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## The role of natural products in drug discovery

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Despite the tremendous success of chemo- and antibiotic therapy, it is believed that only 30% of about 2000 existing diseases can be cured today. Others can be treated only symptomatically or insufficiently and some not at all. There is an urgent need, therefore, to discover efficient and causality acting drugs to treat several diseases. In this connection, Lipinski's rule of 5 has created awareness among medicinal chemists, namely addressing pharmacokinetic properties early in the drug discovery process is of vital importance for discovering newer drugs. Natural products, however, are an exception to this rule. Unlike synthetics, they have more stereogenic centers and architectural complexity. In addition, they contain more C, H and O and less N and other elements compared to synthetics. Their molecular weight is generally higher than 500. They have higher polarities and greater water solubility. Rule of 5 is, therefore, not applicable to natural products. Combinatorial chemistry, a collection of methods whereby simultaneous chemical synthesis of a large number of compounds using a variety of starting materials can be produced quickly and high throughput screening, a process whereby the large number of compounds can be tested against biological targets to find active compounds, have been used as drug discovery source for the past more than 30 years. Since 1980 onwards, a great number of screening programs have been initiated by industries, universities, and research institutions, searching for new bioactives. But then, the number of new chemical entities using these techniques was only 25 in the year 2005 and it is declining since then. And what is more, to date we find only one new chemical entity, namely, sorafenib from Bayer, approved as an anticancer drug, resulting from these techniques. In other words, the expected surge has not materialized. Natural products, however, have been closely linked through the use of traditional medicine for thousands of years. Clinical, pharmacological and chemical studies of these traditional medicine derived predominantly from plants were the basis of early medicines like morphine, quinine, digitoxin, etc. After the discovery of penicillin by Fleming in 1928, re-isolation and clinical studies by Chain and coworkers in early 1940s, commercialization of synthetic penicillins revolutionized drug discovery approaches. Today, a significant number of natural product drugs/leads are also produced from microbes. The functional group diversity and architectural platforms built into natural products during biosynthesis, have been teaching us lessons about the chemical functionality that is compactable with the aqueous milieu of the biological environment. Chemomics, understanding the molecular logic of biosynthetic enzymes and pathways, has opened up new approaches to reengineer newer natural products. Although natural products have played an important role in drug discovery, in the past few years big pharmaceutical companies have either terminated or considerably scaled down natural product operations. But then, when one analyzes the data on drugs and new chemical entities developed for all diseases and from different sources the world over for the past nearly 30 years, it shows that less than 30% of them are of synthetic origin. This clearly demonstrates the influence of natural products in drug discovery.

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