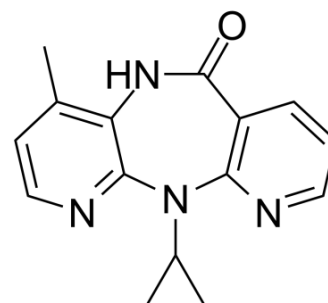


## Nevirapine

Cat. No.:	HY-10570		
CAS No.:	129618-40-2		
Molecular Formula:	C <sub>15</sub> H <sub>14</sub> N <sub>4</sub> O		
Molecular Weight:	266.3		
Target:	HIV; Reverse Transcriptase		
Pathway:	Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 14.29 mg/mL (53.66 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	3.7552 mL	18.7758 mL	37.5516 mL	
		5 mM	0.7510 mL	3.7552 mL	7.5103 mL	
		10 mM	0.3755 mL	1.8776 mL	3.7552 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: <b>10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline</b> Solubility: ≥ 1.43 mg/mL (5.37 mM); Clear solution					
	2. Add each solvent one by one: <b>10% DMSO &gt;&gt; 90% corn oil</b> Solubility: ≥ 1.43 mg/mL (5.37 mM); Clear solution					

### BIOLOGICAL ACTIVITY

Description	Nevirapine is a non-nucleoside inhibitor of <b>HIV-1</b> reverse transcriptase used to treat and prevent HIV/AIDS; with a K <sub>i</sub> of 270 μM.
IC <sub>50</sub> & Target	Ki: 270 μM (HIV-1 reverse transcriptase) <sup>[1]</sup>
In Vitro	Nevirapine itself is an inhibitor of only CYP3A4 at concentrations that are well above those of therapeutic relevance (K <sub>i</sub> =270 μM) <sup>[1]</sup> . 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 <sup>[2]</sup> .

	<p>Nevirapine is a potent and selective inhibitor (IC<sub>50</sub>=10-100 nM) of the replication of a wide variety of HIV-1 strains in several cellular assays<sup>[3]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>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<sup>[1]</sup>. 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<sup>[4]</sup>. 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<sup>[5]</sup></p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## PROTOCOL

<b>Cell Assay</b> <sup>[2]</sup>	<p>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<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>Animal Administration</b> <sup>[4]</sup>	<p>Rats: Nevirapine and [<sup>14</sup>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<sup>[4]</sup>.</p> <p>Mice: Nevirapine and [<sup>14</sup>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<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## CUSTOMER VALIDATION

- **Int J Antimicrob Agents.** 2019 Dec;54(6):814-819.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

## REFERENCES

- [1]. Erickson DA, et al. Characterization of the in vitro biotransformation of the HIV-1 reverse transcriptase inhibitor nevirapine 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.

---

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA