Lamivudine PK Fact Sheet

Details

Generic Name  Lamivudine (3TC)
Trade Name  Zeffix®, Epivir-HBV®
Class  Nucleoside Reverse Transcriptase Inhibitor
Molecular Weight  229.3

Summary of Key Pharmacokinetic Parameters

Lamivudine is metabolised intracellularly to the active moiety, lamivudine 5'-triphosphate.

Linearity/non-linearity  Lamivudine exhibits linear pharmacokinetics over the therapeutic dose range.
Steady state  Not determined
Plasma half-life  18-19 h (after oral dosing)
Cmax  2 µg/ml (300 mg once daily)
Cmin  0.04 µg/ml (300 mg once daily)
AUC  8.9 µg.h/ml (300 mg once daily)
Bioavailability  80-85%
Absorption  Lamivudine may be administered with or without food. Coadministration with food delays Tmax and lowers Cmax (decreased by 47%). However, the extent (based on the AUC) of lamivudine absorbed is not influenced.
Protein Binding  <36%
Volume of Distribution  1.3 L/kg
CSF:Plasma ratio  ~0.12
Semen:Plasma ratio  9.1 (2.3-16.1)¹
Renal Clearance  >70%
Renal Impairment  Lamivudine serum concentrations (AUC) are increased in patients with moderate to severe renal impairment due to decreased renal clearance. The dosage should therefore be reduced for patients with a creatinine clearance of <30 ml/minute.
Hepatic Impairment  Data obtained in patients with hepatic impairment, including those with end-stage liver disease awaiting transplant, show that lamivudine pharmacokinetics are not significantly affected by hepatic dysfunction. Based on these data, no dose adjustment is necessary in patients with hepatic impairment unless accompanied by renal impairment.
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Metabolism and Distribution

**Metabolised by**
Predominantly cleared unchanged by renal excretion. Hepatic metabolism is low (5-10%).

**Inducer of**
N/A

**Inhibitor of**
MRP1, MRP2, MRP3

**Transported by**
Possibly MRP4, MRP8 (in vitro)

References

*Unless otherwise stated (see below), information is from:*
Epivir® Summary of Product Characteristics, ViiV Healthcare UK.

