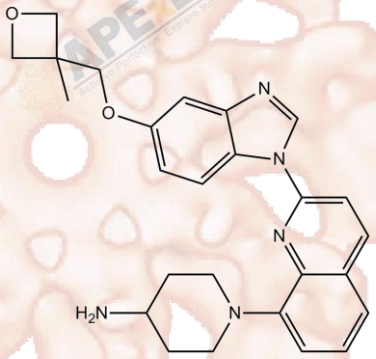
Product Data Sheet

Crenolanib (CP-868596)



Cat. No.:	A8307
CAS No.:	670220-88-9
Formula:	C26H29N5O2
M.Wt:	443.54
Synonyms:	CP-868596;CP 868596;CP868596
Target:	Tyrosine Kinase
Pathway:	PDGFR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾22.2 mg/mL in DMSO; insoluble in H2O; ⩾2.5 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.2546 mL	11.2729 mL	22.5459 mL
5 mM	0.4509 mL	2.2546 mL	4.5092 mL
10 mM	0.2255 mL	1.1273 mL	2.2546 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	PDGFR-β inhibitor,potent and selective
IC_{50} & Target	2.1 nM (Kd) (PDGFRα), 3.2 nM (Kd) (PDGFRβ)

In Vitro

Cell Viability Assay

Cell Line:	EOL-1 cell line, BaF3 cells, H1703 non-small cell lung cancer cell line
Preparation method:	The solubility of this compound in DMSO is >22.2mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	50 nM, 48 h

	Applications:	In EOL-1 cell line derived from a patient with chronic eosinophilic leukemia and expressed the constitutively activated FIP1L1-PDGFRα fusion kinase, Crenolanib inhibited the kinase activity of the fusion oncogene with IC50 of 21 nM. Crenolanib inhibited the proliferation of EOL-1 cells with IC50 of 0.2 pM. Crenolanib inhibited the activation of V561D or D842V-mutant kinases expressed in BaF3 cells with IC50 of 85 nM or 272 nM, respectively. Crenolanib inhibited PDGFRα activation in H1703 non-small cell lung cancer cell line which has 24-fold amplification of the 4q12 region that contained the PDGFRα locus, with IC50 of 26 nM. Crenolanib (50 nM) decreased A549 NSCLC cell viability, induced apoptosis in A549 NSCLC cells, and inhibited cell migration in A549 NSCLC cells.
In Vivo	**Animal experiment**	
	Animal models:	A549 cells xenograft mouse model
	Dosage form:	10 mg/kg and 20 mg/kg, 2 weeks
	Applications:	Crenolanib (10 mg/kg and 20 mg/kg) suppressed non-small-cell lung cancer tumor growth and induced tumor cell apoptosis, and the dosage of crenolanib applied was well tolerated by recipient mice.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Ivey MJ, Kuwabara JT, et al. "Platelet-derived growth factor receptor-α is essential for cardiac fibroblast survival." Am J Physiol Heart Circ Physiol. 2019 Aug 1;317(2):H330-H344.PMID:31125253

2. Tang L, Dai F, et al. "RhoA/ROCK signaling regulates smooth muscle phenotypic modulation and vascular remodeling via the JNK pathway and vimentin cytoskeleton." Pharmacol Res. 2018 May 20;133:201-212.PMID:29791873

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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[J]. Clinical cancer research, 2012, 18(16): 4375-4384.

[2]. Wang P, Song L, Ge H, et al. Crenolanib, a PDGFR inhibitor, suppresses lung cancer cell proliferation and inhibits tumor growth in vivo[J]. OncoTargets and therapy, 2014, 7: 1761.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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