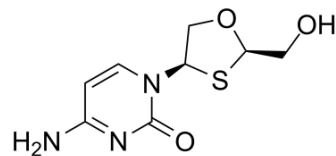


Apricitabine

Cat. No.:	HY-14913
CAS No.:	160707-69-7
Molecular Formula:	C ₈ H ₁₁ N ₃ O ₃ S
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 ($K_i=0.08 \mu\text{M}$), as well as inhibits DNA polymerases α , β , and γ with K_i value of $300 \mu\text{M}$, $12 \mu\text{M}$, and $112.25 \mu\text{M}$, respectively ^[1] . Apricitabine (SPD754; AVX754) shows promising antiretroviral efficacy, good tolerability and a low propensity for resistance selection in antiretroviral-naïve HIV infection ^[2] .
IC₅₀ & 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 against 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-1IIB, 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 administration; 10 mg/kg; once a day) exhibits a good oral bioavailability of 68% for males and 69.4% for female rats. And the $T_{1/2}$, $AUC_{0-\infty}$, T_{max} , C_{max} are 62.2 mins, 157.4 $\mu\text{g}/\text{min}/\text{ml}$ and 37.3 mins, 1.16 $\mu\text{g}/\text{ml}$ 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 $\mu\text{g}/\text{min}/\text{ml}$ 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-naïve, 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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