Datasheet

Tenofovir

Product Name	Tenofovir
Catalogue Number	BSV-S1401
Chemical Formula	$C_9H_{14}N_5O_4P$
Function	Reverse transcriptase inhibitor
CAS No.:	147127-20-6

Description:

Tenofovir blocks **reverse transcriptase** and hepatitis B virus infections.

Product Details:

Target: Reverse transcriptase

Chemical name: (R)-(1-(6-amino-9H-purin-9-yl)propan-2-yloxy)methylphosphonic acid

Formula: $C_9H_{14}N_5O_4P$

Molecular weight: 287.21

Purity: 99.95 %

Solubility: 4 mg/mL (DMSO), **warmed with 50ºC water bath**; 2 mg/mL (water)

Storage: 3 years -20°C powder, 2 years -80°C in solvent

Preparing stock solutions

Concentration / Mass	1 mg	5 mg	10 mg
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
50 mM	-	-	-

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Biological Activity:

In vitro:
Tenofovir reduces the viral cytopathic effect of HIV-1(IIIB), HIV-2(ROD) and HIV(EHO) with EC50 of 1.15 μg/mL, 1.12 μg/mL and 1.05 μg/mL in MT-4 cells. Tenofovir also reduces the viral cytopathic effect of SIV(mac251) , SIV(B670) ,SHIV(89.6) and SHIV(RTSHIV). [1] Tenofovir is uniquely active against multinucleoside-resistant HIV expressing the Q151M mutation, but shows reduced susceptibility to the T69S insertion mutations. [2] Tenofovir inhibits hepatitis B virus (HBV) activity in HepG2 2.2.15, HepAD38 and HepAD79 cells. [3] Tenofovir (4 μM) completely inhibits the growth of HIVIIIB in MT-2 cells. Tenofovir inhibits synthesis of negative strand strong-stop DNA with IC50 of 9 μM for wild-type RT, 6 μM for M184V RT and 50 μM for K65R RT. [4]

In vivo:
Tenofovir (30 mg/kg) completely prevents SIV infection in all macaques without toxicity. Tenofovir treatment reduces plasma viral RNA levels to undetectable, with parallel decreases in the infectivity of plasma and infectious cells in peripheral blood mononuclear cells and cerebrospinal fluid (CSF) and stabilization of CD4+ T-cell numbers. Tenofovir (30 mg/kg, s.c.) completely abrogates HIV infection via intravaginal exposure in pig-tailed macaques. [5]

Tenofovir disoproxil fumarate is the prodrug form of tenofovir.

Kinase Assay (HIV inhibition)

HIV-1 strains are evaluated by the MT-4/MTT assay for their susceptibility to the inhibitory effect of nucleoside reverse transcriptase inhibitors Tenofovir. Both SHIV isolates are derived from SIV(mac239), containing either the HIV-1(HXB2) envelope and the associated auxiliary HIV-1 vpu and rev genes (SHIV89.6), or the HIV-1(HXB2) RT gene (RTSHIV). Commonly used wild-type HIV-1(IIIB) strain is also tested for comparison. All virus stocks are used at comparable infectious virus titres, that is, 150–300 CCID50(cell culture infectious dose). All data are derived from at least two separate tests. Antiviral data are reported as the concentration of Tenofovir required to inhibit 50% virus-induced cell killing [50% effective concentration (EC50)]. The fold increase in the EC50 values of Tenofovir against the different HIV-2, SIV and SHIV strains is calculated in comparison with the EC50 against HIV-1(IIIB).

References:
[1] Eagling VA, et al. Br J Clin Pharmacol, 1997, 44(2), 190-194.
[2] Kumar GN, et al. J Pharmacol Exp Ther, 1996, 277(1), 423-431.
[3] Weichold FF, et al. J Hum Virol, 1999, 2(5), 261-269.
[4] Drewe J, et al. Biochem Pharmacol, 1999, 57(10), 1147-1152.
[5] Kumar GN, et al. Drug Metab Dispos, 1999, 27(8), 902-908.