产品名称：特地唑胺
产品别名：Tedizolid；TR 700; Torezolid; DA-7157

生物活性：

Description	Tedizolid (TR 700; Torezolid; DA-7157) is a novel oxazolidinone, acting through inhibition of bacterial protein synthesis by binding to 23S ribosomal RNA (rRNA) of the 50S subunit of the ribosome.
In Vitro	Tedizolid (0.25 μg/mL) inhibits all 28 clinical isolates of PRSP, and is 4-fold more potent than linezolid against PRSP[1].
In Vivo	For mice infected with PSSP type III, the 100% survival rate is achieved with tedizolid phosphate at a minimum total daily dose of 10 mg/kg. Lungs of infected mice treated with tedizolid phosphate show less severe inflammation and edema, as indicated by the mean scores for inflammation and edema[1].

Solvent&Solubility

In Vitro:

DMSO : 10 mg/mL (27.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7002 mL	13.5011 mL	27.0022 mL
	5 mM	0.5400 mL	2.7002 mL	5.4004 mL
	10 mM	0.2700 mL	1.3501 mL	2.7002 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: ≥ 1 mg/mL (2.70 mM); Clear solution

此方案可获得 ≥ 1 mg/mL (2.70 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 10.0 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: ≥ 1 mg/mL (2.70 mM); Clear solution

此方案可获得 ≥ 1 mg/mL (2.70 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 10.0 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。

References

[1]. Choi S, et al. Activity of Tedizolid Phosphate (TR-701) in Murine Models of Infection with Penicillin-resistant and Penicillin-sensitive Streptococcus pneumoniae. Antimicrob Agents Chemother. 2012 Jun 19.

实验参考：

Animal Administration	To induce a systemic *S. pneumoniae* infection, male ICR mice (weight, 18 to 20 g) are inoculated intraperitoneally with 1 of 4 PRSP isolates (DR9, DR10, DR11, or DR14) suspended in 10% mucin. The suspension contained sufficient bacteria to kill 100% of untreated control mice. At 1 h postinfection, mice receives a single dose of either tedizolid phosphate or linezolid, and survival is assessed daily for 7 days postinfection. Treatments are delivered both orally and intravenously at each of four doses (40 mg/kg of body weight, 13.33 mg/kg, 4.44 mg/kg, and 1.48 mg/kg), with 8 mice per group defined by dose, delivery method, and infecting strain. The 50% effective dose (ED_{50}), i.e., the dose allowing survival of 50% of the infected mice, is calculated for each delivery route using probit analysis. [1]
References	[1]. Choi S, et al. Activity of Tedizolid Phosphate (TR-701) in Murine Models of Infection with Penicillin-resistant and Penicillin-sensitive Streptococcus pneumoniae. Antimicrob Agents Chemother. 2012 Jun 19.