Fosamprenavir PK Fact Sheet

Details

**Generic Name**  Fosamprenavir

**Trade Name**  Telzir®, Lexiva®

**Class**  Protease Inhibitor

**Molecular Weight**  625.7

**Structure**

![Structure of Fosamprenavir](image)

Summary of Key Pharmacokinetic Parameters

Pharmacokinetic parameters refer to amprenavir. Fosamprenavir is rapidly and almost completely hydrolysed to amprenavir and inorganic phosphate as it is absorbed through the gut epithelium, following oral administration.

**Plasma half life**
- 7.7 h (fosamprenavir alone)
- 15-23 h (with ritonavir)

**Cmax**  6.08 (5.38-6.86) µg/ml (700 mg with ritonavir 100 mg twice daily)

**Cmin**  2.12 (1.77-2.54) µg/ml (700 mg with ritonavir 100 mg twice daily)

**AUC**  39.6 (34.5-45.3) µg/ml.h (700 mg with ritonavir 100 mg twice daily)

**Bioavailability**  Not available

**Absorption**  Administration of the fosamprenavir tablet formulation in the fed state (standardised high fat meal: 967 kcal, 67 g fat, 33 g protein, 58 g carbohydrate) did not alter plasma amprenavir pharmacokinetics (Cmax, Tmax or AUC) compared to the administration of this formulation in the fasted state. Fosamprenavir tablets may be taken without regard to food intake.

**Protein Binding**  ~90% in vitro

**Volume of Distribution**  ~ 430 L (6 L/kg assuming a 70 kg body weight)

**CSF:Plasma ratio**  Negligible in humans

**Semen:Plasma ratio**  Amprenavir appears to penetrate into semen, though semen concentrations are lower than plasma concentrations.

**Renal Clearance**  <1%

**Renal Impairment**  The impact of renal impairment on amprenavir and ritonavir elimination is expected to be minimal.

**Hepatic Impairment**  Fosamprenavir with ritonavir should be used with caution and at reduced doses in adults with mild or moderate hepatic impairment and is contraindicated in patients with severe hepatic impairment.
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Metabolism and Distribution

**Metabolised by** Primarily CYP3A4

**Inducer of** Possibly CYP3A4 (net inhibition when administered with ritonavir)

**Inhibitor of** CYP3A4, BCRP\((in \text{ vitro})^{[1]}\), P-gp, MRP1\(^{[2]}\), OATPs\(^{[3]}\)

**Transported by** P-glycoprotein

References

*Unless otherwise stated (see below), information is from:
Telzir® Summary of Product Characteristics, ViiV Healthcare UK Ltd.
Lexiva® US Prescribing Information, ViiV Healthcare.*

