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Sommario/riassunto	<p>This book is a printed edition of the Special Issue entitled “Anticancer Agents: Design, Synthesis and Evaluation” that was published in Molecules. Two review articles and thirty research papers are included in the Special Issue. Three second-generation androgen receptor antagonists that have been approved by the U.S. FDA for the treatment of prostate cancer have been reviewed. Identification of mimics of protein partners as protein-protein interaction inhibitors via virtual screening has been summarized and discussed. Anticancer agents targeting various protein targets, including IGF-1R, Src, protein kinase, aromatase, HDAC, PARP, Toll-Like receptor, c-Met, PI3Kdelta, topoisomerase II, p53, and indoleamine 2,3-dioxygenase, have been explored. The analogs of three well-known tubulin-interacting natural products, paclitaxel, zampanolide, and colchicine, have been designed, synthesized, and evaluated. Several anticancer agents representing diverse chemical scaffolds were assessed in different kinds of cancer cell models. The capability of some anticancer agents to overcome the resistance to currently available drugs was also studied. In addition to looking into the in vitro ability of the anticancer agents to inhibit cancer cell proliferation, apoptosis, and cell cycle, in vivo antitumor efficacy in animal models and DFT were also investigated in some papers.</p>