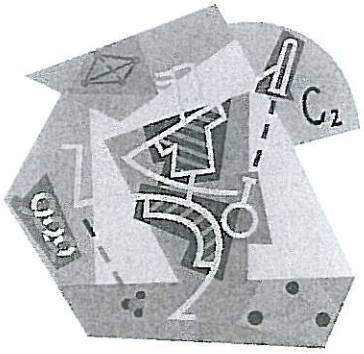
XXth European Colloquium on *Heterocyclic Chemistry*

August 18–21, 2002

Södertörn University College

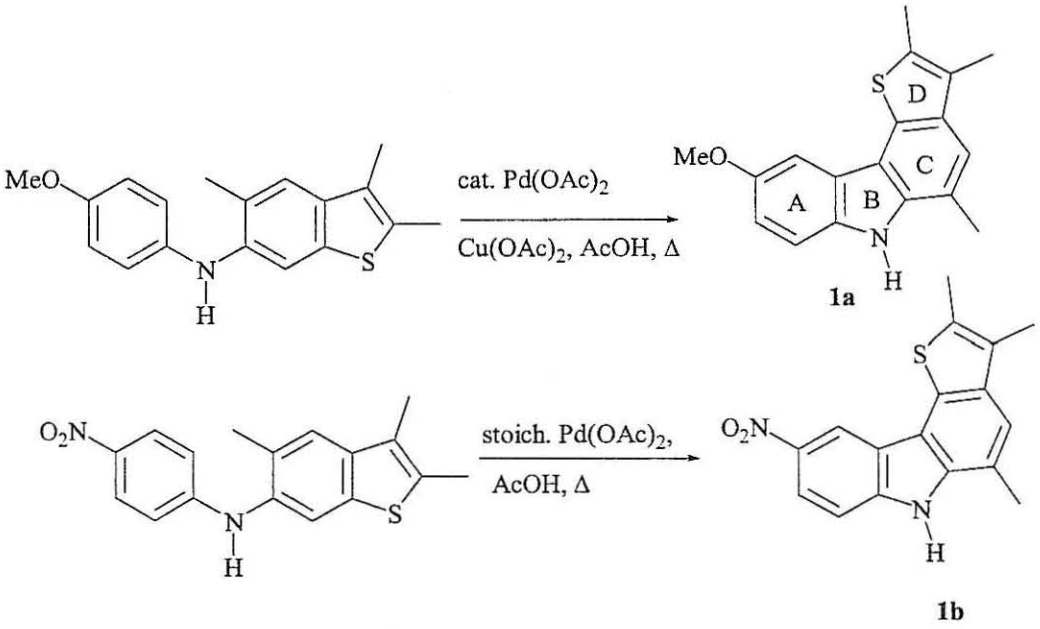
Stockholm, SWEDEN



Synthesis of Potential Anti-Tumoral Ring a Substituted Thieno[3,2-*C*] Carbazoles from Diarylamines

Isabel C. F. R. Ferreira[a], Maria-João R.P. Queiroz[a], Gilbert Kirsch[b]
[a] *Departamento de Química, Universidade do Minho. 4710-057 Braga, Portugal, E-mail: mjrpq@quimica.uminho.pt*
[b] *Laboratoire d'Ingénierie Moleculaire et Biochimie Pharmacologique, Université de Metz, Faculté des Sciences, Ile du Saulcy, 57045 Metz, France*

Thienocarbazoles bearing electron donating or withdrawing groups in ring A, were prepared by intramolecular oxidative cyclization of diarylamines using stoichiometric or catalytic $Pd(OAc)_2$ amounts, in fair to moderate yields. In the latter case $Cu(OAc)_2$ was added to reoxidize Pd(0) formed. The diarylamine precursors were prepared by palladium-catalysed amination (see other communication presented at this meeting).



When a stoichiometric amount of $Pd(OAc)_2$ was used, diarylamine acetoxylation products were also formed, decreasing the yield of thienocarbazole.
Thienocarbazoles **1** are bioisosteres of the known DNA intercalating and Topoisomerase II inhibitors pyridocarbazoles alkaloids, ellipticines and olivacines. Evaluation of their anti-tumoral properties and determination of structure-activity relationship will be performed. The nitro group enhances water solubility which is important for biological devices. It can also be reduced to amine for further functionalization.

All the compounds were fully characterized by ^1H, ^{13}C nmr, mass spectrometry and elemental analysis.

Thanks are due to the Foundation for Science and Technology-IBQF-UM (Portugal), to Calouste Gulbenkian Foundation for the Research Incitement Award in the Chemistry Synthesis 2001 and also to IPBragança for partial financial support to I.C. Ferreira.