

**Product Name** : Defactinib

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

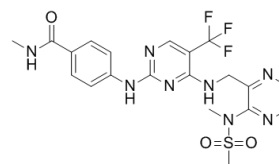
**Cat No.** : M10299

**CAS Number** : 1073154-85-4

**Molecular Formula** : C<sub>20</sub>H<sub>21</sub>F<sub>3</sub>N<sub>8</sub>O<sub>3</sub>S

**Formula Weight** : 510.49

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



**Description** : Defactinib (VS-6063, PF-04554878) is a potent, selective and orally active FAK inhibitor, selectively 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** : DMSO: ≥ 39 mg/mL

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

**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.