

Please refer to the **What to Start** section of the Adult Guidelines for more detailed discussions.

Appendix Table 3. Characteristics of Non-Nucleoside Reverse Transcriptase Inhibitors (NNRTIs)
(Updated **November 3, 2008**)

Generic Name (abbreviation)/ Trade Name	Formulation	Dosing Recommendations	Food Effect	Oral Bio-availability	Serum half-life	Elimination	Adverse Events
Delavirdine (DLV)/ RESCRIPTOR	100mg tablets or 200mg tablets	400mg 3 times/day; four 100mg tablets can be dispersed in ≥3 oz. of water to produce slurry; 200mg tablets should be taken as intact tablets; separate dose from antacids by 1 hour	Take without regard to meals	85%	5.8 hours	Metabolized by cytochrome P450 (3A inhibitor); 51% excreted in urine (<5% unchanged); 44% in feces	<ul style="list-style-type: none"> • Rash* • Increased transaminase levels • Headaches
Efavirenz (EFV)/ SUSTIVA Also available as ATRIPLA - with FTC + TDF	50, 100, 200mg capsules or 600mg tablets <u>ATRIPLA</u> - EFV 600mg + FTC 200mg + TDF 300mg	600mg daily on an empty stomach, at or before bedtime	High-fat/high-caloric meals increase peak plasma concentrations of capsules by 39% and tablets by 79%; take on an empty stomach	Data not available	40–55 hours	Metabolized by cytochrome P450 (3A mixed inducer/ inhibitor); No dosage adjustment in renal insufficiency if EFV is used alone; <u>ATRIPLA</u> - not for patients with CrCl <50 mL/min	<ul style="list-style-type: none"> • Rash* • Central nervous system symptoms† • Increased transaminase levels • False-positive cannabinoid test • Teratogenic in monkeys‡
Etravirine (ETR)/ INTELENCE	100mg tablets	200mg twice daily following a meal	Take following a meal. Fasting conditions reduce drug exposure by approximately 50%	Unknown	41 ± 20 hours	Metabolized by cytochrome P450 (3A4, 2C9, and 2C19 substrate, 3A4 inducer, 2C9 and 2C19 inhibitor)	<ul style="list-style-type: none"> • Rash* • Nausea
Nevirapine (NVP)/ VIRAMUNE	200mg tablets or 50mg/5 mL oral suspension	200mg daily for 14 days; thereafter, 200mg by mouth twice daily	Take without regard to meals	>90%	25–30 hours	Metabolized by cytochrome P450 (3A inducer); 80% excreted in urine (glucuronidated metabolites; <5% unchanged); 10% in feces Not recommended in patients with moderate-to-severe hepatic impairment (Child Pugh B or C) Dosage adjustment in hepatic insufficiency recommended (See Appendix Table 8)	<ul style="list-style-type: none"> • Rash including Stevens-Johnson syndrome* • Symptomatic hepatitis, including fatal hepatic necrosis, have been reported‡

* During clinical trials, NNRTI was discontinued because of rash among 7% of patients taking nevirapine, 4.3% of patients taking delavirdine, 1.7% of patients taking efavirenz, and 2% of patients taking etravirine. Rare cases of Stevens-Johnson syndrome have been reported with the use of all four NNRTIs, the highest incidence seen with nevirapine use.

† Adverse events can include dizziness, somnolence, insomnia, abnormal dreams, confusion, abnormal thinking, impaired concentration, amnesia, agitation, depersonalization, hallucinations, and euphoria. Overall frequency of any of these symptoms associated with use of efavirenz was 52%, as compared with 26% among controls subjects; 2.6% of those persons on efavirenz discontinued the drug because of these symptoms; symptoms usually subside spontaneously after 2–4 weeks.

‡ Symptomatic, sometimes serious, and even fatal hepatic events (accompanied by rash in approximately 50% of cases) occur with significantly higher frequency in treatment-naive female patients with prenevirapine CD4 counts >250 cells/mm³ or in treatment-naive male patients with prenevirapine CD4 counts >400 cells/mm³. Nevirapine should not be initiated in these patients unless the benefit clearly outweighs the risk. This toxicity has not been observed when nevirapine is given as single doses to mothers or infants for prevention of mother-to-child HIV transmission.