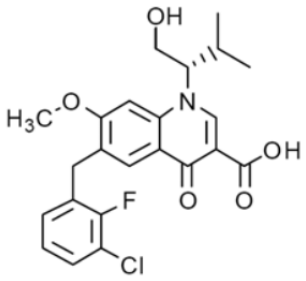
# Elvitegravir PK Fact Sheet





## Details

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



## Summary of Key Pharmacokinetic Parameters

*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.*

| | |
|---|---|
| *Linearity/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)<br>~8.7-13.7 h (in combination with ritonavir) |
| *Cmax* | 1.7 ± 0.4 µg/ml (150 mg with cobicistat, emtricitabine, tenofovir-DF)<br>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)<br>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)<br>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). |

## 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.