

Bictegravir (BIC)

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Animal Studies

Carcinogenicity

Bictegravir (BIC) has not been shown to be genotoxic or mutagenic *in vitro*.¹

Reproduction/Fertility

BIC did not affect fertility, reproductive performance, or embryonic viability in male and female rats at exposures (based on area under the curve [AUC]) that were 29 times higher than those seen in humans who received the recommended dose.¹

Teratogenicity/Adverse Pregnancy Outcomes

No adverse embryo-fetal effects were observed in rats and rabbits at BIC exposures (based on AUC) of up to approximately 36 times (in rats) and 0.6 times (in rabbits) the exposures seen in humans who received the recommended dose. Spontaneous abortion, increased clinical signs (e.g., fecal changes, thin body, cold-to-touch), and decreased body weight were observed in rabbits at a maternally toxic dose (i.e., 1,000 mg/kg per day, which produced an exposure approximately 1.4 times higher than the exposure observed in humans who received the recommended dose).¹

Placental and Breast Milk Passage

No data are available on placental passage of BIC. In a pre- and postnatal development study conducted in rats, BIC was detected in the plasma of nursing rat pups on postnatal Day 10, likely due to the presence of BIC in milk.¹

Human Studies in Pregnancy

Pharmacokinetics

No pharmacokinetic studies of BIC in pregnant women have been reported.

Placental and Breast Milk Passage

No data are available on placental or breast milk passage of BIC in humans.

Teratogenicity/Adverse Pregnancy Outcomes

There are currently no data on the risk of birth defects in infants born to women who received BIC during pregnancy.

Excerpt from Table 8

Note: When using FDC tablets, refer to other sections in Appendix B and Table 8 for information about the dosing and safety of individual drug components of the FDC tablet during pregnancy.

Generic Name (Abbreviation) Trade Name	Formulation	Dosing Recommendations ^a	Use in Pregnancy
Bictegravir/ Emtricitabine/ Tenofovir Alafenamide (BIC/FTC/TAF) <i>Biktarvy</i> Note: BIC is only available as part of an FDC tablet.	BIC/FTC/TAF (Biktarvy): <ul style="list-style-type: none"> BIC 50 mg/FTC 200 mg/TAF 25 mg tablet 	Standard Adult Dose: <ul style="list-style-type: none"> One tablet once daily with or without food Pregnancy <i>PKs in Pregnancy:</i> <ul style="list-style-type: none"> No PK studies in human pregnancy. <i>Dosing in Pregnancy:</i> <ul style="list-style-type: none"> Insufficient data to make dosing recommendations. For guidance about use of combination products in pregnancy, please see the specific sections on other components (i.e., FTC, TAF).	No data are available on placental transfer of BIC. Insufficient data to assess for teratogenicity in humans. No evidence of teratogenicity in rats or rabbits. BIC can be taken with food at the same time as any preparation containing iron or calcium, including prenatal vitamins, but should not be administered within 2 hours of these preparations when taken on an empty stomach. BIC can be taken at least 2 hours before or 6 hours after antacids containing aluminum or magnesium.

^a Individual ARV drug doses may need to be adjusted in patients with renal or hepatic insufficiency (for details, see the [Adult and Adolescent Antiretroviral Guidelines, Appendix B, Table 10](#)).

Key: ARV = antiretroviral; BIC = bictegravir; FDC = fixed-dose combination; FTC = emtricitabine; PK = pharmacokinetic; TAF = tenofovir alafenamide

References

1. Bictegravir/emtricitabine/tenofovir alafenamide (Biktarvy) [package insert]. Food and Drug Administration. 2019. Available at: https://www.accessdata.fda.gov/drugsatfda_docs/label/2019/210251s006lbl.pdf.