

# 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

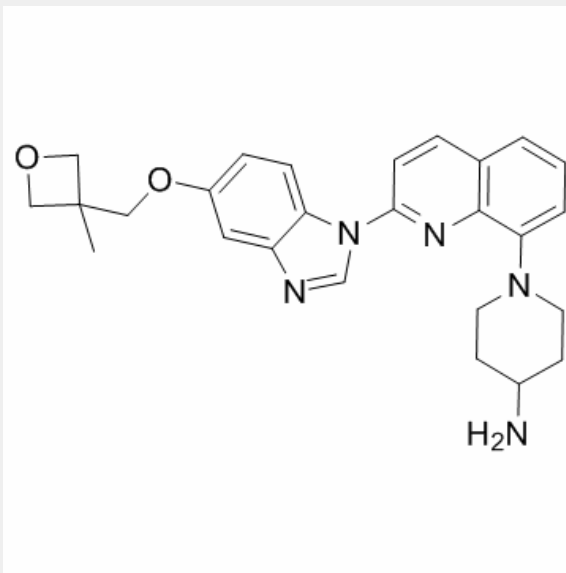
## Product Description

Crenolanib is a potent and selective inhibitor of **PDGFR $\alpha/\beta$** , **FLT3** with **K<sub>d</sub>** 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 (PDGFR $\alpha$ ), 3.2 nM (PDGFR $\beta$ ), 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<sub>50</sub> 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<sub>50</sub> values of 1 and 21 nM, respectively, and inhibits PDGFRA activation in this cell line with IC<sub>50</sub> values of 93 and 26 nM, respectively<sup>[1]</sup>. 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  $\mu$ M) stimulates ABCB1 ATPase activity in a concentration-dependent manner. Crenolanib treatment does not increase the cell surface expression of ABCB<sub>1</sub>. Crenolanib inhibits [<sup>125</sup>I]-IAAP photocrosslinking of ABCB1 at high concentrations, with 50 % inhibition at 10  $\mu$ M, but has little effect at lower concentrations, below 1  $\mu$ M<sup>[2]</sup>. Crenolanib decreases NSCLC cell viability, induces apoptosis in NSCLC cells, and inhibits cell migration in NSCLC cells<sup>[3]</sup>.

**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<sup>[3]</sup>.



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