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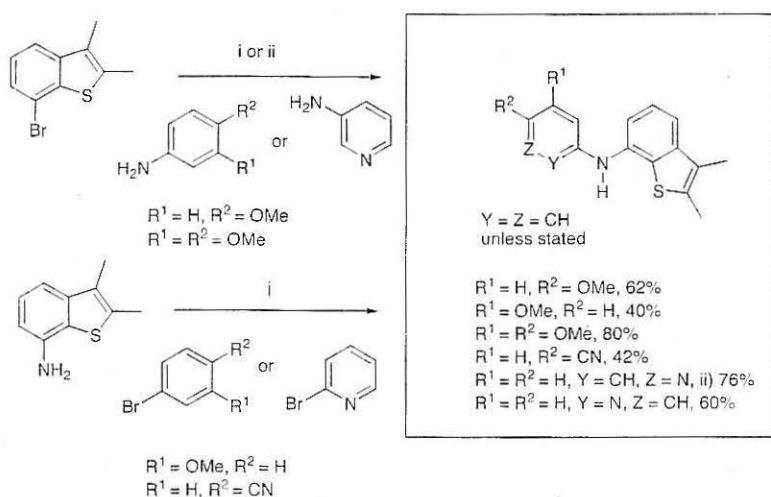
Synthesis of 7-Aryl or Heteroarylamino-2,3-dimethylbenzo[*b*]thiophenes by Palladium-Catalyzed C-N Cross Coupling and Anti-oxidant Activity Studies

Ricardo C. Calhelha,^{a,b} Isabel C. F. R. Ferreira,^b Letícia M. Estevinho^b and Maria-João R. P. Queiroz^a

^a*Dept. de Química, Univ. Minho, Campus de Gualtar 4710-057 Braga Portugal, mjrpq@quimica.uminho.pt*

^b*Escola Superior Agrária, Inst. Politécnico de Bragança, Campus de St. Apolónia, 5301-855 Bragança*

In recent years we have been interested in the synthesis of diarylamines in the benzo[*b*]thiophene series functionalizing either the benzene¹ or the thiophene² ring. Here we describe the synthesis of new 7-aryl or heteroarylamino-2,3-dimethylbenzo[*b*]thiophenes, reacting, the also prepared,³ 7-bromo or 7-amino-2,3-dimethylbenzo[*b*]thiophenes with anilines and 3-aminopyridine or bromobenzenes and 2-bromopyridine, respectively, under palladium-catalyzed C-N cross-coupling conditions (Scheme).



i) $\text{Pd}(\text{OAc})_2$ (3-5 mol%), *rac* BINAP (4-7 mol%), Cs_2CO_3 (1.4-1.8 equiv.), toluene, 100 °C, Ar
ii) $\text{Pd}(\text{OAc})_2$ (6 mol%), Xantphos (8 mol%), Cs_2CO_3 (1.8 equiv.), dioxane, 110 °C, Ar

The coupling products were submitted to anti-oxidant activity studies using two methods, free radical scavenging capacity and reducing power and it was possible to establish some structure-activity relationships. The methoxylated products gave the best results in both methods.

References:

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- 3- A.S. Abreu, N.O. Silva, P.M.T. Ferreira, M.-J.R.P. Queiroz, *Eur. J. Org. Chem.*, **2003**, 1537-1544.