Synthesis of Alkynes Via *N*-Tosyl Hydrazones

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Abstract

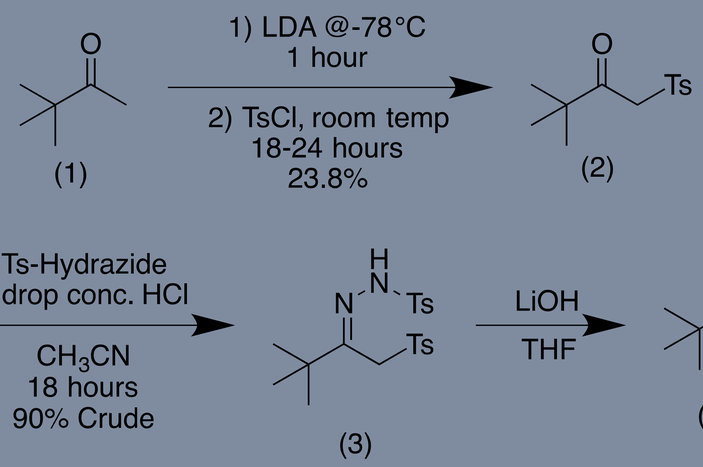
The proposed method for formation of alkynes involves tosylation of ketones, formation of *N*-tosyl hydrazones, then base catalyzed formation of alkynes. Reactions were performed on acetophenone and pinacolone. The sulfonated pinacolone **2** was isolated in a 23.8% yield. *N*-Tosyl hydrazone **3** was formed in an 90% crude yield. Product **5** was isolated in a 59.3% yield, and was oxidized back to **2** in an 8.3% yield.

Introduction

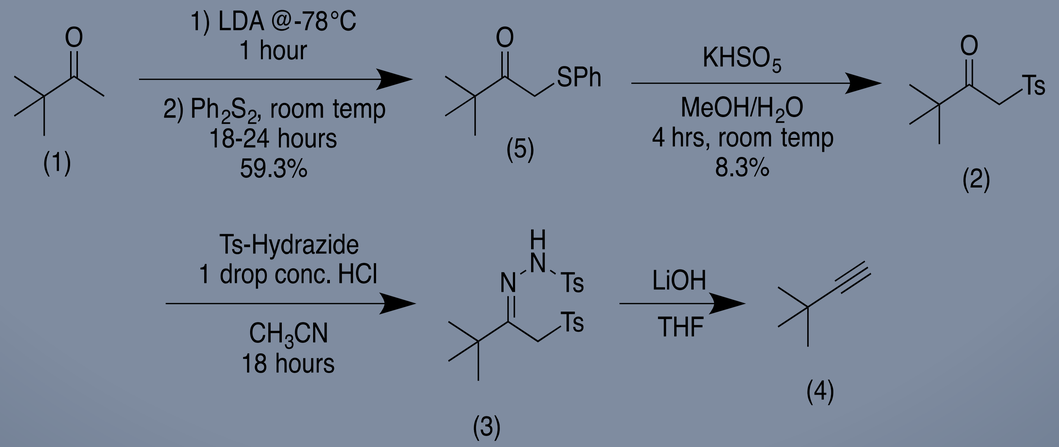
- Alkynes can be synthesized by a variety of methods including elimination reactions, a Corey-Fuchs reaction, or a Seyferth-Gilbert homologation.
- Alkynes are useful in materials and found in anti-cancer drugs like dynemicin-A.
- The alkyne is formed through the reaction of an *N*-tosyl hydrazone with LiOH.
- Alkyne formation via *N*-tosyl hydrazones is an alternative method that allows alkyne formation in sterically hindered environments.
- The method was investigated using acetophenone and pinacolone.
- Phenyl disulfide was substituted for tosyl chloride because it is more substitution labile.

Reaction Schemes

Pinacolone



Alternative Method



Results

- Product **2** was isolated in a 23.8% yield.
- Product **3** was synthesized with a 90% crude yield.
- An alternative method gave **5** in a 59.3% yield, and was oxidized to **2** via an oxone oxidation.

Discussion

- Acetophenone reactions gave no quantifiable yields.
- Sulfonated products are reacted with tosyl hydrazide to form *N*-tosyl hydrazones.
- A basic elimination occurs to form the desired alkyne.
- Pinacolone was used as an analog for the synthesis of alkynes proximal to sterically hindered sp^3 centers.
- All reactions were characterized by ^1H NMR spectra and IR spectra.

Conclusion

- The sulfonation reaction works, but the method needs to be improved.
- Formation of *N*-tosyl hydrazones occurs but needs purification.

Acknowledgements

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