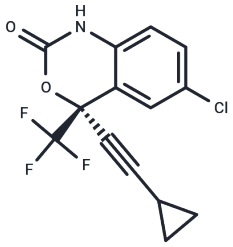
Data Sheet (Cat.No.T2393)

TargetMol

Efavirenz

Chemical Properties



CAS No. : 154598-52-4

Formula: C14H9ClF3NO2

Molecular Weight: 315.67

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Efavirenz (DMP 266) is a Human Immunodeficiency Virus 1 Non-Nucleoside Analog Reverse Transcriptase Inhibitor, acting as a Non-Nucleoside Reverse Transcriptase Inhibitor, Cytochrome P450 3A Inducer, Cytochrome P450 2B6 Inducer, Cytochrome P450 2C9 Inhibitor, Cytochrome P450 2C19 Inhibitor, and Cytochrome P450 3A4 Inhibitor, classified as a Non-Nucleoside Analog.
Targets(IC50)	HIV Protease,Reverse Transcriptase,Endogenous Metabolite,Parasite,Autophagy
In vitro	Efavirenz has direct inhibitory effect on the mitochondrial respiratory function of cultured glioblastoma and differentiated neuroblastoma cell lines[2]. ER stress markers, including CHOP and GRP78 expression (both protein and mRNA), phosphorylation of eIF2a, and presence of the spliced form of XBP1 are upregulated. Efavirenz also enhances cytosolic Ca2+ content and induced morphological changes in the ER suggestive of ER stress. This response is greatly attenuated in cells with altered mitochondrial function (Rho). The effects of Efavirenz on the ER, and particularly in regard to the mitochondrial involvement, differs from those elicited by a standard pharmacological ER stressor[3].
In vivo	Efavirenz leads to arterial stiffening but, for the dose and duration tested, did not lead to elevated plaque progression in ApoE(-/-) mice[4].
Kinase Assay	Recombinant RT enzymes are expressed, purified, and assessed for inhibition by Efavirenz (L-743726). Ki and Kii values are determined for each enzyme tested. The wild-type RT exhibited exclusively noncompetitive inhibition kinetics (data not shown), and, therefore, the Ki and Kii values are identical. Pure noncompetitive inhibition is not assumed for the mutant enzymes, and, hence, the values of both Ki and Kii are obtained from the linear mixed-type inhibition equation. The two- to threefold differences between the Ki and Kii values probably reflect a small contribution of competitive inhibition with the mutant RTs[1].
Cell Research	The OCR(O2 consumption rate) is measured in SH-SY5Y and U-251 mg cells exposed to vehicle, 10 μM efavirenz or 25 μM efavirenz for 1 h. (Only for Reference)

Solubility Information

Solubility	Methanol: 10 mM,Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 250 mg/mL (791.97 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.34 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1679 mL	15.8393 mL	31.6787 mL
5 mM	0.6336 mL	3.1679 mL	6.3357 mL
10 mM	0.3168 mL	1.5839 mL	3.1679 mL
50 mM	0.0634 mL	0.3168 mL	0.6336 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Braz VA, et al. Biochemistry. 2010, 49(3):601-10.
Cheng C, Ji Z, Sheng Y, et al. Aphthous ulcer drug inhibits prostate tumor metastasis by targeting IKK/TBK1/NF-κB signaling. Theranostics. 2018, 8(17): 4633
Zhang R, Zhang F, Sun Z, et al. LINE-1 Retrotransposition Promotes the Development and Progression of Lung Squamous Cell Carcinoma by Disrupting the Tumor Suppressor Gene FGGY. Cancer Research. 2019: canres. 0076
Funes HA, et al. J Antimicrob Chemother. 2015, 70(8):2249-54.
Apostolova N, et al. J Hepatol. 2013, 59(4):780-9.
Wu Y, Chu L, Yang H, et al. Simultaneous Determination of 6 Antiretroviral Drugs in Human Hair Using an LC-ESI+-MS/MS Method: Application to Adherence Assessment. Therapeutic Drug Monitoring. 2021, 43(6): 756-765.
Caulk AW, et al. J Biomech. 2015, 48(10):2176-80.
Chu, Liuxi, et al. Simultaneous quantitation of zidovudine, efavirenz, lopinavir and ritonavir in human hair by liquid chromatography-atmospheric pressure chemical ionization-tandem mass spectrometry [J]. Journal of Chromatography B . 2018 Oct 15;1097-1098:54-63.
Zhang R, Zhang F, Sun Z, et al. LINE-1 Retrotransposition Promotes the Development and Progression of Lung Squamous Cell Carcinoma by Disrupting the Tumor Suppressor Gene FGGY[J]. Cancer research. 2019: canres. 0076.2019.