Censavudine

Cat. No.: HY-16776

CAS No.: 634907-30-5

Molecular Formula: $C_{12}H_{12}N_2O_4$

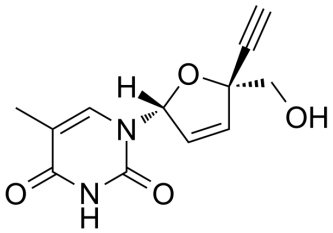
Molecular Weight: 248.23

Target: HIV; Nucleoside Antimetabolite/Analog; Reverse Transcriptase

Pathway: Anti-infection; Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

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

H_2O : 10 mg/mL (40.29 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	4.0285 mL	20.1426 mL	40.2852 mL
5 mM	0.8057 mL	4.0285 mL	8.0570 mL
10 mM	0.4029 mL	2.0143 mL	4.0285 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.83 mg/mL (11.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.83 mg/mL (11.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Censavudine (OBP-601; BMS-986001), a nucleoside analog, is a nucleoside reverse transcriptase inhibitor. Censavudine is a potent HIV inhibitor with EC_{50} ranges from 30 nM to 81 nM and 450 nM to 890 nM for HIV-2 and HIV-1, respectively[1][2]. Censavudine is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

HIV-2	HIV-1
30-81 nM (EC50)	450-890 nM (IC_{50})

In Vitro

BMS-986001 shows greater activity against HIV-2_{ROD9} than against HIV-1_{NL4-3}; the mean EC_{50}s for BMS-986001 are 74 nM for HIV-2_{ROD9} and 890 nM for HIV-1_{NL4-3} in the single-cycle assay. HIV-2_{ROD9} also showes greater sensitivity to BMS-986001 in 4-

	day infections of an immortalized T cell line (CEMss), with the mean EC_{50} for HIV-2_{ROD9} (EC_{50} of 0.14 nM) being 30-fold lower than that for HIV-1_{NL4-3} (EC_{50} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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 $AUC_{(0\text{-}24\ h)}$ 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA