3,4-(methylenedioxy)aniline as precursor to thiazolidinones

Hellen G. Masteloto (PG), Bruna B. Drawanz (PG), Geonir M. Siqueira (PQ), Wilson Cunico (PQ)

LaQuiABio, CCQFA, UFPEl, Pelotas, RS, Brazil

*wjcunico@yahoo.com.br

Keywords: Thiazolidinones, 3,4-(methylenedioxy)aniline, one-pot reaction

INTRODUCTION

The thiazolidinones are five-membered heterocyclic compounds that show a diverse range of biological activities1, for example, as antitumor2, antidiabetes3, antitubercular4 and anti-hepatitis C virus5. The main synthetic routes to thiazolidin-4-ones involves a three component reaction (an aldehyde or ketone, a primary amine or hydrazine and the mercaptoacetic acid) either in an one- or two-step process.5 This work has as objective, report the synthesis of new thiazolidinones 4a-r arising from the reaction of 3,4-(methylenedioxy)aniline 1 with substituted arenealdehydes 2a-r and mercaptoacetic acid 3.

RESULTS AND DISCUSSION

The synthesis of unpublished thiazolidinones 4a-r, was carried out in a one-pot procedure (Scheme 1). First, the reaction of amine 1 (1 mmol) with arenealdehydes 2a-r (1 mmol) in toluene reflux using a Dean–Stark trap for 3 h afforded the imine intermediate. Afterward, the mercaptoacetic acid 3 (3 mmol) was added and the reaction progress were monitored by thin layer chromatography (TLC) and/or Gas Chromatography (GC). The products were formed after overnight reflux and the pure thiazolidinones were obtained by washing with a hot solution of hexane/ethyl acetate 9:1 (compounds 4a-l) and 8:2 (compounds 4m-r) from good to excellent yields 47-90% (Table 1). All compound structures were confirmed by mass spectrometry (CG-MS), 1H and 13C Nuclear Magnetic Resonance (NMR).

Scheme 1. Synthesis thiazolidinones 4a-r

CONCLUSION

In summary, this work showed the synthesis of eighteen new 3-(benzo[1,3]dioxol-5-yl)-2-phenylthiazolidin-4-ones from both electron-release and electron-withdraw substituted arenealdehydes. In the next step, these compounds will be submitted to biological studies.

ACKNOWLEDGEMENTS

The authors thanks: CAPES, FAPERGS and UFPEl

REFERENCES