Synthesis and evaluation of phytotoxic activity of triazole derivatives of tris(hydroxymethyl)amino methane

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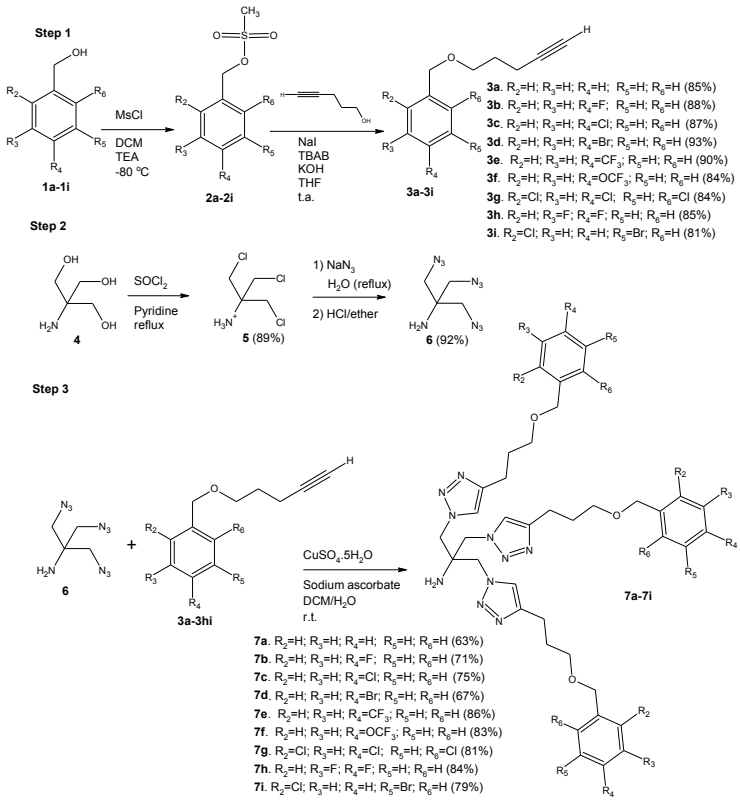
INTRODUCTION

The number of agrochemicals containing halogen has been increasing along the years. Modern agrochemicals have been obtained by introducing halogens into active ingredients and are developed seeking optimal efficacy, environmental safety, user friendliness and economic viability.[1] In this context, triazoles containing halogenated aryl moieties were synthesized and their phytotoxic activities were evaluated.

RESULTS AND DISCUSSION

The triazoles were obtained via "click" reaction between the alkynes (**3a**-**3i**) and the azide **6**[2], as shown in Scheme 1.



Scheme 1. Preparation of triazoles **7a**-**7i**.

Tris(hydroxymethyl)amino methane (**4**) was initially converted into its corresponding chloride (**5**)[3] and then converted into azide **6**[4]. Comercially benzyl alcohols (**1a**-**1i**) were initially converted into their corresponding mesylates (**2a-2i**) in yields ranging from 86% to 96%)[5] and then converted into their corresponding ethers (**3a-3i**) in yields ranging from 81% to 93%[6]. The triazoles' biological activities were evaluated with respect to the germination and radicle growth inhibition of three dicotyledonous species: *Cucumis sativus*, *Lactuca sativa* and *Bidens pilosa*[7]. Best results were observed for triazol **7f**, which contains the halogenated group $-OCF_3$, and **7g**, which contains three chloro atoms. In addition, the halogenated compounds had, in general, superior effects relative to that of their non-halogenated counterpart (compound **7a**), demonstrating the beneficial effects of the presence of halogen atoms on the biological activity of the evaluated compounds.

CONCLUSION

In summary, nine new 1,2,3-triazoles were synthesized, purified and fully characterized. The conditions employed were satisfactory, and thus, we did not observe the formation of side products. The comparison of the phytotoxic activities of products **7b**-**7i** with the activities of compound **7a**, which was synthesized to evaluate the influence of the absence of an halogen substituent on activity, revealed that the halogenated products were more active.

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REFERENCES

[1] Jeschke, P. *Pest Man. Sci.*, **2010**, *66*, 10.
[2] Iehl, J.; De Freitas, R. P.; Nierengarten, J. F. *Tetrahedron Let.*, **2008**, *49*, 4063.
[3] Martinu, T.; Dailey, W. P. *J. Org. Chem.*, **2000**, *65*, 6784.
[4] Díaz, D. D., Punna, S., Holzer, P., Mcpherson, A. K., Sharpless, K. B. *J. Pol. Sci. Part A*, **2004**, *42*, 4392.
[5] Bundy, G. L.; Lin, C. H.; Sih, J. C. *Tetrahedron*, **1981**, *37*, 4419.
[6] Kaplánek, R.; Bríza, T.; Havlík, M.; Martásek, P.; Král, V. *J. Fluor. Chem.* **2007**, *128,* 179.
[7] Macías, F. A.; Castellano, D.; Molinillo J. M. G. *J. Agric. Food Chem.*, **2000**, *48*, 2512.