# ART Drug-Drug Interactions

*July 2021*

## Table 4: Bictegravir (BIC) Interactions (also see drug package inserts)

<table>
<thead>
<tr>
<th>Class or Drug</th>
<th>Mechanism of Action</th>
<th>Clinical Comments</th>
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<tbody>
<tr>
<td><strong>Antacids</strong></td>
<td>BIC chelates with cations, forming insoluble compounds that inactivate both drugs.</td>
<td>Administer BIC 2 hours before or 6 hours after taking antacids containing polyvalent cations.</td>
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</table>
| **Other polyvalent cations**  | BIC chelates with cations, which can inactivate both drugs.                         | • **Calcium- or iron-containing supplements:**  
  - If taken with food, BIC can be taken at the same time.  
  - If not taken with food, these supplements should be administered as with antacids. |
| **Dofetilide** [Feng and Varma 2016] | BIC inhibits renal OCT2 and MATE1, and these transporters eliminate dofetilide.  | Avoid concomitant use (may cause QT prolongation or torsade de pointes).                                                                       |
| **Metformin** [Custodio, et al. 2017] | BIC inhibits renal OCT2 and MATE1, which are involved in elimination of metformin. | • Drug interaction studies suggest that a prospective dose adjustment of metformin is not required when using BIC.  
  • Administer at lowest dose possible to achieve glycemic control; monitor for adverse effects. |
| **Atenolol**                  | Atenolol is eliminated via OCT2 and MATE1, which are inhibited by BIC. Coadministration may increase levels of atenolol. | • Start at a lower dose of atenolol and adjust slowly until desired clinical effect is achieved.  
  • If patient is already on atenolol but starting DTG or BIC, monitor for atenolol-related adverse events.  
  • Reduce dose of atenolol if necessary or switch to another ARV agent. |
| **Valproic acid**             | Coadministration may significantly decrease BIC concentrations                      | • Coadministration is not recommended.  
  • If an alternative anticonvulsant cannot be used, therapeutic drug monitoring may be warranted.  
  • Coadministration with strong inducers of CYP3A is not recommended because they may reduce concentrations of INSTIs. |
| **Cyclosporine**              | May increase BIC concentrations to a modest degree via P-gP inhibition              | Monitor for BIC-related adverse events.                                                                                                         |
| **Rifabutin, rifampin, rifapentine** | • **Rifabutin:** Induction of CYP3A and P-gP decreases BIC levels.  
  • **Rifampin, rifapentine:** Induction of CYP3A reduces bioavailability. | • **Rifampin:** Concomitant use is contraindicated.  
  • **Rifabutin, rifapentine:** Concomitant use is not recommended [FDA 2021]. |

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<td>Abbreviations: CYP, cytochrome P450; DTG, dolutegravir; INSTI, integrase strand transfer inhibitor; MATE, multidrug and toxin extrusion; OCT, organic cation transporter; P-gP, P-glycoprotein.</td>
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<td><strong>No significant interactions/no dose adjustments necessary:</strong> Common oral antibiotics (Table 15); anticoagulants (Table 17); antiplatelet drugs (Table 18); statins (Table 19); acid-reducing agents (Table 21); asthma and allergy medications (Table 23); long-acting beta agonists (Table 24); inhaled and injected corticosteroids (Table 25); antidepressants (Table 26); benzodiazepines (Table 27); sleep medications (Table 28); antipsychotics (Table 28); nonopioid pain medications (Table 31); opioid analgesics and tramadol (Table 32); hormonal contraceptives (Table 33); erectile and sexual dysfunction agents (Table 34); tobacco and smoking cessation products (Table 35); alcohol, disulfiram, and acamprosate (Table 36); methadone, buprenorphine, naloxone, and naltrexone (Table 37); gender-affirming hormones (Table 40).</td>
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**References**


FDA. Biktarvy (bictegravir, emtricitabine, and tenofovir alafenamide) tablets, for oral use. 2021 Mar.  
[https://www.accessdata.fda.gov/drugsatfda_docs/label/2021/210251s010lbl.pdf](https://www.accessdata.fda.gov/drugsatfda_docs/label/2021/210251s010lbl.pdf) [accessed 2021 May 28]  


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