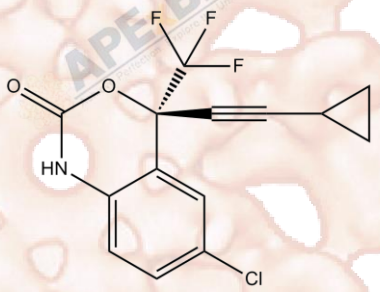
Product Data Sheet

Efavirenz



Cat. No.: B1119

CAS No.: 154598-52-4

Formula: C14H9ClF3NO2

M.Wt: 315.68

Synonyms:

Target: Microbiology & Virology

Pathway: HIV

Storage: Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾15.55 mg/mL in DMSO; ⩾48.1 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	3.1678 mL	15.8388 mL	31.6776 mL
5 mM	0.6336 mL	3.1678 mL	6.3355 mL
10 mM	0.3168 mL	1.5839 mL	3.1678 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Reverse transcriptase inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	human glioma U-251MG (CLS 300385) and neuroblastoma SH-SY5Y (ATCC CRL-2266) cells
Preparation method:	The solubility of this compound in DMSO is >15.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	10 or 25μM for 1 h
	Applications:	Incubation with efavirenz provoked a significant and concentration-dependent decrease in basal respiration and specifically in ATP production-coupled O2 consumption in both SH-SY5Y and U-251MG cells. In vehicle-treated SH-SY5Y, 66% of the basal respiration was used for ATP synthesis, but this value dropped to 52.7% with 25μM efavirenz, an effect that was even more pronounced in U-251MG cells (with values of 49.6% and 30.3%, respectively). The effect was more pronounced in the glioblastoma cells, where 25μM efavirenz induced a 57.1% reduction compared with the 39.3% seen for differentiated neuroblastoma cells. The U251-MG cells were more susceptible (SH-SY5Y cells displayed reductions of 14.2% and 51.5% whereas the corresponding values in the glioblastoma cells were 65.9% and 73.8% upon exposure to 10 and 25 uM efavirenz, respectively). Both the MRC (maximum respiratory capacity) and the SRC (respiratory control ratio) were diminished in cells treated with efavirenz. In U-251MG cells, 61.9% of the basal OCR was of mitochondrial origin and 10μM efavirenz modified this proportion, diminishing it by 10%. Efavirenz provoked a major decrease in RCR, which was again more prominent in U-251MG cells.
In Vivo	**Animal experiment**	
	Animal models:	Male apolipoprotein E-null (ApoE-/-) mice at 6 weeks of age
	Dosage form:	75 mg/kg/day, oral gavage, for 35 days
	Applications:	Efavirenz played a role in early vascular remodeling contributing to HAART (highly active antiretroviral therapy)-induced CVD (cardiovascular disease) but may not independently contribute to late-stage atherosclerosis. 5 weeks of efavirenz treatment leaded to changes in the biomechanical behavior of the abdominal aorta, namely arterial stiffening and reduction in axial loading, but not elevated plaque coverage in ApoE-/- mouse aortas. Efavirenz did not, in fact, accelerate plaque progression. Aortas from efavirenz -treated mice demonstrated decreased compliance (i.e., increased arterial stiffness) and decreased axial force and a trend toward decreased in vivo axial stretch, but efavirenz treatment had no effect on intima-media thickness of the aortic wall or plaque coverage in thoracic aortas and aortic arches. Taken together, efavirenz leaded to arterial stiffening but, for the dose and duration tested, did not lead to elevated plaque progression in ApoE-/- mice.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Funes HA,Blas-Garcia A,Esplugues JV., et al. Efavirenz alters mitochondrial respiratory function in cultured neuron and glial cell lines. J Antimicrob Chemother.2015 Aug;70(8):2249-54. doi: 10.1093/jac/dkv098. Epub 2015 Apr 29.

[2]. Caulk AW,Soler J,Platt MO., et al. Efavirenz treatment causes arterial stiffening in apolipoprotein E-null mice. J Biomech.2015 Jul 16;48(10):2176-80. doi: 10.1016/j.jbiomech.2015.05.010. Epub 2015 May 21.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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