HIV-1 Integrase Inhibitors for the Treatment of Retroviral Infections

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Technology description

Summary

This technology describes the structure and activity of N-benzyl derivatives of 2,3-dihydro-6,7-dihydroxy-1H-isoindol-1-ones and 2,3-dihydro-6,7-dihydroxy-1H-isoindole-1,3(2H)-diones as new HIV-1 integrase inhibitors. HIV, as well as other retroviruses, requires three key viral enzymes for replication: Reverse transcriptase, protease and integrase (IN). A significant number of patients fail to respond to combination therapies consisting of reverse transcriptase and protease inhibitors, due to the development of viral resistance. IN functions by initial processing of viral cDNA in a cleavage step termed 3′ -processing (3′ -P). This is followed by insertion of the cleaved cDNA into the host genome in a reaction known as "strand transfer" (ST). Certain agents covered under the subject technology have been shown to exhibit selective inhibition of ST reactions relative to 3′ -P reactions. These compounds inhibit purified IN in vitro and are also active against HIV-1 derived vectors in cell-based assay. These inhibitors may have a potential therapeutic value for retroviral infections, including AIDS, especially for patients exhibiting drug resistant to current therapy regimes.

Application area

The treatment and prevention for HIV infections.

Institution

NIH - National Institutes of Health

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