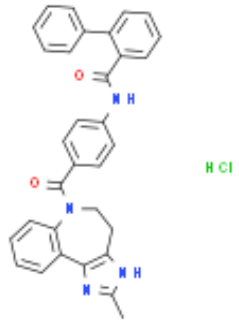
Product Data Sheet

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

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)

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. **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 *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:* **DMSO : ≥ 100 mg/mL (186.90 mM)** **H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C)** (insoluble) * "≥" 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。

*