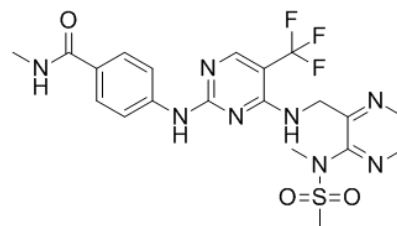


Product Name : Defactinib
Cat. No. : PC-42951
CAS No. : 1073154-85-4
Molecular Formula : C₂₀H₂₁F₃N₈O₃S
Molecular Weight : 510.4927
Target : Focal Adhesion Kinase (FAK)
Solubility : DMSO: ≥ 39 mg/mL



Biological Activity

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

References

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

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com