Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors
*(Last updated June 3, 2021; last reviewed June 3, 2021)* (page 1 of 4)

<table>
<thead>
<tr>
<th>Generic Name (Abbreviation)</th>
<th>Formulations</th>
<th>Dosing Recommendations*</th>
<th>Elimination/Metabolic Pathways</th>
<th>Serum Half-Life</th>
<th>Adverse Events*</th>
</tr>
</thead>
</table>
| **Bictegravir** (BIC)       | BIC is available only as a component of the STR Biktarvy (BIC/TAF/FTC).³ | **Biktarvy:**  
  - 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):  
  - 400-mg/2-mL vial  
  - 600-mg/3-mL vial  
 Also available in oral tablet formulation Vocabria (CAB PO):  
  - 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) |
### Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors

*(Last updated June 3, 2021; last reviewed June 3, 2021)*

<table>
<thead>
<tr>
<th>Generic Name (Abbreviation)</th>
<th>Trade Name</th>
<th>Formulations</th>
<th>Dosing Recommendationsa</th>
<th>Elimination/ Metabolic Pathways</th>
<th>Serum Half-Life</th>
<th>Adverse Eventsb</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dolutegravir (DTG)</td>
<td>Tivicay</td>
<td><strong>Tivicay:</strong></td>
<td>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients:</td>
<td>UGT1A1-mediated glucuronidation</td>
<td>~14 hours</td>
<td>Insomnia</td>
</tr>
<tr>
<td></td>
<td></td>
<td>• 10 mg, 25 mg, and 50 mg tablets</td>
<td>• DTG 50 mg PO once daily</td>
<td>Minor substrate of CYP3A4</td>
<td></td>
<td>Headache</td>
</tr>
<tr>
<td></td>
<td></td>
<td>• 5 mg soluble tablet</td>
<td>In ARV-Naive or ARV-Experienced, INSTI-Naive Patients when Coadministered with EFV, FPV/r, TPV/r, or Rifampin:</td>
<td></td>
<td></td>
<td>Depression and suicidal ideation (rare; usually occurs in patients with preexisting psychiatric conditions)</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>• DTG 50 PO mg twice daily</td>
<td></td>
<td></td>
<td>Weight gain</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>INSTI-Experienced Patients with Certain INSTI Mutations (See Product Label) or with Clinically Suspected INSTI Resistance:</td>
<td></td>
<td></td>
<td>Hepatotoxicity</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>• DTG 50 mg PO twice daily</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>See <a href="#">Appendix B, Table 1</a> for dosing information for STRs that contain DTG.</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td>STRs that Contain DTG:c</td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td>Dovato (DTG/3TC)</td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td>Juluca (DTG/RPV)</td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td>Triumeq (DTG/ABC/3TC)</td>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

---

*STRs that Contain DTG:*

- Dovato (DTG/3TC)
- Juluca (DTG/RPV)
- Triumeq (DTG/ABC/3TC)

*In ARV-Naive or ARV-Experienced, INSTI-Naive Patients:*

- DTG 50 mg PO once daily

*In ARV-Naive or ARV-Experienced, INSTI-Naive Patients when Coadministered with EFV, FPV/r, TPV/r, or Rifampin:*

- DTG 50 PO mg twice daily

*INSTI-Experienced Patients with Certain INSTI Mutations (See Product Label) or with Clinically Suspected INSTI Resistance:*

- DTG 50 mg PO twice daily

*See [Appendix B, Table 1](#) for dosing information for STRs that contain DTG.*

*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.*

*HSRs, including rash, constitutional symptoms, and organ dysfunction (including liver injury), have been reported.*
### 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:**
- Genvoya (EVG/c/TAF/FTC)
- Stribild (EVG/c/TDF/FTC)

#### Dosing Recommendations

**Genvoya:**
- One tablet PO once daily with food
- See Appendix B, Table 11 for recommendations on dosing in persons with renal insufficiency.

**Stribild:**
- 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).

#### Elimination/Metabolic Pathways

**EVG:**
- CYP3A and UGT1A1/3 substrate

**COBI:**
- CYP3A inhibitor and substrate
- CYP2D6 inhibitor

#### Serum Half-Life

- EVG/c: ~13 hours

#### Adverse Events

- Nausea
- Diarrhea
- Depression and suicidal ideation (rare; usually occurs in patients with preexisting psychiatric conditions)

### Raltegravir (RAL)

**Isentress**

- 400-mg tablet
- 25-mg and 10-mg chewable tablets
- 100-mg single-use packet for oral suspension

**Isentress HD**

- 600-mg tablet

#### Dosing Recommendations

**Isentress**

- In ARV-Naive Patients or ARV-Experienced Patients:
  - 400 mg PO twice daily
- With Rifampin:
  - 800 mg PO twice daily

**Isentress HD**

**In ARV-Naive or ARV-Experienced Patients with Virologic Suppression on a Regimen containing RAL 400 mg Twice Daily:**

- 1,200 mg (two 600-mg tablets) PO once daily
- With Rifampin:
  - Not recommended

#### Elimination/Metabolic Pathways

- UGT1A1-mediated glucuronidation

#### Serum Half-Life

- ~9 hours

#### Adverse Events

- Rash, including Stevens-Johnson syndrome, HSR, and toxic epidermal necrolysis
- Nausea
- Headache
- Diarrhea
- Pyrexia
- CPK elevation, muscle weakness, and rhabdomyolysis
### Appendix B, Table 6. Characteristics of Integrase Strand Transfer Inhibitors

<table>
<thead>
<tr>
<th>Generic Name (Abbreviation) Trade Name</th>
<th>Formulations</th>
<th>Dosing Recommendations&lt;sup&gt;a&lt;/sup&gt;</th>
<th>Elimination/ Metabolic Pathways</th>
<th>Serum Half-Life</th>
<th>Adverse Events&lt;sup&gt;b&lt;/sup&gt;</th>
</tr>
</thead>
<tbody>
<tr>
<td>Raltegravir (RAL) Isentress Isentress HD continued</td>
<td></td>
<td></td>
<td></td>
<td></td>
<td>Weight gain</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
<td>Insomnia</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td></td>
<td></td>
<td>Depression and suicidal ideation (rare; usually occurs in patients with preexisting psychiatric conditions)</td>
</tr>
</tbody>
</table>

<sup>a</sup> For dose adjustments in patients with hepatic insufficiency, see Appendix B, Table 1. When no food restriction is listed, the ARV drug can be taken with or without food.

<sup>b</sup> Also see Table 20.

<sup>c</sup> See Appendix B, Table 1 for information about these formulations.

**Key:** 3TC = lamivudine; ABC = abacavir; ARV = antiretroviral; BIC = bicitravigravir; 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