

Data Sheet

Product Name: Tenofovir alafenamide fumarate

 Cat. No.:
 CS-3367

 CAS No.:
 379270-38-9

 Molecular Formula:
 C25H33N6O9P

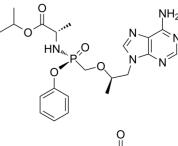
Molecular Weight: 592.54

Target: HIV; Reverse Transcriptase

Pathway: Anti-infection

Solubility: H2O: \geq 25 mg/mL (42.19 mM); DMSO: \geq 36 mg/mL (60.76

mM)



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BIOLOGICAL ACTIVITY:

Tenofovir alafenamide fumarate (GS-7340 fumarate) is an investigational oral prodrug of Tenofovir. Tenofovir is a **HIV-1** nucleotide reverse transcriptase inhibitor. IC50 & Target: HIV-1, NRTIs^[1] **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 μ M 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]. **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].

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.

CAIndexNames:

L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1)

SMILES:

NC1 = NC = NC2 = C1N = CN2C[C@@H](C)OC[P@](OC3 = CC = CC3)(N[C@@H](C)C(OC(C)C) = O) = O.O = C(O)/C = C/C(O) = O.O = C(O)/C = C/C(O)/C = C/C(O)

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

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