# SYNTHESIS OF 6-(2' or 4'-NITROPHENYL)BENZO[*b*]THIOPHENES BY PALLADIUM-CATALYZED CROSS-COUPLING

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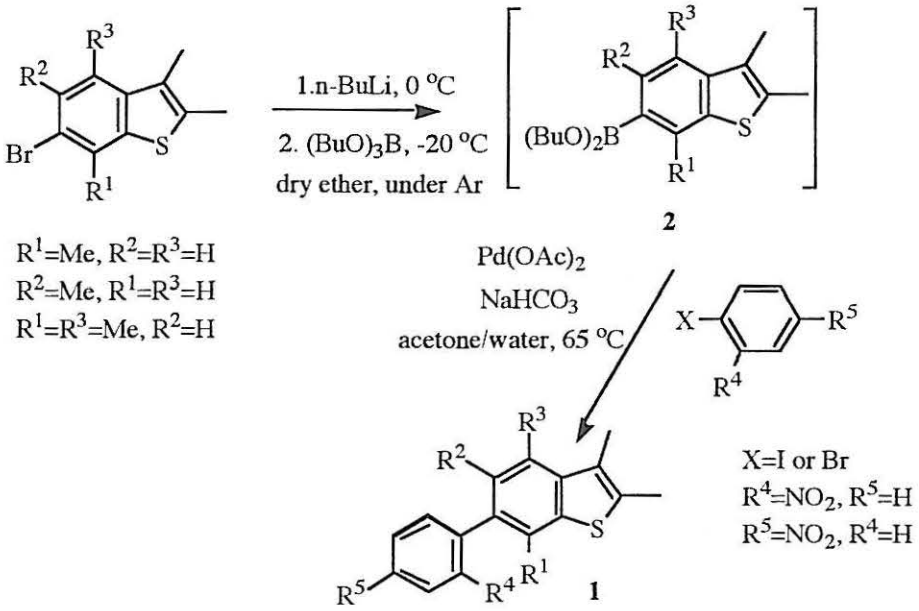
The palladium-catalyzed cross-coupling reactions of organoboron compounds are very useful for carbon-carbon bond formation[1].

Compounds **1** were synthesized by a palladium-catalyzed cross-coupling of bromo or iodonitrobenzenes with boronic esters **2**, which were prepared from methylated 6-bromobenzo[*b*]thiophenes[2] by halogen-metal exchange and transmetalation. The intermediates esters **2** were not isolated but directly, after evaporation of the ether, coupled in acetone/water using palladium acetate in the presence of sodium hydrogencarbonate. Yields of isolated compounds **1** were about 40%.




The advantage of the method is to avoid the preparation and isolation of boronic acids and also working under phosphine free conditions.

Compounds **1** were fully characterized by $^{1}H$ and $^{13}C$-NMR, UV and IR spectroscopy, mass spectrometry and elemental analysis.

The *o*-nitrophenyl derivatives (**1**, $R^4=NO_2$) were used as starting materials for reductive cyclization leading to potential anti-tumoural thienocarbazoles (communication presented at this meeting).

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References:
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2-P.Cagniant, P.Faller and D.Cagniant; *Bull. Soc. Chim.Fr.*, 1966, 3055.