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

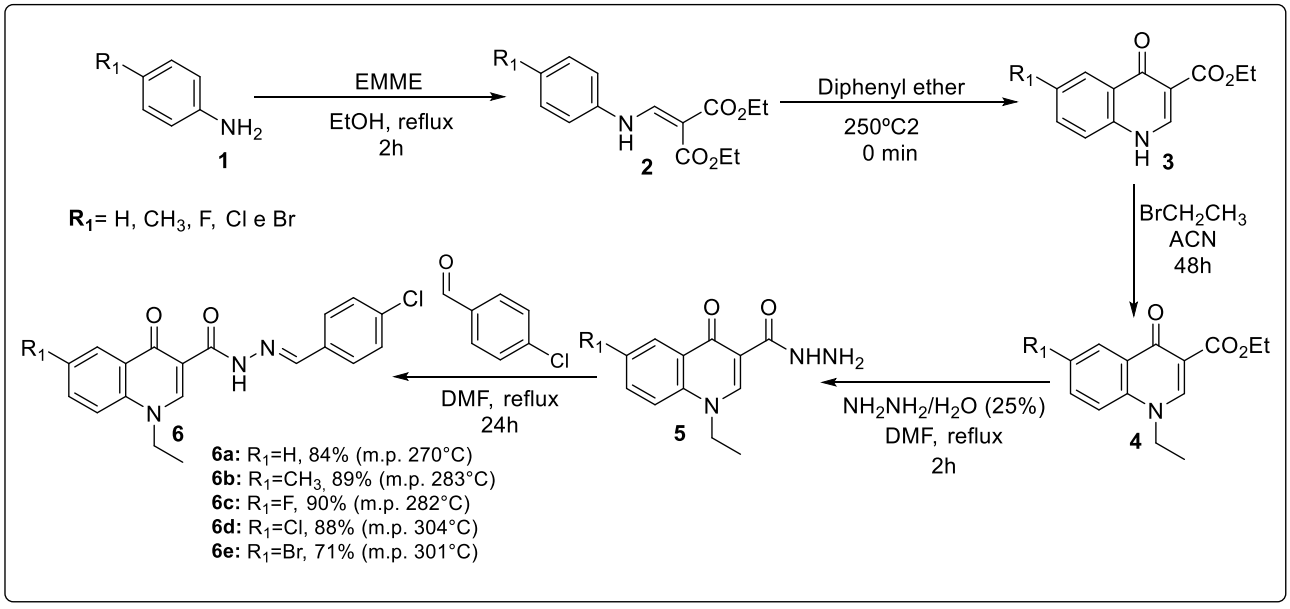
Synthesis and biological prospecting of 4-quinolone-3-acylhydrazone derivatives as potential anti-HIV-1 candidates

Yuri I. M. Silva [1*] Fernanda da C. S. Boechat [1], Maria C. B. V. de Souza [1]
1) Department of Organic Chemistry, Fluminense Federal University, UFF, 24020-140
****e-mail:** inacioyuri@id.uff.br*

Keywords*: 4-quinolone; acylhydrazone; HIV-1; Antiviral*.

ABSTRACT

The development of resistance to Human Immunodeficiency Virus (HIV) reverse transcriptase inhibitors necessitates ongoing research and innovation in antiretroviral therapy.[1,2] Many substances containing the 4-quinolone nucleus have clinical applications as antibacterials, as well as other so-called non-classical activities, such as antiviral properties, with Elvitegravir being an example.[3] In this study, derivatives 4-quinolone-3-acylhydrazones were synthesized and are being evaluated against HIV-1 virus. Initially, substituted anilines (**1a-e**) were subjected to a condensation reaction with diethyl ethoxymethylenemalonate (EMME), followed by thermal cyclization yielding 4-quinolones (**3a-e**). These compounds were then alkylated with bromoethane leading to compounds **4a-e**. Subsequently, these substances were reacted with hydrazine monohydrate to obtain hydrazides **5a-e**. These derivatives, in turn, were reacted with p-chlorobenzaldehyde under acid catalysis, producing **6a-e** (Scheme 1), with yields ranging from 18% to 44%. The structures of these substances were confirmed by spectroscopic data and are currently undergoing biological evaluation.



Scheme 1: Synthetic route for obtaining compounds **6a-e**.

ACKNOWLEDGEMENTS

CNPq, PPGQ-UFF, PROAP-UFF, CAPES, FAPERJ

REFERENCES

(1) SANTOS, L. H.; et al., Memórias Instituto Oswaldo Cruz, 2015, 110 (7), 847-864.
(2) FERREIRA, R. C. S.; et al., Química Nova, 2010, 33 (8), 1743- 1755.
(3) AHMED, A.; DANESHTALAB, M., Journal of Pharmacy and Pharmaceutical Sciences, 2012, 15 (1), 52-72.