



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 July 14, 2016; last reviewed July 14, 2016) (page 1 of 2)

Generic Name (Abbreviation) Trade Name	Formulations	Dosing Recommendations ^a	Elimination/ Metabolic Pathways	Serum Half- Life	Adverse Events ^b
Dolutegravir (DTG) <i>Tivicay</i> Also available as a component of fixed-dose combination (by trade name and abbreviation):	<ul style="list-style-type: none"> • 50 mg tablet 	<u>ARV-Naive or ARV-Experienced, INSTI-Naive Patients:</u> <ul style="list-style-type: none"> • 50 mg once daily <u>ARV-Naive or ARV-Experienced, INSTI-Naive Patients when Co-Administered with EFV, FPV/r, TPV/r, or Rifampin:</u> <ul style="list-style-type: none"> • 50 mg BID <u>INSTI-Experienced Patients with Certain INSTI Mutations (See Product Label) or with Clinically Suspected INSTI Resistance:</u> <ul style="list-style-type: none"> • 50 mg BID Take without regard to meals.	UGT1A1 mediated glucuronidation Minor contribution from CYP3A4	~14 hours	<ul style="list-style-type: none"> • HSRs, including rash, constitutional symptoms, and organ dysfunction (including liver injury) have been reported. • Insomnia • Headache • Depression and suicidal ideation (rare; usually in patients with pre-existing psychiatric conditions)
<i>Triumeq</i> (DTG/ABC/3TC)	<u>Triumeq:</u> <ul style="list-style-type: none"> • (DTG 50 mg plus ABC 600 mg plus 3TC 300 mg) tablet 	<u>Triumeq:</u> <ul style="list-style-type: none"> • Take 1 tablet daily without regard to meals. 			
Elvitegravir (EVG) <i>Vitekta</i> Also available as a component of fixed-dose combinations (by trade name and abbreviation):	<ul style="list-style-type: none"> • 85 and 150 mg tablets 	<u>With Once Daily ATV/r or BID LPV/r:</u> <ul style="list-style-type: none"> • 85 mg once daily with food <u>With BID DRV/r, FPV/r, or TPV/r:</u> <ul style="list-style-type: none"> • 150 mg once daily with food Unboosted EVG is not recommended.	CYP3A, UGT1A1/3 substrate	~9 hours	<ul style="list-style-type: none"> • Nausea • Diarrhea • Depression and suicidal ideation (rare; usually in patients with pre-existing psychiatric conditions)
<i>Genvoya</i> (EVG/c/FTC/TAF)	<u>Genvoya:</u> <ul style="list-style-type: none"> • (EVG 150 mg plus COBI 150 mg plus FTC 200 mg plus TAF 10 mg) tablet 	<u>Genvoya:</u> <ul style="list-style-type: none"> • 1 tablet once daily with food Not recommended for patients with CrCl <30 mL/min (see Appendix B, Table 7 for the equation for calculating CrCl). Not recommended for use with other ARV drugs.	EVG: As above COBI: CYP3A, CYP2D6 (minor); CYP3A inhibitor	~13 hours	
<i>Stribild</i> (EVG/c/FTC/TDF)	<u>Stribild:</u> <ul style="list-style-type: none"> • (EVG 150 mg plus COBI 150 mg plus FTC 200 mg plus TDF 300 mg) tablet 	<u>Stribild:</u> <ul style="list-style-type: none"> • 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 ARV drugs.		~13 hours	

Appendix B, Table 4. Characteristics of Integrase Inhibitors (Last updated July 14, 2016; last reviewed July 14, 2016) (page 2 of 2)

Generic Name (Abbreviation) Trade Name	Formulations	Dosing Recommendations ^a	Elimination/ Metabolic Pathways	Serum Half- Life	Adverse Events ^b
Raltegravir (RAL) <i>Isentress</i>	<ul style="list-style-type: none"> • 400 mg tablet • 25 and 100 mg chewable tablets • 100 mg single packet for oral suspension 	<ul style="list-style-type: none"> • 400 mg BID <p><u>With Rifampin:</u></p> <ul style="list-style-type: none"> • 800 mg BID <p>Take without regard to meals.</p>	UGT1A1-mediated glucuronidation	~9 hours	<ul style="list-style-type: none"> • Rash, including Stevens-Johnson syndrome, HSR, and toxic epidermal necrolysis • Nausea • Headache • Diarrhea • Pyrexia • CPK elevation, muscle weakness, and rhabdomyolysis • Insomnia • Depression and suicidal ideation (rare; usually in patients with pre-existing psychiatric conditions)

^a For dosage adjustment in hepatic insufficiency, see [Appendix B, Table 7](#).

^b Also see [Table 14](#).

Key to Abbreviations: 3TC = lamivudine; ABC = abacavir; ARV = antiretroviral; ATV/r = atazanavir/ritonavir; BID = twice daily; c, COBI = cobicistat; CPK = creatine phosphokinase; CrCl = creatinine clearance; CYP = cytochrome P; DRV/r = darunavir/ritonavir; DTG = dolutegravir; EFV = efavirenz; EVG = elvitegravir; FPV/r = fosamprenavir/ritonavir; FTC = emtricitabine; HBV = hepatitis B virus; HSR = hypersensitivity reaction; INSTI = integrase strand transfer inhibitor; LPV/r = lopinavir/ritonavir; RAL = raltegravir; **TAF = tenofovir alafenamide**; TDF = tenofovir disoproxil fumarate; TPV/r = tipranavir/ritonavir; UGT = uridine diphosphate glucosyltransferase

Appendix B, Table 5. Characteristics of Fusion Inhibitor (Last updated January 29, 2008; last reviewed April 8, 2015)

Generic Name (Abbreviation) Trade Name	Formulation	Dosing Recommendation	Serum Half-Life	Elimination	Storage	Adverse Events ^a
Enfuvirtide (T20) <i>Fuzeon</i>	<ul style="list-style-type: none"> • Injectable; supplied as lyophilized powder • Each vial contains 108 mg of T20; reconstitute with 1.1 mL of sterile water for injection for delivery of approximately 90 mg/1 mL. 	<ul style="list-style-type: none"> • 90 mg (1 mL) subcutaneously BID 	3.8 hours	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). Re-constituted solution should be refrigerated at 2° to 8° C (36° to 46° F) and used within 24 hours.	<ul style="list-style-type: none"> • Local injection site reactions (e.g., pain, erythema, induration, nodules and cysts, pruritus, ecchymosis) in almost 100% of patients • Increased incidence of bacterial pneumonia • HSR (<1% of patients): Symptoms may include rash, fever, nausea, vomiting, chills, rigors, hypotension, or elevated serum transaminases. Re-challenge is not recommended.

^a Also see [Table 14](#).

Key to Abbreviations: BID = twice daily; HSR = hypersensitivity reaction; T20 = enfuvirtide