



Guidelines for the Use of Antiretroviral Agents in Adults and Adolescents with HIV

Downloaded from <https://aidsinfo.nih.gov/guidelines> on 9/13/2019

Visit the *AIDSinfo* website to access the most up-to-date guideline.

Register for e-mail notification of guideline updates at <https://aidsinfo.nih.gov/e-news>.

Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors (Last updated July 10, 2019; last reviewed July 10, 2019) (page 1 of 2)

Generic Name (Abbreviation) Trade Name	Formulations	Dosing Recommendations ^a	Elimination/ Metabolic Pathways	Serum Half-Life	Adverse Events ^b
Bictegravir (BIC)	BIC is only available as part of the STR Biktarvy (BIC/TAF/FTC). ^c	Biktarvy: • One tablet once daily	CYP3A4 substrate UGT1A1-mediated glucuronidation	~17 hours	Diarrhea Nausea Headache
Dolutegravir (DTG) <i>Tivicay</i>	Tivicay: • 50 mg tablet STRs that Contain DTG: ^c • Dovato (DTG/3TC) • Juluca (DTG/RPV) • Triumeq (DTG/ABC/3TC)	<i>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients:</i> • DTG 50 mg once daily <i>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients when Coadministered with EFV, FPV/r, TPV/r, or Rifampin:</i> • DTG 50 mg twice daily <i>INSTI-Experienced Patients with Certain INSTI Mutations (See Product Label) or with Clinically Suspected INSTI Resistance:</i> • DTG 50 mg twice daily See Appendix B, Table 1 for dosing information for STRs that contain DTG.	UGT1A1-mediated glucuronidation Minor substrate of CYP3A4	~14 hours	Insomnia Headache Depression and suicidal ideation (rare; usually occurs in patients with pre-existing psychiatric conditions) Weight gain Hepatotoxicity Preliminary data suggest an increased rate of neural tube defects in infants born to mothers who were taking DTG at the time of conception. HSRs, including rash, constitutional symptoms, and organ dysfunction (including liver injury), have been reported.
Elvitegravir (EVG) Note: EVG is only available as a component of an FDC tablet that also contains COBI, FTC, and either TDF or TAF.	STRs that Contain EVG: ^c • Genvoya (EVG/c/TAF/FTC) • Stribild (EVG/c/TDF/FTC)	Genvoya: • One tablet once daily with food • See Appendix B, Table 10 for dosing recommendations in persons with renal insufficiency. Stribild: • One tablet once daily with food • Not recommended for patients with baseline CrCl <70 mL/min (see Appendix B, Table 10 for the equation for calculating CrCl).	EVG: • CYP3A and UGT1A1/3 substrate COBI: • CYP3A inhibitor and substrate • CYP2D6 inhibitor	~13 hours (EVG/c)	Nausea Diarrhea Depression and suicidal ideation (rare; usually occurs in patients with pre-existing psychiatric conditions)

Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors (Last updated July 10, 2019; last reviewed July 10, 2019) (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> <i>Isentress HD</i>	Isentress: <ul style="list-style-type: none"> • 400 mg tablet • 25 and 100 mg chewable tablets • 100 mg single packet for oral suspension Isentress HD: <ul style="list-style-type: none"> • 600 mg tablet 	Isentress <i>In ARV-Naive Patients or ARV-Experienced Patients:</i> <ul style="list-style-type: none"> • 400 mg twice daily <i>With Rifampin:</i> <ul style="list-style-type: none"> • 800 mg twice daily Isentress HD <i>In ARV-Naive or ARV-Experienced Patients with Virologic Suppression on a Regimen of RAL 400 mg Twice Daily:</i> <ul style="list-style-type: none"> • 1,200 mg (two 600-mg tablets) once daily <i>With Rifampin:</i> <ul style="list-style-type: none"> • Not recommended 	UGT1A1-mediated glucuronidation	~9 hours	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 occurs in patients with pre-existing psychiatric conditions)

^a For dose adjustments in patients with hepatic insufficiency, see [Appendix B, Table 10](#). **When no food restriction is listed, the ARV drug can be taken with or without food.**

^b Also see [Table 17](#).

^c See [Appendix B, Table 1](#) for information about these formulations.

Key: 3TC = lamivudine; ABC = abacavir; ARV = antiretroviral; BIC = bictegravir; COBI = cobicistat; CPK = creatine phosphokinase; CrCl = creatinine clearance; CYP = cytochrome P; DTG = dolutegravir; EFV = efavirenz; EVG = elvitegravir; EVG/c = elvitegravir/cobicistat; FDC = fixed-dose combination; FPV/r = fosamprenavir/ritonavir; FTC = emtricitabine; HSR = hypersensitivity reaction; INSTI = integrase strand transfer inhibitor; RAL = raltegravir; RPV = rilpivirine; STR = single-tablet regimen; TAF = tenofovir alafenamide; TDF = tenofovir disoproxil fumarate; TPV/r = tipranavir/ritonavir; UGT = uridine diphosphate glucuronyl transferase

Appendix B, Table 7. Characteristics of the Fusion Inhibitor (Lasted updated January 29, 2008; last reviewed July 10, 2019)

Generic Name (Abbreviation) Trade Name	Formulation	Dosing Recommendation	Serum Half-Life	Elimination	Adverse Events ^a
Enfuvirtide (T-20) <i>Fuzeon</i>	Fuzeon: <ul style="list-style-type: none"> • Injectable; supplied as lyophilized powder. • Each vial contains 108 mg of T-20; reconstitute with 1.1 mL of sterile water for injection for delivery of approximately 90 mg/1 mL. • Refer to prescribing information for storage instruction. 	Fuzeon: <ul style="list-style-type: none"> • T-20 90 mg/1 mL SQ twice daily 	3.8 hours	Expected to undergo catabolism to its constituent amino acids, with subsequent recycling of the amino acids in the body pool	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 occurs in <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 17](#).

Key: HSR = hypersensitivity reaction; SQ = subcutaneous; T-20 = enfuvirtide