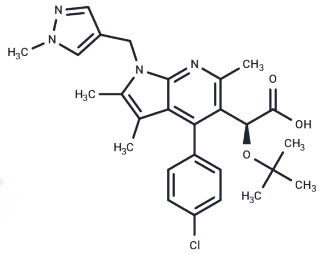
Data Sheet (Cat.No.T39755)

TargetMol

Pirmitegravir

Chemical Properties



CAS No. : 2245231-10-9

Formula: C27H31ClN4O3

Molecular Weight: 495.01

Storage: 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	Pirmitegravir (STP0404) is a potent and selective inhibitor of allosteric integrase (ALLINI) targeting the LEDGF/p75 binding site. Pirmitegravir inhibits PBMC. Pirmitegravir inhibits PBMC.Pirmitegravir has significant antiviral activity and may be useful in the study of HIV virus infection.
Targets(IC50)	HIV Protease
In vitro	In CEMx174 cells, Pirmitegravir demonstrates an IC50 of 1.4 nM, inhibiting dual tropic HIV-189.6[1]. Pirmitegravir is a highly potent ALLINI, inhibiting both wild-type and Ral-resistant HIV-1 strains, with IC50 values ranging from picomolar to single-digit nanomolar[1].With an IC50 of 0.41 nM against HIV-1NL4-3, Pirmitegravir exhibits no observable cytotoxicity in human PBMCs at 10 μM (TC50 >10μM)[1].
In vivo	For once-daily administration, Pirmitegravir exhibits appropriate PK profiles[1]. The lack of micronucleus-inducing and bone marrow cell proliferation inhibitory potentials in rats (500, 1000, and 2000 mg/kg/day) supports the conclusion that Pirmitegravir is not genotoxic[1].An assessment of the Pharmacokinetics (PK) profile of Pirmitegravir in rat and dog has been conducted[1].

Solubility Information

Solubility	DMSO: 55 mg/mL (111.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0202 mL	10.1008 mL	20.2016 mL
5 mM	0.404 mL	2.0202 mL	4.0403 mL
10 mM	0.202 mL	1.0101 mL	2.0202 mL
50 mM	0.0404 mL	0.202 mL	0.404 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

Maehigashi T, et al. A highly potent and safe pyrrolopyridine-based allosteric HIV-1 integrase inhibitor targeting host LEDGF/p75-integrase interaction site. PLoS Pathog. 2021;17(7):e1009671.
Singer MR, et al. The Drug-Induced Interface That Drives HIV-1 Integrase Hypermultimerization and Loss of Function. mBio. 2023 Feb 28;14(1):e0356022.
Dinh T, et al. The structural and mechanistic bases for the viral resistance to allosteric HIV-1 integrase inhibitor pirmitegravir. bioRxiv [Preprint]. 2024 Jan 26:2024.01.26.577387.