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Sommario/riassunto	Many macro and micro species, from terrestrial and aquatic environments, produce structurally unique compounds and, in many countries, still are the primary sources of medicines. In fact, secondary metabolites are an important source of chemotherapeutic agents but are also lead compounds for synthetic modification and the optimization of biological activity. Therefore, the exploitation of secondary metabolites, or their inspired synthetic compounds, offers excellent opportunities for the pharmaceutical industry. This Medicines Special Issue focuses on the great potential of secondary metabolites for therapeutic application. The Special Issue contains 16 articles reporting relevant experimental results, and an overview of bioactive secondary metabolites, their biological effects, and new methodologies that improve and accelerate the process of obtained lead compounds with regard to new drug development. We would like to thank all 83 authors, from all over the world, for their valuable contributions to this Special Issue.