CRIPS Current Research and Information on Pharmaceutical Sciences

Vol. 17, No. 5, September-October 2023

BUSINESS CORRESPONDENCE

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Published by National Institute of Pharmaceutical Education and Research (NIPER), S.A.S. Nagar (Punjab)

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The cover page contains a figure from the article of Prof. Dulal Panda

EDITORIAL

Microtubules regulate several cellular processes, which render them one of the promising targets for cancer treatment. Three different targets of microtubules are known to bind with an inhibitor. The first target vinca domain is resided in between two longitudinally arranged αβ-tubulin heterodimers. Colchicine and related inhibitors camp inside the tubulin dimer and primarily binds to β-tubulin, the second target of microtubules. The third target includes the taxane site on β-tubulin in the lumen of microtubules. The review authored by Panda et al. focuses on Colchicine binding site inhibitors undergoing clinical evaluation in cancer chemotherapy and discusses their pros and cons as anti-cancer chemotherapeutic agents. To date, combretastatin, indibulin, crinobulin, plinabulin, etc., that bind to colchicine sites have been identified as promising anticancer agents. These inhibitors dock onto the hydrophobic pocket of the colchicine-binding site in tubulin via hydrophobic interactions. Hydrogen bonds and electrostatic interactions between the inhibitor molecule and the amino acid residues on tubulin are essential for stabilizing the inhibitor-tubulin complex and enhancing the binding specificity. Their high chemical stability with low neurotoxicity makes them especially suitable for entering in to clinical trials. Many of them are in advanced clinical development process.

A review authored by Nandi et al. on carbon dots including their synthesis, characterization and use of fluorescence properties for imaging is described. Carbon dots (CDs) have attracted a considerable interest in material sciences because of their luminescence properties in the broad area of spectrum and high quantum yield, which render them useful for sensing and imaging applications. Unlike organic fluorophores, CDs can be synthesised from readily available carbon precursors, which offers opportunities for tailoring and tuning the properties of CDs. In addition, they are chemically stable, inert, environmentally friendly and less toxic compared to heavy metal-based inorganic quantum dots. The synthesis of CDs rely mainly on two approaches including top-down and bottom-up approaches, which are discussed in this review with their pros and cons. Finally, attachment to the membrane surface to study the fluidity and dynamics of the membrane is also discussed. Their non-bleaching fluorescent properties even after exposing to high-intensity laser make them attractive for bioimaging applications.

Protein kinases are a set of enzymes catalyzing epigenetic modifications in human genome and are involved in pathogenesis of various diseases. A large number of nitrogen heterocycles based scaffolds as kinase inhibitors are either in drug development stage, or currently in clinical trials. The review authored by Kumar and Bhagat et al. describes the clinical significance of kinase enzyme and its active site for catalytic action, and the compilation of various US FDA approved nitrogen containing kinase inhibitors. In addition, α-aminophosphonates (isosteres of naturally occurring α-amino acids) as protein kinase inhibitors have also been included.

Prof. Joydev K. Laha