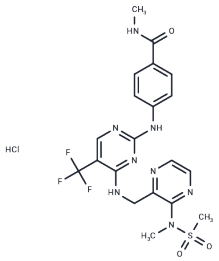
Data Sheet (Cat.No.T15092)

TargetMol
YOUR TARGET MOLECULES

Defactinib hydrochloride

Chemical Properties



CAS No. : 1073160-26-5

Formula: C20H22ClF3N8O3S

Molecular Weight: 546.95

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Defactinib hydrochloride (PF 04554878 hydrochloride) is a novel inhibitor of FAK. Which inhibits FAK phosphorylation at the Tyr397 site in a time- and dose-dependent manner.
Targets(IC50)	FAK
In vitro	Defactinib suppresses pFAK (protein Focal Adhesion Kinase) expression within three hours, exhibiting a dose-dependent inhibition, particularly at the Tyr397 phosphorylation site, and showing a reduction in expression levels by 48 hours. It effectively diminishes FAK phosphorylation over time and in correlation with the administered dose. Additionally, Reverse Phase Protein Array (RPPA) analysis reveals that Defactinib lowers AKT and YB-1 levels in taxane-resistant cell lines. The inhibition of pFAK (Tyr397) by Defactinib is confirmed to be statistically significant and dose-responsive across various cell lines, as documented in source [1].
In vivo	In the HeyA8 model, PTX monotherapy achieved an 87.4% decrease in tumor weight, while combination therapy yielded a superior 97.9% reduction (P=0.05 compared with PTX). Defactinib treatment at 25 mg/kg, administered orally twice daily, significantly decreased pFAK (Tyr397) levels, with effects reversing within 24 hours, establishing this dose for further experiments. For these, female nude mice with HeyA8 tumors in the peritoneal cavity were divided into four groups (n=10 each): 1) control group receiving vehicle and phosphate-buffered saline, 2) Defactinib (25 mg/kg orally, twice daily), 3) PTX weekly, and 4) both Defactinib and PTX. The SKOV3ip1 model demonstrated a 92.7% tumor weight reduction with the combination therapy compared to PTX alone (P<0.001)[1].

Solubility Information

Solubility	DMSO: 22.5 mg/mL (41.1 mM),Sonication is recommended. H2O: 0.1 mg/mL (insoluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8283 mL	9.1416 mL	18.2832 mL
5 mM	0.3657 mL	1.8283 mL	3.6566 mL
10 mM	0.1828 mL	0.9142 mL	1.8283 mL
50 mM	0.0366 mL	0.1828 mL	0.3657 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Kang Y, et al. Role of focal adhesion kinase in regulating YB-1-mediated resistance in ovarian cancer. J Natl Cancer Inst. 2013 Oct 2;105(19):1485-95.