

# Tenofovir Alafenamide PK Fact Sheet

Produced January 2024

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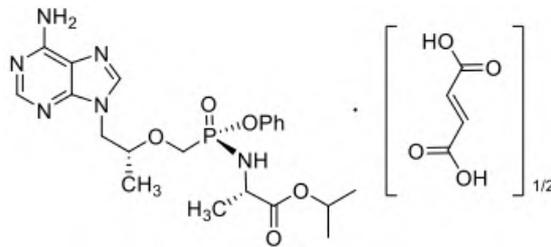
## Details

<b>Generic Name</b>	Tenofovir alafenamide fumarate (TAF)
<b>Trade Name</b>	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**



## 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.*

<b>Linearity/non-linearity</b>	Tenofovir alafenamide exposures are dose proportional over the dose range of 8 to 125 mg.
<b>Steady state</b>	Not reported
<b>Plasma half life</b>	TAF 0.51 h; tenofovir 32.37 h (median).
<b>C<sub>max</sub></b>	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)
<b>C<sub>tau</sub></b>	Not applicable
<b>AUC</b>	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)
<b>Bioavailability</b>	Not reported
<b>Absorption</b>	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 C <sub>max</sub> (15-37%) and an increase in AUC (17-77%).
<b>Protein Binding</b>	TAF ~80%; tenofovir <0.7%
<b>Volume of Distribution</b>	Not reported
<b>CSF:Plasma ratio</b>	Not reported
<b>Semen:Plasma ratio</b>	Not reported
<b>Renal Clearance</b>	TAF - <1% renally excreted unchanged Tenofovir - renally eliminated by glomerular filtration and active tubular secretion

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## Dosing in Renal and Hepatic Impairment

<i>Renal Impairment</i>	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.
<i>Hepatic Impairment</i>	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

<i>Metabolised by</i>	Carboxylesterase-1, cathepsin A, CYP3A (minimal)
<i>Inducer of</i>	None expected. Does not induce CYP3A in vivo.
<i>Inhibitor of</i>	None expected. Does not inhibit CYP3A in vivo. Does not inhibit CYP1A2, CYP2B6, CYP2C8, CYP2C9, CYP2C19, CYP2D6 or UGT1A1 in vitro
<i>Transported by</i>	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.  
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