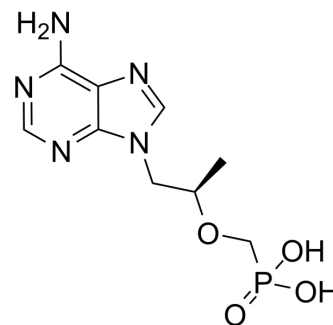


Tenofovir

Cat. No.:	HY-13910
CAS No.:	147127-20-6
Molecular Formula:	C ₉ H ₁₄ N ₅ O ₄ P
Molecular Weight:	287.21
Target:	HIV; Reverse Transcriptase; HBV
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 7.69 mg/mL (26.77 mM; ultrasonic and warming and heat to 80°C)
 H₂O : 2 mg/mL (6.96 mM; Need ultrasonic)

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.4818 mL	17.4089 mL	34.8177 mL
	5 mM	0.6964 mL	3.4818 mL	6.9635 mL
	10 mM	0.3482 mL	1.7409 mL	3.4818 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 1.96 mg/mL (6.82 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 0.77 mg/mL (2.68 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 0.77 mg/mL (2.68 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 0.77 mg/mL (2.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tenofovir (GS 1278) is a nucleotide reverse transcriptase inhibitor to treat HIV and chronic Hepatitis B (HBV)^[1].

IC₅₀ & Target

HIV-1

In Vitro	<p>Tenofovir shows cytotoxic effects on cell viability in HK-2 cells, with IC₅₀ values of 9.21 and 2.77 μM at 48 and 72 h in MTT assay, respectively. Tenofovir diminishes ATP levels in HK-2 cells. Tenofovir (3.0 to 28.8 μM) increases oxidative stress and protein carbonylation in HK-2 cells. Furthermore, Tenofovir induces apoptosis in HK-2 cells, and that apoptosis is induced via mitochondrial damage^[1]. Tenofovir and M48U1 formulated in 0.25% HEC each inhibits the replication of both R5-tropic HIV-1_{BaL} and X4-tropic HIV-1_{IIIB} in activated PBMCs, and inhibits several laboratory strains and patient-derived HIV-1 isolates. The combined formulation of M48U1 and tenofovir in 0.25% HEC exhibits synergistic antiretroviral activity against infection with R5-tropic HIV-1_{BaL}, and is not toxic to PBMCs^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Tenofovir Disoproxil Fumarate (20, 50, 140, or 300 mg/kg) administered to BLT mice, shows dose dependent activity during vaginal HIV challenge in BLT humanized mice. Tenofovir Disoproxil Fumarate (50, 140, 300 mg/kg) significantly reduces HIV transmission in BLT mice^[3]. Tenofovir Disoproxil Fumarate (0.5, 1.5, or 5.0 mg/kg/day, p.o.) induces a dose-dependent decline in serum viremia in woodchucks chronically infected with WHV. Tenofovir Disoproxil Fumarate administration is safe and effective in the woodchuck model of chronic HBV infection^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Cell Assay ^[1]	<p>Cells are plated into 48-well tissue culture plates (39,000 cells/mL) and allowed to grow for 48 h followed by treatment with vehicle or Tenofovir. Following the treatment period, cell viability is assessed using the MTT assay. The MTT assay relies on the conversion of tetrazolium dye 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) to formazan by NAD(P)H-dependent oxidoreductases^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[4]	<p>Twenty adult chronic WHV carrier woodchucks are stratified equally by age, sex, body weight, and serum GGT activity into five treatment groups consisting of four animals each: (i) Tenofovir Disoproxil Fumarate at 15.0 mg/kg once per day, (ii) Tenofovir Disoproxil Fumarate at 5.0 mg/kg/day, (iii) Tenofovir Disoproxil Fumarate at 1.5 mg/kg/day, (iv) Tenofovir Disoproxil Fumarate at 0.5 mg/kg/day, and (v) a placebo control. The woodchucks are treated daily for 4 weeks and observed for an additional 12 weeks following cessation of drug treatment^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2022 Oct 18;e2203088.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Nanoscale. 2017 Jul 13;9(27):9676-9684.
- Sci Rep. 2017 Mar 15;7:44409.
- Antivir Res. 2020 Jun;178:104786.

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REFERENCES

- [1]. Murphy RA, et al. Establishment of HK-2 Cells as a Relevant Model to Study Tenofovir-Induced Cytotoxicity. Int J Mol Sci. 2017 Mar 1;18(3).
- [2]. Musumeci G, et al. M48U1 and Tenofovir combination synergistically inhibits HIV infection in activated PBMCs and human cervicovaginal histocultures. Sci Rep. 2017 Feb 1;7:41018.

[3]. Wahl A, et al. Predicting HIV Pre-exposure Prophylaxis Efficacy for Women using a Preclinical Pharmacokinetic-Pharmacodynamic In Vivo Model. Sci Rep. 2017 Feb 1;7:41098.

[4]. Menne S, Cote PJ, Korba BE, Antiviral effect of oral administration of tenofovir disoproxil fumarate in woodchucks with chronic woodchuck hepatitis virus infection. Antimicrob Agents Chemother. 2005 Jul;49(7):2720-8.

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

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