APPLICATION OF NITRONES IN THE STEREOSELECTIVE SYNTHESIS OF IMPORTANT β-LACTAM COMPOUNDS

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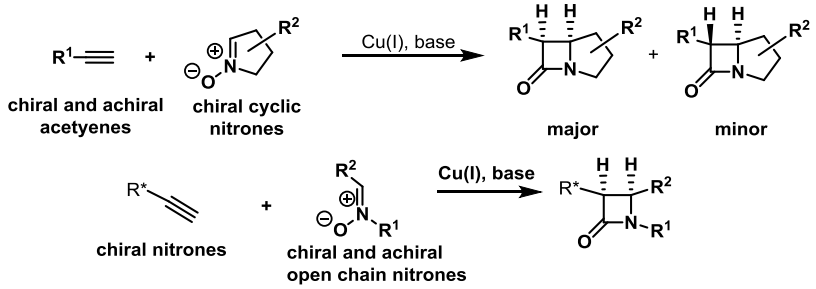
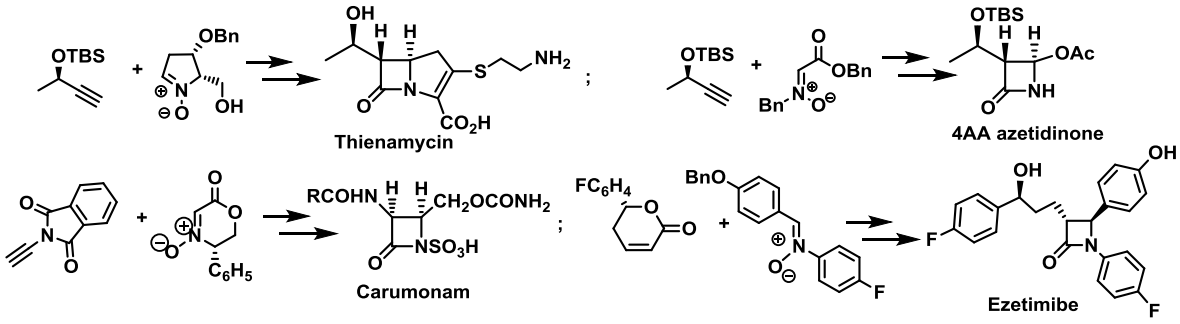
The copper(I)-mediated reaction of nitrones with terminal acetylenes, known as the Kinugasa reaction, represents an attractive method of direct formation of the β-lactam ring.[1] The reaction can be performed in many ways. Diastereoselective versions, including cyclic chiral nitrones or chiral acetylenes and open-chain nitrones, are the most attractive.[2]

An alternative method yielding β-lactams with high stereoselectivity, in which nitrones are used, is their 1,3-dipolar cycloaddition to unsaturated lactones. After *N-O* bond cleavage, cycloadducts can be easily transformed into β-lactams *via* an intramolecular acylation of the nitrogen atom.[3]



Both methodologies are demonstrated in the synthesis of carbapenems (Thienamycin and 4AA azetidinone),[4] monobactams (Carumonam)[5] and Ezetimibe,[3b] a powerful cholesterol absorption inhibitor.



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