BOOK OF ABSTRACTS

6SPJ-OCS
Faculty of Sciences, University of Lisbon
18-20 July 2012
PORTUGAL
SYNTHESIS OF 3-HALO-2-(HETERO)ARYLTHIENOPYRIDINES THROUGH A THREE-STEP METHODOLOGY FROM 2,3-DIHALOPYRIDINES, METHANETHIOLATE, (HETERO)ARYLALKynes AND ELECTROPHILES.

Acateia Becquin, Daniela Peixoto and Maria-João R. P. Queiroz
Centro de Química (UI868), Universidade do Minho, Campus de Gualtar 4710-057 Braga, Portugal, a.becquin@quimica.uminho.pt

Thienopyridine derivatives have been shown to exhibit a large variety of biological activities, thus attracting considerable attention. For some years now, our research group has been interested in the synthesis of differently functionalized thieno[3,2-b]pyridines susceptible to present antitumorant and antiangiogenic activities.

Herein, we describe the synthesis of 3-halo-2-(hetero)arylthienopyridines by a three-step methodology using ortho-bromo- or chloropyridines as the starting materials. The nucleophilic substitution of the chlorine atom of the pyridine ring by SMe gave the corresponding ortho-bromo(methyl)pyridines that were coupled with different (hetero)arylalkynes. Then, the halogenocyclization of the Sonogashira coupling products successfully afforded the expected 3-halo-2-(hetero)arylthienopyridines.

The synthesized halogenated thienopyridines will allow further functionalization by metatalcatalyzed coupling reactions.

ACKNOWLEDGEMENTS: Foundation for the Science and Technology (FCT-Portugal) for financial support through the Portuguese NMR network (Druker 400-Advanced III-UNIV Minho), FCT and FEDER (European Fund for Regional Development)-COMPETENCE/NUC for financial support through the research centre Pest-C/QUI/UI0666/2011. The research project PTDC/QUI/QUI/11056/2009 and the post doctoral grant of Agnetha Becquin SFRH/BPD/58755/2009.