
Product Data Sheet

Product Name: GS7340
 Cat. No.: GC18029

Chemical Properties

Cas. No. 379270-37-8

Chemical Name (2S)-isopropyl 2-((((((R)-1-(6-amino-9H-purin-9-yl)propan-2-yl)oxy)methyl)(phenoxy)phosphoryl)amino)propanoate fumarate

SMILES CC(OC([C@](NP(COC(CN1C=NC(C1=NC=N2)=C2N)([H])C)(OC3=CC=CC=C3)=O)([H])C)=O)C.O=C(O)/C([H])=C([H])/C(O)=O

Formula $C_{21}H_{29}N_6O_5P$ M.Wt 476.47

Solubility DMF: 25 mg/ml, DMSO: 20 mg/ml, Ethanol: 15 mg/ml, PBS (pH 7.2): 2 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Background

GS7340 (Tenofovir Alafenamide, TAF) is a novel oral prodrug of Tenofovir with improved antiviral activity [1].

Tenofovir (TFV) is an HIV-1 nucleotide reverse transcriptase (RT) inhibitor for the treatment of HIV infections [1].

GS7340 (Tenofovir Alafenamide, TAF) is a novel oral prodrug of Tenofovir. In peripheral blood mononuclear cells, TAF is mostly converted to TFV and achieved higher tenofovir diphosphate (TFV-DP) levels, compared with TDF. In MT-2 and MT-4 cells infected with HIV-1IIIB, TAF exhibited anti-HIV-1 activity with EC₅₀ values of 5 nM, while with CC₅₀ (50% cell death) values of 42 and 4.7 nM, respectively. In the 29 primary HIV-1 isolates tested in PBMCs, EC₅₀ values of TAF ranged from 0.10 to 12.0 nM with mean EC₅₀ of 3.5 nM. For the HIV-2 isolates, the mean EC₅₀ value was 1.8 nM. In MT-2 cells, TAF

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maintained its antiviral activity after HS (human serum) pretreatment, suggesting its plasma stability. TAF is a potent inhibitor of immunodeficiency viruses, such as HIV and SIV, and a weak inhibitor of HSV-2 [1]. In HIV-1 isolates with NRTI resistance amino acid substitutions, TAF exhibited reduced activity [2]. In primary human hepatocytes, TAF resulted in high levels of tenofovir diphosphate (TFV-DP), which exhibited half-life of >24 h [3].

In dogs, TAF orally administration for 7 days increased the levels of TFV-DP in dog livers for the treatment of HBV infection [3].

References:

- [1]. Callebaut C, Stepan G, Tian Y, et al. In Vitro Virology Profile of Tenofovir Alafenamide, a Novel Oral Prodrug of Tenofovir with Improved Antiviral Activity Compared to That of Tenofovir Disoproxil Fumarate. *Antimicrob Agents Chemother*, 2015, 59(10): 5909-5916.
- [2]. Margot NA, Johnson A, Miller MD, et al. Characterization of HIV-1 Resistance to Tenofovir Alafenamide In Vitro. *Antimicrob Agents Chemother*, 2015, 59(10): 5917-5924.
- [3]. Murakami E, Wang T, Park Y, et al. Implications of efficient hepatic delivery by tenofovir alafenamide (GS-7340) for hepatitis B virus therapy. *Antimicrob Agents Chemother*, 2015, 59(6): 3563-3569.

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