Elvitegravir must be administered with either a ritonavir-boosted protease inhibitor or as a fixed dose combination containing cobicistat, emtricitabine, and tenofovir-DF or tenofovir alafenamide.

**Linear/Non-linearity**
Elvitegravir plasma exposures are non-linear and less than dose proportional, likely due to solubility-limited absorption.

**Steady state**
Not determined (but could expect steady state to be achieved in 3-4 days)

**Plasma half life**
- ~12.9 h (150 mg with cobicistat, emtricitabine, tenofovir-DF)
- ~8.7-13.7 h (in combination with ritonavir)

**Cmax**
- 1.7 ± 0.4 µg/ml (150 mg with cobicistat, emtricitabine, tenofovir-DF)
- 1.2 ± 0.36 µg/ml (85 mg with ritonavir), 1.5 ± 0.37 µg/ml (150 mg with ritonavir)

**Cmin**
- 0.45 ± 0.26 µg/ml (150 mg with cobicistat, emtricitabine, tenofovir-DF)
- 0.42 ± 0.24 µg/ml (85 mg with ritonavir), 0.35 ± 0.20 µg/ml (150 mg with ritonavir)

**AUC**
- 23.0 ± 7.5 µg.h/ml (150 mg with cobicistat, emtricitabine, tenofovir-DF)
- 18.0 ± 7.1 µg.h/ml (85 mg with ritonavir), 18.0 ± 6.5 µg.h/ml (150 mg with ritonavir)

**Bioavailability**
Not determined in combination with cobicistat or ritonavir

**Absorption**
Relative to fasting conditions, the administration of boosted elvitegravir as the fixed-dose combination 150 mg elvitegravir/150 mg cobicistat/200 mg emtricitabine/245 mg tenofovir disoproxil with a light meal (approximately 373 kcal, 20% fat) or high-fat meal (approximately 800 kcal, 50% fat) resulted in increased exposures of elvitegravir. The Cmax and AUC of elvitegravir increased 22% and 36% with a light meal, while increasing 56% and 91% with a high-fat meal, respectively.

**Protein Binding**
98-99%

**Volume of Distribution**
Not determined

**CSF:Plasma ratio**
Not determined

**Semen:Plasma ratio**
Not determined

**Renal Clearance**
Minor route (~7% after administration of elvitegravir/ritonavir)

**Renal Impairment**
No dose adjustment of elvitegravir is required for patients with renal impairment.

**Hepatic Impairment**
No dose adjustment of elvitegravir is required in patients with mild (Child-Pugh Class A) or moderate hepatic impairment (Child-Pugh Class B). Elvitegravir has not been studied in patients with severe hepatic impairment (Child-Pugh Class C).

**Summary of Key Pharmacokinetic Parameters**

- **Generic Name**: Elvitegravir
- **Trade Name**: Stribild® (with cobicistat, emtricitabine, tenofovir-DF)
  Genvoya® (with cobicistat, emtricitabine, tenofovir alafenamide)
- **Class**: Integrase Inhibitor
- **Molecular Weight**: 447.9
- **Structure**

![Elvitegravir Structure Image]
Elvitegravir PK Fact Sheet

Metabolism and Distribution

Metabolised by  CYP3A, glucuronidation via UGT1A1 and UGT1A3
Inducer of  CYP2C9 (modest), UGT (modest)
Inhibitor of  OATP1B3
Transported by  OATP1B1, OATP1B3

References

Unless otherwise stated (see below), information is from:
Viteka® Summary of Product Characteristics, Gilead Sciences Ltd.
Viteka® US Prescribing Information, Gilead Sciences Inc.
Stribild® Summary of Product Characteristics, Gilead Sciences Ltd.
Stribild® US Prescribing Information, Gilead Sciences Inc.