Tentative Outline

Special Thematic Issue for the journal Current Cancer Drug Targets

Title of the Thematic Issue: Targeting receptor tyrosine kinases (RTKs) using novel cancer therapeutics

Guest Editor: Abdulhameed (Abdul) Al-Ghabkari

Senior Co-Guest Editor: Hellen Kusane

- **Scope of the Thematic Issue:**

Protein Kinases catalyze the phosphorylation of their various substrates to transmit signals regulating their activity into the induction of phosphorylation. Thus, the mechanisms governing kinase activation determine what upstream information the kinase in question transduces, the degree of phosphorylation, the identity of the downstream substrates of the kinase, and the consequences of their phosphorylation collectively determine the signalling output of the kinase. Receptor tyrosine kinases (RTKs) share structural homology and exhibit conserved mechanisms of action, and their aberrant activation has frequently been implicated in human disease pathology. RTKs are involved in a various number of highly regulated cellular mechanisms, including, and not limited to, cell growth, motility, differentiation, and metabolism. RTKs operate by the transduction of extracellular signals, primarily via the binding of soluble protein ligands, to the cytosolic and nuclear domains of the cells expressing the receptor in question via the induction of tyrosine phosphorylation. RTKs and their ligands have been targeted both as oncogenic driver genes and in their secondary roles in supporting tumour growth. Dysregulation of RTK signalling can lead to an aberrant activation mechanism and thus lead to cancer disease. RTKs have represented a significant target cancer therapeutics with a large panel of small molecule-based tyrosine kinase inhibitors (TKI). However, many of the current RTK inhibitor treatments eventually result in the rapid development of acquired resistance and subsequent tumour relapse. Further analysis is required to identify novel groups of inhibitors that might target other RTK domains (different modes of binding) or find alternative tools to target the receptor, such as proteolysis targeting chimeric (PROTAC) that induces selective protein degradation

Keywords: Drug discovery, Cancer, Receptor tyrosine kinase (RTK), PROTAC (degrader), small molecule inhibitor, Phosphorylation, Cell invasion and migration

Sub-topics:

The sub-topics to be covered within the issue should be provided:

- Drug discovery and development (novel therapeutics)
- Development of PROTACs as a novel therapeutic strategy for cancer disease
- RTK Small molecule inhibitors
- Cancer signalling and cross-talking
- Would you be interested in acquired resistant mechanism under RTK treatment? This is an issue in the clinic.

Schedule:

- Thematic issue submission deadline: August 30, 2022

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