[S6-5] [10/11/2003(Sat) 11:40-12:10/ Grand Ballroom 103]

Combinatorial Library and Chemogenomics Approach: Discovery of Protein Secondary Structure Mimetic Small Molecule Inhibitors of Tryptase and Ref-1 for Asthma

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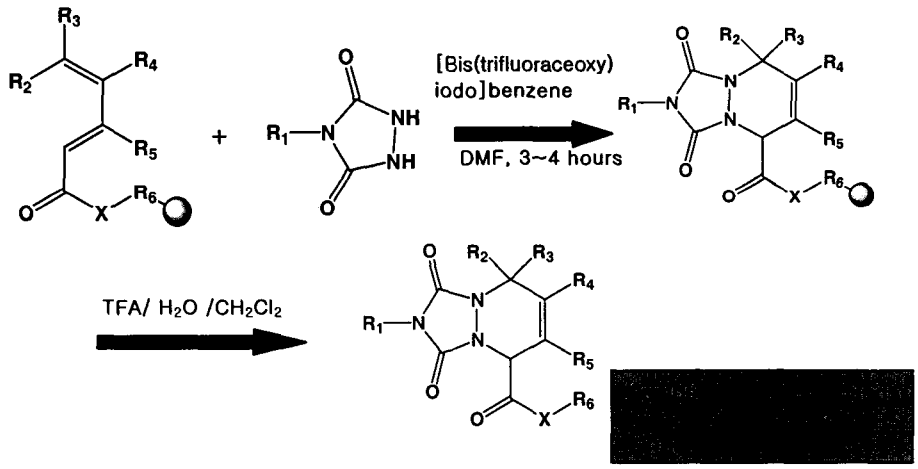
The drug discovery landscape is changing rapidly in the post-genomic era. Mapping of the human genome has led to an abundance of potential drug targets. Drug discovery times and costs can be significantly reduced by developing methods for high throughput target identification/ validation, multiplexed assay development and high efficient combinatorial chemistry.

My talk will focus on the power of ChoongWae's reverse chemogenomic technology for



high efficient combination of high throughput target identification/ validation, combi-chem technology and target oriented animal model technology, and it's application to discovery of protein secondary structure mimetic small molecule inhibitors of tryptase and ref-1 for Asthma.

Hits in compound library were evaluated by a series of secondary assays, and prioritized by multivariate analysis. Medicinal chemists played a vital role in this hit validation and lead selection process. For an example, a single hit compound may not represent overall characteristics of the hit. In this case, synthesis of the hit compound and a limited library of its analogs was performed by combinatorial block synthesis technology. A variety of contributions by medicinal chemists in this multidisciplinary research program are also presented.