

Defactinib (hydrochloride)

Catalog No: tcsc3411



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1073160-26-5

Formula:

$C_{20}H_{22}ClF_3N_8O_3S$

Pathway:

Protein Tyrosine Kinase/RTK

Target:

FAK

Purity / Grade:

>98%

Solubility:

DMSO : 30 mg/mL (54.85 mM; Need ultrasonic)

Alternative Names:

VS-6063 hydrochloride;PF 04554878 hydrochloride

Observed Molecular Weight:

546.95

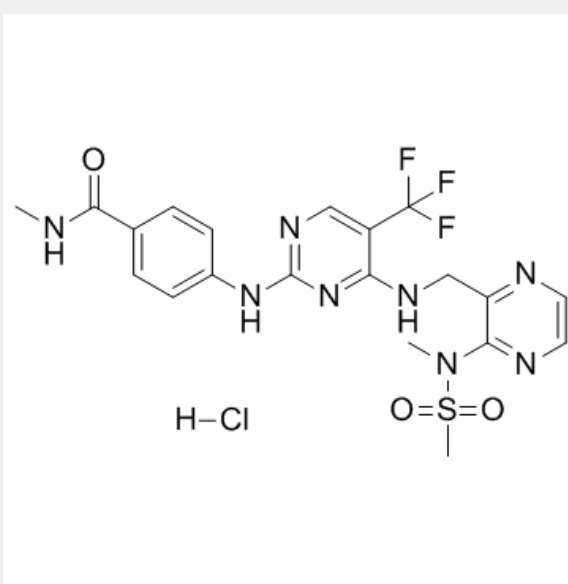
Product Description

Defactinib hydrochloride is a novel **FAK** inhibitor, which inhibits FAK phosphorylation at the Tyr397 site in a time- and dose-dependent manner.

IC50 & Target: FAK^[1]

In Vitro: VS-6063 inhibits FAK phosphorylation at the Tyr397 site in a time- and dose-dependent manner. The combination of VS-6063 and Paclitaxel markedly decreases proliferation and increases apoptosis, which results in 92.7% to 97.9% reductions in tumor weight. RPPA data shows that VS-6063 reduces levels of AKT and YB-1 in taxane-resistant cell lines. The expression of pFAK (Tyr397) is statistically significantly inhibited by VS-6063 in a dose-dependent manner in all cell lines. VS-6063 inhibits pFAK (Tyr397) expression within 3 hours, with a gradual return of expression by 48 hours^[1].

In Vivo: VS-6063 doses of 25 mg/kg twice a day or greater statistically significantly inhibits pFAK (Tyr397) at 3 hours, with return of expression noted by 24 hours. Therefore, administration of VS-6063 at 25 mg/kg twice a day is selected as the dosing schedule for subsequent therapy experiments. For therapy experiments, female nude mice bearing HeyA8 tumors in the peritoneal cavity are randomly divided into 4 groups (n=10 per group): 1) vehicle orally twice daily and phosphate-buffered saline intraperitoneally weekly (control); 2) VS-6063 25 mg/kg orally twice daily; 3) PTX intraperitoneally weekly; and 4) both VS-6063 25 mg/kg orally twice daily and PTX intraperitoneally weekly. There is an 87.4% reduction in tumor weight by PTX monotherapy in the HeyA8 model, and combination therapy resulted in the greatest tumor weight reduction, with a 97.9% reduction (P=0.05 compared with PTX). In the SKOV3ip1 model, a 92.7% tumor weight reduction is observed in the combination group compared with PTX (P[1]).



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!