

Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors

(Last updated June 3, 2021; last reviewed June 3, 2021) (page 1 of 4)

| Generic Name (Abbreviation) Trade Name | Formulations | Dosing Recommendations ^a | Elimination/ Metabolic Pathways | Serum Half-Life | Adverse Events ^b |
|----------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------|--------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Bictegravir (BIC) | BIC is available only as a component of the STR Biktarvy (BIC/TAF/FTC). ^c | Biktarvy: <ul style="list-style-type: none"> One tablet PO once daily | CYP3A4 substrate UGT1A1-mediated glucuronidation | ~17 hours | Diarrhea Nausea Headache Weight gain |
| Cabotegravir (CAB) | Available as part of the copackaged intramuscular long-acting regimen Cabenuva (CAB IM and RPV IM): <ul style="list-style-type: none"> 400-mg/2-mL vial 600-mg/3-mL vial Also available in oral tablet formulation Vocabria (CAB PO): <ul style="list-style-type: none"> 30-mg tablet Must be obtained from manufacturer for oral lead-in and oral bridging during administration of Cabenuva (CAB IM/RPV IM) | See Appendix B, Table 1 for dosing information for coformulated and copackaged regimens that contain CAB. | UGT1A1 and UGT1A9-mediated glucuronidation | Oral: 41 hours IM: 6–12 weeks | Headache Nausea Abnormal dreams Anxiety Insomnia Depressive disorders Hepatotoxicity IM formulation only: Injection-site reactions (e.g., pain, induration, swelling, nodules) |

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|---------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------|--------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <p>Dolutegravir (DTG) <i>Tivicay</i></p> | <p>Tivicay:</p> <ul style="list-style-type: none"> 10 mg, 25 mg, and 50 mg tablets 5 mg soluble tablet <p>STRs that Contain DTG:^c</p> <ul style="list-style-type: none"> Dovato (DTG/3TC) Juluca (DTG/RPV) Triumeq (DTG/ABC/3TC) | <p>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients:</p> <ul style="list-style-type: none"> DTG 50 mg PO once daily <p>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients when Coadministered with EFV, FPV/r, TPV/r, or Rifampin:</p> <ul style="list-style-type: none"> DTG 50 mg PO twice daily <p>INSTI-Experienced Patients with Certain INSTI Mutations (See Product Label) or with Clinically Suspected INSTI Resistance:</p> <ul style="list-style-type: none"> DTG 50 mg PO twice daily <p>See Appendix B, Table 1 for dosing information for STRs that contain DTG.</p> | <p>UGT1A1-mediated glucuronidation</p> <p>Minor substrate of CYP3A4</p> | <p>~14 hours</p> | <p>Insomnia</p> <p>Headache</p> <p>Depression and suicidal ideation (rare; usually occurs in patients with preexisting psychiatric conditions)</p> <p>Weight gain</p> <p>Hepatotoxicity</p> <p>Potential for increased risk of NTDs in infants born to individuals who received DTG around the time of conception is lower than previously reported. Refer to INSTI section for more information.</p> <p>HSRs, including rash, constitutional symptoms, and organ dysfunction (including liver injury), have been reported.</p> |

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|------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Elvitegravir (EVG) | EVG is only available as a component of an STR tablet that also contains COBI, FTC, and either TDF or TAF. STRs that Contain EVG:^c <ul style="list-style-type: none"> • Genvoya (EVG/c/TAF/FTC) • Stribild (EVG/c/TDF/FTC) | Genvoya: <ul style="list-style-type: none"> • One tablet PO once daily with food • See Appendix B, Table 11 for recommendations on dosing in persons with renal insufficiency. Stribild: <ul style="list-style-type: none"> • One tablet PO once daily with food • Not recommended for patients with baseline CrCl <70 mL/min (see Appendix B, Table 11 for the CrCl calculation equation). | EVG: <ul style="list-style-type: none"> • CYP3A and UGT1A1/3 substrate COBI: <ul style="list-style-type: none"> • CYP3A inhibitor and substrate • CYP2D6 inhibitor | EVG/c: ~13 hours | Nausea Diarrhea Depression and suicidal ideation (rare; usually occurs in patients with preexisting psychiatric conditions) |
| Raltegravir (RAL) <i>Isentress</i> <i>Isentress HD</i> | Isentress: <ul style="list-style-type: none"> • 400-mg tablet • 25-mg and 10-mg chewable tablets • 100-mg single-use 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 PO twice daily <i>With Rifampin:</i> <ul style="list-style-type: none"> • 800 mg PO twice daily Isentress HD <i>In ARV-Naive or ARV-Experienced Patients with Virologic Suppression on a Regimen containing RAL 400 mg Twice Daily:</i> <ul style="list-style-type: none"> • 1,200 mg (two 600-mg tablets) PO 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 |

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|--------------------------------------------------------------------------------------------|--------------|-------------------------------------|------------------------------------|--------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------|
| Raltegravir (RAL) <i>ISENTRESS</i> <i>ISENTRESS HD</i> <i>continued</i> | | | | | Weight gain Insomnia Depression and suicidal ideation (rare; usually occurs in patients with preexisting psychiatric conditions) |

^a For dose adjustments in patients with hepatic insufficiency, see [Appendix B, Table 11](#). When no food restriction is listed, the ARV drug can be taken with or without food.

^b Also see [Table 20](#).

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

Key: 3TC = lamivudine; ABC = abacavir; ARV = antiretroviral; BIC = bictegravir; **CAB = cabotegravir**; COBI = cobicistat; CPK = creatine phosphokinase; CrCl = creatinine clearance; CYP = cytochrome P; DTG = dolutegravir; EFV = efavirenz; EVG = elvitegravir; EVG/c = elvitegravir/cobicistat; FPV/r = fosamprenavir/ritonavir; FTC = emtricitabine; HSR = hypersensitivity reaction; **IM = intramuscular**; INSTI = integrase strand transfer inhibitor; NTD = neural tube defect; PO = orally; RAL = raltegravir; RPV = rilpivirine; STR = single-tablet regimen; TAF = tenofovir alafenamide; TDF = tenofovir disoproxil fumarate; TPV/r = tipranavir/ritonavir; UGT1 = uridine diphosphate glucuronyl transferase 1 family