medicines

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Indexed in: PubMed

PROTAC—From Bench to Bed

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Deadline for manuscript submissions:
closed (31 January 2023)

Message from the Guest Editors

Proteolysis targeting chimera (PROTAC) technology was developed to artificially induce the degradation of a given protein of interest by hijacking the ubiquitin–proteasome system through a bifunctional molecule. PROTACs were first reported in 2001 and have witnessed rapid development in the past two decades. Many of those bifunctional molecules have been utilized to uncover biology mechanisms as probes, and several Phase I clinical trials have been launched to evaluate the most advanced PROTAC molecules against cancer-related diseases. Compared to traditional small molecules, PROTACs can be more selective with equal potency. However, due to the chemical nature of the protein degrader, achieving molecules with satisfied DMPK properties remains a challenge. This Special Issue will tap into the cutting-edge research development of PROTACs on new targets and PROTACs showing good DMPK properties or animal study results. Biological mechanistic studies using PROTACs as a probe or research studies focusing on PROTAC druggability optimization and pharmacology studies are also welcome.