SEPTEMBER 23-27 2024
19BMOS
BRAZILIAN MEETING ON ORGANIC SYNTHESIS
BENTO GONÇALVES, RS - BRAZIL

Synthesis of new triazolic thionaphthoquinones with trypanocidal potential

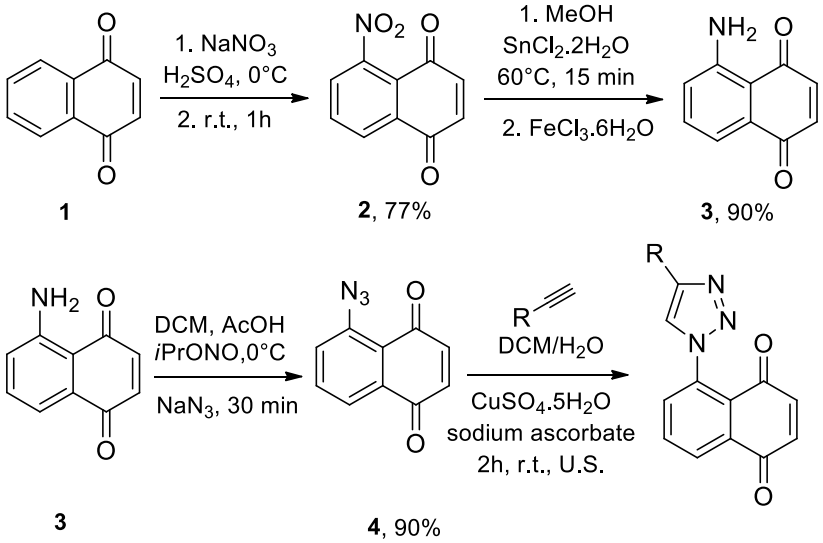
Leonardo G. C. de Moraes[1]*, Cássia C. de Oliveira[1], Thaís B. dos Santos[1], Diana P. de Abreu[1], Vitor F. Ferreira[2] and David R. da Rocha[1]

1) Department of Organic Chemistry, Chemistry Institute, Fluminense Federal University, UFF, 24210-141
2) Department of Pharmaceutical Technology, Pharmacy Faculty, Fluminense Federal University, UFF, 24210-201
e-mail: leonardocavalieri@id.uff.br

Keywords: *heterocycle, click chemistry, neglected disease.*

ABSTRACT

Naphthoquinones are a class of substances widely studied in the literature due to their wide variety of biological activities. Among these activities, it is possible to mention an enormous potential for the trypanocidal activity of triazole naphthoquinones.[1] In this context, this work aims to synthesize a series of thionapthoquinones linked to the triazole ring directly by the naphthoquinone aromatic ring. The synthetic route began with the nitration of **1**, producing intermediate **2**.[2] Then the nitro group was reduced using stannous chloride, obtaining intermediate **3**.[2] This intermediate underwent diazotization followed by a nucleophilic aromatic substitution with sodium azide producing intermediate **4**. Finally, a cycloaddition catalyzed by copper I occurred between **4** and different commercial and synthetic alkynes producing triazole derivatives **5**.[3] We synthesized 17 derivatives of the type **5**, 16 of which are new, that are currently in the prospecting phase for their trypanocidal activity.



5a, R= CH_2S(4-Me-Ph), 24%
5b, R = CH_2S(Ph), 53%
5c, R = CH_2S(2,5-diCl-Ph),47%
5d, R = CH_2S(4-Br-Ph), 56%
5e, R = CH_2S(2-benzotiazole), 47%
5f, R = CH_2S(3-Me-Ph), 21%
5g, R = CH_2S(2-Me-Ph), 27%
5h, R = CH_2S(4-NO_2-Ph), 58%
5i, R= CH_2S(4-Cl-Ph),62%
5j,R= CH_2S(4-F-Ph) 54%
5k,R= CH_2S(4-OMe-Ph), 32%
5l, R = Ph, 51%
5m, R = Pr, 37%
5n, R = But, 46%
5o, R = Pent, 41%
5p, R = 4(Pent)Ph, 46%
5q, R = CH(Me)(OH)CH_2CHMe_2, 39%

ACKNOWLEDGEMENTS

CAPES, CNPq, FAPERJ and PPGQ-UFF

REFERENCES

[1] Carvalho, R. L et al. *Chem. - Eur. J.*, **2018**, 24, 15227.
[2] Ivashkina, N. V. et al. *Bull. Acad. Sci. USSR, Div. Chem. Sci.*, **1984**, 33, 2345.
[3] Pacheco, P. A. F. et al. *Bioorg. Med. Chem.*, **2019**, 10 ,1016.