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产品名称：LY2510924
产品别名：LY2510924

生物活性：

Description	LY2510924 is a potent and selective CXCR4 antagonist that blocks SDF-1 binding to CXCR4 with an IC50 of 0.079 nM.	
IC_{50} & Target	SDF-1α-CXCR4	SDF-1α-CXCR4
	79.7 pM (IC_{50})	49.5 pM (Ki)
In Vitro	LY2510924 specifically blocks SDF-1 binding to CXCR4 with IC50 value of 0.079 nM, and inhibits SDF-1–induced GTP binding with K_b value of 0.38 nM. In human lymphoma U937 cells expressing endogenous CXCR4, LY2510924 inhibits SDF-1–induced cell migration with IC50 value of 0.26 nM and inhibits SDF-1/CXCR4-mediated intracellular signaling. LY2510924 exhibits a concentration-dependent inhibition of SDF-1–stimulated phospho-ERK and phospho-Akt in tumor cells. Biochemical and cellular analyses reveals that LY2510924 has no apparent agonist activity[1]. LY2510924 chiefly inhibits the proliferation of AML cells with little induction of cell death and reduces protection against chemotherapy by stromal cells[2].	
In Vivo	LY2510924 specifically blocks SDF-1 binding to CXCR4 with IC50 value of 0.079 nM, and inhibits SDF-1–induced GTP binding with K_b value of 0.38 nM. In human lymphoma U937 cells expressing endogenous CXCR4, LY2510924 inhibits SDF-1–induced cell migration with IC50 value of 0.26 nM and inhibits SDF-1/CXCR4-mediated intracellular signaling. LY2510924 exhibits a concentration-dependent inhibition of SDF-1–stimulated phospho-ERK and phospho-Akt in tumor cells. Biochemical and cellular analyses reveals that LY2510924 has no apparent agonist activity[1]. LY2510924 chiefly inhibits the proliferation of AML cells with little induction of cell death and reduces protection against chemotherapy by stromal cells[2].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 125 mg/mL (105.09 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.8407 mL	4.2036 mL	8.4072 mL
5 mM	0.1681 mL	0.8407 mL	1.6814 mL
10 mM	0.0841 mL	0.4204 mL	0.8407 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: ≥ 2.25 mg/mL (1.89 mM); Clear solution 此方案可获得 ≥ 2.25 mg/mL (1.89 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 22.5 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: ≥ 2.25 mg/mL (1.89 mM); Clear solution 此方案可获得 ≥ 2.25 mg/mL (1.89 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 22.5 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: ≥ 2.25 mg/mL (1.89 mM); Clear solution 此方案可获得 ≥ 2.25 mg/mL (1.89 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 22.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Peng SB, et al. Identification of LY2510924, a novel cyclic peptide CXCR4 antagonist that exhibits antitumor activities in solid tumor and breast cancer metastatic models. Mol Cancer Ther. 2015 Feb;14(2):480-90. [2]. Cho BS, et al. Antileukemia activity of the novel peptidic CXCR4 antagonist LY2510924 as monotherapy and in combination with chemotherapy. Blood. 2015 Jul 9;126(2):222-32.

实验参考：

Animal Administration	Mice: SCID female mice are injected intravenously with MDA-MB-231 cells, and are treated subcutaneously with vehicle (1×PBS) or 3 mg/kg of LY2510924 formulated in 1×PBS. Group 1 and 2 animals receive vehicle or 3 mg/kg of LY2510924 twice daily for days with treatment beginning on one day before tumor cell injection. Group 3 animals receive 3 mg/kg of LY2510924 15 twice daily for 13 days with treatment beginning one day after tumor cell injection. After treatment, lung tissues are fixed in 10% neutral-buffered formalin for at least 24 hours and lung lobes are present in histologic sections[1].
Kinase Assay	LY2510924 specifically blocks SDF-1 binding to CXCR4 with IC50 value of 0.079 nM, and inhibits SDF-1–induced GTP binding with K_b value of 0.38 nM. In human lymphoma U937 cells expressing endogenous CXCR4, LY2510924 inhibits SDF-1–induced cell migration with IC50 value of 0.26 nM and inhibits SDF-1/CXCR4-mediated intracellular signaling. LY2510924 exhibits a concentration-dependent inhibition of SDF-1–stimulated phospho-ERK and phospho-Akt in tumor cells. Biochemical and cellular analyses reveals that LY2510924 has no apparent agonist activity[1]. LY2510924 chiefly inhibits the proliferation of AML cells with little induction of cell death and reduces protection against chemotherapy by stromal cells[2].

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