Emtricitabine (FTC) is a synthetic nucleoside analog with activity against human immunodeficiency virus type 1 (HIV-1) reverse transcriptase. Emtricitabine occurs as a white to off-white crystalline powder. Emtricitabine capsules and oral solution may be taken with or without food. Emtricitabine systemic exposure (AUC) was unaffected by food. The plasma pharmacokinetics of emtricitabine are reversible, and the elimination of emtricitabine is through hepatic biotransformation and glucuronidation. Thirteen percent (13%) of the dose was recovered in urine as three putative metabolites. The biotransformation of FTC includes oxidation of the thiol moiety to form the 3'-sulfoxide diastereomers (~9% of dose) and conjugation with glucuronic acid to form a glucuronide (~4% of dose). The terminal half-life of FTC is ~2 hours postdose. Following multiple dose oral administration of emtricitabine capsules to 20 HIV-1 infected subjects, the (mean ± standard deviation) plasma concentration after 24 hours postdose was 0.09 ± 0.05 mcg/mL. At peak plasma concentration, the mean plasma to blood drug concentration ratio was ~1.0 and the mean semen to plasma drug concentration ratio was 0.02 ± 0.01. Emtricitabine undergoes reversible non-linear absorption. The extent of absorption is independent of dose and is not affected by food. The extent of absorption increases with increasing dose. The extent of absorption is lower in healthy elderly individuals compared to healthy young individuals. Therefore, emtricitabine capsules should be administered with a full glass of water without regard to meals. Use of antacids, iron preparations, or other products containing aluminum, calcium, magnesium, or zinc is not recommended. 

**INDICATIONS AND USAGE**

Emtricitabine capsules are indicated as an antiretroviral combination therapy for treatment of HIV-1 infection in adults (≥18 years of age) with evidence of HIV-1 replication and/or infection as indicated by a CD4 count >200 cells/µL and a viral load >50 copies/mL. The recommended dose is one 200 mg capsule administered once daily orally. 

**RECOMMENDED DOSAGE AND ADMINISTRATION**

- **Adults** and **Pediatric Patients 30 days to 17 years old**: One 200 mg capsule administered once daily orally. 
- **Pediatric Patients 0 to 29 days old**: One 50 mg capsule administered once daily orally. 

**WARNING**

- Lactic Acidosis/Severe Hepatomegaly with Steatosis
- Immune Reconstitution Syndrome

**ADVERSE REACTIONS**

- Studies have shown that emtricitabine is generally well tolerated in combination with other antiretroviral medicines, and its adverse reactions are similar to those of other nucleoside analogs. The frequency of adverse reactions is based on all treatment-emergent adverse events, regardless of relationship to study drug. 

**Laboratory Abnormalities**

- A summary of laboratory abnormalities in trials 301A and 303 is provided in Table 3. Laboratory abnormalities in these trials occurred with similar frequency in the emtricitabine and comparator groups. A summary of Grades 3 to 4 laboratory abnormalities is provided in Table 3. 

**Drug Interactions**

- Emtricitabine is a potent inhibitor of the human cytochrome P450 3A4 isozyme and a weak inhibitor of the human cytochrome P450 3A5 isozyme. Emtricitabine is a substrate of CYP3A4. 

