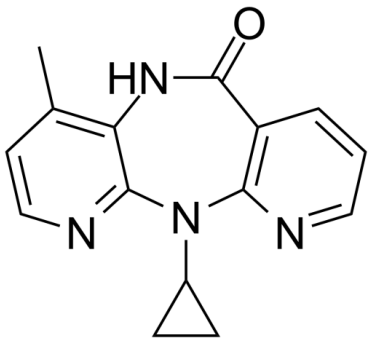
Data Sheet

Product Name:	Nevirapine
Cat. No.:	CS-2252
CAS No.:	129618-40-2
Molecular Formula:	C15H14N4O
Molecular Weight:	266.30
Target:	HIV; Reverse Transcriptase
Pathway:	Anti-infection
Solubility:	DMSO : 14.29 mg/mL (53.66 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & Target: Ki: 270 μM (HIV-1 reverse transcriptase)[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]. **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]

PROTOCOL (Extracted from published papers and Only for reference)

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]. **Animal Administration:** [4]Rat: 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].

Mouse: 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].

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.

CAIndexNames:

6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-cyclopropyl-5,11-dihydro-4-methyl-

SMILES:

O=C1C2=C(N=CC=C2)N(C3CC3)C4=NC=CC(C)=C4N1

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