
# Guidelines for the Use of Antiretroviral Agents in HIV-1-Infected Adults and Adolescents



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**Appendix B, Table 1. Characteristics of Nucleoside Reverse Transcriptase Inhibitors (Last updated February 12, 2013; last reviewed February 12, 2013) (page 1 of 5)**

| Generic Name (Abbreviation)/ Trade Name | Formulations | Dosing Recommendations (For dosage adjustment in renal or hepatic insufficiency, see Appendix B, Table 7.) | Elimination | Serum/ Intracellular Half-Lives | Adverse Events (Also see Table 13.) |
|---|---|---|---|---|---|
| **Abacavir** (ABC)/ Ziagen<br>Generic available in tablet formulation<br>**Also available as a component of fixed-dose combinations:** | Ziagen<br>• 300 mg tablets<br>• 20 mg/mL oral solution | Ziagen<br>300 mg BID or 600 mg once daily<br>Take without regard to meals | Metabolized by alcohol dehydrogenase and glucuronyl transferase<br>Renal excretion of metabolites 82%<br>Dosage adjustment for ABC is recommended in patients with hepatic insufficiency (see Appendix B, Table 7) | 1.5 hours/ 12–26 hours | • HSRs: Patients who test positive for HLA-B*5701 are at highest risk. HLA screening should be done before initiation of ABC. Re-challenge is not recommended.<br>• Symptoms of HSR may include fever, rash, nausea, vomiting, diarrhea, abdominal pain, malaise, or fatigue or respiratory symptoms such as sore throat, cough, or shortness of breath.<br>• Some cohort studies suggest increased risk of MI with recent or current use of ABC, but this risk is not substantiated in other studies. |
| Trizivir<br>ABC with ZDV + 3TC | Trizivir<br>(ABC 300 mg + ZDV 300 mg + 3TC 150 mg) tablet | Trizivir<br>1 tablet BID | | | |
| Epzicom<br>ABC with 3TC | Epzicom<br>(ABC 600 mg + 3TC 300 mg) tablet | Epzicom<br>1 tablet once daily | | | |
| **Didanosine** (ddI)/ Videx EC<br>Generic available; dose same as Videx EC | Videx EC<br>125, 200, 250, and 400 mg capsules<br>Videx<br>10 mg/mL oral solution | **Body weight ≥60kg:**<br>400 mg once daily<br>*With TDF:* 250 mg once daily<br>**Body weight <60kg:**<br>250 mg once daily<br>*With TDF:* 200 mg once daily<br>Take 1/2 hour before or 2 hours after a meal<br>Note: Preferred dosing with oral solution is BID (total daily dose divided into 2 doses) | Renal excretion 50%<br>Dosage adjustment in patients with renal insufficiency is recommended (see Appendix B, Table 7). | 1.5 hours/ >20 hours | • Pancreatitis<br>• Peripheral neuropathy<br>• Retinal changes, optic neuritis<br>• Lactic acidosis with hepatic steatosis +/- pancreatitis (rare but potentially life-threatening toxicity)<br>• Nausea, vomiting<br>• Potential association with non-cirrhotic portal hypertension, in some cases, patients presented with esophageal varices<br>• One cohort study suggested increased risk of MI with recent or current use of ddI, but this risk is not substantiated in other studies.<br>• Insulin resistance/diabetes mellitus |

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|---|---|---|---|---|---|
| **Emtricitabine** (FTC)/ Emtriva<br><br>**Also available as a component of fixed-dose combinations:** | Emtriva<br>• 200 mg hard gelatin capsule<br>• 10 mg/mL oral solution | Emtriva<br>*Capsule:* 200 mg once daily<br>*Oral solution:* 240 mg (24 mL) once daily<br><br>Take without regard to meals | Renal excretion 86%<br><br>Dosage adjustment in patients with renal insufficiency is recommended (see Appendix B, Table 7). | 10 hours/ >20 hours | • Minimal toxicity<br>• Hyperpigmentation/skin discoloration<br>• Severe acute exacerbation of hepatitis may occur in HBV-co-infected patients who discontinue FTC. |
| Atripla<br>FTC with EFV + TDF | Atripla<br>(FTC 200 mg + EFV 600 mg + TDF 300 mg) tablet | Atripla<br>1 tablet at or before bedtime<br><br>Take on an empty stomach to reduce side effects. | | | |
| Complera<br>FTC with RPV+TDF | Complera<br>(FTC 200 mg + RPV 25 mg + TDF 300 mg) tablet | Complera<br>1 tablet once daily with a meal | | | |
| Stribild<br>FTC with EVG + COBI + TDF | Stribild<br>(FTC 200 mg + EVG 150 mg + COBI 150 mg + TDF 300 mg) tablet | Stribild<br>1 tablet once daily with food | | | |
| Truvada<br>FTC with TDF | Truvada<br>(FTC 200 mg + TDF 300 mg) tablet | Truvada<br>1 tablet once daily | | | |

