Special Issue

Protein Phosphorylation-Targeting Drug Therapies

Message from the Guest Editor

Protein phosphorylation plays a role in crucial processes such as gene expression, protein synthesis, cell division, metabolism and differentiation. When deregulated, it can contribute to the development of major human pathologies, including cancer and neurodegenerative diseases. While protein kinases have been successfully used as therapeutic targets, with more than 100 kinase inhibitors approved for clinical use, this is not the case for protein phosphatases. In fact, only three drugs targeting a single phosphatase (PP2B, also referred to as calcineurin) have been approved for clinical use. Generally, protein phosphatases are considered to be challenging drug targets. Indeed, the active site of phosphatases is hydrophilic, explaining why inhibitor screening campaigns result in the identification of hydrophilic inhibitors with poor cell permeability and bioavailability. In addition, active-site inhibitors target all holoenzymes that share the same catalytic subunit. This has led researchers to pursue the idea of developing modulators of specific holoenzymes through interference with subunit interactors or substrate recruitment.

Guest Editor

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