产品名称：N-({1-[(4-氯苯基)氨基]-1-氧代-2-丙基}氧基)-3,5-二(三氟甲基)苯甲酰胺
产品别名：CCG-1423

生物活性：

Description

CCG-1423 is a novel inhibitor of RhoA/C-mediated gene transcription that is capable of inhibiting invasion of PC-3 prostate cancer cells in a Matrigel model of metastasis. IC50 value: 1.5 uM [1] Target: Rho signaling inhibitor in vitro: CCG-1423 selectively inhibited spontaneous PC-3 prostate cancer cell invasion through a Matrigel matrix, but not the Gαi-dependent LPA-stimulated SKOV-3 ovarian cancer cell invasion, in vitro. At 100 μM, nearly complete inhibition of invasion was achieved with a lesser degree of toxicity than that induced by CCG-1423 at 10 μM [1]. SRF binds to this site in vivo and the SRF inhibitor CCG-1423 completely blocks STARS proximal reporter activity in H9c2 cells [3]. pharmacological MKL-inhibition with CCG-1423 significantly inhibited CCN1 promoter activity as well as mRNA and protein expression[4]. in vivo: Pharmacological SRF inhibition by CCG-1423 reduced nuclear MKL1 and improved glucose uptake and tolerance in insulin-resistant mice in vivo [2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 26 mg/mL (57.17 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	2.1990 mL	10.9951 mL	21.9901 mL
5 mM	0.4398 mL	2.1990 mL	4.3980 mL
10 mM	0.2199 mL	1.0995 mL	2.1990 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 (5.50 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.50 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 (5.50 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (5.50 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 (5.50 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.50 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。
References	[1]. Evelyn CR, et al. Design, synthesis and prostate cancer cell-based studies of analogs of the Rho/MKL1 transcriptional pathway inhibitor, CCG-1423. Bioorg Med Chem Lett. 2010 Jan 15;20(2):665-72. [2]. Jin W, et al. Increased SRF transcriptional activity in human and mouse skeletal muscle is a signature of insulin resistance. J Clin Invest. 2011 Mar;121(3):918-29. [3]. Chong NW, et al. STARS is essential to maintain cardiac development and function in vivo via a SRF pathway. PLoS One. 2012;7(7):e40966. [4]. Duggirala A, et al. cAMP-induced actin cytoskeleton remodelling inhibits MKL1-dependent expression of the chemotactic and pro-proliferative factor, CCN1. J Mol Cell Cardiol. 2014 Nov 18;79C:157-168.