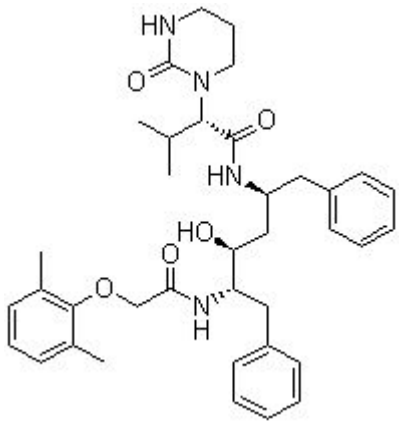
Product Introduction

Lopinavir

Lopinavir is a potent **HIV protease** inhibitor with K_i of 1.3 pM.

Technical Data:

Molecular Weight (MW):	628.8	
Formula:	$C_{37}H_{48}N_4O_5$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 126 mg/mL	
	Water <1 mg/mL	
	Ethanol 126 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	192725-17-0	

Biological Activity

Lopinavir binds to mutant HIV protease (V82A, V82F and V82T) with Ki of 4.9 pM, 3.7 pM and 3.6 pM, respectively. Lopinavir inhibits 93% of wild-type HIV protease activity at 0.5 nM. Lopinavir inhibits HIV protease activity in the absence and presence of 50% HS with EC50 of 17 nM and 102 nM, respectively, in MT4 cells. [1] Lopinavir is converted to several metabolites in an NADPH-dependent manner in liver microsomes with the primary metabolites M-3 and M-4. [2] Lopinavir is a potent inhibitor of Rh123 efflux in Caco-2 monolayers with IC50 of 1.7 mM. Lopinavir exposure (72 hours) in LS 180V cells reduces the content of intracellular Rh123. Lopinavir induces P-glycoprotein immunoreactive protein and messenger RNA levels in LS 180V cells. [3] Lopinavir inhibits subtype C clone C6 with IC50 of 9.4 nM. [4] Lopinavir inhibits CYP3A with IC50 of 7.3 mM in human liver microsomes, while produces negligible or weak inhibition of human CYP1A2, 2B6, 2C9, 2C19 and 2D6. [5]

Lopinavir (10 mg/kg, orally) results in Cmax of 0.8 μg/mL and oral bioavailability of 25% in rats. [1]

References

[1] Sham HL, et al. Antimicrob Agents Chemother, 1998, 42(12), 3218-3224.

[2] Kumar GN, et al. Drug Metab Dispos, 1999, 27(1), 86-91.

[3] Vishnuvardhan D, et al. AIDS, 2003, 17(7), 1092-1094.

[4] Gonzalez LM, et al. Antimicrob Agents Chemother, 2003, 47(9), 2817-2822.

[5] Weemhoff JL, et al. J Pharm Pharmacol, 2003, 55(3), 381-386.

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