Etravirine: a second-generation non-nucleoside reverse transcriptase inhibitor (NNRTI). Active against nnrti-resistant strains of HIV.

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ABSTRACT

The rapid replication of HIV-1 and the errors made during viral replication cause the virus to evolve rapidly in patients, making the problems of vaccine development and drug therapy particularly challenging. In the absence of an effective vaccine, drugs are the only useful treatment. Anti-HIV drugs work; so far drug therapy has saved more than three million years of life. Unfortunately, HIV-1 develops resistance to all of the available drugs.. The three viral enzymes, reverse transcriptase (RT), integrase (IN), and protease (PR) are all good drug targets. Two distinct types of RT inhibitors, both of which block the polymerase activity of RT, have been approved to treat HIV-1 infections, nucleoside analogs (NRTIs) and nonnucleosides (NNRTIs). Etravirine and rilpivirine are two new nonnucleoside reverse transcriptase inhibitors (NNRTIs) that have the distinct advantage of being able to be used in patients with exposure to previous NNRTIs (e.g., nevirapine or efavirenz). Etravirine was approved by the United States Food and Drug Administration to be used twice/day in treatment-experienced patients infected with the human immunodeficiency virus. The approval was based on phase III clinical studies in which 61% of etravirine-treated patients reached an undetectable viral load of less than 50 copies/ml compared with 40% of patients who received the optimized background regimen. Etravirine was well tolerated with a self-limiting skin rash being the most common toxicity, reported in 19% of patients. Rilpivirine, a once-daily NNRTI, is entering phase III studies; the drug appears to be effective against a broad range of NNRTI-resistant viruses including etravirine-resistant strains.

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