**Appendix B, Table 1. Characteristics of Nucleoside Reverse Transcriptase Inhibitors (Last updated February 12, 2013; last reviewed February 12, 2013) (page 3 of 5)**

| Generic Name (Abbreviation)/ Trade Name | Formulations | Dosing Recommendations (For dosage adjustment in renal or hepatic insufficiency, see Appendix B, Table 7.) | Elimination | Serum/ Intracellular Half-Lives | Adverse Events (Also see Table 13.) |
|---|---|---|---|---|---|
| **Lamivudine** (3TC)/ Epivir<br><br>Generic available in tablet formulation<br><br>**Also available as a component of fixed-dose combinations:** | Epivir<br>• 150 and 300 mg tablets<br><br>• 10 mg/mL oral solution | Epivir<br>150 mg BID or<br>300 mg once daily<br><br>Take without regard to meals | Renal excretion 70%<br><br>Dosage adjustment in patients with renal insufficiency is recommended (see Appendix B, Table 7). | 5–7 hours/ 18–22 hours | • Minimal toxicity<br><br>• Severe acute exacerbation of hepatitis may occur in HBV-co-infected patients who discontinue 3TC. |
| Combivir<br>3TC with ZDV<br><br>Generic available | Combivir<br>(3TC 150 mg + ZDV 300 mg) tablet | Combivir<br>1 tablet BID | | | |
| Epzicom<br>3TC with ABC | Epzicom<br>(3TC 300 mg + ABC 600 mg) tablet | Epzicom<br>1 tablet once daily | | | |
| Trizivir<br>3TC with ZDV+ABC | Trizivir<br>(3TC 150 mg + ZDV 300 mg + ABC 300 mg) tablet | Trizivir<br>1 tablet BID | | | |
| **Stavudine** (d4T)/ Zerit<br><br>Generic available | Zerit<br>• 15, 20, 30, and 40 mg capsules<br><br>• 1 mg/mL oral solution | **Body weight ≥60 kg:**<br>40 mg BID<br><br>**Body weight <60 kg:**<br>30 mg BID<br><br>Take without regard to meals<br><br>Note: WHO recommends 30 mg BID dosing regardless of body weight. | Renal excretion 50%<br><br>Dosage adjustment in patients with renal insufficiency is recommended (see Appendix B, Table 7). | 1 hours/ 7.5 hours | • Peripheral neuropathy<br><br>• Lipoatrophy<br><br>• Pancreatitis<br><br>• Lactic acidosis/severe hepatomegaly with hepatic steatosis (rare but potentially life-threatening toxicity)<br><br>• Hyperlipidemia<br><br>• Insulin resistance/diabetes mellitus<br><br>• Rapidly progressive ascending neuromuscular weakness (rare) |

**Appendix B, Table 1. Characteristics of Nucleoside Reverse Transcriptase Inhibitors (Last updated February 12, 2013; last reviewed February 12, 2013) (page 4 of 5)**

