

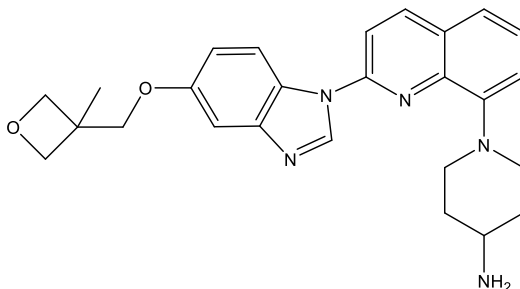
**Catalog # 10-4782**

**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 # FBS2030



Crenolanib is a potent inhibitor of PDGFR ( $K_d$  for  $\alpha = 2.1$  nM;  $\beta = 3.2$  nM) and FLT3 ( $K_d = 0.74$  nM).<sup>1</sup> Crenolanib is a type I inhibitor binding only to the active kinase conformation. It showed potent activity against imatinib-resistant PDGFR $\alpha$  mutations D842I, D842V, D842Y, D842E, and deletion I843<sup>2</sup> as well as FLT3/ITD and FLT3/D835 mutants<sup>3</sup>. Crenolanib acted synergistically with FLT3-CAR T-cells in a FLT3-ITD<sup>+</sup> AML murine xenograft model.<sup>4</sup>

- 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<sup>+</sup> AML and act synergistically with the FLT3-inhibitor crenolanib*; Leukemia **32** 1168

**PHYSICAL DATA**

Molecular Weight:	443.55
Molecular Formula:	C <sub>26</sub> H <sub>29</sub> N <sub>5</sub> O <sub>2</sub>
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 -20°C 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.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**