Product Datasheet

ACP-196

Catalog No. **BP-02484** · CAS **1420477-60-6** · Form **free base** · Physical state **other** · Color **Off-white to light brown** · Version **1.0** · Revision **2026-09-10**

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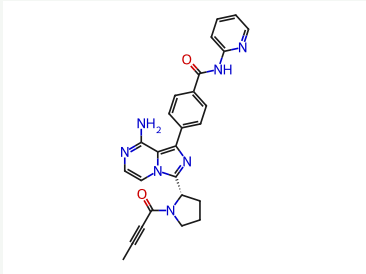
Target	Research area
Btk	**Infection; Inflammation/Immunology; Cancer**

Molecular formula	$C_{26}H_{23}N_7O_2$
Molecular weight	**465.5065**

STRUCTURE



DESCRIPTION

Acalabrutinib (ACP-196) is an orally active, irreversible, and highly selective second-generation BTK inhibitor. Acalabrutinib binds covalently to Cys481 in the ATP-binding pocket of BTK. Acalabrutinib demonstrates potent on-target effects and efficacy in mouse models of chronic lymphocytic leukemia (CLL). Acalabrutinib can be used for CLL research . Acalabrutinib is a click chemistry reagent, itcontains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

BIOLOGICAL ACTIVITY

In Vitro

Acalabrutinib (ACP-196) inhibits tyrosine phosphorylation of downstream targets of ERK, IKB, and AKT, in the in vitro signaling assay on primary human CLL cells. In the human CLL NSG xenograft model, Acalabrutinib demonstrates on-target effects including decreased phosphorylation of PLCγ2, ERK and significant inhibition of CLL cell proliferation.

Acalabrutinib inhibits purified BTK with an IC50 of 3 nM and an EC50 of 8 nM in a human whole-blood CD69 B cell activation assay. Acalabrutinib has improved target specificity over ibrutinib with 323-, 94-, 19-, and 9-fold selectivity over the other TEC kinase family members (ITK, TXK, BMX, and TEC , respectively) and no activity against EGFR.

In Vivo

Acalabrutinib (100 mg twice per day) assessed for thrombus formation at injured arterioles of the mice, exhibits more selective for inhibiting BTK and has virtually no inhibition of platelet activity.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Wu J, et al. Acalabrutinib (ACP-196): a selective second-generation BTK inhibitor. J Hematol Oncol. 2016 Mar 9;9:21

[2]. Herman SE, et al. The Bruton's tyrosine kinase (BTK) inhibitor acalabrutinib demonstrates potent on-target effects and efficacy in two mouse models of chronic lymphocytic leukemia. Clin Cancer Res. 2016 Nov 30

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com