

Synthesis and functionalization of 1,2,3-triazoles via cycloaddition [3 + 2] azide in the presence of acetylenes.

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INTRODUCTION

Sharpless and Medal research groups discovered that a cycloaddition of an azide to alkyne could be catalyzed by Cu(I) species to give 1,4-disubstituted 1,2,3-triazoles.¹ The potential of organic azides as a highly selective and energetic functional group is highlighted, and the dipolar cycloaddition [3 + 2] with alkynes is among the most important tools in organic synthesis of new compounds.

Triazoles have a wide applicability like medicines and pesticides. Considerable efforts have been made to develop protocols in the synthesis of these types of compounds.²

RESULTS AND DISCUSSION

Initially, we prepared the triazoles from propargyl alcohol and organic azide.

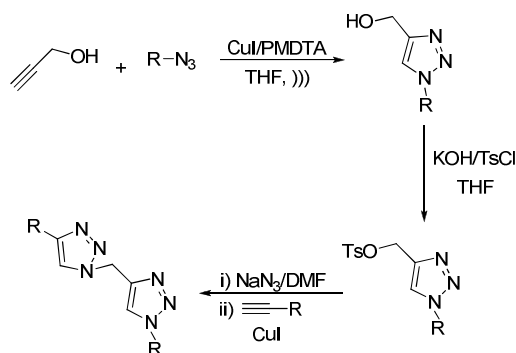


Figure 1. General reaction to prepare the bis- triazoles

After the preparation of 1,2,3 triazole, we performed the tosylation reaction. With this subunit in hand we were able to prepare bis-triazole in a one pot reaction,³ using stoichiometric amounts of CuI in the absence of base. The desired bis-triazoles were obtained in satisfactory yields

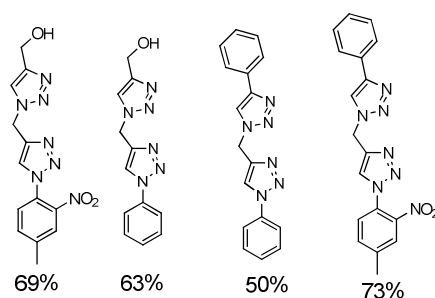


Figure 2: Some examples of the compounds synthesized

CONCLUSION

Bis-triazole were synthesized using a one-pot methodology, making possible to prepare some examples with satisfactory yields and different substituents.

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