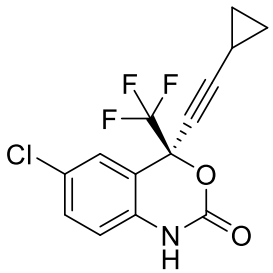
Product data sheet

MedKoo Cat#: 317766 Name: Efavirenz CAS#: 154598-52-4 Chemical Formula: $C_{14}H_9ClF_3NO_2$ Exact Mass: 315.02739 Molecular Weight: 315.67	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Efavirenz is a non-nucleoside reverse transcriptase inhibitor, NNRTI. Efavirenz is highly specific and potent allosteric inhibitors of HIV-1 reverse transcriptase. Efavirenz also inhibits the late stages of HIV-1 replication by interfering with HIV-1 Gag-Pol polyprotein processing. It is used as part of highly active antiretroviral therapy for the treatment of a human immunodeficiency virus type 1.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	38.33	121.42
DMF	20.0	63.36
Ethanol	41.5	131.47
Ethanol:PBS (pH 7.2) (1:1)	0.50	1.58

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.17 mL	15.84 mL	31.68 mL
5 mM	0.63 mL	3.17 mL	6.34 mL
10 mM	0.32 mL	1.58 mL	3.17 mL
50 mM	0.06 mL	0.32 mL	0.63 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Marima R, Hull R, Dlamini Z, Penny C. Efavirenz induces DNA damage response pathway in lung cancer. Oncotarget. 2020 Oct 13;11(41):3737-3748. doi: 10.18632/oncotarget.27725. PMID: 33110481; PMCID: PMC7566803.
2. Malikova J, Zingg T, Fingerhut R, Sluka S, Grössl M, Brixius-Anderko S, Bernhardt R, McDougall J, Pandey AV, Flück CE. HIV Drug Efavirenz Inhibits CYP21A2 Activity with Possible Clinical Implications. Horm Res Paediatr. 2019;91(4):262-270. doi: 10.1159/000500522. Epub 2019 Jun 28. PMID: 31256164.

In vivo study

1. Petrov AM, Lam M, Mast N, Moon J, Li Y, Maxfield E, Pikuleva IA. CYP46A1 Activation by Efavirenz Leads to Behavioral Improvement without Significant Changes in Amyloid Plaque Load in the Brain of 5XFAD Mice. Neurotherapeutics. 2019 Jul;16(3):710-724. doi: 10.1007/s13311-019-00737-0. PMID: 31062296; PMCID: PMC6694340.
2. Gwag T, Meng Z, Sui Y, Helsley RN, Park SH, Wang S, Greenberg RN, Zhou C. Non-nucleoside reverse transcriptase inhibitor efavirenz activates PXR to induce hypercholesterolemia and hepatic steatosis. J Hepatol. 2019 May;70(5):930-940. doi:

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10.1016/j.jhep.2018.12.038. Epub 2019 Jan 21. Erratum in: J Hepatol. 2021 Apr;74(4):1003-1004. PMID: 30677459; PMCID: PMC6462244.

7. Bioactivity

Biological target:

Efavirenz (DMP 266) is an inhibitor of the wild-type HIV-1 reverse transcriptase with a Ki of 2.93 nM.

In vitro activity

In EFV treated MRC-5 cells, MAD2L2 was significantly upregulated (~2 fold) at 24 h, followed by a –1.34 down-regulation at 48 h. In contrast, CASP3 (~ –1.8 fold) and AURKB (~ –1.5 fold) were down-regulated at 24 h and 48 h, as shown in Figure 5B. In EFV treated A549 lung cancer cells, EFV significantly decreased expression levels of both MAD2L2 (fold changes, ** $p < 0.01$ and *** $p < 0.001$) and AURKB (fold changes, $p < 0.001$) genes at 24 h and 48 h.

Reference: Oncotarget. 2020 Oct 13; 11(41): 3737–3748. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7566803/

In vivo activity

Yet, in MWM test, which assesses the spatial long-term memory and learning, EFV (efavirenz)-treated group displayed a better performance than the control group (Fig. 1b). In fear conditioning tests, EFV-treated mice exhibited a greater postshock freezing (an index of fear memory) during the learning phase of the contextual fear test (Fig. 1c) and also the next day when the actual test was performed (Fig. 1d). However, in the cued fear conditioning, there was no difference between EFV-treated and control mice (Fig. 1e). Thus, EFV treatment improved the spatial long-term memory as well as the short and long-term contextual fear memory but not the spatial short-term working memory or fear cued memory.

Reference: Neurotherapeutics. 2019 Jul; 16(3): 710–724. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6694340/