Combining multiple binding domains into single macromolecular assemblies enables novel approaches to cancer therapeutic development. Examples of such multispecific strategies include: pretargeted radioimmunotherapy; triepitopic receptor clustering and downregulation; and targeted endosomal potentiation for macromolecular payload release. The primary synthetic approach is to use combinatorial libraries of protein displayed on the surface of yeast cells, and to select the desired binding properties by directed evolution. A common analytical thread throughout this work is to formulate simple, reductionist kinetic schema for these complex systems that help to elucidate key parameters and rate processes.