产品名称：扎鲁司特
产品别名：Zafirlukast

生物活性：

Description	Zafirlukast is a potent orally active leukotriene D_4 (LTD_4) receptor antagonist.
IC_{50} & Target	LTD_4
In Vitro	Zafirlukast is a peptidyl leukotriene antagonist and inhibitor of LTD4. After 13 weeks of exposure, the yield of lung tumors is significantly decreased by both dose levels of Zafirlukast (270 and 540 mg/kg), the high dose of Zileuton (1200 mg/kg), and the combinations containing 600 mg/kg Zileuton with either Zafirlukast or MK-866. The efficacy of the combination containing Zileuton and Zafirlukast to prevent lung tumors is not significantly different from the efficacy of either inhibitor administered alone. Although when administered alone at the dose level in their combination, neither Zileuton or MK-866 prevents lung tumors; the combination containing them does significantly prevent tumors. In contrast, the combination containing Zafirlukast and MK-886 does not reduce the yield of tumors, whereas Zafirlukast administered alone does significantly reduce the yield of tumors[2].

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**173.71 mM**; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7371 mL	8.6854 mL	17.3708 mL
	5 mM	0.3474 mL	1.7371 mL	3.4742 mL
	10 mM	0.1737 mL	0.8685 mL	1.7371 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 (4.34 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.34 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% corn oil

Solubility: ≥ 2.5 mg/mL (4.34 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.34 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]. Finnerty JP, et al. Role of leukotrienes in exercise-induced asthma. Inhibitory effect of ICI 204219, a potent leukotriene D_4 receptor antagonist. Am Rev Respir Dis. 1992 Apr;145(4 Pt 1):746-9. [2]. Gunning WT, et al. Chemoprevention by lipoxygenase and leukotriene pathway inhibitors of vinyl carbamate-induced lung tumors in mice. Cancer Res. 2002 Aug 1;62(15):4199-201.

实验参考：

Animal Administration	Mice[2] Female A/J mice (5-6 weeks of age) are used. When the mice are 7-8 weeks of age, they are administered the first of two i.p. injections of vinyl carbamate of 16 mg/kg each and 7 days apart. Two weeks after the second dose of vinyl carbamate, the mice receive the leukotriene inhibitors in their diet. Zafirlukast (270 or 540 mg/kg), Zileuton (600 or 1200 mg/kg), and MK-886 (30 mg/kg) is provided at the indicated mg/kg concentrations in the diet. Mice are weighed weekly through the first 6 weeks of exposure to the leukotriene inhibitors. After which, they are then weighed every 2-4 weeks until sacrificed. Mice are sacrificed by carbon dioxide asphyxiation after 13 and 43 weeks of exposure to the drugs. The lungs are harvested, fixed overnight in formalin, transferred to 70% alcohol, and evaluated for tumors before embedding in paraffin for histology[1].
References	[1]. Finnerty JP, et al. Role of leukotrienes in exercise-induced asthma. Inhibitory effect of ICI 204219, a potent leukotriene D_4 receptor antagonist. Am Rev Respir Dis. 1992 Apr;145(4 Pt 1):746-9. [2]. Gunning WT, et al. Chemoprevention by lipoxygenase and leukotriene pathway inhibitors of vinyl carbamate-induced lung tumors in mice. Cancer Res. 2002 Aug 1;62(15):4199-201.