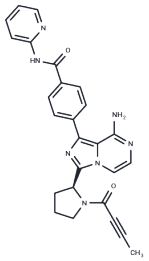
Data Sheet (Cat.No.T3626)

TargetMol

Acalabrutinib

Chemical Properties



CAS No. : 1420477-60-6

Formula: C26H23N7O2

Molecular Weight: 465.51

Storage: Keep away from moisture,Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Acalabrutinib (ACP-196), also known as ACP-196, is an orally available inhibitor of Bruton's tyrosine kinase (BTK) with potential antineoplastic activity. Upon administration, ACP-196 inhibits the activity of BTK and prevents the activation of the B-cell antigen receptor (BCR) signaling pathway. This prevents both B-cell activation and BTK-mediated activation of downstream survival pathways. This leads to an inhibition of the growth of malignant B cells that overexpress BTK. BTK, a member of the src-related BTK/Tec family of cytoplasmic tyrosine kinases, is overexpressed in B-cell malignancies; it plays an important role in B lymphocyte development, activation, signaling, proliferation and survival.
Targets(IC50)	BTK
In vitro	When administered orally to mice, ACP-196 demonstrably inhibits the expression of CD86 in CD19+ spleen cells induced by anti-IgM in a dose-dependent manner, with an ED50 of 0.34 mg/kg. This inhibition exceeded 90% of CD86 expression levels after 3 hours post-treatment.
In vivo	Acalabrutinib does not inhibit EGFR, ITK, and TEC, and does not affect the phosphorylation of EGFR at the Y1068 and Y1173 sites. It has a higher IC50 value than ibrutinib and shows almost no inhibitory activity on the kinase activities of ITK, EGFR, ERBB2, ERBB4, JAK3, BLK, FGR, FYN, HCK, LCK, LYN, SRC, and YES1. In in vitro signal detection in primary human chronic lymphocytic leukemia cells, Acalabrutinib inhibits the tyrosine phosphorylation of downstream targets ERK, IKB, and AKT.

Solubility Information

Solubility	Ethanol: 53 mg/mL (113.85 mM),Sonication is recommended. DMSO: 125 mg/mL (268.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (8.59 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1482 mL	10.7409 mL	21.4818 mL
5 mM	0.4296 mL	2.1482 mL	4.2964 mL
10 mM	0.2148 mL	1.0741 mL	2.1482 mL
50 mM	0.043 mL	0.2148 mL	0.4296 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Wu J, et al. J Hematol Oncol. 2016, 9:21.
Heather L. Gardner, et al .Cancer Res. 2014, 74(19 Supplement):1744.
Todd Covey, et al. Cancer Res. 2015, 75 (15 Supplement):2596.