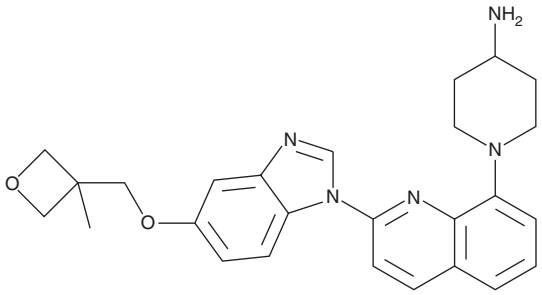
PRODUCT INFORMATION

Crenolanib

Item No. 18873

CAS Registry No.:	670220-88-9
Formal Name:	1-[2-[5-[(3-methyl-3-oxetanyl) methoxy]-1H-benzimidazol-1-yl]-8-quinolinyl]-4-piperidinamine
Synonym:	CP 868,596
MF:	$C_{26}H_{29}N_5O_2$
FW:	443.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 274 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Crenolanib is supplied as a crystalline solid. A stock solution may be made by dissolving the crenolanib in the solvent of choice, which should be purged with an inert gas. Crenolanib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of crenolanib in these solvents is approximately 10, 16, and 20 mg/ml, respectively.

Crenolanib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, crenolanib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Crenolanib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Crenolanib is an orally bioavailable, selective inhibitor of type III tyrosine kinases with nanomolar potencies against platelet-derived growth factor receptor α (PDGFRα) and PDGFRβ and Fms-related tyrosine kinase 3 (FLT3; IC_{50}s = 11, 3.2, and 4 nM, respectively).[1,2] It also inhibits medically-relevant mutant forms of these kinases, including the D842V-containing form of PDGFR and D835Y and internal tandem duplication mutations of FLT3, at nanomolar concentrations.[1,3,4] Crenolanib is more than 100-fold selective for these kinases over other tyrosine and serine/threonine kinases. It is effective when used in cells and *in vivo*.[1,2,4]

References

1. Heinrich, M.C., Griffith, D., McKinley, A., *et al*. Crenolanib inhibits the drug-resistant PDGFRA D842V mutation associated with imatinib-resistant gastrointestinal stromal tumors. *Clin. Cancer Res.* **18(16)**, 4375-4385 (2012).
2. Smith, C.C., Lasater, E.A., Lin, K.C., *et al*. Crenolanib is a selective type I pan-FLT3 inhibitor. *Proc. Natl. Acad. Sci. USA* **111(14)**, 5319-5324 (2014).
3. Dai, J., Kong, Y., Si, L., *et al*. Large-scale analysis of PDGFRA mutations in melanomas and evaluation of their sensitivity to tyrosine kinase inhibitors imatinib and crenolanib. *Clin. Cancer Res.* **19(24)**, 6935-6942 (2013).
4. Galanis, A., Ma, H., Rajkhowa, T., *et al*. Crenolanib is a potent inhibitor of FLT3 with activity against resistance-conferring point mutants. *Blood* **123(1)**, 94-100 (2014).

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