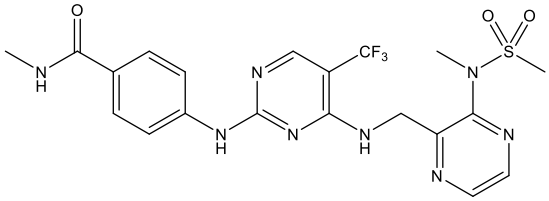
Catalog # 10-4828
Defactinib
CAS# 1073154-85-4

N-Methyl-4-[[4-[[3-[methyl(methylsulfonyl)amino]pyrazin-2-yl]methylamino]-5-(trifluoromethyl)pyrimidin-2-yl]aminl]benzamide; PF-04554878; VS-6063

Lot # FBS3028



Defactinib is a potent inhibitor of FAK (IC_{50} = 0.6nM) and Pyk2 (IC_{50} = 0.6nM).[1] It is active *in vivo* (EC_{50} = 26nM). FAK inhibition prevents tumor invasion and dissemination rather than tumor size reduction. Defactinib has been shown to preferentially target cancer stem cells in a mouse xenograft model of triple negative breast cancer.[2] It is in multiple clinical trials for various cancers[3] and it shows synergistic activity when used in combination with checkpoint immunotherapy [4-6].

1) Jones *et al.* (2015) *A phase I study of VS-6063, a second-generation focal adhesion kinase inhibitor, in patients with advanced solid tumors;* Invest.New Drugs **33** 1100
2) Kolev *et al.* (2017) *Inhibition of FAK kinase activity preferentially targets cancer stem cells;* Oncotarget **8** 51733
3) Marcucci *et al.* (2016) *Anti-Cancer Stem-like Cell Compounds in Clinical Development – An Overview and Critical Appraisal;* Front.Oncol. **6** 115
4) Ring *et al.* (2015) *FAK/PYK2 inhibitors defactinib and VS-4718 enhance immune checkpoint inhibitor efficacy;* J.Immunother.Cancer **3** 354
5) https://clinicaltrials.gov, NCT02546531
6) Jiang *et al.* (2016) *Targeting Focal Adhesion Kinase Renders Pancreatic Cancers Responsive to Checkpoint Immunotherapy;* Nat.Med. **22** 851

PHYSICAL DATA

Molecular Weight:	510.50
Molecular Formula:	$C_{20}H_{21}F_3N_8O_3S$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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