



ART Drug-Drug Interactions

Updated February 2021

Table 4: Bictegravir (BIC) Interactions (also see drug package inserts)		
Class or Drug	Mechanism of Action	Clinical Comments
Antacids	BIC chelates with cations, forming insoluble compounds that inactivate both drugs.	Administer BIC 2 hours before or 6 hours after taking antacids containing polyvalent cations.
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.	<ul style="list-style-type: none"> • 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	<ul style="list-style-type: none"> • Atenolol is eliminated via OCT2 and MATE1, which are inhibited by BIC. • Coadministration may increase levels of atenolol. 	<ul style="list-style-type: none"> • 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	<ul style="list-style-type: none"> • 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	<ul style="list-style-type: none"> • Rifabutin induction of CYP3A and P-gP decreases BIC levels. • Rifampin induction of CYP3A reduces bioavailability. 	<ul style="list-style-type: none"> • Rifabutin: Concomitant use is contraindicated. • Rifampin: Concomitant use is contraindicated.

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<p>Abbreviations: CYP, cytochrome P450; DTG, dolutegravir; INSTI, integrase strand transfer inhibitor; MATE, multidrug and toxin extrusion; OCT, organic cation transporter; P-gP, P-glycoprotein.</p> <p>No significant interactions/no dose adjustments necessary: 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); non-opioid 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).</p>		