Lopinavir

Cat. No.: HY-14588

CAS No.: 192725-17-0

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

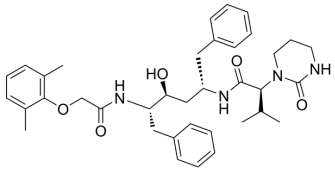
Molecular Weight: 629

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	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (397.46 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5898 mL	7.9491 mL	15.8983 mL
	5 mM	0.3180 mL	1.5898 mL	3.1797 mL
	10 mM	0.1590 mL	0.7949 mL	1.5898 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 25 mg/mL (39.75 mM); Clear solution
2. Add each solvent one by one: corn oil
   Solubility: 20 mg/mL (31.80 mM); Suspended solution; Need ultrasonic
3. 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

BIOLOGICAL ACTIVITY

Description

Lopinavir (ABT-378) is a highly potent, selective peptidomimetic inhibitor of the HIV-1 protease, with K_is of 1.3 to 3.6 pM for wild-type and mutant HIV protease. Lopinavir acts by arresting maturation of HIV-1 thereby blocking its infectivity[1][2]. Lopinavir is also a SARS-CoV $3CL^{pro}$ inhibitor with an IC_{50} of 14.2 μM[3].

IC_{50} & Target

HIV-1

In Vitro

HIV-1 protease is an essential enzyme for production of mature, infective virus[1].

	?Lopinavir potently inhibits wild-type and mutant HIV protease (K_i= 1.3 to 3.6 pM), blocks the replication HIV type 1 (EC_{50} =0.006 to 0.017 μM), and maintains high potency against mutant HIV selected by Ritonavir in vivo (EC_{50}=≤0.06 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Coadministration with low-dose Ritonavir significantly improves the pharmacokinetic properties and hence the activity of Lopinavir against HIV-1 protease[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Nat Commun. 2020 Sep 4;11(1):4417.
- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Antiviral Res. 2022 Nov 10;105463.

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REFERENCES

[1]. Cvetkovic RS, et al. Lopinavir/ritonavir: a review of its use in the management of HIV infection. Drugs. 2003;63(8):769-802.

[2]. Sham HL, et al. ABT-378, a highly potent inhibitor of the human immunodeficiency virus protease. Antimicrob Agents Chemother. 1998;42(12):3218-3224.

[3]. Qi Sun, et al. Bardoxolone and bardoxolone methyl, two Nrf2 activators in clinical trials, inhibit SARS-CoV-2 replication and its 3C-like protease. Signal Transduct Target Ther. 2021 May 29;6(1):212.

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