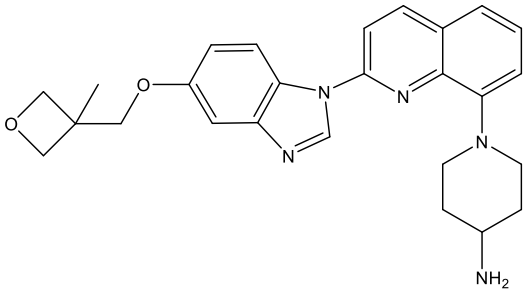
Catalog # 10-4782
Crenolanib

CAS# 670220-88-9

1-[2-[5-[(3-Methyloxetan-3-yl)methoxy]benzimidazole-1-yl]quinoline-8-yl]piperidin-4-amine; CP-868,596

Lot # FBS2191



Crenolanib is a potent inhibitor of PDGFR (K_d for α = 2.1 nM; β = 3.2 nM) and FLT3 (K_d = 0.74 nM).[1] Crenolanib is a type I inhibitor binding only to the active kinase conformation. It showed potent activity against imatinib-resistant PDGFRα mutations D842I, D842V, D842Y, DI842-843M, and deletion I843[2] as well as FLT3/ITD and FLT3/D835 mutants[3]. Crenolanib acted synergistically with FLT3-CAR T-cells in a FLT3-ITD$^+$ AML murine xenograft model.[4]

1) Lewis *et al.* (2009) *Phase I study of the safety, tolerability, and pharmacokinetics of oral CP-868,596, a highly specific platelet-derived growth factor receptor tyrosine kinase inhibitor in patients with advanced cancers;* J. Clin. Oncol. **27** 5262
2) Smith *et al.* (2014) *Crenolanib is a selective type I pan-FLT3 inhibitor;* Proc. Natl. Acad. Sci. USA **111** 5319
3) Heinrich *et al.* (2012) *Crenolanib Inhibits Drug-Resistant PDGFRA D842V Mutation Associated with Imatinib-Resistant Gastrointestinal Stromal Tumors;* Clin. Cancer Res. **18** 4375
4) Jetani *et al.* (2018) *CAR T-cells targeting FLT3 have potent activity against FLT-ITD$^+$ AML and act synergistically with the FLT3-inhibitor crenolanib;* Leukemia **32** 1168

PHYSICAL DATA

Molecular Weight:	443.55
Molecular Formula:	$C_{26}H_{29}N_5O_2$
Purity:	>97% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (15 mg/mL); ethanol (10 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 or ethanol may be stored at -20°C for up to 1 month.

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