

Enzymatic Kinetic Resolution of Alkynylic Diols

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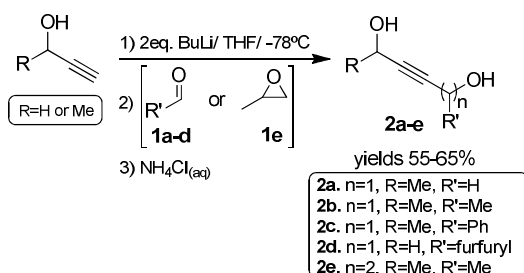
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INTRODUCTION

Diols containing stereogenic centers are important precursors in organic synthesis, particularly in the synthesis of substituted lactones. The enzymatic kinetic resolution is a tool widely used to obtain enantiomerically enriched compounds. Few studies involving the resolution of alkynylic diols are reported in the literature. In most cases the resolution is carried out using alcohols without another functionality.¹ In the present work, we prepared alkynylic diols that will be subjected to the kinetic resolution, making possible their obtention in optically active forms. This class of compounds can be used in synthesis of bioactive chiral butenolides, an important class of compounds with biological activity.²

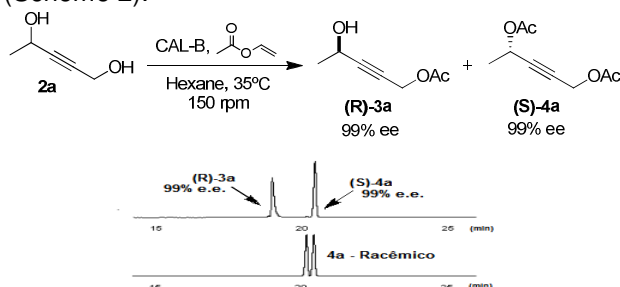
RESULTS AND DISCUSSION

Initially, the aldehydes **1a-d** and epoxide **1e** were submitted to addition and substitution reactions respectively with lithium alkynyl leading the formation of the diols **2a-e** in good yields (Scheme 1).



Scheme 1. Syntheses of the alkynylic diols.

The racemic diol **2a** was submitted to enzymatic kinetic resolution using CAL-B as biocatalyst, vinyl acetate as acyl donor and hexane as solvent (Scheme 2).



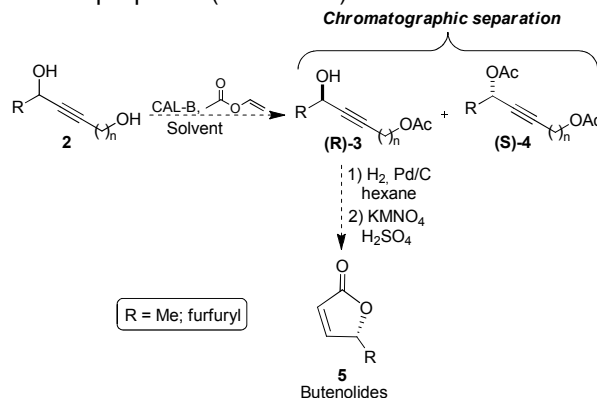
Scheme 2. Kinetic resolution of the diol **2a**.

Preliminary results of these initial studies are showed in table 1.

Table 1. Results of the kinetic resolution of **2a**.

Entry	Time (h)	ee 3a (%)	ee 4a (%)
1	0,5	62,2	85,9
2	1	69,3	38,6
3	2	79,9	59,8
4	3	82,0	64,0
5	4	94,4	88,8
6	5	97,0	94,0
7	6	99,0	99,0
8	7	97,1	98,5
9	8	98,0	98,4
10	9	94,9	98,3
11	48	92,4	84,8

The resolutions of the diols **2a-e** using CAL-B are under investigation. Although when enantioenriched diols **2a** and **2d** will be applied, chiral butenolides **5** can be prepared (Scheme 3).



Scheme 3. Synthesis of the butenolides.

CONCLUSION

The preliminary results showed that alkynylic diols were obtained in good chemical yields and **2a** was resolved by enzymatic kinetic resolution using CAL-B. The application of these in the synthesis of bioactive chiral butenolides are under investigation.

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PRONEX-CNPq; FACEPE; INCT-INAMI; CAPES.

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