上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Go 6983
产品别名：Gö 6983; Goe 6983

生物活性：

Description	Go 6983 is a pan-PKC inhibitor against for PKCα, PKCβ, PKCγ, PKCδ and PKCζ with IC50 of 7 nM, 7 nM, 6 nM, 10 nM and 60 nM, respectively.

IC_{50} & Target	PKCγ	PKCα	PKCβ	PKCδ	PKCζ	PKCμ
	6 nM (IC_{50})	7 nM (IC_{50})	7 nM (IC_{50})	10 nM (IC_{50})	60 nM (IC_{50})	20000 nM (IC_{50})

In Vitro	Go 6983 inhibits PKCμ with IC50 of 20 μM, and the pther PKC isoenzymes can be suppressed by Go 6983 with IC50 values from 7 to 60 nM[1]. Go 6983 (100 nM) significantly reduces PMN adherence to the endothelium and infiltration into the myocardium compared with I/R + PMN hearts, and significantly inhibits superoxide release from PMNs by 90 +/- 2% in rat hearts[2]. Go 6983 (200 nM) has a reduced cardioprotective effect compared with the cardioprotective Go 6983 concentrations (50 and 100 nM) despite inhibiting PMN superoxide release by 99%[3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 34 mg/mL (76.83 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	**1 mg**	**5 mg**	**10 mg**
	1 mM	2.2598 mL	11.2992 mL	22.5984 mL
	5 mM	0.4520 mL	2.2598 mL	4.5197 mL
	10 mM	0.2260 mL	1.1299 mL	2.2598 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 (5.65 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.65 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 (5.65 mM); Suspended solution; Need ultrasonic

	此方案可获得 2.5 mg/mL (5.65 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 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: 2.5 mg/mL (5.65 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (5.65 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 900 μL 玉米油中，混合均匀。
References	[1]. Gschwendt M, et al. Inhibition of protein kinase C mu by various inhibitors. Differentiation from protein kinase c isoenzymes. FEBS Lett, 1996, 392(2), 77-80. [2]. Peterman EE, et al. G0 6983 exerts cardioprotective effects in myocardial ischemia/reperfusion. J Cardiovasc Pharmacol, 2004, 43(5), 645-656. [3]. Young LH, et al. G0 6983: a fast acting protein kinase C inhibitor that attenuates myocardial ischemia/reperfusion injury. Cardiovasc Drug Rev, 2005, 23(3), 255-272.
实验参考：	
Kinase Assay	Phosphorylation reactions are carried out in a total volume of 100 μL, containing buffer C (50 mM Tris-HCl, pH 7.5, 10 mM β-mercaptoethanol), 4 mM $MgCl_2$, 10 μg PS, 100 nM TPA, 5 μL of a Sf158 cell extract as a source of recombinant PKCμ or of Sf9 cell extracts as a source of other recombinant PKC isoenzymes, 10 μg of syntide 2 as substrate, and 35 μM ATP containing 1 μCi [γ-^{32}P]ATP. In some experiments, PS and TPA are omitted or various inhibitors at concentrations indicated in the text are added. After incubation for 10 min at 30°C, the reaction is terminated by transferring 50 μL of the assay mixture onto a 20 mm square piece of phosphocellulose paper, which is washed 3 times in deionized water and twice in acetone. The radioactivity on each paper is determined by liquid scintillation counting. [1]
References	[1]. Gschwendt M, et al. Inhibition of protein kinase C mu by various inhibitors. Differentiation from protein kinase c isoenzymes. FEBS Lett, 1996, 392(2), 77-80. [2]. Peterman EE, et al. G0 6983 exerts cardioprotective effects in myocardial ischemia/reperfusion. J Cardiovasc Pharmacol, 2004, 43(5), 645-656. [3]. Young LH, et al. G0 6983: a fast acting protein kinase C inhibitor that attenuates myocardial ischemia/reperfusion injury. Cardiovasc Drug Rev, 2005, 23(3), 255-272.