| Generic Name (Abbreviation)/ Trade Name | Formulations | Dosing Recommendations (For dosage adjustment in renal or hepatic insufficiency, see Appendix B, Table 7.) | Elimination | Serum/ Intracellular Half-Lives | Adverse Events (Also see Table 13.) |
|---|---|---|---|---|---|
| **Tenofovir Disoproxil Fumarate** (TDF)/ Viread<br>**Also available as a component of fixed-dose combinations:** | Viread<br>• 150, 200, 250, 300 mg tablets<br>• 40 mg/g oral powder | Viread<br>300 mg once daily or 7.5 scoops once daily<br>Take without regard to meals<br>Mix oral powder with 2–4 ounces of soft food that does not require chewing (e.g., applesauce, yogurt). **DO NOT MIX ORAL POWDER WITH LIQUID.** | Renal excretion<br>Dosage adjustment in patients with renal insufficiency is recommended (see Appendix B, Table 7). | 17 hours/ >60 hours | • Renal insufficiency, Fanconi syndrome, proximal tubulopathy<br>• Osteomalacia, decrease in bone mineral density<br>• Potential decrease in bone mineral density<br>• Severe acute exacerbation of hepatitis may occur in HBV-co-infected patients who discontinue TDF.<br>• Asthenia, headache, diarrhea, nausea, vomiting, and flatulence |
| Atripla<br>TDF with EFV+FTC | Atripla<br>(TDF 300 mg + EFV 600 mg + FTC 200 mg) tablet | Atripla<br>1 tablet at or before bedtime<br>Take on an empty stomach to reduce side effects | | | |
| Complera<br>TDF with RPV+FTC | Complera<br>(TDF 300 mg + RPV 25 mg + FTC 200 mg) tablet | Complera<br>1 tablet once daily<br>Take with a meal | | | |
| Stribild<br>TDF with EVG+COBI+ FTC | Stribild<br>(TDF 300 mg + EVG 150 mg + COBI 150 mg + FTC 200 mg) tablet | Stribild<br>1 tablet once daily with food | | | |
| Truvada<br>TDF with FTC | Truvada<br>(TDF 300 mg + FTC 200 mg) tablet | Truvada<br>1 tablet once daily<br>Take without regard to meals | | | |

## Appendix B, Table 1. Characteristics of Nucleoside Reverse Transcriptase Inhibitors (Last updated February 12, 2013; last reviewed February 12, 2013) (page 5 of 5)

| Generic Name (Abbreviation)/ Trade Name | Formulations | Dosing Recommendations (For dosage adjustment in renal or hepatic insufficiency, see Appendix B, Table 7.) | Elimination | Serum/ Intracellular Half-Lives | Adverse Events (Also see Table 13.) |
|---|---|---|---|---|---|
| **Zidovudine** (ZDV)/ Retrovir<br>Generic available<br>**Also available as a component of fixed-dose combinations** | Retrovir<br>• 100 mg capsule<br>• 300 mg tablet (generic only)<br>• 10 mg/mL intravenous solution<br>• 10 mg/mL oral solution | Retrovir<br>300 mg BID or<br>200 mg TID<br>Take without regard to meals | Metabolized to GAZT<br>Renal excretion of GAZT<br>Dosage adjustment in patients with renal insufficiency is recommended (see Appendix B, Table 7). | 1.1 hours/ 7 hours | • Bone marrow suppression: macrocytic anemia or neutropenia<br>• Nausea, vomiting, headache, insomnia, asthenia<br>• Nail pigmentation<br>• Lactic acidosis/severe hepatomegaly with hepatic steatosis (rare but potentially life-threatening toxicity)<br>• Hyperlipidemia<br>• Insulin resistance/diabetes mellitus<br>• Lipoatrophy<br>• Myopathy |
| Combivir<br>ZDV with 3TC<br>Generic available | Combivir<br>(ZDV 300 mg + 3TC 150 mg) tablet | Combivir<br>1 tablet BID | | | |
| Trizivir<br>ZDV with 3TC+ ABC | Trizivir<br>(ZDV 300 mg + 3TC 150 mg + ABC 300 mg) tablet | Trizivir<br>1 tablet BID | | | |

**Key to Abbreviations:** 3TC = lamivudine, ABC = abacavir, BID = twice daily, COBI = cobicistat, d4T = stavudine, ddI = didanosine, EC = enteric coated, EFV = efavirenz, EVG = elvitegravir, FTC = emtricitabine, GAZT = azidothymidine glucuronide, HBV = hepatitis B virus, HLA = human leukocyte antigen, HSR = hypersensitivity reaction, MI = myocardial infarction, RPV = rilpivirine, TDF = tenofovir disoproxil fumarate, TID = three times a day, WHO = World Health Organization, ZDV = zidovudine