Tenofovir Alafenamide PK Fact Sheet

Details

**Generic Name**
Tenofovir alafenamide fumarate (TAF)

**Trade Name**
- Descovy® (with emtricitabine)
- Biktarvy® (with emtricitabine and bictegravir)
- Genvoya® (with emtricitabine, elvitegravir and cobicistat)
- Odefsey® (with emtricitabine and rilpivirine)
- Symtuza® (with emtricitabine, darunavir and cobicistat)
- Vemlidy® (for hepatitis B)

**Class**
Nucleoside/nucleotide Reverse Transcription Inhibitor

**Molecular Weight**
534.5

**Structure**

![Structure of Tenofovir Alafenamide](image)

Summary of Key Pharmacokinetic Parameters

Tenofovir alafenamide is a phosphonamidate prodrug of tenofovir and is primarily hydrolyzed to form tenofovir. Intracellular tenofovir is subsequently phosphorylated to the pharmacologically active metabolite tenofovir diphosphate.

**Linearity/non-linearity**
Tenofovir alafenamide exposures are dose proportional over the dose range of 8 to 125 mg.

**Steady state**
Not reported

**Plasma half life**
TAF 0.51 h; tenofovir 32.37 h (median).

**Cmax**
- 0.16 (51.1) µg/mL (mean, %CV; following multiple doses of tenofovir alafenamide administered with emtricitabine, elvitegravir and cobicistat)
- 0.121 (15.4) µg/mL (mean, %CV; following multiple doses of tenofovir alafenamide administered with emtricitabine and bictegravir)
- 163 ± 51.9 ng/mL (steady state mean ± SD; following administration of tenofovir alafenamide with emtricitabine, darunavir and cobicistat)

**Ctau**
Not applicable

**AUC**
- 0.21 (71.8) µg.h/mL (mean, %CV; following multiple doses of tenofovir alafenamide administered with emtricitabine, elvitegravir and cobicistat)
- 0.142 (17.3) µg.h/mL (mean, %CV; following multiple doses of tenofovir alafenamide administered with emtricitabine and bictegravir)
- 132 ± 41 ng.h/mL (steady state mean ± SD; following administration of tenofovir alafenamide with emtricitabine, darunavir and cobicistat)

**Bioavailability**
Not reported

**Absorption**
Relative to fasting conditions, the administration of tenofovir alafenamide with emtricitabine and a high fat meal (~800 kcal, 50% fat) resulted in a decrease in tenofovir alafenamide Cmax (15-37%) and an increase in AUC (17-77%).

**Protein Binding**
TAF ~80%; tenofovir <0.7%

**Volume of Distribution**
Not reported

**CSF:Plasma ratio**
Not reported

**Semen:Plasma ratio**
Not reported

**Renal Clearance**
- TAF - <1% renally excreted unchanged
- Tenofovir - renally eliminated by glomerular filtration and active tubular secretion
Tenofovir Alafenamide PK Fact Sheet

Dosing in Renal and Hepatic Impairment

**Renal Impairment**
Recommendations for the use of tenofovir alafenamide in patients with renal impairment can vary depending on the coformulated preparation. Please refer to the product labels for full details.

**Hepatic Impairment**
Recommendations for the use of tenofovir alafenamide in patients with hepatic impairment can vary depending on the coformulated preparation. Please refer to the product labels for full details.

Metabolism and Distribution

**Metabolised by**
Carboxylesterase-1, cathepsin A, CYP3A (minimal)

**Inducer of**
None expected.
Does not induce CYP3A in vivo.

**Inhibitor of**
None expected.
Does not inhibit CYP3A in vivo.
Does not inhibit CYP1A2, CYP2B6, CYP2C8, CYP2C9, CYP2C19, CYP2D6 or UGT1A1 in vitro

**Transported by**
P-gp, BCRP, OATP1B1, OATP1B3,

References

Unless otherwise stated (see below), information is from:

*Descovy® Summary of Product Characteristics,* Gilead Sciences Ltd.
*Descovy® US Prescribing Information,* Gilead Sciences Inc.

*Biktarvy® Summary of Product Characteristics,* Gilead Sciences Ltd.
*Biktarvy® US Prescribing Information,* Gilead Sciences Inc.

*Genvoya® Summary of Product Characteristics,* Gilead Sciences Ltd.
*Genvoya® US Prescribing Information,* Gilead Sciences Inc.

*Odefsey® Summary of Product Characteristics,* Gilead Sciences Ltd.
*Odefsey® US Prescribing Information,* Gilead Sciences Inc.

*Symtuza® Summary of Product Characteristics,* Gilead Sciences Ltd.
*Symtuza® US Prescribing Information,* Gilead Sciences Inc.