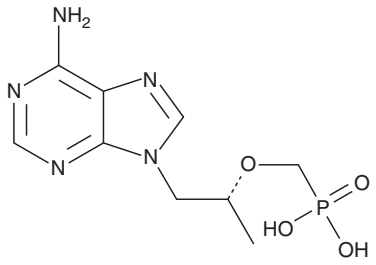
PRODUCT INFORMATION

Tenofovir

Item No. 13874

CAS Registry No.:	147127-20-6
Formal Name:	P-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]-phosphonic acid
Synonyms:	GS-1275, GS-1278, (R)-PMPA, TDF
MF:	$C_9H_{14}N_5O_4P$
FW:	287.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 261 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tenofovir is supplied as a crystalline solid. A stock solution may be made by dissolving the tenofovir in the solvent of choice, which should be purged with an inert gas. Tenofovir is soluble in DMSO at a concentration of approximately 1 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of tenofovir can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of tenofovir in PBS (pH 7.2) is approximately 2.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Tenofovir is an analog of adenosine monophosphate that has antiviral activity.[1,2] It is converted by cellular enzymes to tenofovir diphosphate, an obligate chain terminator that inhibits the activity of HIV reverse transcriptase and hepatitis B virus polymerase.[3,4] Tenofovir diphosphate is a weak inhibitor of mammalian DNA polymerases α and β and mitochondrial DNA polymerase γ.[4] For *in vivo* and cell culture use, tenofovir is supplied as a water soluble prodrug in the form of tenofovir disoproxil (fumarate) (Item No. 16922), which increases the intracellular diphosphorylated compound >1,000-fold above the level attained with unmodified tenofovir.[4]

References

1. Balzarini, J., Vahlenkamp, T., Egberink, H., *et al.* Antiretroviral activities of acyclic nucleoside phosphonates [9-(2-phosphonylmethoxyethyl)adenine, 9-(2-phosphonylmethoxyethyl)guanine, (R)-9-(2-phosphonylmethoxypropyl)adenine, and MDL 74,968] in cell cultures and murine sarcoma virus-infected newborn NMRI mice. *Antimicrob. Agents Chemother.* **41(3)**, 611-616 (1997).
2. Balzarini, J., Holy, A., Jindrich, J., *et al.* Differential antiherpesvirus and antiretrovirus effects of the (S) and (R) enantiomers of acyclic nucleoside phosphonates: Potent and selective *in vitro* and *in vivo* antiretrovirus activities of (R)-9-(2-phosphonomethoxypropyl)-2,6-diaminopurine. *Antimicrob. Agents Chemother.* **37(2)**, 332-338 (1993).
3. Van Gelder, J., Shafiee, M., De Clercq, E., *et al.* Species-dependent and site-specific intestinal metabolism of ester prodrugs. *Int. J. Pharm.* **205(1-2)**, 93-100 (2000).
4. Robbins, B.L., Srinivas, R.V., Kim, C., *et al.* Anti-human immunodeficiency virus activity and cellular metabolism of a potential prodrug of the acyclic nucleoside phosphonate 9-R-(2-phosphonomethoxypropyl)adenine (PMPA), *bis*(isopropyloxymethylcarbonyl)PMPA. *Antimicrob. Agents Chemother.* **42(3)**, 612-617 (1998).

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