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：MK2-IN-1 (hydrochloride)
产品别名：MK2-IN-1 hydrochloride

生物活性：

Description

MK2-IN-1 hydrochloride is a potent and selecitve MAPKAPK2(MK2) inhibitor(IC50=0.11 uM) with a non-ATP competitive binding mode. IC50 value: 0.11 uM [1] Target: MAPKAPK2(MK2) inhibitor MK2-IN-1 was profiled for kinase selectivity by screening against a broad panel of 150 protein kinases at a concentration of 10 μM, and only CK1γ3 was significantly inhibited at greater than 50%. MK2-IN-1 inhibited pro-inflammatory cytokine secretion from the human THP1 acute monocytic leukemia cell line, causing dose-dependent inhibition of LPS-stimulated TNFα and IL6 secretion. MK2-IN-1 also dose dependently inhibited IL1β-stimulated matrixmetalloprotease (MMP)13 secretion from the SW1353 chondrosarcoma cell line and human primary chondrocyte cultures. Of note, given its high degree of selectivity, our data suggest that MK2-IN-1 may be an excellent pharmacologic tool for specifically exploring and validating MK2 biology [3].

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**196.30 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9630 mL	9.8149 mL	19.6298 mL
	5 mM	0.3926 mL	1.9630 mL	3.9260 mL
	10 mM	0.1963 mL	0.9815 mL	1.9630 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: ≥ 1.67 mg/mL (3.28 mM); Clear solution

此方案可获得 ≥ 1.67 mg/mL (3.28 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 16.699999 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: ≥ 1.67 mg/mL (3.28 mM); Clear solution

此方案可获得 ≥ 1.67 mg/mL (3.28 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 16.699999 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: ≥ 1.67 mg/mL (3.28 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (3.28 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 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Rao AU, et al. Facile synthesis of tetracyclic azepine and oxazocine derivatives and their potential as MAPKAP-K2 (MK2) inhibitors. Bioorg Med Chem Lett. 2012 Jan 15;22(2):1068-72. [2]. Huang X, et al. A three-step protocol for lead optimization: quick identification of key conformational features and functional groups in the SAR studies of non-ATP competitive MK2 (MAPKAPK2) inhibitors. Bioorg Med Chem Lett. 2012 Jan 1;22(1):65-70. [3]. Huang X, et al. Discovery and Hit-to-Lead Optimization of Non-ATP Competitive MK2 (MAPKAPK2) Inhibitors. ACS Med Chem Lett. 2011 Jun 24;2(8):632-7.