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：5-(4-氯苯基)-1-(4-甲氧基苯基)-3-(三氟甲基)-1H-吡唑
产品别名：SC-560

生物活性：

Description	SC-560 is a potent and selective COX-1 inhibitor with an IC_{50} of 9 nM.	
IC_{50} & Target	COX-1	COX-2
	9 nM (IC_{50})	6.3 μM (IC_{50})
In Vitro	Preincubation of COX-1 with SC-560 inhibits the conversion of arachidonic acid to PGE2 in a concentration-dependent manner. The IC50 of SC-560 for COX-2 is 6.3 μM, nearly 1,000-fold higher than with COX-1[1]. SC-560 shows a dose and time dependent inhibitory effect on HCC cell growth. SC-560 also inhibits colony formation in soft agar and induces apoptosis in HCC cells in a dose-dependent manner. Moreover, SC-560 decreases the levels of the anti-apoptotic proteins survivin and XIAP and activates caspase 3 and 7 in a dose and time dependent fashion[2]	
In Vivo	Oral dosing with either 10 or 30 mg/kg SC-560 1 hour before assay completely inhibits ionophore-stimulated TxB2production, indicating that SC-560 is orally bioavailable and inhibits COX-1 in vivo[1]. SC-560 extensively distributes into rat tissues, and has a CL approaching hepatic plasma flow. The drug displays low less than 15% and formulation dependent bioavailability after oral administration and demonstrates kidney toxicity[3].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (**283.49 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	2.8349 mL	14.1747 mL	28.3495 mL
	5 mM	0.5670 mL	2.8349 mL	5.6699 mL
	10 mM	0.2835 mL	1.4175 mL	2.8349 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: ≥ 3 mg/mL (8.50 mM); Clear solution

此方案可获得 ≥ 3 mg/mL (8.50 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 30.0 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% corn oil Solubility: ≥ 3 mg/mL (8.50 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (8.50 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 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Smith CJ, et al. Pharmacological analysis of cyclooxygenase-1 in inflammation. Proc Natl Acad Sci U S A. 1998 Oct 27;95(22):13313-8. [2]. Lampiasi N, et al. The selective cyclooxygenase-1 inhibitor SC-560 suppresses cell proliferation and induces apoptosis in human hepatocellular carcinoma cells. Int J Mol Med. 2006 Feb;17(2):245-52. [3]. Teng XW, et al. Formulation dependent pharmacokinetics, bioavailability and renal toxicity of a selective cyclooxygenase-1 inhibitor SC-560 in the rat. J Pharm Pharm Sci. 2003 May-Aug;6(2):205-10.

实验参考：

Cell Assay	HuH-6 and HA22T/VGH cells (5000/well) are treated with various concentrations of SC-560 (5, 10, 25, 50, 100, 200 μM) and cultured for 72 h. At the end of treatment, cell viability is assessed by MTS assay[2].
Animal Administration	Rats: The pharmacokinetics of SC-560 is studied in Sprague-Dawley rats after a single intravenous (i.v.) and oral dose (10 mg/kg) in polyethylene glycol (PEG) 600 and a single oral dose (10 mg/kg) in 1% methylcellulose (MC). Serial blood samples are collected via a catheter inserted in the right jugular vein and serum samples are analysed for SC-560 using reverse phase HPLC. After oral administration of SC-560 in PEG, urine is also collected for 24 h and analyzed for urinary sodium, chloride, and potassium as well as NAG[3].
References	[1]. Smith CJ, et al. Pharmacological analysis of cyclooxygenase-1 in inflammation. Proc Natl Acad Sci U S A. 1998 Oct 27;95(22):13313-8. [2]. Lampiasi N, et al. The selective cyclooxygenase-1 inhibitor SC-560 suppresses cell proliferation and induces apoptosis in human hepatocellular carcinoma cells. Int J Mol Med. 2006 Feb;17(2):245-52. [3]. Teng XW, et al. Formulation dependent pharmacokinetics, bioavailability and renal toxicity of a selective cyclooxygenase-1 inhibitor SC-560 in the rat. J Pharm Pharm Sci. 2003 May-Aug;6(2):205-10.