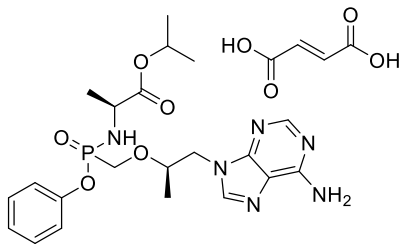
Product data sheet

MedKoo Cat#: 314271 Name: Tenofovir alafenamide fumarate (1:1 salt) CAS#: 379270-38-9 (fumarate) Chemical Formula: $C_{25}H_{33}N_6O_9P$ Molecular Weight: 593.55	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Tenofovir alafenamide, also known as TAF and GS-7340, is a nucleotide reverse transcriptase inhibitor (NRTIs) and a novel prodrug of tenofovir. By blocking reverse transcriptase, TAF prevent HIV from multiplying and can reduce the amount of HIV in the body. Tenofovir alafenamide is a prodrug, which means that it is an inactive drug. In the body, tenofovir alafenamide is converted to tenofovir diphosphate (TFV-DP). Tenofovir alafenamide fumarate was approved in November 2015 for treatment of HIV-1.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	68.0	114.56
Ethanol	100.0	168.48
Water	17.5	29.48

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.68 mL	8.42 mL	16.85 mL
5 mM	0.34 mL	1.68 mL	3.37 mL
10 mM	0.17 mL	0.84 mL	1.68 mL
50 mM	0.03 mL	0.17 mL	0.34 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Margot NA, Johnson A, Miller MD, Callebaut C. Characterization of HIV-1 Resistance to Tenofovir Alafenamide In Vitro. Antimicrob Agents Chemother. 2015 Oct;59(10):5917-24. doi: 10.1128/AAC.01151-15. Epub 2015 Jul 6. PMID: 26149983; PMCID: PMC4576099.
2. Callebaut C, Stepan G, Tian Y, Miller MD. In Vitro Virology Profile of Tenofovir Alafenamide, a Novel Oral Prodrug of Tenofovir with Improved Antiviral Activity Compared to That of Tenofovir Disoproxil Fumarate. Antimicrob Agents Chemother. 2015 Oct;59(10):5909-16. doi: 10.1128/AAC.01152-15. Epub 2015 Jul 6. PMID: 26149992; PMCID: PMC4576064.

In vivo study

1. Li L, Zhao J, Zhou L, Chen J, Ma Y, Yu Y, Cheng J. Tenofovir alafenamide fumarate attenuates bleomycin-induced pulmonary fibrosis by upregulating the NS5ATP9 and TGF-β1/Smad3 signaling pathway. Respir Res. 2019 Jul 22;20(1):163. doi: 10.1186/s12931-019-1102-2. PMID: 31331325; PMCID: PMC6647111.
2. Gunawardana M, Remedios-Chan M, Miller CS, Fanter R, Yang F, Marzinke MA, Hendrix CW, Beliveau M, Moss JA, Smith TJ, Baum MM. Pharmacokinetics of long-acting tenofovir alafenamide (GS-7340) subdermal implant for HIV prophylaxis. Antimicrob

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Agents Chemother. 2015 Jul;59(7):3913-9. doi: 10.1128/AAC.00656-15. Epub 2015 Apr 20. PMID: 25896688; PMCID: PMC4468692.

7. Bioactivity

Biological target:

Tenofovir alafenamide fumarate (GS-7340 fumarate) is an investigational oral prodrug of Tenofovir, which is a HIV-1 nucleotide reverse transcriptase inhibitor.

In vitro activity

TAF regulated the differentiation, activation, and proliferation of hepatic stellate cells (HSCs). Furthermore, TAF suppressed the activities of TGFβ1/Smad3 and NF-κB/NLRP3 inflammasome signaling pathways in vitro. Nonstructural protein 5A transactivated protein 9 (NS5ATP9) inhibited liver fibrosis through TGFβ1/Smad3 and NF-κB signaling pathways. TAF upregulated the expression of NS5ATP9 in vitro. Finally, TAF could only show marginal therapeutic effects when NS5ATP9 was silenced and knocked out in vitro.

Reference: Hepatol Int. 2020 Jan;14(1):145-160. https://pubmed.ncbi.nlm.nih.gov/31758498/

In vivo activity

To investigate the effects of TAF on BLM-induced pulmonary fibrosis, the mice were intragastrically administered with TAF (5.125 mg/kg) or vehicle once per day for 3 weeks on days 0, 7, and 14 after BLM injection at a dose of 2 mg/kg (Fig. 2a). The mice treated with BLM began to die on day 9, which agreed with the results of previous studies. Weight loss was lighter in TAF group, compared to BLM group (Fig. 2b). The mortality rate was 40–50% on days 21, 28, and 35 in the different BLM groups; in comparison, the mortality rate was 0–20% in mice treated with TAF (Fig. 2c) during the treatment period. Additionally, compared to mice treated with TAF on days 7 and 14 after administration of BLM, the mice treated with TAF starting on day 0 showed significant improvement in their survival rate and body weight loss.

Reference: Respir Res. 2019; 20: 163. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6647111/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.