Lenacapavir (LEN)

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Summary

- Pharmacokinetic data are insufficient to make dosing recommendations for oral or long-acting injectable lenacapavir (LEN) during pregnancy or breastfeeding.
- Clinical data are insufficient to characterize the risk for congenital anomalies associated with *in utero* exposure to LEN. No reproductive toxicity or teratogenicity concerns were identified in animal studies.

Human Studies in Pregnancy

Pharmacokinetics

No data are available on the pharmacokinetics (PK) of LEN with continuing subcutaneous injections during pregnancy.

Placental and Breast Milk Passage

No data are available regarding placental transfer of LEN. Additionally, no data are available describing breast milk passage of LEN in humans; because LEN is more than 98.5% protein bound, amounts found in breast milk are likely low.[1]

Teratogenicity/Adverse Pregnancy Outcomes

The Antiretroviral Pregnancy Registry has not monitored sufficient numbers of first-trimester exposures to LEN to report on the risk of overall birth defects.

Animal Studies

Carcinogenicity

LEN was not mutagenic in a series of *in vitro* and animal *in vivo* genotoxic assays; LEN was not carcinogenic in a mouse model.[2]

Reproduction/Fertility

In rats, no effects on fertility, mating performance, or early embryonic development were observed at LEN exposures 5 times greater than the exposure in humans at recommended doses.[2]

Teratogenicity/Adverse Pregnancy Outcomes

No significant toxicological effects on embryo-fetal development in rats and rabbits or pre- and postnatal development in rats were observed at area under the curve drug exposures approximately 16 times (rats) and 39 times (rabbits) the exposure in humans at recommended doses.[2]

Placental and Breast Milk Passage

LEN was detected at low levels in the plasma of nursing rat pups.[2]

Excerpt from Table 14

Generic Name (Abbreviation) *Trade Name*	Formulation	Dosing Recommendations[a]	Use in Pregnancy
Lenacapavir (LEN) *Sunlenca*	LEN (Sunlenca) • LEN 300-mg tablets for oral administration • LEN 463.5 mg/1.5 ml for SQ injection	Pregnancy *PK in Pregnancy* • No PK studies in human pregnancy *Dosing in Pregnancy* • Insufficient data to make dosing recommendations Standard Adult Doses *Initiation Option 1* • Day 1: 927 mg by SQ injection (2 x 1.5 mL injections) and 600 mg orally (2 x 300-mg tablets) • Day 2: 600 mg orally (2 x 300-mg tablets). *Initiation Option 2* • Day 1: 600 mg orally (2 x 300-mg tablets) • Day 2: 600 mg orally (2 x 300-mg tablets) • Day 8: 300 mg orally (1 x 300-mg tablet) • Day 15: 927 mg by SQ injection (2 x 1.5 mL injections) *Maintenance Dosing* • 927 mg by SQ injection (2 x 1.5 mL injections) every 26 weeks +/- 2 weeks from date of last injection	No human data are available regarding placental passage or through breast milk. Data are insufficient to assess for teratogenicity in humans. No evidence of teratogenicity in rats or rabbits.

[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 12).

Key: ARV = antiretroviral; LEN = lenacapavir; PK = pharmacokinetic; SQ = subcutaneous

References

1. National Institute of Child Health and Human Development. Lenacapavir. *Drugs and Lactation Database (LactMed(R))*. 2023. Available at: https://pubmed.ncbi.nlm.nih.gov/36701515.

2. Sunlenca (lenacapavir) package insert [package insert]. Food and Drug Administration. 2022. Available at: https://www.accessdata.fda.gov/drugsatfda_docs/label/2022/215973s000lbl.pdf.