产品名称：GS-7340
产品别名：替诺福韦艾拉酚胺；Tenofovir alafenamide

生物活性：

Description	Tenofovir alafenamide (GS-7340) is an investigational oral prodrug of Tenofovir. Tenofovir is a HIV-1 nucleotide reverse transcriptase inhibitor.
IC_{50} & Target	HIV-1, NRTIs[1]
In Vitro	Tenofovir alafenamide (GS-7340) antiviral activities are similar across all cell types, ranging from 5 to 7 nM, while the CC50 varies from 4.7 to 42 μM for MT-4 and MT-2 cells, respectively. The antiviral activity of TAF is evaluated against a panel of HIV-1 and HIV-2 isolates, including HIV-1 group M subtypes A to G, as well as group N and O isolates. Overall, for the 29 primary HIV-1 isolates tested in PBMCs, TAF EC50s range from 0.1 to 12 nM, with a mean EC50 of 3.5 nM compared to a mean EC50 of 11.8 nM for AZT, which is used as an internal control. For the HIV-2 isolates, the mean EC50s are 1.8 nM for TAF and 6.4 nM for AZT[2].
In Vivo	Tenofovir alafenamide (GS-7340) hemifumarate is an amidate prodrug of Tenofovir with good oral bioavailability and increases plasma stability compared to Tenofovir disoproxil fumarate (TDF)[1].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 31 mg/mL (65.06 mM)** **H_2O : 6.67 mg/mL (14.00 mM;** Need ultrasonic) * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0988 mL	10.4938 mL	20.9877 mL
5 mM	0.4198 mL	2.0988 mL	4.1975 mL
10 mM	0.2099 mL	1.0494 mL	2.0988 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (4.37 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution

	此方案可获得 ≥ 2.08 mg/mL (4.37 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (4.37 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Babusis D, et al. Mechanism for effective lymphoid cell and tissue loading following oral administration of nucleotide prodrug GS-7340. Mol Pharm. 2013 Feb 4;10(2):459-66. [2]. Ruane PJ, et al. Antiviral activity, safety, and pharmacokinetics/pharmacodynamics of tenofovir alafenamide as 10-day monotherapy in HIV-1-positive adults. J Acquir Immune Defic Syndr. 2013 Aug 1;63(4):449-55.