# Product Data Sheet

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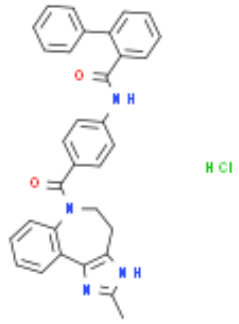
| Cas No.: | 168626-94-6 | Cat. No: | PC10664 |
| Product Name: | Conivaptan HCl | | |
| Product synonym: | 盐酸考尼伐坦;N-[4-(2-甲基-4,5-二氢-3H-咪唑并[4,5-d][1]苯并氮杂卓-6-甲酰基)苯基]-2-苯基苯甲酰胺盐酸盐;考尼伐坦盐酸盐;考尼伐坦盐酸;考尼伐坦;盐酸考尼法坦;盐酸考尼代坦;[1,1' -联苯] -2 -甲酰胺,N-[4 - [(4,5 -二氢-2 -甲基咪唑并[4,5-D〕[4]苯并氮杂-6(1H)-基)羰基]苯基]盐酸盐 | | |
| Chemical name: | Conivaptan HCl | | |
| MF: | C32H27CLN4O2 | FW: | 535.0354 |
| Purity: | ≥98% | Batch No.: | - |
| Storage: | | | |
| Structural formula: |  | | |
| λmax: | - | Formulation: | - |
| Solubility : | | | |
| SMILES : | Cl[H].O=C(C1C([H])=C([H])C(=C([H])C=1[H])N([H])C(C1=C([H])C([H])=C([H])C([H])=C1C1C([H])=C([H])C([H])=C([H])C=1[H])=O)N1C2=C([H])C([H])=C([H])C([H])=C2C2=C(C([H])([H])C1([H])[H])N([H])C(C([H])([H])[H])=N2 | | |
| InChI Code: | - | | |
| InChI Key: | | | |
| **WARNING This product is not for human or veterinary use.** | | | |

## Product Description

血管加压素拮抗剂,Conivaptan hydrochloride 是一种非肽段类的 vasopressin receptor 拮抗剂，能够抑制大鼠肝脏的 V1A 受体 和 肾脏的 V2 受体，Ki 值分别为 0.48 和 3.04 nM

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| 生物活性 | Conivaptan (hydrochloride) is a non-peptide antagonist of **vasopressin receptor**, with $K_i$ values of 0.48 and 3.04 nM for rat liver V1A receptor and rat kidney V2 receptor respectively. |
| IC50 & Target[1][2] | Ki: 0.48 nM (V1A receptor), 3.04 nM (V2 receptor) |

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| 体内研究(In Vivo) | Conivaptan (0.03, 0.1 and 0.3 mg/kg, i.v.) dose-dependently increases urine volume and reduces urine osmolality in both myocardial infarction and sham-operated rats. Conivaptan (0.3 mg/kg i.v.) significantly reduces right ventricular systolic pressure, left ventricular end-diastolic pressure, lung/body weight and right atrial pressure in myocardial infarction rats. Conivaptan (0.3 mg/kg i.v.) significantly increases dP/dt(max)/left ventricular pressure in myocardial infarction rats. Conivaptan produces an acute increase in urine volume (UV), a reduction in osmolality (UOsm) and, at the end of the investigation, cirrhotic rats receiving the V(1a)/V(2)-AVP receptor antagonist does not show hyponatremia or hypoosmolality. Conivaptan also normalizes U(Na)V without affecting creatinine clearance and arterial pressure. Conivaptan (0.01 to 0.1 mg/kg, i.v.) exerts a dose-dependent diuretic effect in dogs without an increase in the urinary excretion of electrolytes, inhibits the pressor effect of exogenous vasopressin in a dose-dependent manner (0.003 to 0.1 mg/kg i.v.) and, at the highest dose (0.1 mg/kg i.v.), almost completely blocks vasoconstriction caused by exogenous vasopressin. Conivaptan (0.1 mg/kg, i.v.) improves cardiac function, as evidenced by significant increases in left ventricular dP/dtmax, cardiac output and stroke volume, and reduces preload and afterload, as evidenced by significant decreases in left ventricular end-diastolic pressure and total peripheral vascular resistance in dogs with congestive heart failure.<br><br>**Medlife has not independently confirmed the accuracy of these methods. They are for reference only.** |
| 包装储存 | 4°C, sealed storage, away from moisture and light<br><br>*In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light) |
| 溶解度数据 | *体外研究:*<br><br>**DMSO : ≥ 100 mg/mL (186.90 mM)**<br><br>**$H_2O$ : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C)** (insoluble)<br><br>* "≥" means soluble, but saturation unknown. |

| 配制储备溶液 | 溶剂体积 / 质量 浓度 | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.8690 mL | 9.3451 mL | 18.6902 mL |
| | 5 mM | 0.3738 mL | 1.8690 mL | 3.7380 mL |
| | 10 mM | 0.1869 mL | 0.9345 mL | 1.8690 mL |

* 产品不同，其溶解度不同。建议根据产品选择合适的溶剂配制储备溶液；配成溶液后，**建议分装保存，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 (sealed storage, away from moisture and light)。-80°C 储存时，建议在 6 个月内使用，-20°C 储存时，建议在 1 个月内使用。

*体内研究:*

建议根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都建议先按照 **体外研究** 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. 建议依照次序添加每种溶剂： 10% DMSO 40% PEG300 5% Tween-80 45% saline

   Solubility: ≥ 2.5 mg/mL (4.67 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.67 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。

将 0.9 g 氯化钠，完全溶解于 100 mL ddH?O 中，得到澄清透明的生理盐水溶液

2. 建议依照次序添加每种溶剂： 10% DMSO 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (4.67 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.67 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

将 2 g 磺丁基醚 β-环糊精加入 5 mL 生理盐水中，再用生理盐水定容至 10 mL，完全溶解，澄清透明

3. 建议依照次序添加每种溶剂： 10% DMSO 90% corn oil

Solubility: ≥ 2.5 mg/mL (4.67 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.67 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。

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