

<b>Bictegravir (BIC) Interactions</b> (also see drug package inserts)		NYSDOH AI Clinical Guidelines Program   <a href="http://www.hivguidelines.org">www.hivguidelines.org</a>
<b>Class or Drug</b>	<b>Mechanism of Action</b>	<b>Clinical Comments</b>
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.	<b>Calcium- or iron-containing supplements:</b> 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"> <li>• Drug interaction studies suggest that a prospective dose adjustment of metformin is not required when using BIC.</li> <li>• Administer at lowest dose possible to achieve glycemic control; monitor for adverse effects.</li> </ul>
Atenolol	<ul style="list-style-type: none"> <li>• Atenolol is eliminated via OCT2 and MATE1, which are inhibited by BIC.</li> <li>• Coadministration may increase levels of atenolol.</li> </ul>	<ul style="list-style-type: none"> <li>• Start at a lower dose of atenolol and adjust slowly until desired clinical effect is achieved.</li> <li>• If patient is already on atenolol but starting DTG or BIC, monitor for atenolol-related adverse events.</li> <li>• Reduce dose of atenolol if necessary or switch to another ARV agent.</li> </ul>
Valproic acid	Coadministration may significantly decrease BIC concentrations	<ul style="list-style-type: none"> <li>• Coadministration is not recommended.</li> <li>• If an alternative anticonvulsant cannot be used, therapeutic drug monitoring may be warranted.</li> <li>• Coadministration with strong inducers of CYP3A are not recommended because they may reduce concentrations of INSTIs.</li> </ul>
Cyclosporine	May increase BIC concentrations to a modest degree via P-gP inhibition.	Monitor for BIC-related adverse events.

**Abbreviations:** CYP, cytochrome P450; DTG, dolutegravir; INSTI, integrase strand transfer inhibitor; MATE, multidrug and toxin extrusion; OCT, organic cation transporter; P-gP, P-glycoprotein.  
**No significant interactions/no dose adjustments necessary:** Common oral antibiotics; anticoagulants; antiplatelet drugs; statins; acid-reducing agents; asthma and allergy medications; long-acting beta agonists; inhaled and injected corticosteroids; antidepressants; benzodiazepines; sleep medications; antipsychotics; non-opioid pain medications; opioid analgesics and tramadol; hormonal contraceptives; erectile and sexual dysfunction agents; tobacco and smoking cessation products; alcohol, disulfiram, and acamprosate; methadone, buprenorphine, naloxone, and naltrexone.

## References

- Custodio J, West S, Yu A, et al. Lack of clinically relevant effect of bictegravir (BIC, B) on metformin (MET) pharmacokinetics (PK) and pharmacodynamics (PD). *Open Forum Infectious Diseases* 2017;4(suppl\_1):S429-S429. [PMID: 27385169]
- Feng B, Varma MV. Evaluation and quantitative prediction of renal transporter-mediated drug-drug interactions. *J Clin Pharmacol* 2016;56 Suppl 7:S110-121. [PMID: 27385169]  
<https://www.ncbi.nlm.nih.gov/pubmed/27385169>