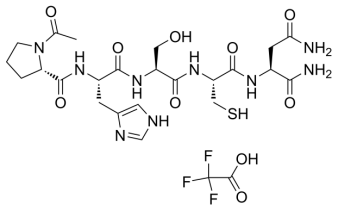
ATN-161 trifluoroacetate salt

Cat. No.:	HY-13535A
CAS No.:	904763-27-5
Molecular Formula:	$C_{25}H_{36}F_3N_9O_{10}S$
Molecular Weight:	711.67
Target:	Integrin
Pathway:	Cytoskeleton
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 5 mg/mL (7.03 mM; ultrasonic and warming and heat to 60°C)
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	0.2810 mL	1.4051 mL	2.8103 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (14.05 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

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 inhibits 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	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 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Cell. 2019 Jan 14;35(1):64-80.e7.
- Cell Prolif. 2021 Apr;54(4):e13012.
- Stem Cell Res Ther. 2022 Jul 18;13(1):327.
- Sci Signal. 2022 Dec 6;15(763):eabn2743.
- Acs Biomater Sci Eng. 2023 Apr 24.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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