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# Synthesis of Chiral Oxazolidinone Derivatives through Lipase-Catalyzed Kinetic Resolution

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## Highlights:

- Chiral oxazolidinone derivatives were synthesized with excellent enantiopurities.
- Lipase-catalyzed kinetic resolution of two-step, cascade acylation was described.
- Carbonates were used as double acyl donors for lipase-catalyzed cyclizations.

## Abstract:

The synthesis of enantioenriched oxazolidinone derivatives through lipase-catalyzed kinetic resolution is described. The synthesis comprised a two-step, cascade acylation in one pot, resulting in a range of oxazolidinone derivatives in good yields and excellent enantiopurities.

## Keywords:

Lipases; kinetic resolution; oxazolidinone; asymmetric synthesis

## 1. Introduction

Oxazolidinone derivatives represent a class of important structures in organic and medicinal chemistry, for example constituting the core structure of Evans' auxiliaries for asymmetric synthesis [1-3]. This structural motif exists in a variety of natural products and active pharmaceutical species, such as the antidepressant drug tolloxatone, the serotonin receptor agonist zolmitriptan, and the muscle relaxant metaxalone (Figure 1) [4-6]. In particular, considerable attention has been put on the development of compounds with antibacterial activity, where the oxazolidinone group of antibiotics has gained increasing interest [7-10]. For example, linezolid is a lead antibiotic against gram-positive bacteria that are resistant to other antibiotics [11-13].

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