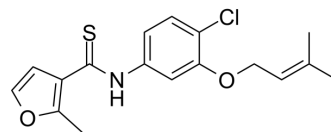


UC-781

Cat. No.:	HY-15351
CAS No.:	178870-32-1
Molecular Formula:	C ₁₇ H ₁₈ ClNO ₂ S
Molecular Weight:	335.85
Target:	HIV
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	UC-781 (NSC 675186) is a highly potent and selective nonnucleoside reverse transcriptase inhibitor (NNRTI) of HIV-1 with an IC ₅₀ value of 5 nM. UC-781 is stable under low PH or various temperatures conditions. UC-781 has antiviral activity and resistance ^{[1][2][3]} .								
IC₅₀ & Target	HIV-1 0.005 μM (IC ₅₀)								
In Vitro	<p>UC-781 (0.05, 0.2 and 0.5 % UC-781 replens gel; 10 d) is released from gel preparation and eliminates HIV-1 virus in CEM cells [1].</p> <p>UC-781 (3.75-30 μM) inhibits the growth of Bacillus cereus (about 50 %)^[1].</p> <p>UC-781 inhibits the activity of HIV-1 (R_B) in CEM T cells (EC₅₀=6 nM; IC₅₀=23 nM). UC-781 inhibits the activity of HIV in Monocyte-Derived Dendritic Cell (MO-DC) and autologous CD4⁺ T cells with EC₅₀ values of 550 nM and 1588 nM, respectively [2].</p> <p>UC-781 (1 μM or 10 μM; 24 h) effectively prevents or blocks MO-DC and autologous CD4⁺ T cells infected HIV^[2].</p> <p>UC-781 (0.001-1000 μM; 2 h) inhibits the transition of virus cervical explants infected with HIV-1_{BaL}^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>UC-781 (100 μl 5% UC-781 replens gel; intravaginal; once daily for 10 days) releases from gel preparation and shows low toxicity to normal tissues in female rabbit^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Female rabbit (~1200 g; 10 weeks old)^[1].</td> </tr> <tr> <td>Dosage:</td> <td>100 μl 5% UC-781 replens gel.</td> </tr> <tr> <td>Administration:</td> <td>Intravaginal; once daily for 10 days.</td> </tr> <tr> <td>Result:</td> <td>Released from gel preparation and had normal histology without significant increases inflammatory cells in rabbits.</td> </tr> </table>	Animal Model:	Female rabbit (~1200 g; 10 weeks old) ^[1] .	Dosage:	100 μl 5% UC-781 replens gel.	Administration:	Intravaginal; once daily for 10 days.	Result:	Released from gel preparation and had normal histology without significant increases inflammatory cells in rabbits.
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REFERENCES

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- [1]. Balzarini J, et al. Preclinical studies on thiocarboxanilide UC-781 as a virucidal agent. *AIDS*. 1998 Jul 9;12(10):1129-38.
- [2]. Van Herrewege Y, et al. In vitro evaluation of nonnucleoside reverse transcriptase inhibitors UC-781 and TMC120-R147681 as human immunodeficiency virus microbicides. *Antimicrob Agents Chemother*. 2004 Jan;48(1):337-9.
- [3]. Balzarini J, et al. Highly favorable antiviral activity and resistance profile of the novel thiocarboxanilide pentenyloxy ether derivatives UC-781 and UC-82 as inhibitors of human immunodeficiency virus type 1 replication. *Mol Pharmacol*. 1996 Aug;50(2):394-401.
- [4]. Fletcher P, et al. The nonnucleoside reverse transcriptase inhibitor UC-781 inhibits human immunodeficiency virus type 1 infection of human cervical tissue and dissemination by migratory cells. *J Virol*. 2005 Sep;79(17):11179-86.
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Caution: Product has not been fully validated for medical applications. For research use only.

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