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Zuschläge

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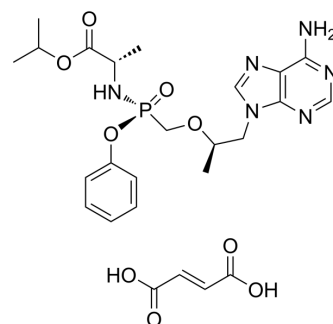
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Tenofovir alafenamide fumarate

Cat. No.:	HY-15232A
CAS No.:	379270-38-9
Molecular Formula:	C ₂₅ H ₃₃ N ₆ O ₉ P
Molecular Weight:	592.54
Target:	HIV; Reverse Transcriptase
Pathway:	Anti-infection
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : ≥ 36 mg/mL (60.76 mM) H ₂ O : ≥ 25 mg/mL (42.19 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	1.6876 mL	8.4382 mL	16.8765 mL
		5 mM	0.3375 mL	1.6876 mL	3.3753 mL
		10 mM	0.1688 mL	0.8438 mL	1.6876 mL
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: PBS Solubility: 6.67 mg/mL (11.26 mM); Clear solution; Need ultrasonic and warming and heat to 60°C				

BIOLOGICAL ACTIVITY

Description	Tenofovir alafenamide fumarate (GS-7340 fumarate) is an investigational oral proagent of Tenofovir. Tenofovir is a HIV-1 nucleotide reverse transcriptase inhibitor.	
IC ₅₀ & Target	HIV-1	HIV-2
In Vitro	Tenofovir alafenamide fumarate (GS-7340 fumarate) antiviral activities are similar across all cell types, ranging from 5 to 7 nM, while the CC ₅₀ varies from 4.7 to 42 μM for MT-4 and MT-2 cells, respectively. The antiviral activity of TAF is evaluated against a panel of HIV-1 and HIV-2 isolates, including HIV-1 group M subtypes A to G, as well as group N and O isolates. Overall, for the 29 primary HIV-1 isolates tested in PBMCs, TAF EC ₅₀ s range from 0.1 to 12 nM, with a mean EC ₅₀ of 3.5 nM compared to a mean EC ₅₀ of 11.8 nM for AZT, which is used as an internal control. For the HIV-2 isolates, the mean EC ₅₀ s are 1.8 nM for TAF and 6.4 nM for AZT ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

In Vivo

Tenofovir alafenamide fumarate (GS-7340 fumarate) is an amidate prodrug of Tenofovir with good oral bioavailability and increases plasma stability compared to Tenofovir disoproxil fumarate (TDF)^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Gastroenterol. 2020 Apr;55(4):441-452
- Pharmaceutics. 2021, 13(10), 1656.
- J Antimicrob Chemother. 2017 Jun 1;72(6):1731-1740.
- Antimicrob Agents Chemother. 2019 Mar 27;63(4). pii: e02143-18.
- Research Square Print. 2023 Mar 20.

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REFERENCES

[1]. Babusis D, et al. Mechanism for effective lymphoid cell and tissue loading following oral administration of nucleotide prodrug GS-7340. Mol Pharm. 2013 Feb 4;10(2):459-66.

[2]. Ruane PJ, et al. Antiviral activity, safety, and pharmacokinetics/pharmacodynamics of tenofovir alafenamide as 10-day monotherapy in HIV-1-positive adults. J Acquir Immune Defic Syndr. 2013 Aug 1;63(4):449-55.

Caution: Product has not been fully validated for medical applications. For research use only.

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