

产品名称：替比夫定
产品别名：Telbivudine

生物活性：

Description

Telbivudine, a specific inhibitor of hepatitis B virus (HBV) replication, is an antiviral drug used in the treatment of hepatitis B infection. Target: HBV Telbivudine is an antiviral drug used in the treatment of hepatitis B infection. It is marketed by Swiss pharmaceutical company Novartis under the trade names Sebivo (Europe) and Tyzeka (United States). Clinical trials have shown it to be significantly more effective than lamivudine or adefovir, and less likely to cause resistance. Telbivudine is a synthetic thymidine nucleoside analogue, it is the L-isomer of thymidine. It is taken once daily. Telbivudine is a potent antiviral that provides effective and sustained viral suppression in patients with compensated CHB. In clinical trials, treatment outcomes were improved significantly more with telbivudine 600 mg once daily than with lamivudine 100 mg or adefovir 10 mg once daily, and telbivudine-treated patients had significantly less viral resistance than lamivudine-treated patients. Telbivudine is associated with a medium genetic barrier to resistance and, as patients with undetectable HBV DNA levels have significantly improved outcomes, it is recommended that HBV DNA levels are monitored at week 24 (and 6 monthly thereafter), with the addition of a nucleoside/nucleotide analogue without cross resistance (such as adefovir dipivoxil) if viraemia is present to reduce the risk of resistance (Roadmap concept). Telbivudine was generally well tolerated in clinical trials for periods of up to 4 years, and has a similar tolerability profile to that of lamivudine.

In Vitro:

DMSO : 50 mg/mL (206.42 mM; Need ultrasonic)

H₂O : 33.33 mg/mL (137.60 mM; Need ultrasonic)

	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
Preparing	1 mM		4.1283 mL	20.6415 mL	41.2831 mL
Stock Solutions	5 mM		0.8257 mL	4.1283 mL	8.2566 mL
	10 mM		0.4128 mL	2.0642 mL	4.1283 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℃，6 months；-20℃，1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 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: ≥ 3.25 mg/mL (13.42 mM); Clear solution

此方案可获得 ≥ 3.25 mg/mL (13.42 mM, 饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 32.5 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)

	<p>Solubility: ≥ 3.25 mg/mL (13.42 mM); Clear solution</p> <p>此方案可获得 ≥ 3.25 mg/mL (13.42 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 32.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 3.25 mg/mL (13.42 mM); Clear solution</p> <p>此方案可获得 ≥ 3.25 mg/mL (13.42 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。</p> <p>以 1 mL 工作液为例, 取 100 μL 32.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. <u>Lai CL, et al. A 1-year trial of telbivudine, lamivudine, and the combination in patients with hepatitis B e antigen-positive chronic hepatitis B. Gastroenterology. 2005 Aug;129(2):528-36.</u></p> <p>[2]. <u>Lai CL, et al. Telbivudine versus lamivudine in patients with chronic hepatitis B. N Engl J Med. 2007 Dec 20;357(25):2576-88.</u></p> <p>[3]. <u>McKeage K, et al. Telbivudine: a review of its use in compensated chronic hepatitis B. Drugs. 2010 Oct 1;70(14):1857-83.</u></p>

源叶生物