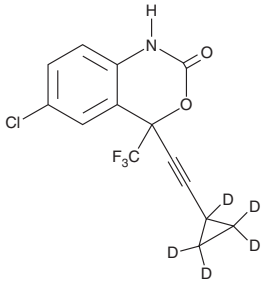
PRODUCT INFORMATION

(±)-Efavirenz-d_5

Item No. 25228

CAS Registry No.: 2749807-27-8
Formal Name: 6-chloro-4-((cyclopropyl-d_5)ethynyl)-4-(trifluoromethyl)-1,4-dihydro-2H-benzo[d][1,3]oxazin-2-one
Synonyms: DMP 266-d_5, EFV-d_5, L-743,726-d_5
MF: $C_{14}H_4ClD_5F_3NO_2$
FW: 320.7
Chemical Purity: ≥95% (Efavirenz)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

(±)-Efavirenz-d_5 is intended for use as an internal standard for the quantification of efavirenz (Item No. 14412) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

(±)-Efavirenz-d_5 is supplied as a solid. A stock solution may be made by dissolving the (±)-efavirenz-d_5 in the solvent of choice, which should be purged with an inert gas. (±)-Efavirenz-d_5 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (±)-efavirenz-d_5 in ethanol and DMF is approximately 20 mg/ml and approximately 14 mg/ml in DMSO.

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. USA* **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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