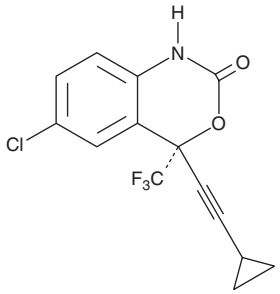
PRODUCT INFORMATION

Efavirenz

Item No. 14412

CAS Registry No.: 154598-52-4
Formal Name: (4S)-6-chloro-4-(2-cyclopropylethynyl)-1,4-dihydro-4-(trifluoromethyl)-2H-3,1-benzoxazin-2-one
Synonyms: DMP 266, EFV, L-743,726
MF: $C_{14}H_9ClF_3NO_2$
FW: 315.7
Purity: ≥98%
UV/Vis.: λ_{max}: 247, 294 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Efavirenz is supplied as a crystalline solid. A stock solution may be made by dissolving the efavirenz in the solvent of choice. Efavirenz is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of efavirenz in ethanol and DMF is approximately 20 mg/ml and approximately 14 mg/ml in DMSO.

Efavirenz is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, efavirenz should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Efavirenz has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Efavirenz is a non-nucleoside reverse transcriptase inhibitor (NNRTI) that binds to wild-type and mutant HIV-1 RTs (K_is = 2.93 and 3.85-56.5 nM, respectively).[1] It inhibits wild-type and mutant HIV-1 viral replication in MT-4 human T lymphoid cells (IC_{95}s = 1.5-1,500 nM). Efavirenz also prevents RNA plus-strand initiation with an IC_{50} value of 17 nM.[2] *In vivo*, efavirenz reduces HIV-1 cDNA in spleen of HIV-1-challenged HIV-susceptible transgenic rats.[3] Formulations containing efavirenz have been used in combination therapy for the treatment of HIV-1.[4,5]

References

1. Young, S.D., Britcher, S.F., Tran, L.O., *et al.* L-743,726 (DMP-266): A novel, highly potent nonnucleoside inhibitor of the human immunodeficiency virus type 1 reverse transcriptase. *Antimicrob. Agents Chemother.* **39(12)**, 2602-2605 (1995).
2. Grobler, J.A., Dornadula, G., Rice, M.R., *et al.* HIV-1 reverse transcriptase plus-strand initiation exhibits preferential sensitivity to non-nucleoside reverse transcriptase inhibitors *in vitro*. *J. Biol. Chem.* **282(11)**, 8005-8010 (2007).
3. Goffinet, C., Allespach, I., and Keppler, O.T. HIV-susceptible transgenic rats allow rapid preclinical testing of antiviral compounds targeting virus entry or reverse transcription. *Proc. Natl. Acad. Sci. U.S.A.* **104(3)**, 1015-1020 (2007).
4. Sheran, M. The nonnucleoside reverse transcriptase inhibitors efavirenz and nevirapine in the treatment of HIV. *HIV Clin. Trials* **6(3)**, 158-168 (2005).
5. Rakhmanina, N.Y. and van den Anker, J.N. Efavirenz in the therapy of HIV infection. *Expert Opin. Drug Metab. Toxicol.* **6(1)**, 95-103 (2010).

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