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Title:**Exploring the Role of the Prenylome in Oncology with Novel Chemistry and Cancer Biology**Abstract:

Post-translational prenylation of small GTPases with the lipidic side chains of isoprenoid metabolites provides them with the ability to associate specifically with cellular membranes and engage in a plethora of biochemical functions, including cell signalling and proliferation. Prenylation of mutated GTPases has been implicated in oncogenesis, with distinct roles in the development and pathology of each type of cancer. In spite significant advancements in the treatment of many cancers, it is estimated that by 2040, new cancer cases will rise by an additional 47% worldwide. Resistance to the current chemotherapeutic drugs will continue to hamper treatment, underscoring the vital necessity for focusing on the validation of novel biological targets and the discovery of *First-in-Class* antitumor agents. Our efforts towards the discovery of drug-like compounds that specifically target novel biological targets implicated in cancer will be presented. Additionally, there are obvious benefits in combining studies in novel synthetic methodologies with studies in medicinal chemistry. Under the umbrella of our research program, several examples will be presented that will demonstrate the extensive “cross-pollination” between synthesis/catalysis and medicinal chemistry.