Appendix B, Table 4. Characteristics of Integrase Inhibitor (Updated January 10, 2011)

Generic Name (abbreviation)/ Trade Name	Formulations	Dosing Recommendations (For dosage adjustment in hepatic insufficiency, see <u>Appendix, Table 7</u> .)	Serum half-life	Route of Metabolism	Adverse Events (Also see <u>Table 13</u>)
Raltegravir (RAL)/ Isentress	400 mg tablets	400 mg BID With rifampin: 800 mg BID	~9 hrs	UGT1A1- mediated glucuronidation	 Nausea Headache Diarrhea Pyrexia CPK elevation, muscle weakness and
		Take without regard to meals			rhabdomyolysis

Appendix B, Table 5. Characteristics of Fusion Inhibitor (Updated January 29, 2008)

Generic Name (abbreviation)/ Trade Name	Formulations	Dosing Recommendation	Serum half-life	Elimination	Storage	Adverse Events (Also see <u>Table 13</u>)
Enfuvirtide (T20)/ Fuzeon	Injectable—supplied as lyophilized powder Each vial contains 108 mg of T20; reconstitute with 1.1mL of sterile water for injection for delivery of approximately 90mg/1mL.	90 mg (1mL) subcutaneously BID	3.8 hrs	Expected to undergo catabolism to its constituent amino acids, with subsequent recycling of the amino acids in the body pool	Store at room temperature (up to 25°C or 77°F). Reconstituted solution should be refrigerated at 2°C-8°C (36°F-46F°) and used within 24 hours.	Local injection site reactions in almost 100% of patients (pain, erythema, induration, nodules and cysts, pruritus, ecchymosis) Increased bacterial pneumonia Hypersensitivity reaction (<1%): Symptoms may include rash, fever, nausea, vomiting, chills, rigors, hypotension, or elevated serum transaminases. Rechallenge is not recommended.

Appendix B, Table 6. Characteristics of CCR5 Antagonist (Updated January 29, 2008)

Generic Name (abbreviation)/ Trade Name	Formulation	Dosing Recommendations (For dosage adjustment in hepatic insufficiency, see Appendix, Table 7 .)	Serum Half-life	Elimination	Adverse Events (Also see <u>Table 13</u>)
Maraviroc (MVC)/ Selzentry	150-, 300-mg tablets	• 150 mg BID when given with strong CYP3A inhibitors (with or without CYP3A inducers) including PIs (except TPV/r) • 300 mg BID when given with NRTIs, T-20, TPV/r, NVP, RAL, and other drugs that are not strong CYP3A inhibitors or inducers • 600 mg BID when given with CYP3A inducers, including EFV, ETR, etc. (without a CYP3A inhibitor) Take without regard to meals	14–18 hrs	CYP3A4 substrate	 Abdominal pain Cough Dizziness Musculoskeletal symptoms Pyrexia Rash Upper respiratory tract infections Hepatotoxicity Orthostatic hypotension