In the last three decades, numerous polysaccharides and polysaccharide-protein complexes have been isolated from mushrooms and used as a source of therapeutic agents. The most promising biopharmacological activities of these biopolymers are their immunomodulation and anti-cancer effects. They are mainly present as glucans with different types of glycosidic linkages such as (1-3), (1-6)-b-glucans and (1-3)-a-glucans, and as true heteroglycans, while others mostly bind to protein residues as polysaccharide-protein complexes. Three antitumor mushroom polysaccharides, i.e. lentinan, schizophyllan and protein-bound polysaccharide (PSK, Krestin), isolated respectively, from Lentinus edodes, Schizophyllum commune and Coriolus versicolor, have become large market items in Japan. Lentinan and schizophyllan are pure b-glucans, whereas PSK is a protein-bound b-glucan. A polysaccharide peptide (PSP), isolated from a strain of Coriolus versicolor in China, has also been widely used as an anti-cancer and immunomodulatory agent. Although the mechanism of their antitumor action is still not completely clear, these polysaccharides and polysaccharide-protein complexes are suggested to enhance cell-mediated immune responses in vivo and in vitro and act as biological response modifiers. Potentiation of the host defense system may result in the activation of many kinds of immune cells that are vitally important for the maintenance of homeostasis. Polysaccharides or polysaccharide-protein complexes are considered as multi-cytokine inducers that are able to induce gene expression of various immunomodulatory cytokines and cytokine receptors. Some interesting studies focus on investigation of the relationship between their structure and antitumor activity, elucidation of their antitumor mechanism at the molecular level, and improvement of their various biological activities by chemical modifications.