Tenofovir alafenamide

Cat. No.: HY-15232

CAS No.: 379270-37-8

Molecular Formula: $C_{21}H_{29}N_6O_5P$

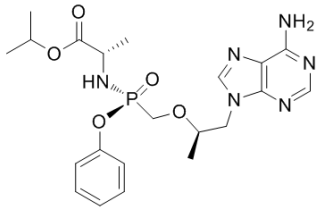
Molecular Weight: 476.47

Target: HIV; Reverse Transcriptase

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (65.06 mM)

H_2O : 6.67 mg/mL (14.00 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0988 mL	10.4938 mL	20.9877 mL
5 mM	0.4198 mL	2.0988 mL	4.1975 mL
10 mM	0.2099 mL	1.0494 mL	2.0988 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 40 mg/mL (83.95 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target	HIV-1, NRTIs[1]
In Vitro	Tenofovir alafenamide (GS-7340) 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 (GS-7340) hemifumarate 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
- J Antimicrob Chemother. 2017 Jun 1;72(6):1731-1740.
- Antimicrob Agents Chemother. 2019 Mar 27;63(4). pii: e02143-18.

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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.

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