



BIBF 1120

货号: B2341

储存条件: 粉末-20°C可保存3年; 液体-80°C可保存12月。

产品描述

VEGF/VEGFR (vascular endothelial growth factor/vascular endothelial growth factor receptor) pathway plays a key role in tumor angiogenesis by promotion of vascular and lymphatic endothelial, as well as survival, and invasion, thus resulting in neovascularization, tumor growth and metastasis. In addition, blockade of additional proangiogenic receptor tyrosine kinases, including PDGFR and FGFR, may improve long-term clinical outcomes. BIBF 1120 is a multi-RTKs inhibitor with IC₅₀ values of 13nM, 13nM, 16nM, 26nM, 34nM, 37nM, 59nM, 65nM, 69nM, 108nM for VEGFR2, VEGFR3, LCK, FLT3, VEGFR1, FGFR2, PDGFR α , PDGFR β , FGFR1, FGFR3 (measured by enzymatic assays), less potent to Src, Lyn and FGFR4 with IC₅₀ values of 156nM, 195nM and 610nM, respectively. Treatment with BIBF 1120 resulted in cell proliferation and apoptosis (EC₅₀<10nM) in HUVECs, HSMECs, as well as the downstream p-MAPK and p-AKT (0.1-1 μ M). Daily oral treatment with BIBF 1120 at dose of 100mg/kg for 5 days reduced vessel density by 76% in FaDu xenografts, as well as markedly reduced both Meca 32-positive and PDGFR β -positive cells predominantly in the intratumoral compartment. Once daily oral administration of BIBF 1120 at dose of 50mg/kg and 100mg/kg inhibited tumor growth both in a model of human head and neck small cell carcinoma (FaDu cells) and in a human renal cancer model (Caki-1 cells).

作用机制

BIBF 1120 is ATP-competitive proangiogenic receptor tyrosine kinase inhibitor, which can bound in the active site of the VEGFR-2.

产品信息

CAS号	656247-17-5	
分子式	C ₃₁ H ₃₃ N ₅ O ₄	
分子量	539.62	
别名	Intedanib;Nintedanib	
溶解度	DMSO	5.0 mg/mL (9.3 mM) warming
	Water	insoluble

