Emtricitabine (Emtriva®, FTC)

Class:
Emtricitabine is a nucleoside analogue.

Antiviral Activity:
Emtricitabine has activity against HIV-1.

Mechanism of Action:
Emtricitabine must be converted intracellularly to its triphosphate form, which then competes with deoxycytidine 5'-triphosphate for incorporation into the developing viral DNA strand. This results in chain termination and ceases viral DNA synthesis.

Mechanism of Resistance:
Resistance to NRTIs occurs through two mechanisms; decreased incorporation of NRTIs into the viral DNA and increased excision of NRTIs from the viral DNA

Pharmacokinetics:
Emtricitabine has 93% bioavailability, minimal plasma protein binding (<4%) and is metabolized via oxidation and conjugation. Emtricitabine is primarily excreted by the kidneys, with 86% being unchanged drug and 13% being metabolites.

Adverse Effects:
The most common adverse effects are headache, diarrhea, nausea, and rash.

Dosing:
Capsule 200mg

Adults (18 years of age and older):
200 mg once daily taken orally

Disease state based dosing:
Renal Impairment:
CrCl = 50ml/min – 200mg once daily
CrCl 30-39 ml/min – 200mg once every 48 hours
CrCl 15-29 ml/min – 200mg once every 72 hours
CrCl < 15 ml/min – 200mg once every 96 hours

Hepatic Impairment: No dose adjustment necessary

Contraindications/Warnings/ Precautions:
Lactic acidosis and severe hepatomegaly with steatosis, including fatal cases, have been reported with the use of NRTIs.

Drug Interactions:
Emtricitabine does not inhibit the CYP450 enzymes or the enzymes responsible for glucuronidation.

Pregnancy: Category B: No evidence of risk in humans but studies inadequate.

Monitoring Requirements: HIV-RNA

Brand names/Manufacturer: Emtriva®/Gilead Sciences Inc