



Synthesis of quinolines derivatives by multicomponent reaction promoted by NbCl₅

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INTRODUCTION

The quinolines derivatives can be synthesized by Multicomponent Reaction (MCR) using niobium pentachloride that act as Lewis Acid provides an easy access to the preparation. The MCRs are defined as a process in which three or more reagents are combined in the same reaction "pot", generating the products with good structural complexity with a single step, in addition to economy of atoms¹ and selectivity that is an important feature in modern synthetic methodology.²

Quinolines and their derivatives are important not only as key structural units in many natural products but also as an important starting material for the chemical and pharmaceutical industry,³ for example it act as anti-inflammatory,⁴ anticancer,⁵ antituberculosis,⁶ etc.

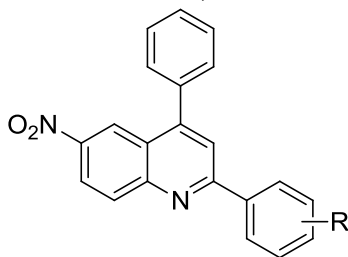
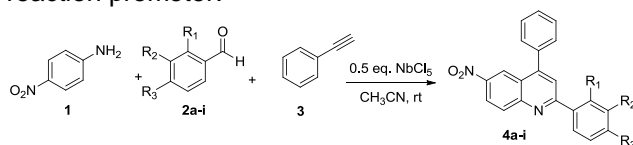


Figure 1. 6-nitro-2,4-diphenylquinoline derivatives.

In this work we report a method to synthesize quinolines derivatives in the MCR using *p*-nitroaniline (**1**), benzaldehyde derivatives (**2a-i**), phenylacetylene (**3**), and niobium pentachloride as reaction promoter.⁷



Scheme 1: MCR using NbCl₅ as promoter.

RESULTS AND DISCUSSION

The MCRs were realized at room temperature an air atmosphere, with constant agitation and using CH₃CN anhydrous as solvent. The NbCl₅ were used in a proportion of 50 mol% for

each benzaldehyde derivatives used in the reactions. The reaction was complete within 96 hours, the products were formed in good to high yields (75-98%) and characterized through spectrometric and spectroscopic methods.

The results obtained are described in the table 1.

Table 1. Results obtained at MCR promoted by NbCl₅.

Benzaldehyde	R ₁	R ₂	R ₃	Yield (%)
2a	F	H	H	87
2b	Cl	H	H	92
2c	Br	H	H	81
2e	H	F	H	75
2d	H	Cl	H	98
2f	H	Br	H	79
2g	H	H	F	98
2h	H	H	Cl	86
2i	H	H	Br	98

Analyzing the data above, we can verify that the MCR promoted by NbCl₅ to synthesis quinolines derivatives show good to high yield and good reaction time.

CONCLUSION

In conclusion, this work shows that the NbCl₅ is a good promoter to act as Lewis Acid in MCR between benzaldehyde derivatives, *p*-nitroaniline and phenylacetylene, obtaining the products with good to high yields.

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