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Preparation of bicyclic β-lactam and bicyclic 1,3-oxazinone scaffolds using combined cycloaddition and metathesis processes

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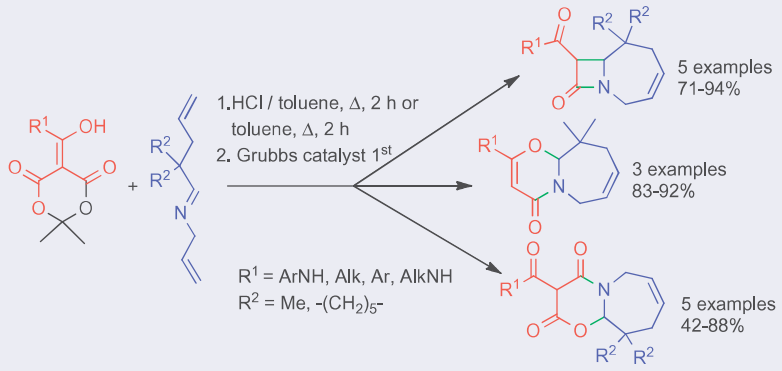
ABSTRACT

A simple, efficient two-step method for the preparation of heterobicyclic compounds was developed. Starting from 5-acyl or 5-carbamoyl-2,2-dimethyl-1,3-dioxa-4,5-dione bicyclic scaffolds of 1-azabicyclo[5.2.0]non-3-en-9-one, 6,9,10,10a-tetrahydro-4*H*-[1,3]oxazino[3,2-a]azepin-4-one, and 6,9,10,10a-tetrahydro-2*H*-[1,3]oxazino[3,2-a]azepine-2,4(3*H*)-dione were prepared using cycloaddition of thermally generated ketenes to aldimines with unsaturated side chains, followed by metathesis. The method was applied to ring closing metathesis (RCM) of different heterocyclic substrates to demonstrate its versatility.

GRAPHICAL ABSTRACT



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Introduction

Small heterocycles as β-lactams have a well-established position in organic and medicinal chemistry mainly because of their chemotherapeutic antimicrobial properties.[1] Seventy years after the first medical use of penicillin[2] and more than a century after the synthesis of β-lactams by Staudinger,[3] β-lactams are still an interesting target for organic chemists. Although the core of most of the currently used β-lactams is produced with fine biotechnology methods, often chemical modifications are required, e.g., for semisynthetic cephalosporins.[4] Further, fully synthetic β-lactam antibiotics such as

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