Dr Steve Woodhead, Takeda, gave this presentation at "Streamlining Drug Discovery and Development" held in San Francisco, CA, USA on 14 April 2016.

Abstract

Over recent years the kinome has provided a rich source of druggable therapeutic targets, with over 25 kinase inhibitors now on the market and many more undergoing clinical evaluation. That said, there remain significant challenges to overcome in kinase drug discovery. For example, poor physicochemical properties and non-mechanism based toxicity, often arising from broader kinome activity, are frequently responsible for attrition during development. Accordingly, specificity for the desired therapeutic target and well optimized physicochemical and pharmaceutical properties are crucial for increasing the overall likelihood of success.

Fragment Based Drug Discovery (FBDD) has firmly established itself as a productive approach to the discovery of small molecule drugs and, when supported by X-ray crystallography, can offer a unique platform from which to optimize molecules with both attractive physicochemical property profiles and a high degree of specificity for the target of interest. This presentation will describe the use of FBDD and iterative structure based design to deliver selective small molecule inhibitors for two kinase targets, whilst maintaining desirable physicochemical properties.

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