

Product Data Sheet

Product Name: Efavirenz

Cat. No.: GC12389

Chemical Properties

Cas. No. 154598-52-4

Chemical Name (4S)-6-chloro-4-(2-cyclopropylethynyl)-4-(trifluoromethyl)-1H-3,1-benzoxazin-2-one

SMILES C1CC1C#CC2(C3=C(C=CC(=C3)Cl)NC(=O)O2)C(F)(F)F

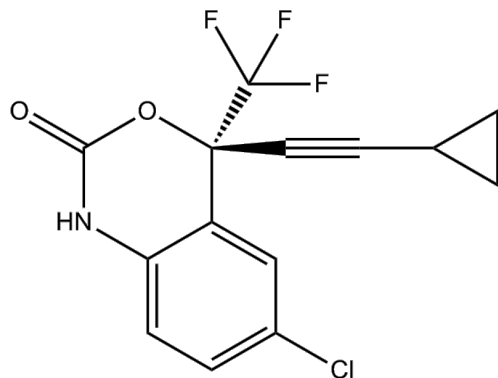
Formula $C_{14}H_9ClF_3NO_2$ M.Wt 315.68

Solubility $\geq 15.55\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines MCF10AT, MCF10CA1 α , MDA-MB-231 (triple-negative breast cancer cell lines) and MCF10A (non-cancerous breast epithelial cell line)

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Preparation Method MCF10A, MCF10AT and MCF10CA1 α cells were cultured in DMEM/F-12 medium supplemented with 5% horse serum, 10 μ g/ml insulin, 20ng/ml epidermal growth factor, 0.5 μ g/ml hydrocortisone, and 100ng/ml cholera toxin. MDA-MB-231 cells were cultured in DMEM medium with 10% fetal bovine serum. All cells were maintained at 37°C with 5% CO₂. Cells were treated with Efavirenz (23.32–27.89 μ M).

Reaction Conditions 23.32–27.89 μ M; 4 days

Applications Efavirenz caused cell death, retarded cell proliferation, and changed cell morphology to an epithelial-like phenotype in TNBC cell lines. Efavirenz also inhibited LINE-1 reverse transcriptase activity and downregulated fatty acid metabolism-associated genes (including SCD, ACSL5, FASN, ACSL3, FADS1, PTPLB and ACACA).

Animal experiment [2]:

Animal models CD1 male mice

Preparation Method Mice were orally administered Efavirenz (10mg/kg) or distilled water (control) once daily for 36 days. At the end of treatment, behavioral tests were conducted, and brain tissues were collected for analysis.

Dosage form 10mg/kg; oral; once daily for 36 days

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Applications Efavirenz administration resulted in significant body weight loss and increased food intake. Efavirenz induced depression-like behavior and anxiety-like behavior. Efavirenz also dysregulated Tph2 gene expression in the brainstem, hypothalamus, and amygdala, and increased 5-HT levels in the amygdala.

References:

- [1] Chiou PT, Ohms S, Board PG, et al. Efavirenz as a potential drug for the treatment of triple-negative breast cancers. Clin Transl Oncol. 2021 Feb;23(2):353-363.
- [2] Rojas-Osornio SA, Crespo-Ramírez M, Paredes-Cervantes V, et al. Oral Administration of Efavirenz Dysregulates the Tph2 Gene in Brain Serotonergic Areas and Alters Weight and Mood in Mice. Pharmaceuticals (Basel). 2024 Jun 18;17(6):801.

Background

Efavirenz is a non-nucleoside reverse transcriptase inhibitor (NNRTI). Efavirenz non-competitively inhibits the transcriptional ($K_i=2.93\text{nM}$) and replication ($IC_{95}=2.93\text{nM}$) activity of HIV-1, thereby blocking viral replication. Efavirenz can be used in antiviral and anticancer research^[1-4].

In vitro, Efavirenz (23.32–27.89 μM) was used to treat triple-negative breast cancer cell lines MCF10AT, MCF10CA1 α , and MDA-MB-231 for 4 days. Efavirenz significantly inhibited cell proliferation, reduced cell division, promoted apoptosis and necrosis, and induced a shift in cell morphology toward an epithelial-like phenotype^[5]. Efavirenz (1.5 μM) in combination with metformin (5 mM) and fluoxetine (0.9 μM) was used to treat HCT116 human colon cancer cells for 24–96 hours. Efavirenz significantly increased cellular ROS levels, leading to cell death, decreased mitochondrial membrane potential and mitochondrial electron transport chain complex I and III activities, while increasing the expression of factors related to DNA damage, apoptosis, autophagy, and necroptosis^[6].

In vivo, Efavirenz (10mg/kg) was orally administered to CD1 male mice for 36 days. Efavirenz significantly caused body weight loss and induced depression-like and anxiety-like behaviors^[7]. Efavirenz (10mg/kg) was orally administered to CD1 male mice for 36 days. Efavirenz significantly led to body weight loss and increased the expression of appetite-related hormones^[8].

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References:

- [1] Costa B, Vale N. Efavirenz: History, Development and Future. *Biomolecules*. 2022 Dec 31;13(1):88.
- [2] Wang PF, Neiner A, Kharasch ED. Efavirenz Metabolism: Influence of Polymorphic CYP2B6 Variants and Stereochemistry. *Drug Metab Dispos*. 2019 Oct;47(10):1195-1205.
- [3] Zhao T, Zhong R, Zhang X, et al. Efavirenz restored NMDA receptor dysfunction and inhibited epileptic seizures in GluN2A/Grin2a mutant mice. *Front Neurosci*. 2023 Mar 2;17:1086462.
- [4] Ali T, Cashion J, Hannaoui S, et al. Treatment with efavirenz extends survival in a Creutzfeldt-Jakob disease model by regulating brain cholesterol metabolism. *JCI Insight*. 2025 Jun 19;10(14):e190296.
- [5] Chiou PT, Ohms S, Board PG, et al. Efavirenz as a potential drug for the treatment of triple-negative breast cancers. *Clin Transl Oncol*. 2021 Feb;23(2):353-363.
- [6] Kang BG, Shende M, Inci G, et al. Combination of metformin/efavirenz/fluoxetine exhibits profound anticancer activity via a cancer cell-specific ROS amplification. *Cancer Biol Ther*. 2023 Dec 31;24(1):20-32.
- [7] Rojas-Osornio SA, Crespo-Ramírez M, Paredes-Cervantes V, et al. Oral Administration of Efavirenz Dysregulates the Tph2 Gene in Brain Serotonergic Areas and Alters Weight and Mood in Mice. *Pharmaceuticals (Basel)*. 2024 Jun 18;17(6):801.
- [8] Rojas-Osornio SA, Manuel-Apolinar L, Crespo-Ramírez M, et al. Efavirenz Interacts with Hormones Involved in Appetite and Satiety, Affecting Body Weight in Mice. *Int J Mol Sci*. 2026 Jan 11;27(2):735.

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