Appendix B, Table 2. Characteristics of Non-Nucleoside Reverse Transcriptase Inhibitors (NNRTIs) (Updated January 10, 2011)

Generic Name (abbreviation)/ Trade Name	Formulations	Dosing Recommendations (For dosage adjustment in renal or hepatic insufficiency, see Appendix B, Table 7.)	Elimination	Serum Half-life	Adverse Events (Also see Table 13)
Delavirdine (DLV)/ Rescriptor	100-, 200-mg tablets	400 mg TID (Four 100-mg tablets can be dispersed in >3 oz. of water to produce a slurry; 200-mg tablets should be taken as intact tablets.) Take without regard to meals Separate dose from antacids by 1 hour	CYP3A4 substrate and inhibitor; 51% excreted in urine (<5% unchanged) and 44% in feces	5.8 hrs	• Rash* • Increased transaminase levels • Nausea, headache
Efavirenz (EFV)/ Sustiva **Also available as**:	• 50-, 200-mg capsules • 600-mg tablets	600 mg once daily at or before bedtime Take on an empty stomach to reduce side effects	Metabolized by CYPs 2B6 and 3A4 CYP3A4 mixed inducer/ inhibitor (more an inducer than an inhibitor)	40–55 hrs	• Rash* • Neuropsychiatric symptoms† • Increased transaminase levels • Hyperlipidemia • False-positive results reported with some cannabinoid and benzodiazepine screening assays • Teratogenic in nonhuman primates and potentially teratogenic in humans
Atripla EFV with FTC + TDF	Atripla EFV 600 mg + FTC 200 mg + TDF 300 mg	Atripla 1 tablet once daily at or before bedtime			
Etravirine (ETR)/ Intelence	• 100-mg tablets • 200-mg tablets	200 mg BID Take following a meal	CYP3A4, 2C9, and 2C19 substrate 3A4 inducer; 2C9 and 2C19 inhibitor	41 +/– 20 hrs	• Rash, including Stevens-Johnson syndrome* • Hypersensitivity reactions (HSRs) have been reported, characterized by rash, constitutional findings, and sometimes organ dysfunction, including hepatic failure • Nausea
Nevirapine (NVP)/ Viramune	• 200-mg tablets • 50-mg/5-mL oral suspension	200 mg once daily for 14 days (lead-in period); thereafter, 200 mg BID Take without regard to meals Repeat lead-in period if therapy is discontinued for >7 days In patients who develop mild to moderate rash without constitutional symptoms, continue lead-in period until rash resolves but no longer than 28 days total.	CYP450 substrate, inducer of 3A4 and 2B6; 80% excreted in urine (glucuronidated metabolites, <5% unchanged); 10% in feces	25–30 hrs	• Rash, including Stevens-Johnson syndrome* • Symptomatic hepatitis, including fatal hepatic necrosis, has been reported‡

* During clinical trials, NNRTI was discontinued because of rash among 7% of NVP-treated, 4.3% of DLV-treated, 1.7% of EFV-treated, and 2% of ETR-treated patients. Rare cases of Stevens-Johnson syndrome have been reported with all NNRTIs; the highest incidence was seen with NVP.

† Adverse events can include dizziness, somnolence, insomnia, abnormal dreams, confusion, abnormal thinking, impaired concentration, amnesia, agitation, depersonalization, hallucinations, and euphoria. Approximately 50% of patients receiving EFV may experience any of these symptoms. Symptoms usually subside spontaneously after 2–4 weeks, but may necessitate discontinuation of EFV in a small percentage of patients.

‡ Symptomatic, sometimes serious, and even fatal hepatic events (accompanied by rash in approximately 50% of cases) occur at significantly higher frequency in ARV-naïve female patients with pre-NVP CD4 counts >250 cells/mm^3 or in ARV-naïve male patients with pre-NVP CD4 counts >400 cells/mm^3. NVP should not be initiated in these patients unless the benefit clearly outweighs the risk. This toxicity has not been observed when NVP is given as single doses to mothers or infants for prevention of mother-to-child transmission of HIV.

Appendix B, Table 3. Characteristics of Protease Inhibitors (PIs) (Updated January 10, 2011)

