Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Efavirenz

Catalog No: tcsc2154

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
154598-52-4

Formula:
$C_{14}H_9ClF_3NO_2$

Pathway:
Anti-infection;Autophagy;Anti-infection

Target:
Reverse Transcriptase;Autophagy;HIV

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 38 mg/mL (120.38 mM)

Alternative Names:
DMP 266;EFV;L-743726

Observed Molecular Weight:
315.68

Product Description

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Efavirenz is a potent inhibitor of the wild-type **HIV-1 RT** ($\mathbf{K_i}$=2.93 nM) and exhibits $\mathbf{IC_{95}}$ of 1.5 nM for the inhibition of HIV-1 replicative spread in cell culture.

IC50 & Target: Ki: 2.93 nM (HIV-1 RT)[1]

In Vitro: Efavirenz (L-743726) is found to be capable of inhibiting, with 95% inhibitory concentrations of ≤ 1.5μM, a panel of nonnucleoside reverse transcriptase (RT) inhibitors (NNRTIs)-resistant mutant viruses, each of which expresses a single RT amino acid substitution. Efavirenz is also tested for its activity against a variety of polymerase enzymes and is found to be inactive (IC_{50} >300μM). Efavirenz effectively inhibits several wild-type T-lymphoid cell line-adapted variants. Identical activity (IC_{95}, 1.5 to 3.0 nM) is seen with wild-type primary isolates of the virus in both primary lymphoid and monocytoid cell cultures. Efavirenz also effectively inhibits HIV-1 variants that expressed RT amino acid substitutions which confer the loss of susceptibility to other NNRTIs. For purposes of comparison[1]. Efavirenz is a non-nucleoside analog reverse transcriptase inhibitor (NNRTI) with IC_{50} of 60 nM[2]. Efavirenz inhibits synthesis using an RNA PPT-primed substrate with an IC_{50} of 17 nM[3].

In Vivo: After i.v. administration, Efavirenz (L-743726) is cleared rapidly from rats, but it is cleared considerably more slowly from monkeys. The large volume of distribution (two to four times the amount of body water) in both species indicates extensive tissue binding. The oral bioavailability in rats is 16%. In monkeys, the half-life of Efavirenz after administration of a 1 mg/kg i.v. dose exceeded 2.5 h. Efavirenz is well absorbed orally. Administration to monkeys of oral doses as fine suspensions in 0.5% aqueous methylcellulose yields consistently high levels in plasma. A 2.0 mg/kg dose produces peak levels of 0.5μM at approximately 3.0 h. The absolute bioavailability is estimated to be 42%. A 10 mg/kg dose yields a peak level in plasma of 3.22 μM. A 10 mg/kg oral dose given to a single chimpanzee gave concentrations in plasma of 4.12, 2.95, and 2.69 μM at 2, 8, and 24 h after dosing, respectively[1].