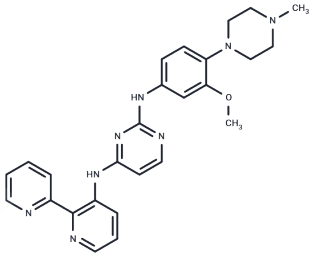
Data Sheet (Cat.No.T39104)

TargetMol

Itacnosertib

Chemical Properties



CAS No. : 1628870-27-8

Formula: C26H28N8O

Molecular Weight: 468.55

Storage: Store at low temperature
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	Itacnosertib (TP-0184) is an orally available ACRV1 (ALK-2), FLT3 and JAK2 inhibitor that inhibits the growth of tumor cells overexpressing ALK-2, overcomes FLT3 inhibitor resistance and synergistically inhibits AML growth with venetoclax, and possesses potential antitumor and antileukemic activity.
Targets(IC50)	FLT,Akt,STAT,ALK,JAK,mTOR,PI3K,TGF-beta/Smad
In vitro	Itacnosertib is a dual FLT3/ACVR1 inhibitor. Itacnosertib was tested on AML cell lines harboring FLT3-ITD mutations (MV4-11, MOLM-13, MOLM-14), showing potent inhibition of cell proliferation (IC_{50} <25nM) and downregulation of FLT3- and ACVR1-mediated signaling (e.g., p-FLT3, p-STAT5, p-AKT, p-SMAD1/5). Itacnosertib also induced G0/G1 cell cycle arrest and inhibited serine biosynthesis and amino acid transport genes in FLT3-mutant AML cells[1].
In vivo	In NSG mice xenografted with FLT3-ITD AML cells, oral administration of Itacnosertib (50–200mg/kg, 3×/week) significantly reduced leukemia burden and prolonged survival (median survival increased from 18 to 32 days). In patient-derived xenograft (PDX) models, Itacnosertib at 200mg/kg extended survival from 100 to 183 days[1].

Solubility Information

Solubility	DMSO: 10 mg/mL (21.34 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: 1 mg/mL (2.13 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 vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1342 mL	10.6712 mL	21.3424 mL
5 mM	0.4268 mL	2.1342 mL	4.2685 mL
10 mM	0.2134 mL	1.0671 mL	2.1342 mL
50 mM	0.0427 mL	0.2134 mL	0.4268 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

Tyagi A,et al. TP-0184 inhibits FLT3/ACVR1 to overcome FLT3 inhibitor resistance and hinder AML growth synergistically with venetoclax. Leukemia. 2024 Jan;38(1):82-95.