Nevirapine

Cat. No.: HY-10570

CAS No.: 129618-40-2

Molecular Formula: $C_{15}H_{14}N_4O$

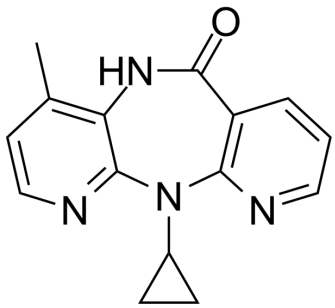
Molecular Weight: 266

Target: HIV; Reverse Transcriptase; Parasite

Pathway: Anti-infection

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (53.72 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7594 mL	18.7970 mL	37.5940 mL
	5 mM	0.7519 mL	3.7594 mL	7.5188 mL
	10 mM	0.3759 mL	1.8797 mL	3.7594 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.43 mg/mL (5.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (5.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nevirapine is a non-nucleoside inhibitor of HIV-1 reverse transcriptase used to treat and prevent HIV/AIDS; with a K_i of 270 μM[1].

IC_{50} & Target

HIV-1

In Vitro

Nevirapine itself is an inhibitor of only CYP3A4 at concentrations that are well above those of therapeutic relevance (K_i=270 μM)[1]. Nevirapine has been used as a re-differentiation agent to treat cancers in several human cancer models. At all doses (100, 200, 350, 500 μM) tested, nevirapine significantly inhibits cell proliferation after 48 h treatment. At high dose (500 μM), nevirapine significantly increases the percentage of apoptotic cells compared with control[2]. Nevirapine is a potent and selective inhibitor (IC_{50}=10-100 nM) of the replication of a wide variety of HIV-1 strains in several cellular assays[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Nevirapine is available for use in combination with nucleoside HIV-1 reverse transcriptase inhibitors (e.g., zidovudine, didanosine, etc.). Nevirapine has received FDA approval for use in combination with HIV-1 protease inhibitors (e.g., saquinavir, ritonavir, indinavir, etc.). In humans, nevirapine is eliminated primarily in the urine as glucuronide conjugates of 2-, 3-, 8-, and 12-hydroxynevirapine[1]. Nevirapine is completely absorbed in both sexes of mouse, rat, rabbit, monkey, and chimpanzee. Nevirapine is extensively metabolized in both sexes of all animal species studied[4]. Nevirapine (9 mg/kg, 18 mg/kg and 36 mg/kg) shows significant reduction in ulcer severity score and ulcer index as compared to the control[5] MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	FRO cells are seeded into 96-well culture plates at 10,000 cells/well. Cells are treated with different doses of nevirapine (0, 100, 200, 350 and 500 μM) for 48 h. MTT dye (5 mg/mL) is added to each well for additional 4 h, and the reaction is then stopped by the addition of DMSO. Optical density is measured at 490 nm on a multi-well plate reader[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [4]	Rats: Nevirapine and [^{14}C] Nevirapine are dissolved together in absolute ethanol and methylene chloride (1:1, v/v) with mild heating. The concentration of drug in suspension is 2 mg/mL (20 mg/kg, 26 μCi) for oral dosing to rats and 6.7 mg/mL (20.3 mg/kg, 10 μCi males, 8.9 μCi females) for intraduodenal administration to rats before bile collection. The i.v. dose is administered to rats (1.1 mg/kg, 20 μCi) as a solution in 20% ethanol/80% saline[4]. Mice: Nevirapine and [^{14}C] Nevirapine are dissolved together in absolute ethanol and methylene chloride (1:1, v/v) with mild heating. The concentration of drug in suspension is 2 mg/mL (20 mg/kg, 2.5 μCi) with a specific activity of 5.55 μCi/mg for oral dosing to mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2022 Jul 5;119(27):e2200260119.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Cell Rep. 2021 Mar 2;34(9):108808.
- Biotechnol Bioeng. 2021 Sep 3.

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REFERENCES

[1]. Erickson DA, et al. Characterization of the in vitro biotransformation of the HIV-1 reverse transcriptase inhibitornevirapine by human hepatic cytochromes P-450. Drug Metab Dispos. 1999 Dec;27(12):1488-95.

[2]. Dong JJ, et al. In vitro evaluation of the therapeutic potential of nevirapine in treatment of human thyroid anaplastic carcinoma. Mol Cell Endocrinol. 2013 May 6;370(1-2):113-8.

[3]. Merluzzi VJ, et al. Inhibition of HIV-1 replication by a nonnucleoside reverse transcriptase inhibitor. Science. 1990 Dec 7;250(4986):1411-3.

[4]. Riska PS, et al. Biotransformation of nevirapine, a non-nucleoside HIV-1 reverse transcriptase inhibitor, in mice, rats, rabbits, dogs, monkeys, and chimpanzees. Drug Metab Dispos. 1999 Dec;27(12):1434-47.

[5]. Onasanwo SA, et al. Evaluation of anti-ulcerogenic and ulcer-healing activities of nevirapine in rats. Afr J Med Med Sci. 2015 Sep;44(3):251-9.

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