AzddMeC

Cat. No.: HY-105268

CAS No.: 87190-79-2

Molecular Formula: $C_{10}H_{14}N_6O_3$

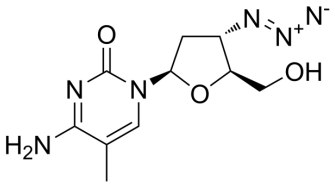
Molecular Weight: 266.26

Target: HIV; Reverse Transcriptase; Nucleoside Antimetabolite/Analog

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 : 200 mg/mL (751.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7557 mL	18.7786 mL	37.5573 mL
	5 mM	0.7511 mL	3.7557 mL	7.5115 mL
	10 mM	0.3756 mL	1.8779 mL	3.7557 mL

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

BIOLOGICAL ACTIVITY

Description

AzddMeC (CS-92) is an antiviral nucleoside analogue and a potent potent, selective and orally active HIV-1 reverse transcriptase and HIV-1 replication inhibitor. In HIV-1-infected human PBM cells and HIV-1-infected human macrophages, the EC_{50} values of AzddMeC are 9 nM and 6 nM, respectively[1][2]. AzddMeC is a click chemistry reagent, it contains an Azide group and can undergo copper-catalyzed azide-alkyne cycloaddition reaction (CuAAc) with molecules containing Alkyne groups. Strain-promoted alkyne-azide cycloaddition (SPAAC) can also occur with molecules containing DBCO or BCN groups.

IC_{50} & Target			
	HIV-2	HIV-1 9 nM (EC50, Human PBM cells)	HIV-1 6 nM (EC50, Human macrophages)

In Vitro

AzddMeC (CS-92) is also effective against HIV-2 in lymphocytes. The replication of Friend murine virus is only weakly inhibited, and no effect is observed against HSV type 1 and type 2 and coxsackievirus B4. The interaction of the 5'-triphosphate of AzddMeC with HIV-1 reverse transcriptase indicated competitive inhibition (the inhibition constant, Kis, is 9.3 nM)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	The pharmacokinetics of AzddMeC are characterized following intravenous and oral administration of 60 mg/kg of the compound to male rhesus monkeys. 3'-azido-3'-deoxythymidine (AZT) is a major metabolite of AzddMeC in monkeys. AzddMeC concentrations in serum declined rapidly in a biexponential fashion with the terminal half-life ranging from 0.5 to 1.3 hr. Renal excretion of unchanged nucleoside and metabolic deamination yielding AZT are the primary routes of AzddMeC clearance. The oral bioavailability is 26%[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. R F Schinazi, et al. Antiretroviral Activity, Biochemistry, and Pharmacokinetics of 3'-azido-2',3'-dideoxy-5-methylcytidine. Ann N Y Acad Sci. 1990;616:385-97.

[2]. Boudinot FD, et al. Pharmacokinetics and metabolism of 3'-azido-2',3'-dideoxy-5-methylcytidine in rhesus monkeys. Drug Metab Dispos. 1993;21(5):855-860.

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