**Emtricitabine PK Fact Sheet**

**Details**

- **Generic Name**: Emtricitabine (FTC)
- **Trade Name**: Emtriva®
- **Class**: Nucleoside Reverse Transcriptase Inhibitor
- **Molecular Weight**: 247.2
- **Structure**

![Chemical Structure](image)

**Summary of Key Pharmacokinetic Parameters**

*Emtricitabine is phosphorylated by cellular enzymes to the active emtricitabine 5'-triphosphate.*

- **Linearity/non-linearity**: The pharmacokinetics of emtricitabine are dose-proportional over the dose range of 25-200 mg following single or repeated administration.
  - **Plasma half life**: ~10 h
  - **Cmax**: 1.8 ± 0.7 µg/ml (200 mg once daily, HIV infected subjects)
  - **Cmin**: 0.09 ± 0.07 µg/ml (200 mg once daily, HIV infected subjects)
  - **AUC**: 10.0 ± 3.1 µg.h/ml (200 mg once daily, HIV infected subjects)
  - **Bioavailability**: 93% (hard capsule); 75% (oral solution)
  - **Absorption**: Emtricitabine (hard capsules and oral solution) may be administered with or without food. Administration of emtricitabine hard capsules with a high-fat meal, or administration of oral solution with a low-fat or high-fat meal, did not affect systemic exposure (AUC) of emtricitabine.
  - **Protein Binding**: <4%
  - **Volume of Distribution**: 1.4 ± 0.3 L/kg
  - **CSF:Plasma ratio**: 0.43
  - **Semen:Plasma ratio**: ~4.0
  - **Renal Clearance**: ~86% (of which 13% as metabolites)
  - **Renal Impairment**: Exposure is significantly increased in renal insufficiency. Dose or dose interval adjustment is required in all patients with creatinine clearance <50 ml/min.
  - **Hepatic Impairment**: No data are available on which to make dose recommendations. Based on the minimal metabolism of emtricitabine and the renal route of elimination it is unlikely that a dose adjustment would be required.
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Metabolism and Distribution

Metabolised by Limited metabolism, excreted via glomerular filtration and active tubular secretion.
Inducer of Low potential for CYP450 involvement.
Inhibitor of MRP1, MRP2, MRP3 \(^1\). Low potential for CYP450 involvement; may compete with other drugs for active tubular secretion.
Transported by Inhibitors of anion and cation renal transport pathways have been shown not to affect emtricitabine disposition \(^2\).

References

Unless otherwise stated (see below), information is from:
Emtriva® Summary of Product Characteristics, Gilead Sciences Ltd.
Emtriva® US Prescribing Information, Gilead Sciences.