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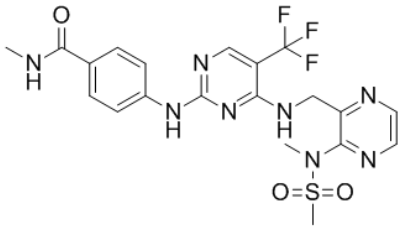
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Defactinib
Cat. No.	:	PC-42951
CAS No.	:	1073154-85-4
Molecular Formula	:	$C_{20}H_{21}F_3N_8O_3S$
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.
Defactinib 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.
Defactinib markedly decreases proliferation and increases apoptosis combined with paclitaxel, reduces levels of AKT and YB-1 in taxane-resistant cell lines.
Defactinib significantly reduces tumor growth, synergized with the mTOR inhibitor everolimus in xenograft models of pancreatic tumor.

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!

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