Product Data Sheet

Product Name: Tenofovir maleate
Cat. No.: GC15488

Chemical Properties

Cas. No.	1236287-04-9		
Chemical Name	maleic acid compound with (R)-(((1-(6-amino-9H-purin-9-yl)propan-2-yl)oxy)methyl)phosphonic acid (1:1)		
SMILES	C[C@](OCP(O)(O)=O)([H])CN1C=NC(C1=NC=N2)=C2N.O=C(O)/C([H])=C([H])\C(O)=O		
Formula	$C_{13}H_{18}N_5O_8P$	M.Wt	403.28
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Tenofovir maleate		

Protocol

Cell experiment:

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-dimethlthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) to formazan by NAD(P)H-dependent oxidoreductases[1].

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Animal experiment:

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].

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-

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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.

Background

Tenofovir maleate is an inhibitor of reverse transcriptase used for the treatment of the human immunodeficiency virus 1(HIV-1) and hepatitis B [1].

Tenofovir maleate is an antiviral pro-drug and the class of nucleoside reverse transcriptase inhibitor. In addition, Tenofovir maleate has been reported to have a dependent relation between intracellular the drug concentrations and prevent function of HIV-1infection with EC50 values of 29 fmol/106, 40 fmol/106 , 77 fmol/106 and 411 fmol/106 cells for inoculum size 1, 5, 20 and 100 respectively. And the EC50 values of tenofovir maleate are 267 fmol/106, 348 fmol/106, 640 fmol/106 and 2866 fmol/106 cells for virus inoculums size 1, 5, 20 and 100, respectively [1].

References:
[1] Duwal S1, Schütte C, von Kleist M.Pharmacokinetics and pharmacodynamics of the reverse transcriptase inhibitor tenofovir and prophylactic efficacy against HIV-1 infection. PLoS One. 2012;7(7):e40382. doi: 10.1371/journal.pone.0040382. Epub 2012 Jul 11.

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