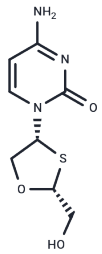
Data Sheet (Cat.No.T14313)

TargetMol

Apricitabine

Chemical Properties



CAS No. : 160707-69-7

Formula: C8H11N3O3S

Molecular Weight: 229.26

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Apricitabine (SPD754) is a highly selective and orally active HIV-1 reverse transcriptase inhibitor (Ki=0.08 μM), the (-) enantiomer of 2′-deoxy-3′-oxy-4′-thiocytidine (dOTC) . Apricitabine inhibits DNA polymerase α, β and γ with Ki values of 300 μM, 12 μM and 112.25 μM, respectively. Apricitabine has shown good antiretroviral therapeutic efficacy in antiretroviral HIV-infected patients, with good tolerability and low selective resistance.
Targets(IC50)	Nucleoside Antimetabolite/Analog,HIV Protease,DNA/RNA Synthesis
In vitro	Apricitabine (SPD754 ; AVX754) is against clinical isolates of HIV-1 in culturedPBMCs with IC50 values of 0.2 μM, 1.45 μM, 2.2 μM and 2.4μM for HIV-1RF, Wild type, 3TC resistant, 3TC and AZT resistant, respectively[1]. Apricitabine (SPD754 ; AVX754) has antiviral activities against HIV-1 clinical isolates resistant to nucleoside reverse transcriptase inhibitors in MT-4 cells, exhibits Mean IC50 values of 20 μM, 25 μM, 30 μM,21 μM,55 μM,32 μM and 71 μM. It is for HIV-1IIIB, Wild-type(control), Zidovudine-resistant/lamivudine-resistant, Zidovudine-resistant, Lamivudine-resistant, Abacavir-resistant and Stavudine-resistant viruses, respectively. [2]
In vivo	Apricitabine (SPD754 ; AVX754) (intravenous injection ; 10 mg/kg ; once a day) exhibits the T1/2, AUC0-∞ values of 12.7 mins, 226.9 μg/min/ml in female rats[1]. Apricitabine (SPD754 ; AVX754) (oral adminstation ; 10 mg/kg ; once a day) exhibits a good oral bioavailability of 68% for males and 69.4% for females rats and the T1/2, AUC0-∞, Tmax, Cmax are 62.2 mins, 157.4 μg/min/ml and 37.3 mins, 1.16 μg/ml in female rats.[1]

Solubility Information

Solubility	DMSO: 11 mg/mL (47.98 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.3619 mL	21.8093 mL	43.6186 mL
5 mM	0.8724 mL	4.3619 mL	8.7237 mL
10 mM	0.4362 mL	2.1809 mL	4.3619 mL
50 mM	0.0872 mL	0.4362 mL	0.8724 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

de Muys JM, et al. Anti-human immunodeficiency virus type 1 activity, intracellular metabolism, and pharmacokinetic evaluation of 2'-deoxy-3'-oxa-4'-thiocytidine.Antimicrob Agents Chemother. 1999 ; 43(8):1835-1844.

Cahn P, et al. Efficacy and tolerability of 10-day monotherapy with apricitabine in antiretroviral-naive, HIV-infected patients.AIDS. 2006 ; 20(9):1261-1268.

Gaffney MM, et al. Apricitabine: a nucleoside reverse transcriptase inhibitor for HIV infection. Ann Pharmacother. 2009 ; 43(10):1676-1683.

Cahn P, et al. Resistance profile of the new nucleoside reverse transcriptase inhibitor apricitabine. J Antimicrob Chemother. 2010 ; 65(2):213-217.

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