The Druggable Genome: Mapping Chemical-Biological Space for Drug Discovery

Andrew L. Hopkins
Pfizer Global Research and Development (IPC 636),
Sandwich, Kent, CT13 9NJ, U.K.
Tel: +44-(0)-1304-648469. Email: andrew_hopkins@sandwich.pfizer.com

The success of many drug design projects is fundamentally limited by the nature of the target - the target “druggability”. The increasing understanding of the physico-chemical properties of drugs can lead us to understand the complementary properties of what makes a good drug target. Combining structural biology with large-scale compound-target-activity databases can help link the chemical universe of drug-like molecules to the biological space of proteins and thus allow estimation of how many drug targets there may be in the human genome. These targets are defined as the “druggable genome”. Early target assessment can be powerful tool for increasing R&D productivity by directing researches towards chemically tractable targets, which are more likely to deliver clinical candidates. The size of the “druggable genome” has important implications for pharmaceutical research strategies.