Telbivudine is phosphorylated by cellular kinases to the active triphosphate, which has an intracellular half-life of 14 hours.

Telbivudine pharmacokinetics are dose proportional over the range of 25 to 1800 mg.

Achieved after 5-7 days of once-daily administration with an approximate 1.5-fold accumulation in systemic exposure, suggesting an effective accumulation half-life of ~15 hours.

Terminal elimination half life 41.8 ± 11.8 h

Cmax 3.2 ± 1.1 μg/ml (600 mg single dose, healthy subjects). Inter-subject variability (CV%) ~30%.

Cmin 0.2-0.3 μg/ml

AUC 28.0 ± 8.5 μg.h/ml (600 mg single dose, healthy subjects). Inter-subject variability (CV%) ~30%.

Bioavailability 52% (15 mg IV and 200 mg oral dose via a 2-way crossover design)¹

Absorption Absorption and exposure were unaffected when a single 600 mg dose was administered with food. Telbivudine can be taken with or without food.

Protein Binding 3.3% in vitro

Volume of Distribution Apparent volume of distribution is in excess of total body water, suggesting wide distribution into tissues. 750.0 ± 365.7 L (600 mg single dose, fasted); 668.1 ± 304.6 L (600 mg single dose, fed)²

CSF:Plasma ratio Data not available

Semen:Plasma ratio Data not available

Renal Clearance Primary route. Renal clearance is similar to glomerular filtration rate, suggesting passive filtration is the main mechanism of excretion. Approximately 42% of a 600 mg single dose is recovered in the urine over 7 days.

Renal Impairment The manufacturer recommends dose adjustment with creatinine clearance <50 ml/min, including those with end-stage renal disease on haemodialysis. Haemodialysis (up to 4 h) reduces systemic telbivudine exposure by approximately 23%. Telbivudine should be administered after haemodialysis. Close clinical monitoring is recommended.

Hepatic Impairment There is no change in telbivudine pharmacokinetics in hepatic impairment compared to unimpaired subjects. No dose adjustment is necessary in hepatic impairment.
Metabolism and Distribution

*Metabolised by*  No metabolites of telbivudine were detected following administration of $^{14}$C-telbivudine in humans.

*Inducer of*  Not a substrate for CYP450

*Inhibitor of*  Not an inhibitor of CYP450

*Transported by*  Data not available

References

Unless otherwise stated (see below), information is from:
Sebivo® Summary of Product Characteristics, Novartis Europharm Limited.
Tyzeka® US Prescribing Information, Novartis Pharmaceuticals.