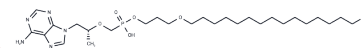


Tenofovir exalidex

Chemical Properties

CAS No. :	911208-73-6
Formula:	C ₂₈ H ₅₂ N ₅ O ₅ P
Molecular Weight:	569.72
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Tenofovir exalidex (CMX 157) is a lipid-conjugated acyclic nucleotide analog of Tenofovir, demonstrating efficacy against wild-type and antiretroviral-resistant HIV strains, including those resistant to multiple nucleoside/nucleotide analogs. It effectively inhibits all major HIV-1 and HIV-2 subtypes in fresh human PBMCs, as well as all evaluated HIV-1 strains in monocyte-derived macrophages, displaying EC ₅₀ values between 0.2 and 7.2 nM. Oral administration of CMX157 shows no apparent toxicity. Additionally, Tenofovir exalidex exhibits antiviral properties against HBV.
Targets(IC ₅₀)	Nucleoside Antimetabolite/Analog,Antiviral,HIV Protease,HBV
In vitro	Tenofovir exalidex demonstrates over 300-fold greater activity than Tenofovir against a broad spectrum of viruses across various cell systems and shows efficacy against MNR mutants resistant to all current NRTIs. Particularly, in PBMCs, CMX157 exhibits an average EC ₅₀ of 2.6 nM (ranging from 0.2 to 7.2 nM) against 27 wild-type HIV-1 isolates from group M subtypes A to G and group O[1]. The mechanism of action involves inhibiting HBV polymerase to prevent HBV DNA elongation, without the involvement of cyclophilins in this process. Moreover, the combination of CRV431 (host-targeting) and Tenofovir exalidex (direct-acting) leads to a synergistic effect in inhibiting HBV DNA synthesis, indicating their actions target different stages of the HBV life cycle[3].
In vivo	Tenofovir exalidex (Sprague-Dawley rats) is orally available and shows no apparent toxicity at 10, 30, or 100 mg/kg/day doses for 7 days[2]. It decreases liver HBV DNA levels dose-dependently when administered via oral gavage at 5-10 mg/kg daily for 16 days[3].

Solubility Information

Solubility	DMSO: Insoluble (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7552 mL	8.7762 mL	17.5525 mL
5 mM	0.351 mL	1.7552 mL	3.5105 mL
10 mM	0.1755 mL	0.8776 mL	1.7552 mL
50 mM	0.0351 mL	0.1755 mL	0.351 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lanier ER, et al. Development of hexadecyloxypropyl tenofovir (CMX157) for treatment of infection caused by wild-type and nucleoside/nucleotide-resistant HIV. *Antimicrob Agents Chemother.* 2010;54(7):2901-2909.

Gallay P, et al. The cyclophilin inhibitor CRV431 inhibits liver HBV DNA and HBsAg in transgenic mice. *PLoS One.* 2019;14(6):e0217433. Published 2019 Jun 10.

Painter GR, et al. Evaluation of hexadecyloxypropyl-9-R-[2-(Phosphonomethoxy)propyl]- adenine, CMX157, as a potential treatment for human immunodeficiency virus type 1 and hepatitis B virus infections. *Antimicrob Agents Chemother.* 2007 Oct;51(10):3505-9.

Painter GR, Almond MR, Trost LC, Lampert BM, Neyts J, De Clercq E, Korba BE, Aldern KA, Beadle JR, Hostetler KY. Evaluation of hexadecyloxypropyl-9-R-[2-(Phosphonomethoxy)propyl]- adenine, CMX157, as a potential treatment for human immunodeficiency virus type 1 and hepatitis B virus infections. *Antimicrob Agents Chemother.* 2007 Oct; 51(10):3505-9. Epub 2007 Jul 23. Erratum in: *Antimicrob Agents Chemother.* 2007 Dec;51(12):4538. PubMed PMID: 17646420; PubMed Central PMCID: PMC2043283.

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