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Autore	Meegan Mary J
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Sommario/riassunto	The Special Issue "Anticancer Drugs 2021" of Pharmaceuticals is focused on recent significant advances in the design, synthesis, molecular mechanism of action and therapeutic applications of anticancer drugs. This collection of preclinical research papers and reviews includes designed chemotherapeutic agents, targeted therapies and biological agents. The rationalization for the biological activity of these drugs is presented, which helps to guide the design of more effective agents. Structure–activity relationships, together with the biological context in which targets are selected for oncology drug development, are also considered.