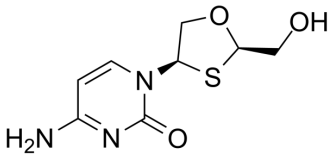
Apricitabine

Cat. No.:	HY-14913
CAS No.:	160707-69-7
Molecular Formula:	$C_8H_{11}N_3O_3S$
Molecular Weight:	229.26
Target:	Nucleoside Antimetabolite/Analog; HIV; DNA/RNA Synthesis
Pathway:	Cell Cycle/DNA Damage; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Apricitabine (SPD754; AVX754), the (-) enantiomer of 2′-deoxy-3′-oxa-4′-thiocytidine (dOTC), is a highly selective and orally active HIV-1 reverse transcriptase (RT) inhibitor (K_i=0.08 μM), as well as inhibits DNA polymerases α, β, and γ with K_i value of 300 μM, 12 μM, and 112.25 μM, respectively[1]. Apricitabine (SPD754; AVX754) shows promising antiretroviral efficacy, good tolerability and a low propensity for resistance selection in antiretroviral-naive HIV infection[2].
IC_{50} & Target	HIV-1
In Vitro	Apricitabine (SPD754; AVX754) is against clinical isolates of HIV-1 in cultured PBMCs with IC_{50} values of 0.2 μM, 1.45 μM, 2.2 μM and 2.4 μM for HIV-1RF, Wild type, 3TC resistant, 3TC and AZT resistant, respectively[1]. Apricitabine (SPD754; AVX754) has antiviral activities agsinst HIV-1 clinical isolates resistant to nucleoside reverse transcriptase inhibitors in MT-4 cells, exhibits Mean IC_{50} values of 20 μM, 25 μM, 30 μM,21 μM,55 μM,32 μM and 71 μM for HIV-1IIIB,Wild-type (control), Zidovudine-resistant, Lamivudine-resistant, Zidovudine-resistant/lamivudine-resistant, Abacavir-resistant and Stavudine-resistant viruses,respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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 $T_{1/2}$, $AUC_{0-\infty}$, T_{max}, C_{max} are 62.2 mins, 157.4 μg/min/ml and 37.3 mins, 1.16 μg/ml in female rats[1]. Apricitabine (SPD754; AVX754) (intravenous injection; 10 mg/kg; once a day) exhibits the $T_{1/2}$, $AUC_{0-\infty}$ values of 12.7 mins, 226.9 μg/min/ml in female rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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 Aug;43(8):1835-44.

[2]. Cahn P, et al. Efficacy and tolerability of 10-day monotherapy with apricitabine in antiretroviral-naive, HIV-infected patients.AIDS. 2006 Jun 12;20(9):1261-8.

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

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