

Fostemsavir (Rukobia, FTR)

(Last updated December 29, 2020; last reviewed December 29, 2020)

Fostemsavir (FTR) is a prodrug of the active drug temsavir, a gp120-directed attachment inhibitor.

Animal Studies

Carcinogenicity

Temsavir was not genotoxic or mutagenic *in vitro*.¹

Reproduction/Fertility

FTR did not adversely affect the fertility of male or female rats at temsavir exposures approximately 10 times (males) and 186 times (females) higher than those achieved in humans at the recommended dose.¹

Teratogenicity/Adverse Pregnancy Outcomes

No adverse embryo-fetal effects were observed in rats and rabbits at temsavir exposures of approximately 180 (rats) and 30 (rabbits) times the exposure in humans at the recommended dose. Maternal toxicity and increased embryonic death were observed in rabbits at temsavir exposures approximately 60 times those in humans. In a rat study conducted at drug exposures approximately 200 times those in humans, fetal abnormalities (cleft palate, open eyes, shortened snout, microstomia, misaligned mouth/jaw, and protruding tongue) and reductions in fetal body weights occurred in the presence of maternal toxicity.¹

Placental and Breast Milk Passage

When FTR was administered to pregnant rats, FTR-related drug materials (e.g., temsavir or metabolites) crossed the placenta and were detectable in fetal tissue. Temsavir is excreted in rat milk and was present at concentrations similar to those measured in maternal plasma on day 11 postpartum.¹

Human Studies in Pregnancy

Pharmacokinetics

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

Placental and Breast Milk Passage

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

Teratogenicity/Adverse Pregnancy Outcomes

No data are available to inform the risk for birth defects following exposure to FTR.

Excerpt from Table 8

Generic Name (Abbreviation) <i>Trade Name</i>	Formulation	Dosing Recommendations ^a	Use in Pregnancy
Fostemsavir (FTR) <i>Rukobia</i>	Extended Release Tablet: 600 mg	<p>Standard Adult Doses (FTR) <i>Rukobia</i>:</p> <ul style="list-style-type: none"> FTR 600 mg twice daily with or without food <p>Pregnancy <i>PK in Pregnancy</i>:</p> <ul style="list-style-type: none"> No PK studies in human pregnancy. <p><i>Dosing in Pregnancy</i>:</p> <ul style="list-style-type: none"> Insufficient data to make dosing recommendation 	<p>No human data are available regarding placental passage. A study in rats demonstrates placental passage of temsavir or other metabolites.</p> <p>Insufficient data to assess for teratogenicity in humans. No evidence of teratogenicity in rats or rabbits.</p>

^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; FTR = fostemsavir; PK = pharmacokinetic

References

1. Fostemsavir (Rukobia) [package insert]. Food and Drug Administration. 2020. Available at: https://www.accessdata.fda.gov/drugsatfda_docs/label/2020/212950s000lbl.pdf.