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产品名称：WZ811
产品别名：WZ811

生物活性：

Description	WZ811 is an orally active, highly potent competitive antagonist of CXCR4. WZ811 efficiently inhibits CXCR4/SDF-1 (or CXCL12)-mediated modulation of cAMP levels (EC_{50}=1.2 nM) and SDF-1 induced Matrigel invasion in cells (EC_{50}=5.2 nM)[1].
IC_{50} & Target	CXCR4[1]
In Vitro	WZ811 (Compound 32) is a potent CXCR4 antagonist, effectively inhibits TN14003 binding to CXCR4, with an EC50 of 0.3 nM[1]. WZ811 blocks SDF-1 mediated modulation cAMP levels in U87 glioma cells (EC50=1.2 nM) and Matirgel infiltration of MDA-MB-231 cells (EC50=5.2 nM)[1]. WZ811 (1-40 μM) inhibits TF-1 and UT-7 cells proliferation in a dose dependent manner both after treatment for 24 h and 48 h. Moreover, WZ811 (5 μM) induces cell apoptosis and enhances the sensitivity of cells to docetaxel. In addition, WZ811 inhibits aggressiveness markers and induces apoptosis in chronic lymphocytic leukemia cells[2].
In Vivo	WZ811 (40 mg/kg, p.o.) blocks the lymphocytic leukemia cells growth on mouse xenograft models, and inhibits CXCR4/PI3K/AKT signaling pathway in mouse xenograft model of lymphocytic leukemia[2].
Solvent&Solubility	***In Vitro:*** **DMSO : 10 mg/mL (34.44 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4440 mL	17.2200 mL	34.4400 mL
	5 mM	0.6888 mL	3.4440 mL	6.8880 mL
	10 mM	0.3444 mL	1.7220 mL	3.4440 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→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 1 mg/mL (3.44 mM); Clear solution

此方案可获得 ≥ 1 mg/mL (3.44 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 10.0 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。

	2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 1 mg/mL (3.44 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (3.44 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 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. WZ811, et al. Discovery of small molecule CXCR4 antagonists. J Med Chem. 2007 Nov 15;50(23):5655-64. [2]. Li SH, et al. Suppression of chronic lymphocytic leukemia progression by CXCR4 inhibitor WZ811. Am J Transl Res. 2016 Sep 15;8(9):3812-3821.

实验参考：

Cell Assay	In brief, cells are treated with WZ811 at 37°C for 24 h. After collection and washing with phosphate-buffered saline (PBS) buffer, cells are resuspended with staining buffer at a final density of 1 × 10^6/mL. Then, 5 μL annexin V-APC is added to 100 μL cell suspensions and incubated at room temperature in the dark for 10 min. Finally, cells are analyzed with FACS Calibur to determine cell apoptosis profiles[2].
Animal Administration	Mice[2] A total of 1 × 10^6 TF-1 cells in 100 μL of PBS are injected subcutaneously into dorsal flanks of an immunodeficient nude mouse. The animals are treated with WZ811 (40 mg/kg), or WZ811 once daily by oral gavage once the tumors have reached 100 mm^3. Tumor growth and body weight is measured every three days during the treatment. The tumor volume (TV) is calculated every 3 days according to the following standard formula: TV (mm^3) = length × $width^2$ × 0.5[2].
References	[1]. WZ811, et al. Discovery of small molecule CXCR4 antagonists. J Med Chem. 2007 Nov 15;50(23):5655-64. [2]. Li SH, et al. Suppression of chronic lymphocytic leukemia progression by CXCR4 inhibitor WZ811. Am J Transl Res. 2016 Sep 15;8(9):3812-3821.