Zidovudine

Cat. No.: HY-17413

CAS No.: 30516-87-1

Molecular Formula: $C_{10}H_{13}N_5O_4$

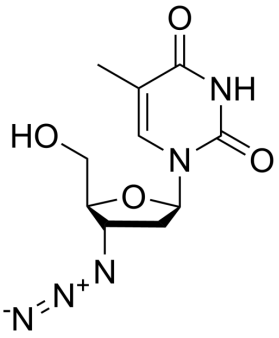
Molecular Weight: 267.24

Target: HIV; CRISPR/Cas9

Pathway: Anti-infection; Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (374.20 mM)

H_2O : 16.67 mg/mL (62.38 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.7420 mL	18.7098 mL	37.4195 mL
5 mM	0.7484 mL	3.7420 mL	7.4839 mL
10 mM	0.3742 mL	1.8710 mL	3.7420 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 20 mg/mL (74.84 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.35 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.35 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zidovudine is a nucleoside reverse transcriptase inhibitor (NRTI), widely used to treat HIV infection. Zidovudine increases CRISPR/Cas9-mediated editing frequency.

IC_{50} & Target	HIV-1	CRISPR/Cas9

In Vitro

Zidovudine inhibits SVG, Primary human fetal astrocytes (PFA), peripheral blood mononuclear cells (PBMC), and monocyte-derived macrophages (MDM) with EC_{50} of 17, 1311, 8, and 5 nM, respectively. Zidovudine inhibits SVG, PFA, PBMC, and MDM with EC_{90} of 0.205 μM, 44.157 μM, 0.481 μM, and 0.219 μM, respectively[1]. Genome editing via CRISPR/Cas9 has become an efficient and reliable way to make precise, targeted changes to the genome of living cells. CXCR4 is a co-receptor for the human immunodeficiency virus type 1 (HIV-1) infection and has been considered as an important therapeutic target for AIDS. CXCR4 mediates viral entry into human $CD4^+$ cells by binding to envelope protein, gp120. Human CXCR4 gene is efficiently disrupted by CRISPR/Cas9-mediated genome editing, leading to HIV-1 resistance of human primary $CD4^+$ T cells. The Cas9-mediated ablation of CXCR4 demonstrated high specificity and negligible off-target effects without affecting cell division and propagation[2].

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

In Vivo

Intravitrous injection of the NRTIs Lamivudine (3TC), Zidovudine (AZT), or Abacavir (ABC) suppresses the laser-induced choroidal neovascularization (CNV) in wild-type mice compared to PBS vehicle. The mean level of VEGF-A in the RPE/choroid, which peaks on day 3 after laser injury, is significantly reduced in 3TC-, AZT- and ABC-treated eyes compared with control eyes in wild-type mice, but not in$P2rx7^{-/-}$ mice[3].

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PROTOCOL

Cell Assay [1]

Assays are performed in all cell types in the presence of titrating concentrations of ARV. 5,000 SVG, 2,500 PFA, 200,000 PBMC, or 50,000 MDM cells/well are seeded into triplicate wells of 96-well plates. Twenty-four hours later, the culture medium is removed and replaced with medium containing the ARV or DMSO (0.5% vol/vol), and equivalent TCID50 infectious units of luciferase reporter virus are added to the cells. After a 16 h incubation at 37°C, the initial viral inoculum is removed and replaced with culture medium containing the same antiretroviral drug (ARV) or DMSO (0.5% vol/vol) concentrations. At 72 h post infection, the medium is aspirated, the cells are lysed and HIV-1 infection measured using the Luciferase Assay System. Luminescence is measured using a FLUOStar Optima microplate reader. Inhibition curves and the 50% (EC_{50}) and 90% (EC_{90}) effective concentrations are determined by nonlinear regression analysis, using GraphPad Prism software[1].

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Animal Administration [3]

Mice[3]

C57BL/6J (wild-type) and $P2rx7^{-/-}$ mice are used. The $Nlrp3^{-/-}$ mice are used. The NRTIs 3TC, AZT, and ABC or the P2X7 antagonist A438079 hydrochloride are dissolved in PBS. For CNV, each group of mice is injected once with 1 μL of NRTIs (3TC, 125 ng/μL; ABC, 183 ng/μL; AZT, 146 ng/μL), 1 μL of A438079 hydrochloride (3, 30, or 300 ng/μL), or the same volume of vehicle (PBS) into the vitreous humor using a 33-gauge needle immediately after laser injury. Another group of mice is injected with 3TC (125 ng) in combination with an anti-mouse VEGF polyclonal antibody (10 ng). Goat whole IgG (10 ng) is used as a biological control for the anti-mouse VEGF antibody.

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CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Arch Toxicol. 2022 May 17;1-20.
- Pharmaceutics. 2022, 14(6), 1188.
- Heliyon. 2020 Jun 3;6(6):e04050.
- bioRxiv. 2024 Apr 21.

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REFERENCES

[1]. Gray LR, et al. The NRTIs lamivudine, stavudine and zidovudine have reduced HIV-1 inhibitory activity in astrocytes. PLoS One. 2013 Apr 16;8(4):e62196.

[2]. Hou P, et al. Genome editing of CXCR4 by CRISPR/cas9 confers cells resistant to HIV-1 infection. Sci Rep. 2015 Oct 20;5:15577.

[3]. Mizutani T, et al. Nucleoside Reverse Transcriptase Inhibitors Suppress Laser-Induced Choroidal Neovascularization in Mice. Invest Ophthalmol Vis Sci. 2015 Nov;56(12):7122-9.

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

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