Discovery of Novel Inhibitors of HIV-1 Integrase That Can Be Used for the Treatment of Retroviral Infection Including AIDS

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Technology description

Summary

This invention provides azido group-containing diketo acids that can inhibit HIV-1 integrase in vitro efficiently while being highly selective for the strand transfer step of the integration reaction. Human Immunodeficiency Virus (HIV) and other retroviruses require three viral enzymes for replication: reverse transcriptase, protease and integrase. The prognosis of AIDS has been improved recently by the discovery and application of reverse transcriptase and protease inhibitors. However, a significant fraction of patients fail to respond to such treatments and viral resistance remains a major problem. Furthermore, anti-AIDS combinations are often not well tolerated. Thus, HIV integrase is a rational target for AIDS therapy because genetic studies demonstrated that the enzyme is essential for viral replication while being without a cellular equivalent. Therefore, specific integrase inhibitors should be effective and devoid of toxicity. Since this invention involves the discovery of novel HIV-1 integrase inhibitors that are derived from diketo acids with a different anti-HIV mechanism from that of reverse transcriptase and protease inhibitors, these azide group-containing compounds may represent potential new therapeutics for treatment of retroviral infections, including AIDS.

Institution

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