

Drug Discovery and Development: An Overview of Modern Methods and Principles

Over the course of the last two centuries, modern medicines have improved the lives of countless patients. Diseases and conditions that were once deemed incurable or fatal have been conquered with therapeutic agents designed to extend and improve quality of life. The most recent, and perhaps most notable, of these accomplishments is the transition seen in the consequences of infection with human immunodeficiency virus (HIV), the virus known to cause acquired immune deficiency syndrome (AIDS).¹ When the virus was first identified by two research groups in 1983,² there were few antiviral agents available, none provided effective treatment for HIV infection and infection progressed rapidly to AIDS, and finally death by opportunistic infection. By 1987, AZT[®] (Retrovir[®], azidothymidine, [Figure 1.1](#)), the first reverse transcriptase inhibitor, was approved for clinical application for the treatment of HIV infection,³ and additional treatment options were developed through the next three decades. The discovery of modern antiviral drugs such as Viread[®] (Tenofovir),⁴ Zeffix[®] (Lamivudine)⁵ (reverse transcriptase inhibitors), Viracept[®] (Nelfinavir),⁶ Norvir[®] (Ritonavir),⁷ and Crixivan[®] (Indinavir)⁸ (HIV protease inhibitors) provided additional treatment alternatives ([Figure 1.1](#)). In the late 1990s, multidrug cocktail treatment regimens, also known as highly active antiretroviral therapy (HAART),⁹ were introduced, further enhancing treatment options, culminating in the development of all-in-one fixed combination medications such as Complera^{®10} and Stribild^{®.11} While additional progress is still required, it is clear that modern drug discovery and development changed the course of the AIDS epidemic in less than three decades, allowing patients who were once given a death sentence to lead productive lives.¹²

Cancer treatment has seen a similar transition, as survival rates for many types of cancer have dramatically improved as a result of the discovery and