

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

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## Appendix B, Table 4. Characteristics of Integrase Inhibitors (Last updated February 12, 2013; last reviewed February 12, 2013)

Generic Name (Abbreviation)/ Trade Name	Formulations	Dosing Recommendations (For dosage adjustment in hepatic insufficiency, see Appendix B, Table 7.)	Serum Half-Life	Route of Metabolism	Adverse Events (Also see <u>Table 13</u> .)
Raltegravir (RAL)/ Isentress	400 mg tablet 25 and 100 mg chewable tablets	400 mg BID  With rifampin: 800 mg BID  Take without regard to meals	~9 hours	UGT1A1- mediated glucuronidation	<ul> <li>Rash, including Stevens-Johnson syndrome, HSR, and toxic epidermal necrolysis</li> <li>Nausea</li> <li>Headache</li> <li>Diarrhea</li> <li>Pyrexia</li> <li>CPK elevation, muscle weakness, and rhabdomyolysis</li> </ul>
Elvitegravir (EVG) Currently only available as a co-formulated product with:  Cobicistat (COBI)/ TDF/FTC  Stribild	(EVG 150 mg + COBI 150 mg + TDF 300 mg + FTC 200 mg) tablet	1 tablet once daily with food  Not recommended for patients with baseline CrCl< 70 mL/min. See Appendix B, Table 7 for the equation for calculating CrCl.  Not recommended for use with other antiretroviral drugs	~13 hours	EVG: CYP3A, UGT1A1/3 COBI: CYP3A, CYP2D6 (minor)	<ul> <li>Nausea</li> <li>Diarrhea</li> <li>New onset or worsening renal impairment</li> <li>Potential decrease in bone mineral density</li> <li>Severe acute exacerbation of hepatitis may occur in HBV-coinfected patients who discontinue FTC and TDF.</li> </ul>

**Key to Abbreviations:** BID = twice daily, COBI = cobicistat, CPK = creatine phosphokinase, CrCI = creatinine clearance, EVG = elvitegravir, FTC = emtricitabine, HSR = hypersensitivity reaction, RAL = raltegravir, TDF = tenofovir, UGT = uridine diphosphate gluconyltransferase