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Antibiotic Modification Addressing Resistance

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Antibiotic-resistant pathogens are becoming prevalent in hospitals and communities (Fischbach and Walsh 2009). Thus, not only new antibiotics but also new classes of antibiotics are needed to fight the challenges caused by drug-resistant pathogens while the developing progress is much slower than expected until now. Most of the antibiotics currently in use are accidentally discovered, after which their mechanisms of action are elucidated gradually. To directly counter the antibiotic resistance and address the urgent need for new antibiotics, more rational approaches to modification and development of drug are clearly desired. It is a long history of utilizing chemical modification approach to improve drug activity, inhibit the growth of drug-resistant bacteria, and treat infectious diseases. Considering these unique advantages and distinguished effects, we will summarize several commonly used antibiotic modification methods for countering antibiotic resistance in this section. Additionally, we will also focus on some latest antibiotic modification concepts and ideas. We believe that the following rich content could inspire more scientists to devote themselves to antibiotic modification addressing resistance.

16.1 Chemical Synthesis of New Antibiotics

Members of each class antibiotic always owned an identical core structure. Therefore, from the traditional perspective of organic chemistry, it was a commonly used pathway to synthesize and screen new bactericidal compounds via modifying the major molecular skeleton of antibiotics. For example, erythromycin, as the first representative of macrolide antibiotics, was isolated in 1952 from the *Saccharopolyspora erythraea* and then was widely used for the

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