**ADVERSE REACTIONS**

- Nausea 18% 12% 13% 23%
- Vomiting 6% 2% 2% 11%
- Diarrhea 9% 5% 8% 10%
- Abdominal pain 7% 5% 9% 7%
- Flatulence 5% 2% 3% 5%
- Kidney stones 4% 2% 1% 2%
- Headaches 4% 1% 3% 3%
- Fatigue 4% 3% 4% 7%
- Insomnia 4% 1% 2% 5%
- Depression 9% 7% 9% 13%
- Abnormal dreams 2% <1% 11% 19%
- Arthralgia 3% 4% 5% 6%
- Upper respiratory infection 3% 2% 3% 4%
- Urinary tract infection 3% 2% 6% 3%
- Hyperpigmentation 2% <1% 3% 5%
- Anemia 2% 1% 3% 5%
- Increased cough 14% 11% 14% 8%
- Anorexia 1% 1% 5% 3%
- Thyroid disorders 1% 1% 2% 3%
- Hemorrhoids 1% 1% 1% 2%
- Leukopenia 1% 1% 2% 3%
- Dyspepsia 1% 1% 2% 3%
- Hypoglycemia 1% 1% 1% 2%
- Ballismus 1% 1% 2% 2%
- Other laboratory abnormalities 
  - Grade 3 laboratory abnormalities in greater than or equal to 5% of subjects treated in any treatment group.
  - Increased alkaline phosphatase
  - Increased alanine aminotransferase (ALT)
  - Increased aspartate aminotransferase (AST)
  - Increased creatinine
  - Increased pancreas amylase
  - Increased pancreatic lipase
  - Increased total cholesterol
  - Increased high-density lipoprotein (HDL) cholesterol
  - Decreased total cholesterol
  - Decreased low-density lipoprotein (LDL) cholesterol

**CONTRAINDICATIONS**

- Emtricitabine is contraindicated in patients with a known allergy to FTC. 

**WARNINGS AND PRECAUTIONS**

- **Lactic Acidosis/Severe Hepatomegaly with Steatosis**: During the initial phase of combination antiretroviral treatment, patients whose immune system responds may develop immune reconstitution syndrome, characterized by the occurrence of inflammatory responses to indolent or residual opportunistic infections (such as cytomegalovirus, Pneumocystis carinii, and other bacterial, fungal, or protozoal infections) and by the profound clinical and laboratory consequences of a primary HIV-1 infection. Immune reconstitution reactions are the result of immunologic recovery of CNS inflammation or organ-specific disease that are immune-mediated. Inflammation may occur at any time during the course of antiretroviral therapy, but generally occurs during the first few months of treatment. 

**OVERDOSE**

- Hemodialysis is a potential method for removal of emtricitabine. 

**PHARMACOLOGY**

- Emtricitabine is a potent inhibitor of the human cytochrome P450 3A4 isozyme and a weak inhibitor of the human cytochrome P450 3A5 isozyme. Emtricitabine is a substrate of CYP3A4. 

**PHARMACODYNAMICS**

- Emtricitabine is an inhibitor of viral reverse transcriptase. 

**CLINICAL PHARMACOLOGY**

- Emtricitabine is a potent inhibitor of the human cytochrome P450 3A4 isozyme and a weak inhibitor of the human cytochrome P450 3A5 isozyme. Emtricitabine is a substrate of CYP3A4. 

**PHARMACOKINETICS**

- Emtricitabine is a potent inhibitor of the human cytochrome P450 3A4 isozyme and a weak inhibitor of the human cytochrome P450 3A5 isozyme. Emtricitabine is a substrate of CYP3A4. 

**PREVENTION AND MANAGEMENT OF TOXICITY**

- Immune Reconstitution Syndrome: Immune reconstitution syndrome has been reported, which may include a variety of symptoms such as flulike symptoms, arthralgia, rash, or other signs and symptoms suggestive of an inflammatory reaction. 

**REFERENCES**

- References include current literature and clinical experience with emtricitabine. 

**SUPPLEMENTARY MATERIAL**

- Additional information is available on the emtricitabine capsule and oral solution product information website at https://www.emtricitabine.com. 

**PATIENT INFORMATION**

- Additional information is available on the emtricitabine capsule and oral solution patient information website at https://www.emtricitabine.com. 

