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产品名称：KN-92 (hydrochloride)
产品别名：KN-92 hydrochloride

生物活性：

Description

KN-92 hydrochloride is an inactive derivative of KN-93. KN-93 is a selective inhibitor of Ca2+/calmodulin-dependent kinase II (CaMKII), competitively blocking CaM binding to the kinase (Ki = 370 nM). IC50 value: Target: KN-92 is intended to be used as a control compound in studies designed to elucidate the antagonist activities of KN-93. KN-93 inhibits histamine-induced aminopyrine uptake in parietal cells (IC50 = 300 nM). KN-93 has been used to implicate roles for CaMKII in Ca2+-induced Ca2+ release in cardiac myocytes, constitutive phosphorylation of 5-lipoxygenase in 3T3 cells, and Ca2+-dependent activation of HIF-1α in colon cancer cell.

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (101.33 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0265 mL	10.1327 mL	20.2655 mL
	5 mM	0.4053 mL	2.0265 mL	4.0531 mL
	10 mM	0.2027 mL	1.0133 mL	2.0265 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: ≥ 2.75 mg/mL (5.57 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (5.57 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 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: ≥ 2.75 mg/mL (5.57 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (5.57 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 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。

	3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.75 mg/mL (5.57 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (5.57 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 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Rokhlin OW, Guseva NV, Taghiyev AF et al. KN-93 inhibits androgen receptor activity and induces cell death irrespective of p53 and Akt status in prostate cancer. Cancer Biol Ther. 2010 Feb;9(3):224-35. [2]. An P, Zhu JY, Yang Y et al. KN-93, a specific inhibitor of CaMKII inhibits human hepatic stellate cell proliferation in vitro. World J Gastroenterol. 2007 Mar 7;13(9):1445-8. [3]. Gao L, Blair LA, Marshall J. et al. CaMKII-independent effects of KN93 and its inactive analog KN92: reversible inhibition of L-type calcium channels. Biochem Biophys Res Commun. 2006 Jul 14;345(4):1606-10. [4]. Rezazadeh S, Claydon TW, Fedida D. et al. KN-93 (2-[N-(2-hydroxyethyl)]-N-(4-methoxybenzenesulfonyl)]amino-N-(4-chlorocinnamyl)-N-methylbenzylamine), a calcium/calmodulin-dependent protein kinase II inhibitor, is a direct extracellular blocker of voltage-gated potassium channels. J Pharmacol Exp Ther. 2006 Apr;317(1):292-9. [5]. Anderson ME, Braun AP, Wu Y et al. KN-93, an inhibitor of multifunctional Ca++/calmodulin-dependent protein kinase, decreases early afterdepolarizations in rabbit heart. J Pharmacol Exp Ther. 1998 Dec;287(3):996-1006. [6]. Sumi M, Kiuchi K, Ishikawa T et al. The newly synthesized selective Ca2+/calmodulin dependent protein kinase II inhibitor KN-93 reduces dopamine contents in PC12h cells. Biochem Biophys Res Commun. 1991 Dec 31;181(3):968-75.