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Kinugasa reaction as an attractive method of the synthesis of β-lactam drugs

The copper(I) mediated reaction of nitrones and terminal acetylenes, which is known as Kinugasa reaction, represents an attractive tool of direct formation of the β-lactam ring. The attractiveness of this reaction includes the use of readily available starting materials, high functional group tolerance, high atom economy, and relatively high stereochemical control of the reaction pathway. We present our studies on the application of Kinugasa reaction in synthesis of: carbapenems thienamycin, Fig 1a) and 4AA azetidinone, Fig 1b), monobactams carumonam, Fig. 1c), aztreonam, Fig 1d), and ezetimibe, a powerful cholesterol absorption inhibitor, Fig. 1e).

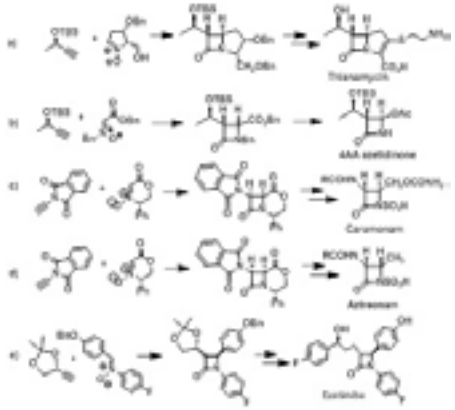

Figure 1: Substrates of Kinugasa reactions, adducts and target products.

Biography

Marek Chmielewski completed his PhD from the Institute of Organic Chemistry, Polish Academy of Sciences and Post-doctoral studies from Purdue University and SIU Carbondale (USA). He has been the Director of the Institute of Organic Chemistry (2004–2010), and Vice-President of the Polish Academy of Sciences (2011–2014). He has published more than 250 papers in reputed journals.

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