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产品名称：E7820
产品别名：ER68203-00

生物活性：

Description	E7820 is an angiogenesis inhibitor by suppressing integrin a2, a cell adhesion molecule expressed on endothelial cells.
In Vitro	E7820 treatment inhibits proliferation of HUVEC induced by either bFGF and VEGF in serum-free medium with IC50 values of 0.10 and 0.081 μg/mL, respectively. E7820 also inhibits both bFGF- and VEGF-driven tube formation of HUVEC in this assay. The IC50 values are 0.20 and 0.24 μg/mL, respectively[3].
In Vivo	E7820 (50 mg/kg) with erlotinib has a significantly synergistic antitumor effect in three xenograft models without severe body weight loss. E7820 (50 mg/kg) and erlotinib decrease MVD and enhance apoptosis in tumor-associated endothelial cells, inhibit tumor cell proliferation and enhanced apoptosis, and enhance inhibition of cell proliferation and apoptosis through activation of both intrinsic and extrinsic apoptosis pathways in human NSCLC xenograft models[1]. E7820 shows anti-tumor activity at doses of 50, 100, and 200 mg/kg in the tumor growth and α2-integrin expression experiments[2]. E7820 (50, 100, and 200 mg/kg) inhibits tumor growth in a dose-dependent manner in all s.c. xenograft models. E7820 completely inhibits s.c. tumor growth of LoVo tumor cells and also regresses the tumor mass of KP-1 tumor cells at the dosages of both 100 and 200 mg/kg[3].

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**297.29 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9729 mL	14.8646 mL	29.7292 mL
	5 mM	0.5946 mL	2.9729 mL	5.9458 mL
	10 mM	0.2973 mL	1.4865 mL	2.9729 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 (7.43 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.43 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.43 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.43 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 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: ≥ 2.5 mg/mL (7.43 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.43 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]. Ito K, et al. Enhanced anti-angiogenic effect of E7820 in combination with erlotinib in epidermal growth factor receptor-tyrosine kinase inhibitor-resistant non-small-cell lung cancer xenograft models. Cancer Sci. 2014 Aug;105(8):1023-31. [2]. Keizer RJ, et al. Evaluation of α2-integrin expression as a biomarker for tumor growth inhibition for the investigational integrin inhibitor E7820 in preclinical and clinical studies. AAPS J. 2011 Jun;13(2):230-9. [3]. Semba T, et al. An angiogenesis inhibitor E7820 shows broad-spectrum tumor growth inhibition in a xenograft model: possible value of integrin alpha2 on platelets as a biological marker. Clin Cancer Res. 2004 Feb 15;10(4):1430-8.

实验参考：

Cell Assay	Tumor cells are plated at 1 to 2×10^5 cells/well on 96-well plates in 0.1 mL of RPMI 1640 containing 10% fetal bovine serum. After 24 h, either E7820 or vehicle is added to duplicate cultures of cells, and at 2 or 3 days after addition of E7820, the ratios of surviving cells are measured by the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide assay. All experiments are done at least in duplicate and repeated twice. [3]
Animal Administration	A549, H1975, and H1650 cells are s.c. inoculated into 9-week-old female nude mice. Approximately 10 days after inoculation, E7820 (25 and 50 mg/kg) is given orally twice daily and erlotinib (60 mg/kg) is given orally once a day for 3 weeks. Tumor volume (TV) and relative TV (RTV) are calculated by using a formula described previously. The combination effect on RTV is analyzed by using two-way anova. [1]
References	[1]. Ito K, et al. Enhanced anti-angiogenic effect of E7820 in combination with erlotinib in epidermal growth factor receptor-tyrosine kinase inhibitor-resistant non-small-cell lung cancer xenograft models. Cancer Sci. 2014 Aug;105(8):1023-31. [2]. Keizer RJ, et al. Evaluation of α2-integrin expression as a biomarker for tumor growth inhibition for the investigational integrin inhibitor E7820 in preclinical and clinical studies. AAPS J. 2011 Jun;13(2):230-9. [3]. Semba T, et al. An angiogenesis inhibitor E7820 shows broad-spectrum tumor growth inhibition in a xenograft model: possible value of integrin alpha2 on platelets as a biological marker. Clin Cancer Res. 2004 Feb 15;10(4):1430-8.