

FIGURE 3.1 Completion of the human and pathogenic genomes provided a wealth of understanding of potential drug targets, but not all of the genes uncovered are useful as drug targets. Useful targets for therapeutic intervention sit within the juxtaposition of the druggable genome and disease-modifying genes. Druggable genes that do not modify diseases are not useful targets just as disease-modifying genes that cannot be successfully modulated to alter disease progression are unlikely to lead to novel therapeutics.

therapeutic targets, such as protein–protein interactions and DNA–protein interactions, an understanding of the four major classes of drug targets is essential for success in the field of drug discovery. These macromolecules are not just the targets of drug discovery programs. They are often the tools used to assess biological activity of potential therapeutics via *in vitro* screening. This topic will be discussed in Chapter 4. It is also worth noting that the pharmaceutical industry has just barely scratched the surface of drug targets. Currently, there are over 21,000 marketed drugs, but these products contain fewer than 1400 unique molecules that create a positive impact through interaction with just 324 drug targets (Figure 3.2).¹

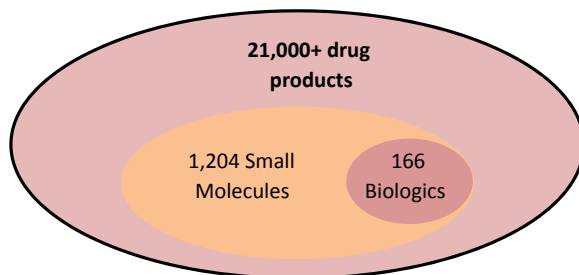


FIGURE 3.2 While the total number of marketed drug products exceeds 21,000 individual products, further analysis shows that the number of therapeutically useful compounds is actually far smaller. Elimination of supplements, imaging agents, vitamins, duplicate salt forms, and other redundancies reveals that there are fewer than 1400 unique drug molecules. Biologic, macromolecular therapeutic entities, represent only 12.2% of the total, but are growing in importance as technologies evolve to support their use. It has been estimated that the collection of marketed drugs exerts their influence through only 324 of the known drug targets.