The snake is the symbol of medicine due to its association with Asclepius, the Greek God of medicine, and so with good reasons. More than 725 species of venomous snakes have toxins specifically evolved to exert potent bioactivity in prey or victims, and snakebites constitute a public health hazard of high impact in Asia, Africa, Latin America, and parts of Oceania. Parenteral administration of antivenoms is the mainstay in snakebite envenoming therapy. However, despite well-demonstrated efficacy and safety of many antivenoms worldwide, they are still being produced by traditional animal immunization procedures, and therefore present a number of drawbacks. Technological advances within biopharmaceutical development and medicinal chemistry could pave the way for rational drug design approaches against snake toxins. This could minimize the use of animals and bring forward more effective therapies for snakebite envenomings. In this review, current state-of-the-art in biopharmaceutical antitoxin development is presented together with an overview of available bioinformatics and structural data on snake venom toxins. This growing body of scientific and technological tools could define the basis for introducing a rational drug design approach into the field of snakebite envenoming therapy.