S20-4 Development of Antitumor Seeds via Structure-based Drug Design

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Since the multiple oncogenic proteins can be simultaneously degraded as a consequence of Hsp90 inhibition, Hsp90 has evolved into a promising anti-cancer target. Hsp90 exists predominantly as a dimer in the cell, with each subunit being made up of three functional domains; an *N*-terminal ATP-binding domain; a middle domain; and a *C*-terminal dimerization domain. Herein we describe the design and synthesis of a series of novel dimeric Hsp90 inhibitors based on structure-based drug design (SBDD). Development of a novel Chk1 inhibitor, which is a potential sensitizer of radiation or DNA-damaging anticancer agents in cancer treatment, will also be described in the symposium.