Special Issue

Expanding the Cancer Drug Discovery Toolbox: A Focus on Protein Degradation, Allosteric Modulation, and Covalent Inhibition

Message from the Guest Editors

In recent years, there has been unprecedented innovation in allosteric drug discovery and development, with multiple drug candidates advancing into clinical studies. Allosterism, which involves designing small molecules to bind to non-orthosteric sites, allows for the fine-tuned regulation of protein activity, offering greater selectivity and reduced side effects. Over the past 30 years, the rational design of covalent drugs has gained significant attention as a strategy to enhance selectivity by targeting non-conserved amino acids. Moreover, the prolonged target engagement of covalent drugs offers distinct pharmacodynamic advantages, including exceptional potency, particularly against high-turnover or drug-resistant proteins. This Special Issue welcomes original and review articles that showcase recent advancements in these fields, emphasizing innovative chemical methodologies, structure-based drug design, and promising preclinical and clinical outcomes.

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We hope to handle your contribution to *Pharmaceuticals* soon.

Editor-in-Chief

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