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：WHI-P97
产品别名：WHI-P97

生物活性：

Description

WHI-P97 is a rationally designed potent inhibitor of JAK-3. IC50 value: Target: JAK3 Treatment of mast cells with WHI-P97 inhibited the translocation of 5-lipoxygenase (5-LO) from the nucleoplasm to the nuclear membrane and consequently 5-LO-dependent leukotriene (LT) synthesis after IgE receptor/FcepsilonRI crosslinking by >90% at low micromolar concentrations. WHI-P97 did not directly inhibit the enzymatic activity of 5-LO, but prevented its translocation to the nuclear membrane without affecting the requisite calcium signal. WHI-P97 was very well tolerated in mice, with no signs of toxicity at dose levels ranging from 5 microg/kg to 50 mg/kg, and LD(10) was not reached at a 50 mg/kg dose level when administered as a single i. p. or i.v. bolus dose.

IC_{50} & Target

JAK3

11 μM (IC_{50})

Solvent&Solubility

In Vitro:

DMSO: 5.88 mg/mL (**12.92 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1973 mL	10.9866 mL	21.9732 mL
	5 mM	0.4395 mL	2.1973 mL	4.3946 mL
	10 mM	0.2197 mL	1.0987 mL	2.1973 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: ≥ 0.59 mg/mL (1.30 mM); Clear solution

此方案可获得 ≥ 0.59 mg/mL (1.30 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 5.8999996 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: ≥ 0.59 mg/mL (1.30 mM); Clear solution

此方案可获得 ≥ 0.59 mg/mL (1.30 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 5.8999996 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Ji KA, Yang MS, Jou I, Shong MH, Joe EH.Thrombin induces expression of cytokine-induced SH2 protein (CIS) in rat brain astrocytes: involvement of phospholipase A2, cyclooxygenase, and lipoxygenase.Glia. 2004 Nov 1;48(2):102-11. [2]. Wong WS, Leong KP.Tyrosine kinase inhibitors: a new approach for asthma.Biochim Biophys Acta. 2004 Mar 11;1697(1-2):53-69. [3]. Uckun FM, Sudbeck EA, Mao C, Ghosh S, Liu XP, Vassilev AO, Navara CS, Narla RK.Structure-based design of novel anticancer agents.Curr Cancer Drug Targets. 2001 May;1(1):59-71. [4]. Sudbeck EA, Liu XP, Narla RK, Mahajan S, Ghosh S, Mao C, Uckun FM.Structure-based design of specific inhibitors of Janus kinase 3 as apoptosis-inducing antileukemic agents.Clin Cancer Res. 1999 Jun;5(6):1569-82.