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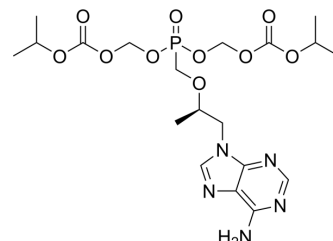
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Tenofovir Disoproxil

Cat. No.:	HY-13782A		
CAS No.:	201341-05-1		
Molecular Formula:	C ₁₉ H ₃₀ N ₅ O ₁₀ P		
Molecular Weight:	519.44		
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 : ≥ 38 mg/mL (73.16 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.9252 mL	9.6258 mL	19.2515 mL
	5 mM		0.3850 mL	1.9252 mL	3.8503 mL
	10 mM		0.1925 mL	0.9626 mL	1.9252 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (4.00 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (4.00 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (4.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Tenofovir Disoproxil (Bis(POC)-PMPA) is a nucleotide reverse transcriptase inhibitor to treat HIV and chronic Hepatitis B.
IC ₅₀ & Target	HIV-1
In Vitro	Tenofovir shows cytotoxic effects on cell viability in HK-2 cells, with IC ₅₀ 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]

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.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration ^[4]

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.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- J Gastroenterol. 2021 Feb;56(2):168-180.
- J Neuroimmune Pharmacol. 2019 Jul 23;10.1007/s11481-019-09862-1.
- J Neuroimmune Pharmacol. 2017 Dec;12(4):682-692.
- Sci Rep. 2019 Nov 20;9(1):17158.

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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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