

Product Data Sheet

Product Name: Censavudine

Cat. No.: GC64261

Chemical Properties

Cas. No. 634907-30-5

Formula C₁₂H₁₂N₂O₄

M.Wt 248.23

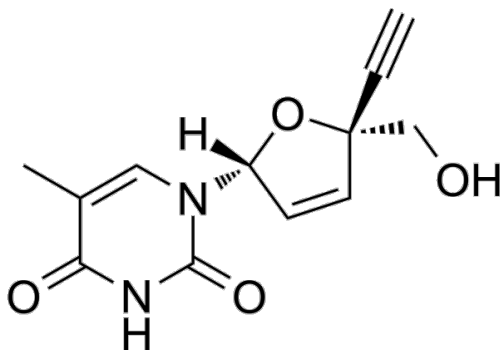
Solubility DMSO : 52 mg/mL (209.48 mM;
Need ultrasonic)

Storage 4°C, away from moisture

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



Caution: Product has not been fully validated for medical applications. For research use only.

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Background

Censavudine (OBP-601; BMS-986001), a nucleoside analog, is a nucleoside reverse transcriptase inhibitor. Censavudine is a potent HIV inhibitor with EC₅₀ ranges from 30 nM to 81 nM and 450 nM to 890 nM for HIV-2 and HIV-1, respectively[1][2].

BMS-986001 shows greater activity against HIV-2ROD9 than against HIV-1NL4-3; the mean EC₅₀s for BMS-986001 are 74 nM for HIV-2ROD9 and 890 nM for HIV-1NL4-3 in the single-cycle assay. HIV-2ROD9 also shows greater sensitivity to BMS-986001 in 4-day infections of an immortalized T cell line (CEMss), with the mean EC₅₀ for HIV-2ROD9 (EC₅₀ of 0.14 nM) being 30-fold lower than that for HIV-1NL4-3 (EC₅₀ of 4.2 nM)[1]. BMS-986001 also exhibits full activity against HIV-2 variants whose genomes encoded the single amino acid changes K65R and Q151M in reverse transcriptase[1].

The pharmacokinetic parameters of Censavudine (BMS-986001; 100-750 mg/kg) generated from the dried blood spot (DBS) assay and the plasma assay is compared. The ratios of the AUC(0-24 h) and C_{max} for BMS-986001 in DBS compared to those in plasma are consistent at 0.83-0.91 and 0.81-0.97, respectively, across all dose groups in rats. The T_{max} in rat DBS and plasma are also consistent at about 1 h[2].

[1]. Robert A Smith, et al. The Nucleoside Analog BMS-986001 Shows Greater In Vitro Activity Against HIV-2 Than Against HIV-1. *Antimicrob Agents Chemother*. 2015 Dec;59(12):7437-46.

[2]. Long Yuan, et al. Dried Blood Spot Analysis Without Dilution: Application to the LC-MS/MS Determination of BMS-986001 in Rat Dried Blood Spot. *J Chromatogr B Analyt Technol Biomed Life Sci*. 2015 Oct 1;1002:201-9.

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