Bead-based screening in chemical biology and drug discovery

High-throughput screening is an important component of the drug discovery process. The screening of libraries containing hundreds of thousands of compounds requires assays amenable to miniaturisation and automation. Combinatorial chemistry holds a unique promise to deliver structural diverse libraries for early drug discovery. Among the various library forms, the one-bead-one-compound (OBOC) library, where each bead carries many copies of a single compound, holds the greatest potential for the rapid identification of novel hits against emerging drug targets. However, this potential has not yet been fully realized due to a number of technical obstacles. In this feature article, we review the progress that has been made towards bead-based library screening and applications to the discovery of bioactive compounds. We identify the key challenges of this approach and highlight key steps needed for making a greater impact in the field.

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