Lopinavir PK Fact Sheet

Details

Generic Name  Lopinavir  
Trade Name  Kaletra®   
Class  Protease Inhibitor  
Molecular Weight  628.80  
Structure

Summary of Key Pharmacokinetic Parameters

Plasma half life  5-6 h  
Cmax  9.6 ± 4.4 µg/ml (400/100 mg twice daily Kaletra dosing)  
Cmin  5.5 ± 4.0 µg/ml (400/100 mg twice daily Kaletra dosing)  
AUC  82.8 ± 44.5 µg/ml.hr (400/100 mg twice daily Kaletra dosing)  
Bioavailability  Not established in humans  
Absorption  Administration of a single 400/100 mg dose of Kaletra tablets under fed conditions (high fat, 872 kcal, 56% from fat) compared to fasted state was associated with no significant changes in Cmax and AUC. Kaletra tablets may be taken with or without food. Kaletra tablets have also shown less pharmacokinetic variability under all meal conditions compared to Kaletra soft capsules.  
Protein Binding  98-99%  
Volume of Distribution  Not available  
CSF:Plasma ratio  Consistently undetectable  
Semen:Plasma ratio  0.07 [1]  
Renal Clearance  <3%  
Renal Impairment  Pharmacokinetics have not been studied in patients with renal insufficiency; since the renal clearance of lopinavir is negligible, a decrease in total body clearance is not expected.  
Hepatic Impairment  In mild to moderate hepatic impairment, an increase of approximately 30% in lopinavir exposure has been observed, but is not expected to be clinically relevant. No data are available in patients with severe hepatic impairment; Kaletra should not be given to these patients.
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Metabolism and Distribution

Metabolised by: CYP3A
Inducer of: N/A
Inhibitor of: CYP3A, BCRP (In vitro) [2]
Transported by: P-glycoprotein, MRP1, MRP2, hOATPs [3]

References

Unless otherwise stated (see below), information is from:
Kaletra® Summary of Product Characteristics, AbbVie Ltd.
Kaletra® US Prescribing Information, AbbVie Inc.

