

Product Data Sheet

Product Name: Rilpivirine

Cat. No.: GC11059

Chemical Properties

Cas. No. 500287-72-9

Chemical Name 4-[[4-[4-[(E)-2-cyanoethenyl]-2,6-dimethylanilino]pyrimidin-2-yl]amino]benzonitrile

SMILES CC1=CC(=CC(=C1NC2=NC(=NC=C2)NC3=CC=C(C=C3)C#N)C)C=CC#N

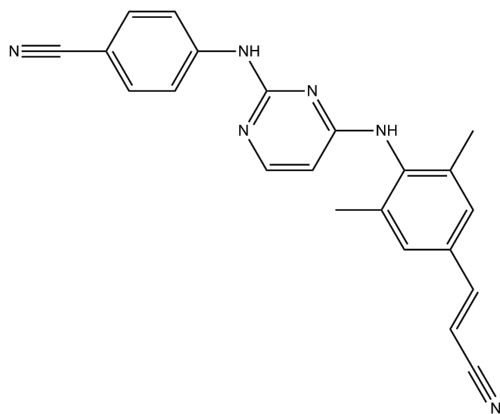
Formula $C_{22}H_{18}N_6$ M.Wt 366.42

Solubility ≥ 12.25 mg/mL in DMSO, ≥ 6.58 mg/mL in EtOH with ultrasonic and warming
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines BxPC-3 and Panc-1 pancreatic cancer cell lines

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

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Cells were cultured at 37°C in a 5% CO₂ incubator in Dulbecco's Modified Eagle Preparation Method Medium supplemented with 10% foetal bovine serum and 1% penicillin/streptomycin. Cells were treated with Rilpivirine (1-1000µM).

Reaction
Conditions 1-1000µM; 72h

Applications Rilpivirine induced apoptosis and necrosis in pancreatic cancer cells, with an EC₅₀ of 24.4µM in BxPC-3 cells and 294µM in Panc-1 cells. In colony formation assays, Rilpivirine reduced the survival fraction of BxPC-3 cells.

Animal experiment [2]:

Animal models Interferon-alpha/beta receptor (IFN-α/βR) knockout mice

Preparation Method Mice were infected with ZIKV (PRVABC59 strain) through footpad injections. Mice were started treatment with Rilpivirine (0.5mg/kg) via intraperitoneal injections two days prior Zika Virus (ZIKV) infection. Rilpivirine treatments were continued daily until necropsy.

Dosage form 0.5mg/kg; i.p.; daily for 16 days

Applications Rilpivirine improved the clinical outcome and prevented ZIKV-induced mortality, and reduced ZIKV RNA levels in the hippocampus, frontal cortex, thalamus, and cerebellum.

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References:

- [1] Hecht M, Erber S, Harrer T, et al. Efavirenz Has the Highest Anti-Proliferative Effect of Non-Nucleoside Reverse Transcriptase Inhibitors against Pancreatic Cancer Cells. PLoS One. 2015 Jun 18;10(6):e0130277.
- [2] Sariyer IK, Gordon J, Burdo TH, et al. Suppression of Zika Virus Infection in the Brain by the Antiretroviral Drug Rilpivirine. Mol Ther. 2019 Dec 4;27(12):2067-2079.

Background

Rilpivirine is a specific diarylpyrimidine (DAPY) non-nucleoside reverse transcriptase inhibitor (NNRTI). Rilpivirine inhibits the activity of wild-type HIV ($EC_{50}=0.4\text{nM}$) and mutants ($EC_{50} = 0.1\text{--}2.0\text{nM}$). Rilpivirine can be used in research related to viral infections, cancer development^[1-4].

In vitro, Rilpivirine ($1\text{--}1000\mu\text{M}$) treated BxPC-3 pancreatic cancer cells for 72 hours. Rilpivirine significantly induced apoptosis and necrosis and reduced the cell survival fraction^[5]. Rilpivirine ($20\mu\text{M}$) treated SLC22A1-overexpressing KCL22 cells for 30 minutes. Rilpivirine significantly increased intracellular accumulation and inhibited the transport function of SLC22A1 and SLC22A2^[6].

In vivo, Rilpivirine (0.42mg/kg) was administered to mice by gavage for 30 days. Rilpivirine did not significantly affect the expression and localization of tight-junction proteins (claudin-5, ZO-1) in cerebral microvessels, nor did Rilpivirine increase blood-brain barrier permeability or aggravate stroke severity in a middle cerebral artery occlusion model^[7]. Rilpivirine (0.5mg/kg ; starting 2 days before infection) was intraperitoneally injected into Zika Virus (ZIKV)-infected IFNAR-knockout mice for 16 days. Rilpivirine significantly improved clinical outcomes and prevented ZIKV-induced mortality, while reducing ZIKV RNA levels in the hippocampus, frontal cortex, thalamus, and cerebellum^[8].

References:

- [1] Dash PK, Chen C, Kaminski R, et al. CRISPR editing of CCR5 and HIV-1 facilitates viral elimination in antiretroviral drug-suppressed virus-infected humanized mice. Proc Natl Acad Sci U S A. 2023 May 9;120(19):e2217887120.
- [2] Janssen PA, Lewi PJ, Arnold E, et al. In search of a novel anti-HIV drug: multidisciplinary

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- coordination in the discovery of 4-[[4-[[4-[(1E)-2-cyanoethenyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]benzonitrile (R278474, rilpivirine). J Med Chem. 2005 Mar 24;48(6):1901-9.
- [3] Azijn H, Tirry I, Vingerhoets J, et al. TMC278, a next-generation nonnucleoside reverse transcriptase inhibitor (NNRTI), active against wild-type and NNRTI-resistant HIV-1. Antimicrob Agents Chemother. 2010 Feb;54(2):718-27.
- [4] Pereira M, Vale N. Evolution of Antiretroviral Drug Rilpivirine and Approach to Oncology. Int J Mol Sci. 2023 Feb 2;24(3):2890.
- [5] Hecht M, Erber S, Harrer T, et al. Efavirenz Has the Highest Anti-Proliferative Effect of Non-Nucleoside Reverse Transcriptase Inhibitors against Pancreatic Cancer Cells. PLoS One. 2015 Jun 18;10(6):e0130277.
- [6] Moss DM, Liptrott NJ, Curley P, et al. Rilpivirine inhibits drug transporters ABCB1, SLC22A1, and SLC22A2 in vitro. Antimicrob Agents Chemother. 2013 Nov;57(11):5612-8.
- [7] Bertrand L, Dygert L, Toborek M. Antiretroviral Treatment with Efavirenz Disrupts the Blood-Brain Barrier Integrity and Increases Stroke Severity. Sci Rep. 2016 Dec 23;6:39738.
- [8] Sariyer IK, Gordon J, Burdo TH, et al. Suppression of Zika Virus Infection in the Brain by the Antiretroviral Drug Rilpivirine. Mol Ther. 2019 Dec 4;27(12):2067-2079.

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