3′-Azido-2′,3′-dideoxyuridine

Cat. No.: HY-106850

CAS No.: 84472-85-5

Molecular Formula: $C_9H_{11}N_5O_4$

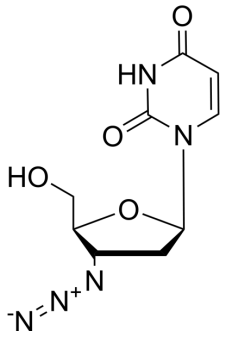
Molecular Weight: 253.21

Target: HIV

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (987.32 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9493 mL	19.7465 mL	39.4929 mL
	5 mM	0.7899 mL	3.9493 mL	7.8986 mL
	10 mM	0.3949 mL	1.9746 mL	3.9493 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: ≥ 2.08 mg/mL (8.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

3′-Azido-2′,3′-dideoxyuridine (AzdU) is a nucleoside analog of Zidovudine (HY-17413). 3′-Azido-2′,3′-dideoxyuridine is a potent inhibitor of human immunodeficiency virus (HIV) replication in human peripheral blood mononuclear cells (PBMC) with limited toxicity for human bone marrow cells ([2][3]. 3′-Azido-2′,3′-dideoxyuridine is a click chemistry reagent, it contains an Azide group and can undergo copper-catalyzed azide-alkyne cycloadd reaction (CuAAc) with molecules containing Alkyne groups. Strain-promoted alkyne-azide cycloaddition (SPAAC) can also occur with molecules cor DBCO or BCN groups.

IC_{50} & Target

HIV

In Vitro

3′-Azido-2′,3′-dideoxyuridine inhibits HIV replication in PBMC infected with HIV-1, with a median effective concentration of 0.18-0.46 μM[2].
3′-Azido-2′,3′-dideoxyuridine inhibits HIV-mediated cytopathic effects in the human T-cell lines MT-4 and ATH8, with the median effective of 0.4 μM
3′-Azido-2′,3′-dideoxyuridine is sequentially phosphorylated to its mono-, di-, and triphosphate metabolites by cellular kinases[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

3′-Azido-2′,3′-dideoxyuridine (25-100 mg/kg, IV or PO, once) shows good pharmacokinetic profiles[3].
Pharmacokinetic Parameters of 3′-Azido-2′,3′-dideoxyuridine in male Sprague-Dawley rats[3].

	IV (25 mg/kg)	IV (100 mg/kg)	PO (25 mg/kg)	PO (100 mg/k
C_{max} (μg/mL)			9.2±1.9	41±15
T_{max} (h)			0.38±0.13	0.63±0.22
AUC (μg/mL⊠h)	19±1.2	156±7.0	12±0.54	70±13
CL_T (L/h/kg)	1.4±0.2	0.70±0.09		
CL_R (L/h/kg)	0.90±0.27	0.43±0.12		
$t_{1/2}$ (h)	0.5±0.0	0.68±0.		

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

Animal Model:	Adult male Sprague-Dawley rats (300-400 g)[3]
Dosage:	25, 100 mg/kg
Administration:	Intravenous bolus injection or oral gavage (Pharmacokinetic Analysis)
Result:	The oral bioavailability estimates of 3′-Azido-2′,3′-dideoxyuridine at doses of 25 and 100 mg/kg averaged 53%.

REFERENCES

[1]. Zhu Z, et al. Cellular metabolism of 3'-azido-2',3'-dideoxyuridine with formation of 5'-O-diphosphohexose derivatives by previously unrecognized metabolic pa

for 2'-deoxyuridine analogs. Mol Pharmacol. 1990 Dec;38(6):929-38.

[2]. Chu CK, et al. Structure-activity relationships of pyrimidine nucleosides as antiviral agents for human immunodeficiency virus type 1 in peripheral blood monc cells. J Med Chem. 1989 Mar;32(3):612-7.

[3]. Kong L, et al. Pharmacokinetic evaluation of 3'-azido-2', 3'-dideoxyuridine-5'-O-valinate-hydrochloride as a prodrug of the anti-HIV nucleoside 3'-azido-2', 3'-dideoxyuridine. Antivir Chem Chemother. 2003 Sep;14(5):263-70.

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