

Delavirdine

Catalog No: tcsc1660



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg



Specifications

CAS No:

136817-59-9

Formula:

$C_{22}H_{28}N_6O_3S$

Pathway:

Anti-infection;Anti-infection

Target:

Reverse Transcriptase;HIV

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

U 90152;BHAP-U 90152

Observed Molecular Weight:

456.56

Product Description

Delavirdine(U 90152) is a potent non-nucleoside reverse transcriptase inhibitor (NNRTI).

IC50 Value: 0.26 uM (Recombinant HIV-1 RT) [1]

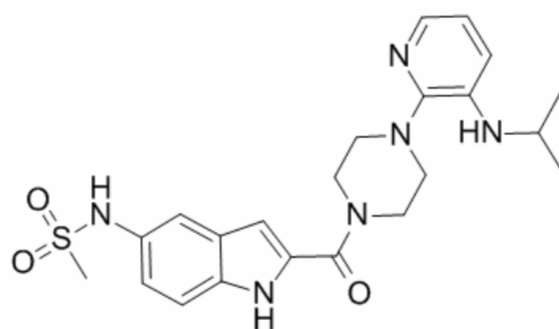
Target: HIV-1 reverse transcriptase; NNRTI

in vitro: U-90152 [1-(5-methanesulfonamido-1H-indol-2-yl-carbonyl)-4-[3-(1-methyl eth yl-amino)pyridinyl]piperazine], which inhibited recombinant HIV-1 RT at a 50% inhibitory concentration (IC50) of 0.26 microM (compared with IC50s of > 440 microM for DNA polymerases alpha and delta). U-90152 blocked the replication in peripheral blood lymphocytes of 25 primary HIV-1 isolates, including variants that were highly resistant to 3'-azido-2',3'-dideoxythymidine (AZT) or 2',3'-dideoxyinosine, with a mean 50% effective dose of 0.066 +/- 0.137 microM. U-90152 had low cellular cytotoxicity, causing less than 8% reduction in peripheral blood lymphocyte viability at 100 microM. In experiments assessing inhibition of the spread of HIV-1IIIB in cell cultures, U-90152 was much more effective than AZT. When approximately 500 HIV-1IIIB-infected MT-4 cells were mixed 1:1,000 with uninfected cells, 3 microM AZT delayed the evidence of rapid viral growth for 7 days. In contrast, 3 microM U-90152 totally prevented the spread of HIV-1, and death and/or dilution of the original inoculum of infected cells prevented renewed viral growth after U-90152 was removed at day 24 [1]. Asdelavirdine concentration was increased from 0 to 100 microM, the K(M) for diclofenac metabolism rose from 4.5+/-0.5 to 21+/-6 microM, and V(max) declined from 4.2+/-0.1 to 0.54+/-0.08 nmol/min/mg of protein, characteristic of mixed-type inhibition [2].

in vivo: The mean values (+/- standard deviations) for the maximum concentration in serum (C(max)) of ritonavir, the area under the concentration-time curve from 0 to 12 h (AUC(0-12)), and the minimum concentration in serum (C(min)) of ritonavir before the addition of delavirdine were 14.8 +/- 6.7 micro M, 94 +/- 36 micro M. h, and 3.6 +/- 2.1 micro M, respectively. These same parameters were increased to 24.6 +/- 13.9 micro M, 154 +/- 83 micro M. h, and 6.52 +/- 4.85 micro M, respectively, after the addition of delavirdine(P is

Toxicity:

Clinical trial: Quality of Life of HIV-infected Participants Switched to Raltegravir Versus Other Antiretroviral Regimens. Phase 4



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!