Generic Name (abbreviation)/ Trade Name	Formulations	Dosing Recommendations (For dosage adjustment in hepatic insufficiency, see Appendix B, Table 7)	Elimination	Serum Half-life	Storage	Adverse Events (Also see Table 13)
Atazanavir (ATV)/ Reyataz	100-, 150-, 200-, 300-mg capsules	ARV-naïve patients: 400 mg once daily or (ATV 300 mg + RTV 100 mg) once daily With TDF or for ARV-experienced patients: (ATV 300 mg + RTV 100 mg) once daily With EFV in ARV-naïve patients: (ATV 400 mg + RTV 100 mg) once daily (For dosing recommendations with H_2 antagonists and proton pump inhibitor (PPIs), refer to Table 16a) Take with food	CYP3A4 inhibitor and substrate Dosage adjustment in hepatic insufficiency recommended (See Appendix B, Table 7.)	7 hrs	Room temperature (up to 25ºC or 77ºF)	• Indirect hyperbilirubinemia • PR interval prolongation: First degree symptomatic atrioventricular (AV) block reported. Use with caution in patients with underlying conduction defects or on concomitant medications that can cause PR prolongation. • Hyperglycemia • Fat maldistribution • Possible increased bleeding episodes in patients with hemophilia • Nephrolithiasis • Skin rash (20%) • Serum transaminase elevations • Hyperlipidemia (especially with RTV boosting)
Darunavir (DRV)/ Prezista	75-, 150-, 300-, 400-, 600-mg tablets	ARV-naïve patients or ARV-experienced patients with no DRV mutations: (DRV 800 mg + RTV 100 mg) once daily ARV-experienced patients with at least one DRV mutation: (DRV 600 mg + RTV 100 mg) BID Unboosted DRV is **not** recommended Take with food	CYP3A4 inhibitor and substrate	15 hrs (when combined with RTV)	Room temperature (up to 25ºC or 77ºF)	• Skin rash (10%): DRV has a sulfonamide moiety; Stevens-Johnson syndrome and erythrema multiforme have been reported. • Hepatotoxicity • Diarrhea, nausea • Headache • Hyperlipidemia • Serum transaminase elevation • Hyperglycemia • Fat maldistribution • Possible increased bleeding episodes in patients with hemophilia
Fosamprenavir (FPV)/ Lexiva (a prodrug of amprenavir [APV])	• 700-mg tablet • 50-mg/mL oral suspension	ARV-naïve patients: • FPV 1,400 mg BID or • (FPV 1,400 mg + RTV 100–200 mg) once daily or • (FPV 700 mg + RTV 100 mg) BID PI-experienced patients (once-daily dosing **not** recommended): • (FPV 700 mg + RTV 100 mg) BID With EFV: • (FPV 700 mg + RTV 100 mg) BID or • (FPV 1,400 mg + RTV 300 mg) once daily *Tablet*: Take without regard to meals (if not boosted with RTV tablet) *Suspension*: Take without food *FPV w/RTV tablet*: Take with meals	APV is a CYP3A4 substrate, inhibitor, and inducer Dosage adjustment in hepatic insufficiency recommended (See Appendix B, Table 7.)	7.7 hrs (APV)	Room temperature (up to 25ºC or 77ºF)	• Skin rash (12%–19%) – FPV has a sulfonamide moiety • Diarrhea, nausea, vomiting • Headache • Hyperlipidemia • Serum transaminase elevation • Hyperglycemia • Fat maldistribution • Possible increased bleeding episodes in patients with hemophilia • Nephrolithiasis

Appendix B, Table 3. Characteristics of Protease Inhibitors (PIs)
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Generic Name (abbreviation)/ Trade Name	Formulations	Dosing Recommendations (For dosage adjustment in hepatic insufficiency, see Appendix B, Table 7)	Elimination	Serum Half-life	Storage	Adverse Events (Also see Table 13)
Indinavir (IDV)/ Crixivan	100-, 200-, 400-mg capsules	800 mg every 8 hrs Take 1 hour before or 2 hours after meals; may take with skim milk or low-fat meal With RTV: (IDV 800 mg + RTV 100–200 mg) BID Take without regard to meals	CYP3A4 inhibitor and substrate Dosage adjustment in hepatic insufficiency recommended (See Appendix B, Table 7.)	1.5–2 hrs	Room temperature (15º–30ºC/ 59º–86ºF) Protect from moisture	• Nephrolithiasis • GI intolerance, nausea • Hepatitis • Indirect hyperbilirubinemia • Hyperlipidemia • Headache, asthenia, blurred vision, dizziness, rash, metallic taste, thrombocytopenia, alopecia, and hemolytic anemia • Hyperglycemia • Fat maldistribution • Possible increased bleeding episodes in patients with hemophilia
Lopinavir + Ritonavir (LPV/r)/ Kaletra	Tablets: (LPV 200 mg + RTV 50 mg) or (LPV 100 mg + RTV 25 mg) Oral solution: Each 5 mL contains (LPV 400 mg + RTV 100 mg) Oral solution contains 42% alcohol	LPV/r 400-mg/100-mg BID or LPV/r 800-mg/200-mg once daily Once-daily dosing is not recommended for patients with ≥3 LPV-associated mutations, pregnant women, or patients receiving EFV, NVP, FPV, NFV, carbamazepine, phenytoin, or phenobarbital. With EFV or NVP (PI-naïve or PI-experienced patients): LPV/r 500-mg/125-mg tablets BID (Use a combination of two LPV/r 200-mg/50-mg tablets + one LPV/r 100-mg/25-mg tablet to make a total dose of LPV/r 500 mg/125 mg.) or LPV/r 533-mg/133-mg oral solution BID *Tablet*: Take without regard to meals *Oral solution*: Take with food	CYP3A4 inhibitor and substrate	5–6 hrs	Oral tablet is stable at room temperature. Oral solution is stable at 2°–8°C (36°–46°F) until date on label and is stable when stored at room temperature (up to 25ºC or 77ºF) for 2 months.	• GI intolerance, nausea, vomiting, diarrhea • Pancreatitis • Asthenia • Hyperlipidemia (especially hypertriglyceridemia) • Serum transaminase elevation • Hyperglycemia • Insulin resistance/diabetes mellitus • Fat maldistribution • Possible increased bleeding episodes in patients with hemophilia • PR interval prolongation • QT interval prolongation and torsades de pointes have been reported; however, causality could not be established.
Nelfinavir (NFV)/ Viracept	• 250-, 625-mg tablets • 50-mg/g oral powder	1,250 mg BID or 750 mg TID May dissolve tablets in a small amount of water; once dissolved, patients should mix the cloudy liquid well and consume it immediately. Take with food	CYP2C19 and 3A4 substrate—metabolized to active M8 metabolite; CYP 3A4 inhibitor	3.5–5 hrs	Room temperature (15º–30ºC/ 59º–86ºF)	• Diarrhea • Hyperlipidemia • Hyperglycemia • Fat maldistribution • Possible increased bleeding episodes in patients with hemophilia • Serum transaminase elevation