

## Product Specification Sheet

**Product Name:** CP-868596 (Crenolanib)

**Catalog Number:** C2786

**Technical information:**

Chemical Formula:  $C_{26}H_{29}N_5O_2$

CAS #: 670220-88-9

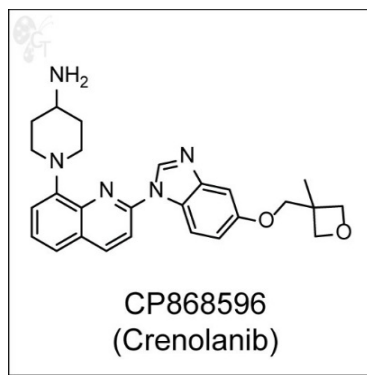
Molecular Weight: 443.54

Purity: > 98%

Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 1-(2-(5-((3-methyloxetan-3-yl)methoxy)-1H-benzo[d]imidazol-1-yl)quinolin-8-yl)piperidin-4-amine



**Storage:** Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

**Shelf Life:** In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

- Handling:**
- To make 10 mM stock solution, add 0.225mL of DMSO for each mg of CP-868596 (Crenolanib)
  - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:** CP868596 (Crenolanib) is an orally-available, benzimidazole-based inhibitor of PDGFR $\alpha$  and PDGFR $\beta$  with IC<sub>50</sub> values of 0.9 and 1.8 nM, respectively. Furthermore, CP868596 is active against the D842V mutant of PDGFR $\alpha$ , inhibiting phosphorylation at an IC<sub>50</sub> range of 10-30 nM (wild-type PDGFR $\alpha$  IC<sub>50</sub> = 10 nM). [1] Whereas imatinib, sunitinib, sorafenib, and nilotinib have little to no activity vs. this D842V mutant, CP868596 offers a novel therapy to GIST patients with this resistance.

CP868596 also shows potency (IC<sub>50</sub> = 80 nM) against the highly sensitive H1703 cell line, suggesting single-agent therapy potential for PDGFR-driven NSCLC tumors. [2]

- Reference:**
1. "Crenolanib (CP-868,596), a Highly Potent PDGFR Inhibitor, Inhibits Phosphorylation of the Imatinib-Resistant PDGFR $\alpha$  (D842V) Activating Mutation Associated with Advanced GIST" AROG Pharmaceuticals and Oregon Health & Science University, AACR poster, 2011, Abstract 3586.
  2. "Crenolanib (CP-868,596), a highly potent and selective PDGFR TKI inhibits growth of PDGFR $\alpha$ -driven lung cancer cells" AROG Pharmaceuticals and Southwestern Medical Center, AACR poster, 2011, Abstract 3601.

To reorder: <http://www.cellagentech.com/CP-868596-Crenolanib/>

For Technical Support: [technical@cellagentech.com](mailto:technical@cellagentech.com)

*Chemicals are sold for research use only, not for clinical or diagnostic use.*