

## Product Data Sheet

Product Name: Nevirapine

Cat. No.: GC16387

### Chemical Properties

Cas. No. 129618-40-2

Chemical Name 11-cyclopropyl-4-methyl-5H-dipyrido[2,3-e:2',3'-f][1,4]diazepin-6-one

SMILES CC1=C2C(=NC=C1)N(C3=C(C=CC=N3)C(=O)N2)C4CC4

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

M.Wt 266.3

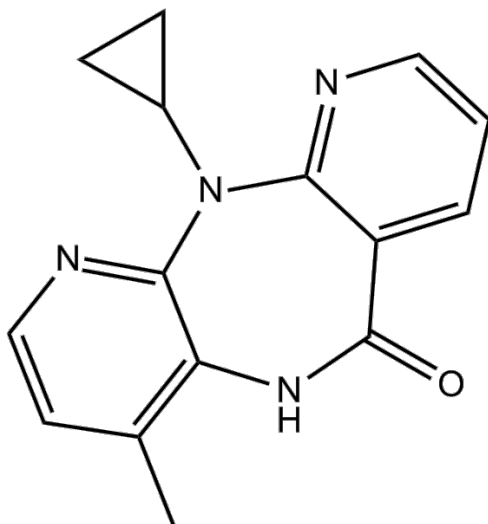
Solubility  $\geq 13.3\text{mg/mL}$  in DMSO

Storage Store at  $-20^{\circ}\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  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

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

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**Cell experiment:** 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  $\mu$ M) 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 experiment:** 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  $\mu$ Ci) for oral dosing to rats and 6.7 mg/mL (20.3 mg/kg, 10  $\mu$ Ci males, 8.9  $\mu$ Ci females) for intraduodenal administration to rats before bile collection. The i.v. dose is administered to rats (1.1 mg/kg, 20  $\mu$ Ci) 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  $\mu$ Ci) with a specific activity of 5.55  $\mu$ Ci/mg for oral dosing to mice[4].

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### 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.

### Background

Nevirapine is a non-nucleoside inhibitor of HIV-1 reverse transcriptase used to treat and prevent HIV/AIDS; with a  $K_i$  of 270  $\mu$ M.

Nevirapine itself is an inhibitor of only CYP3A4 at concentrations that are well above those of therapeutic relevance ( $K_i=270 \mu$ M)[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  $\mu$ M) tested, nevirapine significantly inhibits cell proliferation after 48 h treatment. At high dose (500  $\mu$ M), 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].

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

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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]

### 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.
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