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产品名称: **Tegobuvir**  
产品别名: **GS 333126; GS-9190**

生物活性:

Description	Tegobuvir is a specific, covalent inhibitor of the HCV NS5B polymerase.				
In Vitro	Tegobuvir rapidly increases the proportion of replicons with the Y448H mutation in a dose-dependent manner. After 3 days of treatment, 1.2%, 6.8%, and > 50% of the replicon population expresses Y448H with the use of Tegobuvir at 1, 10, and 20 times its 50% effective concentration, respectively[1]. Tegobuvir exerts anti-HCV activity utilizing a unique chemical activation and subsequent direct interaction with the NS5B protein. Treatment of HCV subgenomic replicon cells with Tegobuvir results in a modified form of NS5B with a distinctly altered mobility on a SDS-PAGE gel[2]. Tegobuvir is potent in GT1a and 1b with mean EC50s of 19.8 and 1.5 nM respectively. For genotype 3a, 4a, and 6a Con chimeras, tegobuvir EC50s are all greater than 100 nM. The F445C NS5B mutations in GT3a, 4a, and 6a chimeric replicons restore tegobuvir potency to EC50 levels comparable to GT1a[3].				
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : ≥ 50 mg/mL (96.64 mM)</b> <b>H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)</b>  * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	1.9327 mL	9.6637 mL	19.3274 mL
		5 mM	0.3865 mL	1.9327 mL	3.8655 mL
		10 mM	0.1933 mL	0.9664 mL	1.9327 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。				
	<b>In Vivo:</b>				
	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: ≥ 2.75 mg/mL (5.32 mM); Clear solution					
此方案可获得 ≥ 2.75 mg/mL (5.32 mM, 饱和度未知) 的澄清溶液。					
以 1 mL 工作液为例，取 100 μL 27.5 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% corn oil					
Solubility: ≥ 2.75 mg/mL (5.32 mM); Clear solution					



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	<p>此方案可获得 <math>\geq 2.75</math> mg/mL (5.32 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 <math>\mu</math>L 27.5 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
References	<p>[1]. Bae AS, et al. Allele-specific real-time PCR system for detection of subpopulations of genotype 1a and 1b hepatitis C NS5B Y448H mutant viruses in clinical samples. J Clin Microbiol. 2011 Sep;49(9):3168-74.</p> <p>[2]. Hebner CM, et al. The HCV non-nucleoside inhibitor Tegobuvir utilizes a novel mechanism of action to inhibit NS5B polymerase function. PLoS One. 2012;7(6):e39163.</p> <p>[3]. Wong KA, et al. Tegobuvir (GS-9190) potency against HCV chimeric replicons derived from consensus NS5B sequences from genotypes 2b, 3a, 4a, 5a, and 6a. Virology. 2012 Jul 20;429(1):57-62.</p>
实验参考:	
Cell Assay	<p>Replicon-containing cells are trypsinized and seeded in cell culture media without G418 in white 96-well plates for EC<sub>50</sub> analysis. Stable replicon carrying cell lines are seeded at a density of 5,000 cells per well. Serial threefold dilutions (10 concentrations) of compounds are performed in DMSO followed by further dilution in cell culture media and subsequent addition to cell plates. Compound-treated cells are incubated 72 hours at 37°C in a 5% CO<sub>2</sub> incubator. [2]</p>
References	<p>[1]. Bae AS, et al. Allele-specific real-time PCR system for detection of subpopulations of genotype 1a and 1b hepatitis C NS5B Y448H mutant viruses in clinical samples. J Clin Microbiol. 2011 Sep;49(9):3168-74.</p> <p>[2]. Hebner CM, et al. The HCV non-nucleoside inhibitor Tegobuvir utilizes a novel mechanism of action to inhibit NS5B polymerase function. PLoS One. 2012;7(6):e39163.</p> <p>[3]. Wong KA, et al. Tegobuvir (GS-9190) potency against HCV chimeric replicons derived from consensus NS5B sequences from genotypes 2b, 3a, 4a, 5a, and 6a. Virology. 2012 Jul 20;429(1):57-62.</p>

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