Third Laureate Fundamental Research



◆ Project title: Discovery and introduction of new isocyanide-based multicomponent reactions using "combinatrorial chemistry finding" and "rational design"

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Abstract:

Benzodiazepines are an important pharmacophore in the pharmaceutical industry. The therapeutic applications of benzodiazepines include anxiolytics, antiarrhythmics, vasopressin antagonists, HIV reverse transcriptase inhibitors, and cholecystokinin antagonists. Some of them have been clinically used as anxiolytic agents, such as triflubazam A, and clobazam B. Furthermore, they exhibit activities including interleukin-1β converting enzyme inhibition, such as C, and 3-(aryloxycarbony1) amino-2,4-dioxo-5-phenyl-2-3-4-5-tetrahydro-1H-1,5-benzodiazepine D as a cholecystokinin-B receptor antagonists.

In the present project, by using "rational design" based on reactivity of functional groups and "combinatorial chemistry findings", several new isocyanide-based multi-component reactions have been designed and introduced. So, a novel class of important heterocylic compounds such as diazepines E, F and G, quinoxalines H, pyrazines I and imidazopyrazines J, and a new linear class of cyanoacetamides K has been synthesized and characterized.