Natural bioactives or phytochemicals are generally referred to as the compounds that have specific biological activity in human. Studies have shown that natural phytochemicals derived from certain plants have the capability to prevent carcinogenesis. In this special issue, we collected numerous studies which provide novel evidence to support the opinion. For instance, [6]-gingerol prevents disassembly of cell junctions and activities of MMPs in invasive human pancreas cancer cells; butein inhibits angiogenesis of human endothelial progenitor cells; the anticancer effects of sterol fraction come from red algae porphyra dentata; zeaxanthin induces apoptosis in human uveal melanoma cells; and ocothillol enhanced the antitumor activity of doxorubicin. It is therefore believed that the appropriate application of natural bioactives or phytochemicals should be a supplementary and safe way to prevent carcinogenesis and/or to enhance the efficacy of cancer therapy.