Synthesis of New 1,2,3-triazole-1,4-naphthoquinones

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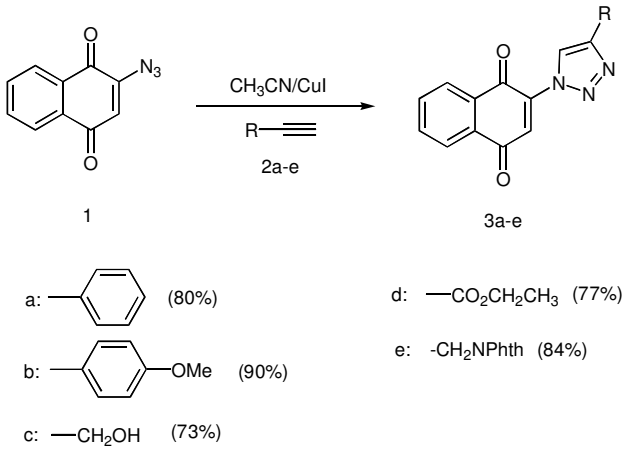
INTRODUCTION

The development of new molecular libraries for biological screening has gained impact among synthetic chemists. The *N*-heterocycle, namely 1,2,3-triazole, have received considerable attention in the search for new drugs in pharmacology. Hence, many efforts have been made in the optimization of their preparation methods. One example is the 1,3-dipolar cycloaddition reaction between an azide and a terminal alkyne to obtain this triazole, using a copper-based catalyst.[1] Substituted naphthoquinones are reported to display important biological activity.[2] For this reason, we turned our attention to the investigation of *N*-triazole linked with 1,4-naphthaquinone, in the course of a project involving the synthesis and biological evaluation of a series of new heterocyclic derivatives.

RESULTS AND DISCUSSION

As a model to the cycloaddition reaction towards 1,2,3-triazole-linked 1,4-naphthoquinone, we choose to examine the reaction of 2-azido-1,4-naphthoquinone (**1**) with phenylacetylene (**2a**), using a copper(I)-based catalyst. We examined some variables from our template reaction using 10 mol% loading of CuI as Cu^+ source. Firstly, guided by our recent results,[3] we employing the solvent dichloromethane (DCM) at 30°C without base or ligand additives, but the reaction did not proceed. Fortunately, substitution of DCM by CH_3CN resulted in compound (**3a**) in good yields 80%, after a reaction time of 24 h. We decided to apply this protocol and we obtain 1,2,3-triazole-1,4-naftoquinones (**3a-e**) in good yields 73-90% (Scheme 1).



Scheme 1. Synthesis of new 1,2,3-triazole-1,4-naphthoquinones (**3a-e**)

CONCLUSION

We have shown that the reaction of 2-azide-1,4-naphthoquinone (**1**) with a variety of substituted acetylenes (**2a-e**) does occur in CH_3CN at room temperature, using CuI as a catalyst. This convenient synthesis using a click-chemistry protocol afforded 1,2,3-triazole-1,4-naphthoquinones (**3a-e**) in good yields (73-90%).

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