An Efficient Solid Phase Synthesis for Nitrogen-containing Heterocycles

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Nitrogen-containing heterocycles, *e.g.*, piperazine, pyrimidine, are well known as important moieties to show biological activities and/or control physicochemical properties in many drugs. Therefore, chemical libraries having the structures would be useful tool to discover compounds with novel biological activities. To construct such libraries, we have developed an efficient method of solid phase synthesis as shown in the scheme, where resin-bound tertiary amines are quarternized by intramoleculer alkylation (step A) and the generated heterocycles are released by debenzylation by nucleophilic cleavage (step B). As this method is designed so that only desired heterocycles could be detached from solid supports and the byproducts generated from incomplete and/or undesired reactions remain on solid supports, many heterocycles have been obtained in high purity without time-consuming purification by this method. In this presentation, we report some examples of this efficient method.