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产品名称：ATN-161 (trifluoroacetate salt)
产品别名：ATN-161 TFA salt

生物活性：

Description	ATN-161 trifluoroacetate salt is a novel integrin α5β1 antagonist, which inhibits angiogenesis and growth of liver metastases in a murine model.
IC_{50} & Target	Integrin α5β1[1]
In Vitro	The combination of ATN-161 plus 5-FU significantly reduces tumor cell proliferation compared to control and single-agent therapy ($p<0.01$). In addition, combination therapy leads to a significant increase of apoptotic (TUNEL-positive) tumor cells ($p<0.03$), whereas single-agent therapy does not increase in TUNEL-positive tumor cells. ATN-161 treatment leads to a significant reduction in EC number (21% decrease) after a 48 hr incubation time compared to control ($p<0.03$)[1]. ATN-161 inhibites VEGF-induced migration and capillary tube formation in hCECs, but did not inhibit proliferation. ATN-161 decreases the number of cells migrating in response to VEGF in a dose-dependent manner starting at 100 nM ($P<0.001$ vs. VEGF group)[2].
In Vivo	The preliminary experiments with α5β1-negative human colon cancer xenografts (HT29) show that treatment with ATN-161 significantly reduces tumor weight and vessel density[1]. Injection of ATN-161 after laser photocoagulation inhibits choroidal neovascularization (CNV) leakage and neovascularization to an extent similar to AF564[2].
Solvent&Solubility	***In Vitro:*** **DMSO : 1 mg/mL (1.41 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4051 mL	7.0257 mL	14.0515 mL
	5 mM	---	---	---
	10 mM	---	---	---

*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。

References	[1]. Stoeltzing O, et al. Inhibition of integrin alpha5beta1 function with a small peptide (ATN-161) plus continuous 5-FU infusion reduces colorectal liver metastases and improves survival in mice. Int J Cancer. 2003 Apr 20;104(4):496-503. [2]. Wang W, et al. The antiangiogenic effects of integrin alpha5beta1 inhibitor (ATN-161) in vitro and in vivo. Invest Ophthalmol Vis Sci. 2011 Sep 14;52(10):7213-20.

实验参考：

	Ninety-six well microtiter plates are coated with fibronectin(20 μg/mL) overnight at 4°C. HUVECs are then trypsinized as described above and resuspended in 1% FBS-MEM for cell counting. Cell suspensions with 10,000 cells/mL are prepared in serum-reduced conditions by using 1% FBS-MEM, or 1% FBS-MEM containing either ATN-161 (1 μM) or ATN-163 (scrambled peptide as

Cell Assay	control; 1μM) to allow interference by the peptide during the ligand binding process (i.e., binding of α5β1 to fibronectin). Cells are thereafter plated into each well (2,000 cells/well in 200 μL) of the fibronectin-coated 96-well plates. Cells are incubated at 37°C for 48 hr under these serum-reduced conditions in order to evaluate effects of ATN-161 on EC survival and proliferation. Estimation of cell number is performed by adding 40 μL MTT to each well and incubating for 2 hr at 37°C. Media is then removed, cells are solubilized in 100 μL DMSO and optical density is measured at 560 nm. Experiments are performed in triplicate[1].
Animal Administration	Mice[1] Eight-week-old male BALB/c mice are acclimated for 1 week while caged in groups of 5. Mice are fed a diet of animal chow and water ad libitum throughout the experiment. CT-26 cells (10,000 cells in 50 μL HBSS) are injected into the spleens of 40 BALB/c mice to produce liver metastases. Mice are randomly assigned to 1 of 4 treatment groups (10 mice per group): (A) control (saline/saline), (B) 5-FU alone, (C) ATN-161 alone and (D) ATN-161 plus 5-FU. Body weight at randomization is similar among groups. Treatment with ATN-161 (100 mg/kg) or saline is started on day 4 after CT-26-cell injection and is administered every third day thereafter by intraperitoneal injection. In previous studies, administration of the peptide every third day has been shown to be adequate for sustained inhibition of integrin α5β1 activity. Mice are allowed to recover for 1 week from the surgical procedure and effects of anesthesia with pentobarbital (Nembutal, 50 mg/kg). On day 7, mice are anaesthetized again and osmotic pumps.
References	[1]. Stoeltzing O, et al. Inhibition of integrin alpha5beta1 function with a small peptide (ATN-161) plus continuous 5-FU infusion reduces colorectal liver metastases and improves survival in mice. Int J Cancer. 2003 Apr 20;104(4):496-503. [2]. Wang W, et al. The antiangiogenic effects of integrin alpha5beta1 inhibitor (ATN-161) in vitro and in vivo. Invest Ophthalmol Vis Sci. 2011 Sep 14;52(10):7213-20.