Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Crenolanib

Catalog No: tcsc0566

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
670220-88-9

Formula:
$C_{26}H_{29}N_5O_2$

Pathway:
Protein Tyrosine Kinase/RTK;Autophagy

Target:
PDGFR;Autophagy

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
CP-868596

Observed Molecular Weight:
443.54

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Product Description

Crenolanib is a potent and selective inhibitor of **PDGFRα/β**, **FLT3** with **K_d** of 2.1 nM/3.2 nM, 0.74 nM, respectively, sensitive to D842V mutation not V561D mutation, and > 100-fold more selective for PDGFR than c-Kit, VEGFR-2, TIE-2, FGFR-2, EGFR, erbB2, and Src.

IC50 & Target: Kd: 2.1 nM (PDGFRα), 3.2 nM (PDGFRβ), 0.74 nM (FLT3)

In Vitro: Crenolanib has 25-fold more affinity for PDGFRA/B compared with KIT, and is approximately 135-fold more potent than imatinib for inhibiting the PDGFRA D842V mutation. The IC_{50} for crenolanib for a KIT exon 11 deletion mutant kinase is greater than 1,000 versus 8 nM for imatinib. Crenolanib has low nanomolar potency against the V561D + D842V-mutant kinase that is similar to its potency against the isolated D842V mutation. Both imatinib and crenolanib potently inhibit the kinase activity of the fusion oncogene with IC_{50} values of 1 and 21 nM, respectively, and inhibits PDGFRA activation in this cell line with IC_{50} values of 93 and 26 nM, respectively[1]. HL60/VCR and K562/ABCB1 cells, overexpressing ABCB1, are 6.9- and 3.6-fold resistant to crenolanib, respectively, in relation to parental HL60 and K562 cells. PSC-833 fully reverses resistance to crenolanib in both HL60/VCR and K562/ABCB1 cells. Crenolanib (1 nM-10 μM) stimulates ABCB1 ATPase activity in a concentration-dependent manner. Crenolanib treatment does not increase the cell surface expression of $ABCB_1$. Crenolanib inhibits [^{125}I]-IAAP photocrosslinking of ABCB1 at high concentrations, with 50 % inhibition at 10 μM, but has little effect at lower concentrations, below 1 μM[2]. Crenolanib decreases NSCLC cell viability, induces apoptosis in NSCLC cells, and inhibits cell migration in NSCLC cells[3].

In Vivo: Crenolanib (10 mg/kg and 20 mg/kg) suppresses non-small-cell lung cancer tumor growth in vivo and induces tumor cell apoptosis, and the dosage of crenolanib applied is well tolerated by recipient mice[3].