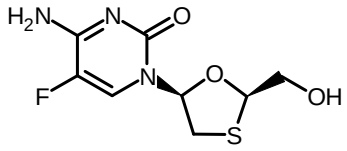
Emtricitabine PK Fact Sheet

Details

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

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.

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.

1. Weiss J, Theile D, Ketabi-Kiyanvash N, *et al.* Inhibition of MRP1/ABCC1, MRP2/ABCC2 and MRP3/ABCC3 by nucleoside, nucleotide and non-nucleoside reverse transcriptase inhibitors. *Drug Metab Dispos.* 2007; 35(3): 340-344.
2. Nakatani-Freshwater T, Taft DR. Renal excretion of emtricitabine I: effects of organic anion, organic cation, and nucleoside transport inhibitors on emtricitabine excretion. *J Pharm Sci*. 2008; 97(12): 5401-5410.