

Lopinavir

Chemical Properties

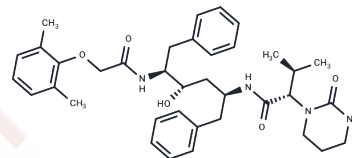
CAS No. : 192725-17-0

Formula: C37H48N4O5

Molecular Weight: 628.8

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Lopinavir (ABT-378) is a peptidomimetic HIV protease inhibitor effective against HIV protease with the Val 82 mutation. It is less affected by serum protein binding compared to the structurally related drug ritonavir.
Targets(IC50)	HIV Protease,SARS-CoV
In vitro	Administering Lopinavir (10 mg/kg, p.o.) to rats resulted in a maximum concentration (Cmax) of 0.8 µg/mL, with the drug's bioavailability being 25%.
In vivo	Lopinavir is an effective inhibitor of Rh123, with an IC50 value of 1.7 mM for Caco-2 cell monolayers. It binds to mutant HIV proteases (V82A, V82T, and V82F) with Ki values of 4.9, 3.7, and 3.6 pM, respectively. At a concentration of 0.5 nM, Lopinavir inhibits the activity of wild-type HIV protease by 93%. It also inhibits HIV protease activity in MT4 cells both in the presence and absence of 50% HS, with EC50 values of 102 nM and 17 nM, respectively. In liver microsomes, Lopinavir is converted to primary metabolites M-3 and M-4, a process that is NADPH-dependent. After treating LS 180V cells with Lopinavir for 72 hours, there is a reduction in intracellular Rh123 content and induction of P-glycoprotein immunoreactive protein and mRNA levels. Lopinavir exhibits an IC50 of 9.4 nM against subtype C clone C6. When acting on human liver microsomes, Lopinavir shows an IC50 of 7.3 mM against CYP3A and exerts weak inhibition on human CYP1A2, 2B6, 2C9, 2C19, and 2D6.

Solubility Information

Solubility	Ethanol: 116 mg/mL (184.48 mM),Sonication is recommended. DMSO: 116 mg/mL (184.48 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5903 mL	7.9517 mL	15.9033 mL
5 mM	0.3181 mL	1.5903 mL	3.1807 mL
10 mM	0.159 mL	0.7952 mL	1.5903 mL
50 mM	0.0318 mL	0.159 mL	0.3181 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.

Reference

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Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481