanib with one molar equivalent of ethanesulfonic acid. 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 angiokinase 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