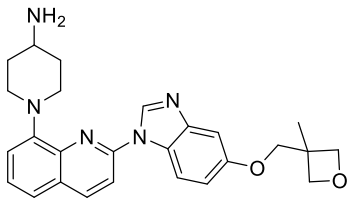
Product data sheet

MedKoo Cat#: 205020 Name: Crenolanib CAS#: 670220-88-9 (free base) Chemical Formula: $C_{26}H_{29}N_5O_2$ Exact Mass: 443.23213 Molecular Weight: 443.54	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Crenolanib is a n orally bioavailable small molecule, targeting the platelet-derived growth factor receptor (PDGFR), with potential antineoplastic activity. Crenolanib binds to and inhibits PDGFR, which may result in the inhibition of PDGFR-related signal transduction pathways, and, so, the inhibition of tumor angiogenesis and tumor cell proliferation.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	46.11	103.96
DMF	20.0	45.09
DMF:PBS (pH 7.2) (1:1)	0.5	1.13
Ethanol	8.5	19.16

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.25 mL	11.27 mL	22.55 mL
5 mM	0.45 mL	2.25 mL	4.51 mL
10 mM	0.23 mL	1.13 mL	2.25 mL
50 mM	0.05 mL	0.23 mL	0.45 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Fujino S, Miyoshi N, Ito A, Yasui M, Ohue M, Ogino T, Takahashi H, Uemura M, Matsuda C, Mizushima T, Doki Y, Eguchi H. Crenolanib Regulates ERK and AKT/mTOR Signaling Pathways in RAS/BRAF-Mutated Colorectal Cancer Cells and Organoids. Mol Cancer Res. 2021 May;19(5):812-822. doi: 10.1158/1541-7786.MCR-20-0600. Epub 2021 Feb 12. PMID: 33579816.
2. Kampa-Schittenhelm KM, Frey J, Haeusser LA, Illing B, Pavlovsky AA, Blumenstock G, Schittenhelm MM. Crenolanib is a type I tyrosine kinase inhibitor that inhibits mutant KIT D816 isoforms prevalent in systemic mastocytosis and core binding factor leukemia. Oncotarget. 2017 Aug 7;8(47):82897-82909. doi: 10.18632/oncotarget.19970. PMID: 29137311; PMCID: PMC5669937.

In vivo study

1. Makino K, Makino T, Stawski L, Mantero JC, Lafyatis R, Simms R, Trojanowska M. Blockade of PDGF Receptors by Crenolanib Has Therapeutic Effect in Patient Fibroblasts and in Preclinical Models of Systemic Sclerosis. J Invest Dermatol. 2017 Aug;137(8):1671-1681. doi: 10.1016/j.jid.2017.03.032. Epub 2017 Apr 19. PMID: 28433542; PMCID: PMC5560111.

2. Wang P, Song L, Ge H, Jin P, Jiang Y, Hu W, Geng N. Crenolanib, a PDGFR inhibitor, suppresses lung cancer cell proliferation and inhibits tumor growth in vivo. Onco Targets Ther. 2014 Sep 26;7:1761-8. doi: 10.2147/OTT.S68773. PMID: 25328409; PMCID: PMC4196792.

7. Bioactivity

Biological target:

Crenolanib is a potent and selective inhibitor of wild-type and mutant isoforms of the class III receptor tyrosine kinases FLT3 and PDGFRα/β with Kds of 0.74 nM and 2.1 nM/3.2 nM, respectively.

In vitro activity

Unlike cetuximab, crenolanib remarkably suppressed ERK and AKT/mTOR pathways in HT29 cells with BRAF mutation and in HCT116 cells with KRAS mutation with corresponding growth-suppressing effects.

Reference: Mol Cancer Res. 2021 May;19(5):812-822. https://pubmed.ncbi.nlm.nih.gov/33579816/

In vivo activity

Crenolanib 15 mg/kg was administered intraperitoneally to C57BL/6J mice once daily for 2 weeks. Treatment with crenolanib significantly reduced dermal thickness and collagen content in the back skin of Ang II-challenged mice (Figure 4b–d). Crenolanib also significantly reduced the number of αSMA-positive cells in the upper dermis (Figure 4e).

Reference: J Invest Dermatol. 2017 Aug; 137(8): 1671–1681. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5560111/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.