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Multicellular organisms such as humans and insects have innate immunity as their self-defense systems. Late in the '90s, it was shown that innate immunity interacts with adaptive immune response in mammals. The innate immunity is therefore a good target for the development of immune regulators to suppress undesirable immune responses such as septic shock, inflammatory diseases and autoimmunity, and to stimulate protective immune responses to the diseases that avoid the immune system such as infectious diseases and cancer. The basic mechanisms of the process of innate immune response in *Drosophila* are similar to those in mammals. *Drosophila* assay has an advantage of identification of target molecules of active compounds in combination with loss-of-function and gain-of-function *Drosophila* mutants. Thus, *Drosophila* would be a good experimental model for the development of novel drugs in immunological systems.

We established an in vitro *Drosophila* culture assay system which searches for the regulators affecting the process from pathogen recognition to immune response activation. The inhibitory and stimulating effects of ca. 20,000 microorganism extracts were evaluated, leading to the isolation of the innate immune suppressors and activators. Here I talk about the isolation of the regulators, their syntheses, structure-activity relationship and the mechanisms of their immunological actions.