

automobiles (average price \$25,000), or provide for the raising 7000 children born in 2010 to the age of 18. The costs and complexity of drug discovery and development is staggering.

DRUG DISCOVERY AND DEVELOPMENT FROM 20,000 FEET (FIGURE 1.7)

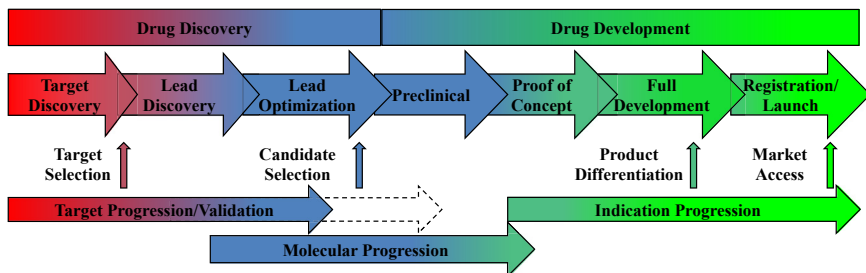


FIGURE 1.7 The drug discovery and development process viewed from “20,000 feet.”

Fortunately, like most complex processes, drug discovery and development can be broken down into many smaller tasks and functions. At the highest level, the process can be divided into two major stages. The first, referred to as drug discovery, includes all of the experimentation and studies designed to move a program from the initial identification of a biological target and associated disease state to the identification of single compound with the potential to be clinically relevant. The drug discovery stage may be further broken down into three distinct phases: target discovery, lead discovery, and lead optimization. Each phase of drug discovery is designed to establish a scientific link between a biological target (e.g., an enzyme, G-protein-coupled receptor, ion channel, etc.) and a disease state model designed to mimic the human disease state. This process, often referred to as target progression and target validation, is accomplished through the use of molecular probes designed to identify multiple series of compounds that will modulate the activity of the biological target of interest. In many cases, known compounds are employed to facilitate target selection, and are eventually transitioned into novel compounds through the processes of lead discovery and lead optimization. In lead discovery phase, sets of structurally related compounds with the desired biological activity are identified (lead discovery) through biological screening of large numbers of compounds. Once a candidate series has been identified, the lead optimization phase begins. In this phase, structural analogs within a lead series are studied to identify a single compound that