

Tenofovir amibufenamide

Chemical Properties

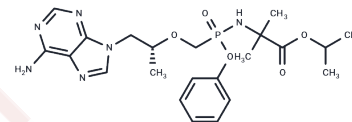
CAS No. : 1571076-26-0

Formula: C₂₂H₃₁N₆O₅P

Molecular Weight: 490.49

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 amibufenamide (HS-10234) is a Tenofovir prodrug, an antiviral compound with oral activity. Tenofovir amibufenamide inhibits hepatitis B virus (HBV) and can be used in chronic hepatitis B (CHB) studies.
Targets(IC50)	HBV
In vitro	TMF and Tenofovir amibufenamide exhibited significantly stronger inhibition of HBV DNA replication than did TDF in HBV-positive HepG2.2.15 cells. The anti-HBV activity of TMF was slightly stronger than Tenofovir amibufenamide after 9 days of treatment (EC50 7.29 ± 0.71 nM vs. 12.17 ± 0.56 nM). The callback effects of the three TFV ester prodrugs were ranked as TMF > Tenofovir amibufenamide > TDF. These advantages of TMF were believed to be attributed to its greater bioavailability in preclinical animals (SD rats, C57BL/6 mice and beagle dogs) and better target loading, especially in terms of the higher hepatic level of the pharmacologically active metabolite TFV-DP, which was tightly related to anti-HBV efficacy. [1]
In vivo	Safety was evaluated thoroughly focusing on bone, renal, and metabolic parameters between Tenofovir amibufenamide (25 mg, for 96 weeks) and TDF group. Non-indexed estimated glomerular filtration rate for renal safety assessment was adopted, while a smaller decline of which was seen in the Tenofovir amibufenamide group than in the TDF group (p=0.01). For bone mineral density, patients receiving Tenofovir amibufenamide displayed significantly lower reduction levels in the densities of spine, hip, and femur neck at week 96 than those receiving TDF. In addition, the lipid parameters were stable after week 48 in all groups while weight change still showed the opposite trend. Tenofovir amibufenamide maintained similar efficacy at week 96 compared with TDF with continued superior bone and renal safety profiles.[2]

Solubility Information

Solubility	DMSO: 180 mg/mL (366.98 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0388 mL	10.1939 mL	20.3878 mL
5 mM	0.4078 mL	2.0388 mL	4.0776 mL
10 mM	0.2039 mL	1.0194 mL	2.0388 mL
50 mM	0.0408 mL	0.2039 mL	0.4078 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

Hong X, et al. Improved pharmacokinetics of tenofovir ester prodrugs strengthened the inhibition of HBV replication and the rebalance of hepatocellular metabolism in preclinical models. *Front Pharmacol.* 2022;13:932-934.

Liu Z, et al. Randomised clinical trial: 48 weeks of treatment with tenofovir amibufenamide versus tenofovir disoproxil fumarate for patients with chronic hepatitis B. *Aliment Pharmacol Ther.* 2021;54(9):1134-1149.

Zhihong Liu, et al. Randomised clinical trial: 48 weeks of treatment with tenofovir amibufenamide versus tenofovir disoproxil fumarate for patients with chronic hepatitis B. *Aliment Pharmacol Ther.* 2021 Nov;54(9):1134-1149.

Hong Zhang, et al. Randomised clinical trial: safety, efficacy and pharmacokinetics of HS-10234 versus tenofovir for the treatment of chronic hepatitis B infection. *Aliment Pharmacol Ther.* 2021 Jan;53(2):243-252.

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