Lopinavir

Cat. No.: HY-14588

CAS No.: 192725-17-0

Molecular Formula: $C_{37}H_{48}N_4O_5$

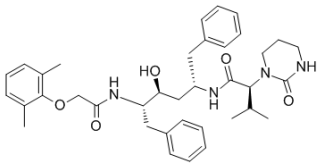
Molecular Weight: 628.8

Target: HIV; HIV Protease; SARS-CoV

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (159.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5903 mL	7.9517 mL	15.9033 mL
	5 mM	0.3181 mL	1.5903 mL	3.1807 mL
	10 mM	0.1590 mL	0.7952 mL	1.5903 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lopinavir is a potent HIV protease inhibitor with Ki of 1.3 pM.Target: HIV proteaseLopinavir 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. Lopinavir inhibits subtype C clone C6 with IC50 of 9.4 nM. 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. Lopinavir (10 mg/kg, orally) results in Cmax of 0.8 μg/mL and oral bioavailability of 25% in rats.

CUSTOMER VALIDATION

- Nat Commun. 2020 Sep 4;11(1):4417.
- Nucleic Acids Res. 2020 Nov 9;gkaa969.
- Antimicrob Agents Chemother. 2020 Jul 15;AAC.00872-20.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Antivir Res. 2020 Apr.

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REFERENCES

[1]. Vishnuvardhan, D., et al., Lopinavir: acute exposure inhibits P-glycoprotein; extended exposure induces P-glycoprotein. AIDS, 2003. 17(7): p. 1092-4.

[2]. Gonzalez, L.M., et al., In vitro hypersusceptibility of human immunodeficiency virus type 1 subtype C protease to lopinavir. Antimicrob Agents Chemother, 2003. 47(9): p. 2817-22.

[3]. Weemhoff, J.L., et al., Apparent mechanism-based inhibition of human CYP3A in-vitro by lopinavir. J Pharm Pharmacol, 2003. 55(3): p. 381-6.

[4]. Sham, H.L., et al., ABT-378, a highly potent inhibitor of the human immunodeficiency virus protease. Antimicrob Agents Chemother, 1998. 42(12): p. 3218-24.

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