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# Preparation of Alcohols and 1,2-Diols from Epoxides and 1,3-Dienes

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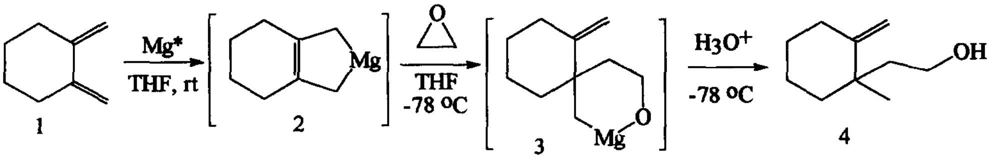
**Abstract:** Formation of both alcohols and 1,2-diols containing a quaternary carbon center can be achieved in high yields in a one-pot synthesis utilizing active magnesium, epoxides, and 1,3-dienes.

The generation of a quaternary carbon center is not a trivial undertaking in organic synthesis. Multiple synthetic steps are often required to generate a quaternary carbon. We would like to report on the high yield preparation of both alcohols and *vic*-diols via a one-pot procedure. In the process, the formation of a quaternary carbon center is achieved.

Previous reports[1] from these laboratories have demonstrated that reactions of diene-magnesium reagents can be utilized to prepare many classes of molecules. As an extension of our work on spiro δ-lactones,[2] the preparation of alcohols and *vic*-diols has also been realized utilizing this methodology.

**Scheme I**

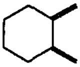
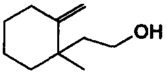
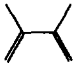
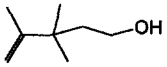
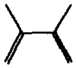
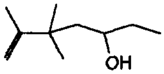
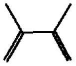
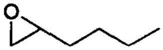
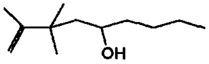
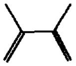
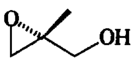
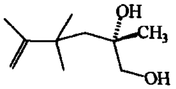
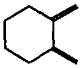
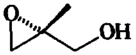
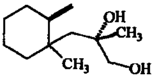


It is important to note that in all cases where unsymmetric epoxides were used the bis-organomagnesium reagent **2** reacted with good regioselectivity at the least hindered carbon atom (Table I, entries 3-6). Also, the organomagnesium reagent **2** reacted with only one mole of epoxide in cases in which an excess of epoxide was used (Table I, entries 1 and 2). Low temperature protonation of the initial adduct **3** afforded a primary alcohol containing a quaternary center, 2-(β-hydroxy ethyl)-2-methyl-1-cyclohexylidene **4** in excellent yield (Table I, entry 1).

An unsymmetric chiral epoxide was utilized as the primary electrophile, followed by protonation which afforded a 1,2-diol. It was hoped that the attack of the organomagnesium intermediate **2** could be selectively induced to produce only one diastereomer. Unfortunately, the chiral epoxide did not exhibit any influence on the diastereoselectivity of the attack (Table I, entry 6). However, the reactions proved that the organomagnesium reagent **2** will attack the epoxide even in the presence of the unprotected proximal hydroxyl functional group with no epimerization of the chiral center present in the epoxide.

The process presented here is a facile means for the preparation of alcohols and *vic*-diols in one pot

**Table I** **Reactions of Conjugated Diene-Magnesium Reagents with Epoxides followed by acidic hydrolysis.**

| Entry | Diene | Epoxide | Product[a] | % Yield[b] |
|---|---|---|---|---|
| 1 |  |  |  | 86 |
| 2 |  |  |  | 60[c] |
| 3 |  |  |  | 64 |
| 4 |  |  |  | 90 |
| 5 |  |  |  | 47 |
| 6 |  |  |  | 62[d] |

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a) Elemental analysis, mass spectra, $^1$H NMR, $^{13}$C NMR, and FTIR were all consistent with the indicated formulation. b) Isolated yields. c) Yield was based on amount of active magnesium. d) A 1:1 mixture of diastereomers as determined by $^1$H NMR.

in high yields. Further studies are currently underway to expand the scope of the process.

## References

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2. Sell, M. S.; Xiong, H.; Rieke R. D. *Tetrahedron Lett.*, submitted.

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