



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **GS-7340 (fumarate)**

产品别名: **Tenofovir alafenamide fumarate; 替诺福韦艾拉酚胺富马酸盐**

| | | | | |
|--|---|--------------------------------|-----------|-----------|
| 生物活性: | | | | |
| Description | Tenofovir alafenamide fumarate (GS-7340 fumarate) 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 fumarate (GS-7340 fumarate) antiviral activities are similar across all cell types, ranging from 5 to 7 nM, while the CC ₅₀ 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 EC ₅₀ s range from 0.1 to 12 nM, with a mean EC ₅₀ of 3.5 nM compared to a mean EC ₅₀ of 11.8 nM for AZT, which is used as an internal control. For the HIV-2 isolates, the mean EC ₅₀ s are 1.8 nM for TAF and 6.4 nM for AZT[2]. | | | |
| In Vivo | Tenofovir alafenamide fumarate (GS-7340 fumarate) is an amide prodrug of Tenofovir with good oral bioavailability and increases plasma stability compared to Tenofovir disoproxil fumarate (TDF)[1]. | | | |
| Solvent&Solubility | In Vitro: DMSO : ≥ 36 mg/mL (60.76 mM) H ₂ O : ≥ 25 mg/mL (42.19 mM) * "≥" means soluble, but saturation unknown. | | | |
| | | Solvent / Mass / Concentration | 1 mg | 5 mg |
| | Preparing | 1 mM | 1.6876 mL | 8.4382 mL |
| | Stock Solutions | 5 mM | 0.3375 mL | 1.6876 mL |
| | | 10 mM | 0.1688 mL | 0.8438 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。 | | | | |
| 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. | | | |