**INDICATIONS AND USAGE**

**RECOMMENDED DOSAGE AND ADMINISTRATION**

**ADVERSE REACTIONS**

**LABORATORY ABNORMALITIES**

**DRUG INTERACTIONS**

**CONTRAINDICATIONS**

**WARNINGS AND PRECAUTIONS**

**OVERDOSE**

**PHARMACOLOGY**

**PHARMACODYNAMICS**

**CLINICAL PHARMACOLOGY**

**PHARMACOKINETICS**

**PREVENTION AND MANAGEMENT OF TOXICITY**

**REFERENCES**

**SUPPLEMENTARY MATERIAL**

**PATIENT INFORMATION**
### Table 6 Mean ± SD Pharmacokinetic Parameters by Age Groups for Pediatric Subjects and Neonates Receiving Emtricitabine

<table>
<thead>
<tr>
<th>Parameter</th>
<th>Pediatric Subjects</th>
<th>Neonates</th>
<th>Coadministered Drug</th>
<th>Zidovudine</th>
<th>FTC, FTC-R</th>
<th>FTC-R, FTC</th>
</tr>
</thead>
<tbody>
<tr>
<td>AUC [µM h]</td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>Exposure*</td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>Elimination</td>
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<td></td>
<td></td>
</tr>
<tr>
<td>Glucuronidation</td>
<td></td>
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</tr>
</tbody>
</table>

*Exposures (AUC) than in humans given the recommended 200 mg daily dose.

### 14.4 Clinical Trial Results in Pediatrics

The median duration of prior antiretroviral therapy was 27.6 months. Table 13 provides treatment outcomes through 48 weeks.

- **Week 144**
  - FTC: 59.8 ± 6.5
  - FTC-R: 200 × 1
  - FTC-R, FTC: 500 × 1

### 14.1 Overview of Clinical Trials

#### Trial 303

- Endpoint: HIV-1 RNA <400 copies/mL
- **Responder Outcomes**
  - FTC: 17 PATIENTS
  - FTC-R: 20 PATIENTS

#### Trial 211

- Endpoint: HIV-1 RNA <400 copies/mL
- **Responder Outcomes**
  - FTC: 23 PATIENTS
  - FTC-R: 26 PATIENTS

### 8.2 Pregnancy and Lactation

- **Discontinuation for Other Reasons**
  - FTC: 20 PATIENTS
  - FTC-R: 30 PATIENTS
  - FTC-R, FTC: 40 PATIENTS

### 8.1 Use in Specific Populations

#### Breastfeeding

- Emtricitabine capsules can pass to your baby in your breast milk.
- Do not breastfeed if:
  - Severe liver problems.
  - Worsening of Hepatitis B virus infection (HBV).

### 13.2 Contraindications

- Use emtricitabine capsules only if your doctor has recommended it for you.

### 12.1 EMTRICITABINE CAPSULES [see Dosage and Administration]

- For children age 2 years and older.
- Adjust dosage based on the child's weight.

### 12.5 Food

- Emtricitabine capsules can be taken with or without food.

### 12.6 Strong CYP3A4 Inhibitors or Inducers

- **Coadministered Drug**
  - Zidovudine: FTC did not inhibit
  - FTC-R: FTC did not inhibit

### 12.7 Inactive Ingredients

- Emtricitabine capsules contain inactive ingredients.

### 12.9 Pregnancy

- **Category B**
  - No fetal harm has been observed in pregnant women in studies up to 24 weeks.

### 12.10 Nursing Mothers

- **Category B**
  - No data available on the effects of FTC in the breast milk of breastfeeding women.

### 12.11 Pediatric Use

- **Emtricitabine**:
  - FTC: 0% (range 2 to 2650).
  - FTC-R: 0% (range 2 to 2650).

### 12.12 Drug Interactions

- **Drug Interaction**
  - FTC-resistant isolates of HIV-1 have been recovered from some subjects treated with FTC alone or in combination with other antiretrovirals.

### 12.13 Other Clinical Considerations

- **FTA[^1] Resistant**
  - FTC-resistant isolates of HIV-1 have been selected in cell culture and in vivo.

### 12.14 Adverse Reactions

- **Common Adverse Reactions**
  - Inflammation
  - Anemia
  - Hyperpigmentation

### 12.15 Information for Patients

- **Patient Counseling Information**
  - The occurrence of anemia and higher frequency of hyperpigmentation in children was noted.

### 12.16 Use in Elderly Patients

- **Special Considerations**
  - Use of FTC in elderly patients is not recommended.

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