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# 产品名称：CTS-1027
# 产品别名：Ro 1130830; RS 130830

## 生物活性：

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| **Description** | CTS-1027 is a potent small molecule inhibitor of MMPs, with $IC_{50}$s of 0.3 nM, 0.5 nM for MMP2, MMP13, respectively, and has > 1,000 fold selectivity over MMP1. |
| **$IC_{50}$ & Target** | IC50: 0.2 nM (MMP2), 0.5 nM (MMP13), 0.7 nM (MMP12), 0.9 nM (MMP8), 9.5 nM (MMP3), 15 nM (MMP14) |
| **In Vivo** | CTS-1027 significantly reduces the hepatocyte apoptosis, features of cholestatic liver injury, amd markers of hepatic fibrogenesis in the BDL mouse. CTS-1027 improves overall animal survival following 14 days of BDL in mice[1]. In male animals treated for 8 weeks the terminal plasma concentration of RS-130830 is 311±45 nM. Treatment of male mice with RS-130830 for 8 weeks causes an 89% increase in plasma triglyceride concentration, but there is no corresponding effect in female mice treated for 12 weeks. The plaque lipid content of animals receiving RS-130830 is increased by 81% at 12 weeks, and increased by 41% at 16 weeks[2]. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 100 mg/mL (234.81 mM)**

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.3481 mL | 11.7404 mL | 23.4808 mL |
| | 5 mM | 0.4696 mL | 2.3481 mL | 4.6962 mL |
| | 10 mM | 0.2348 mL | 1.1740 mL | 2.3481 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 (5.87 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.87 mM，饱和度未知) 的澄清溶液。

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% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (5.87 mM); Clear solution

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| | 此方案可获得 ≥ 2.5 mg/mL (5.87 mM，饱和度未知) 的澄清溶液。<br>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 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。<br><br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 2.5 mg/mL (5.87 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (5.87 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。<br>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]. Kahraman A, et al. Matrix metalloproteinase inhibitor, CTS-1027, attenuates liver injury and fibrosis in the bile duct-ligated mouse. Hepatol Res. 2009 Aug;39(8):805-813.<br>[2]. Johnson JL, et al. Effect of broad-spectrum matrix metalloproteinase inhibition on atherosclerotic plaque stability. Cardiovasc Res. 2006 Aug 1;71(3):586-595. |

**实验参考：**

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| **Animal Administration** | For experimental procedures, mice are anesthetized with ketamine 60 mg/kg plus xylazine 10 mg/kg body weight by intraperitoneal injection. After a midline upper-abdominal incision, the peritoneal cavity is opened, the abdominal wall retracted, and the common hepatic bile duct is double-ligated below the bifurcation and transected between the ligatures as previously described by us in detail. Sham-operated mice, used as controls, also underwent similar laparotomy with exposure but without ligation of the common bile duct. The fascia and skin of the midline abdominal incision are closed with sterile surgical 5-0 sutures. Either CTS-1027 or the vector carboxymethylcellulose are administered by gavage in a dose of 10 mg/kg body weight once a day. Drugs are prepared freshly on the day of the study. After 14 days of BDL and gavage, mice are re-anesthetized, sacrificed and blood is obtained from the inferior vena cava for serum total bilirubin and ALT determinations and the liver is removed, cut into small pieces and either snap-frozen in liquid nitrogen for storage at −80°C or fixed in freshly prepared 4% paraformaldehyde in phosphate-buffered saline (PBS) for 48 hours at 4°C for additional studies. Liver tissue is also subjected to RNA extraction using the Trizol reagent. Serum bilirubin and ALT determinations are performed as previously described. [1] |
| **References** | [1]. Kahraman A, et al. Matrix metalloproteinase inhibitor, CTS-1027, attenuates liver injury and fibrosis in the bile duct-ligated mouse. Hepatol Res. 2009 Aug;39(8):805-813.<br>[2]. Johnson JL, et al. Effect of broad-spectrum matrix metalloproteinase inhibition on atherosclerotic plaque stability. Cardiovasc Res. 2006 Aug 1;71(3):586-595. |