

Product Name : Defactinib hydrochloride

Synonyms : VS-6063;VS6063;PF04554878;PF-04554878

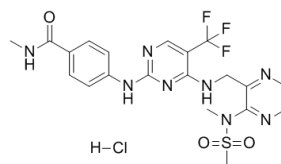
Cat No. : M10300

CAS Number : 1073160-26-5

Molecular Formula : C₂₀H₂₂ClF₃N₈O₃S

Formula Weight : 546.95

Chemical Name : Benzamide, N-methyl-4-[[[4-[[[3-[methyl(methylsulfonyl)amino]-2-pyrazinyl]methyl]amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino]-, hydrochloride (1:1)



Description : Defactinib (VS-6063,PF-04554878) is a potent, selective and orally active FAK inhibitor, inhibits pFAK (Tyr397) in a dose-dependent manner in cancer cell lines; shows no statistically significant changes in FAK phosphorylation at the other residues (Tyr 576/577, Tyr 925, or Tyr 861), also inhibits Pyk2 Tyr402 phosphorylation in HeyA8 cells; markedly decreases proliferation and increases apoptosis combined with paclitaxel, reduces levels of AKT and YB-1 in taxane-resistant cell lines; significantly reduces tumor growth, synergized with the mTOR inhibitor everolimus in xenograft models of pancreatic tumor. Lung Cancer Phase 2 Clinical

Pathway : Angiogenesis

Target : FAK

Receptor : FAK

Solubility : 10 mM in DMSO

SMILES : CNC(=O)C1=CC=C(C=C1)NC2=NC=C(C(=N2)NCC3=NC=CN=C3N(C)S(=O)(=O)C)C(F)(F)F.Cl

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

1. Kang Y, et al. J Natl Cancer Inst. 2013 Oct 2;105(19):1485-95. | 2. Shimizu T, et al. Cancer Chemother Pharmacol. 2016 May;77(5):997-1003. | 3. Jones SF, et al. Invest New Drugs. 2015 Oct;33(5):1100-7. | 4. Franois RA, et al. J Natl Cancer Inst. 2015 May 12;107(8). pii: djv123.