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产品名称：ST 246
产品别名：Tecovirimat

生物活性：

Description

Tecovirimat(ST-246) is an orally bioavailable antipoxvirus compound; potent and selective active against multiple orthopoxviruses with EC50 about 10 nM. IC50 value: 10 nM [1] Target: antipoxvirus in vitro: ST-246 targets the cowpox virus V061 gene, which encodes a major envelope protein homologous to the vaccinia virus F13L gene product. The antiviral potency and selectivity of ST-246 was measured in CPE assays against a panel of DNA- and RNA-containing viruses. In these assays, the EC50 for inhibition of vaccinia virus was determined to be 0.01 μM, while the EC50 values for inhibition of unrelated viruses were >40 μM [1]. ST-246 was evaluated for activity against cowpox virus (CV), vaccinia virus (VV), and ectromelia virus (ECTV) and had an in vitro 50% effective concentration (EC50) of 0.48 microM against CV, 0.05 microM against VV, and 0.07 microM against ECTV. The selectivity indices were >208 and >2,000 for CV and VV, respectively. The in vitro antiviral activity of ST-246 was significantly greater than that of cidofovir, which had an EC50 of 41.1 microM against CV and 29.2 microM against VV, with selectivity indices of >7 and >10, respectively [2]. in vivo: Mice were treated with placebo (vehicle), ST-246 administered by oral gavage at 50 mg/kg twice a day (b.i.d.) for 14 days, or CDV administered as a single intraperitoneal (i.p.) injection at 100 mg/kg. ST-246-treated mice mounted a protective immune response to vaccinia virus infection [1]. ST-246 administered once daily by oral gavage to mice infected intranasally with CV beginning 4 h or delayed until 72 h postinoculation was highly effective when given for a 14-day duration using 100, 30, or 10 mg/kg of body weight. When 100 mg/kg of ST-246 was administered to VV-infected mice, a duration of 5 days was sufficient to significantly reduce mortality even when treatment was delayed 24 h postinoculation. Viral replication in liver, spleen, and kidney, but not lung, of CV- or VV-infected mice was reduced by ST-246 compared to levels for vehicle-treated mice [2].

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**265.72 mM;** Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6572 mL	13.2862 mL	26.5724 mL
5 mM	0.5314 mL	2.6572 mL	5.3145 mL
10 mM	0.2657 mL	1.3286 mL	2.6572 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: 2.5 mg/mL (6.64 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (6.64 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 25.0 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% corn oil Solubility: ≥ 2.5 mg/mL (6.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.64 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Yang G, et al. An orally bioavailable antipoxvirus compound (ST-246) inhibits extracellular virus formation and protects mice from lethal orthopoxvirus Challenge. J Virol. 2005 Oct;79(20):13139-49. [2]. Quenelle DC, et al. Efficacy of delayed treatment with ST-246 given orally against systemic orthopoxvirus infections in mice. Antimicrob Agents Chemother. 2007 Feb;51(2):689-95.