BIBF1120 (Vargatef)

Information

SKU:K1142

M. Wt:539.62

Formula:C31H33N5O4

Solubility:DSMO 6 mg/mL Water <1 mg/ml Ethanol 3 mg/mL

Purity:>99%

Storage:2 years at -20 degrees centigrade

CAS No.:656247-17-5

Chemical Name(Z)-methyl 3-((4-(N-methyl-2-(4-methylpiperazin-1-yl)acetamido)phenylamino)(phenyl)methylene)-2-oxoindoline-6-carboxylate

Biological Activity

Description	BIBF 1120 (Vargatef) can inhibit VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR2, FGFR3, FGFR4, PDGFRalpha, PDGFRbeta, Flt3, Lck, Lyn and Scr with IC50 of 34 nM, 21 nM, 13 nM, 69 nM, 37 nM, 108 nM, 610 nM, 59 nM, 65 nM, 26 nM, 16 nM, 195 nM, and 156 nM.				
Targets	VEGFR1/VEGFR2/VEGFR3	FGFR1/FGFR2/FGFR3/FGFR4	PDGFRalpha/PDGFRbeta	Flt3	Lck/Lyn/Src
IC50	34 nM/21 nM/13 nM	69 nM/37 nM/108 nM/610 nM	59 nM/65 nM	26 nM	16 nM/195 nM/156 nM
In vitro	BIBF 1120 (Vargatef), a novel, oral, triple angiokinase inhibitor, is targeting three receptor classes including VEGFR, PDGFR and FGFR which are all involved in blood vessel formation. BIBF 1120 binds to the ATP-binding site in the cleft between the NH2 and COOH terminal lobes of the kinase domain. BIBF 1120(Vargatef) inhibit all three VEGFR subtypes with IC50 from 13 to 34 nM. BIBF 1120(Vargatef) can inhibit PDGFRalpha and PDGFRbeta with IC50 of 59 and 65 nM. For FGFRs, BIBF1120(Vargatef) can inhibit FGFR-1, FGFR -2, and FGFR-3 with IC50 69 nM, 37 nM and 108 nM. BIBF 1120 inhibited proliferation of PDGF-BB-stimulated BRPs with an EC50 of 79 nmol/L. And BIBF 1120 can block the activation of MAPK signaling pathway indued by 5% serum plus PDGF-BB at the concentration of 100 nM. BIBF 1120 can also inhibit proliferation of human vascular smooth muscle cells (HUASMC) stimulated by PDGF-BB with an EC50 of 69 nM.				
IN vivo	BIBF 1120 shows inhibition to ligand-dependent phosphorylation of MAPK and Akt in human endothelial (HUVEC). BIBF 1120 also shows dose-dependent inhibition to ligand-dependent phosphorylation of MAPK and Akt in human bovine retinal pericytes.				