SYNTHESIS OF THIENOCARBAZOLES BY REDUCTIVE CYCLIZATION OF 6-(2'-NITROPHENYL)BENZO[*b*]THIOPHENES

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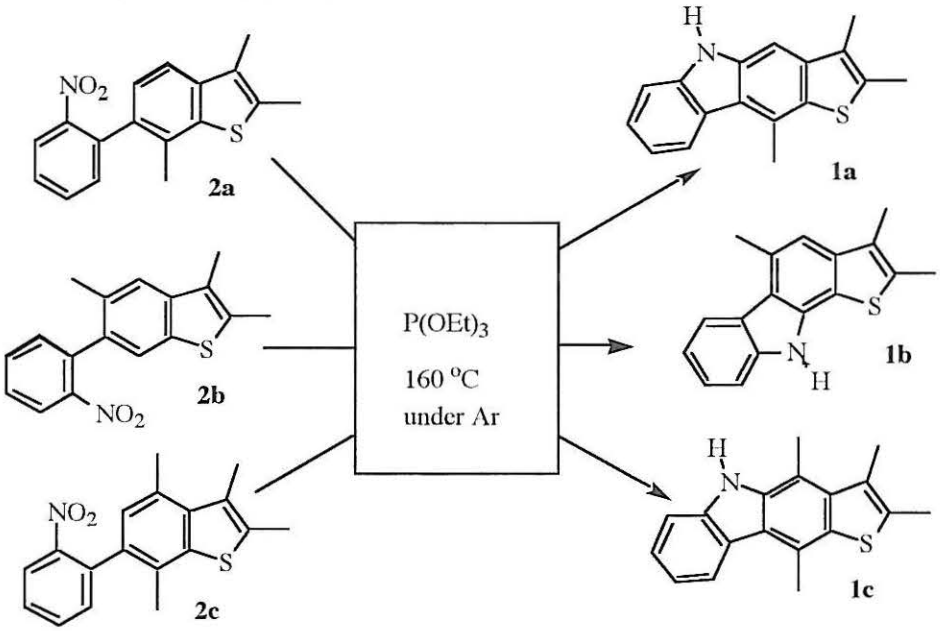
Thienocarbazoles are bioisosters of anti-tumoural pyridocarbazoles, ellipticine and olivacine.

Methylated thienocarbazoles **1** were synthesized by reductive cyclization of 6-(2'-nitrophenyl)benzo[*b*]thiophenes **2,** using triethylphosphite. Compounds **2** were obtained by palladium-catalyzed cross-coupling between 2-bromo or iodonitrobenzenes and 6-boronic esters of methylated benzo[*b*]thiophenes (communication presented at this meeting).

In the synthesis of thienocarbazoles **1a** and **1b** the corresponding N-ethyl derivatives were also obtained. Some experiments changing the amount of triethylphosphite and the time of reflux, were carried out. The best conditions to achieve compounds **1a** and **1b** (22% yield) lowering the yields of the corresponding N-ethyl derivatives, were 2eq. of triethylphosphite and 3h of reflux. In the synthesis of thienocarbazole **1c** (36%) no N-ethyl compound was detected but 4eq. of triethylphosphite and 5h of reflux were needed.



Compounds **1** were fully characterized by ^{1}H and ^{13}C-NMR, mass spectrometry and elemental analysis. These compounds will be submitted to studies of biological activity.

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