P125

SYNTHESIS OF DI(HETERO)ARYLAMINES AND ETHERS IN THE THIENO[3,2-b]PYRIDINE SERIES BY METAL-CATALYZED COUPLINGS

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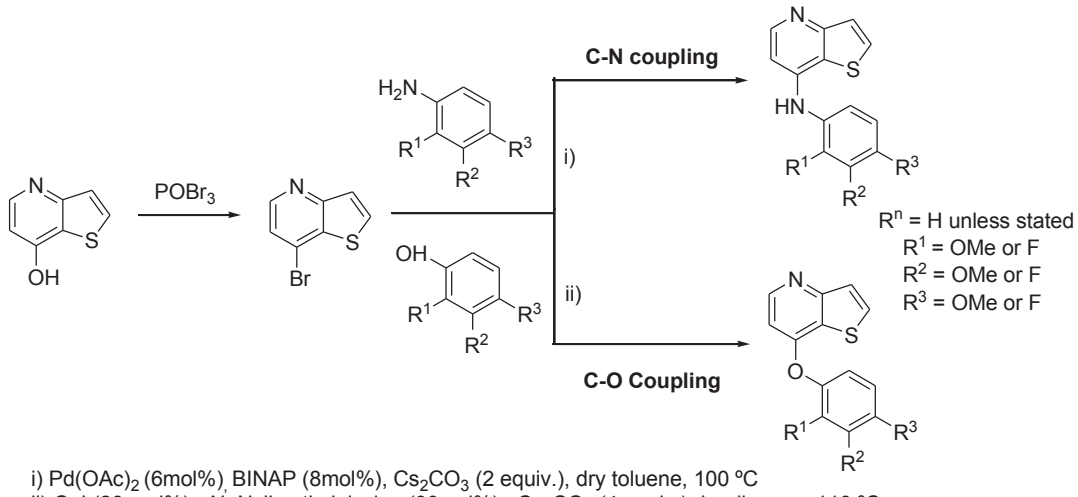
Thienopyridine derivatives including di(hetero)arylamine and ethers have attracted much attention because of their potential biological activities namely as antitumoral and anti-angiogenic agents.[1-3]

Here we present the synthesis of several di(hetero)arylamines by Pd catalyzed Buchwald-Hartwig C-N coupling using BINAP as the ligand and of several di(hetero)arylethers by Cu catalyzed C-O coupling using a *N*,*N*-dimethylglycine as the ligand, in good yields, from the 7-bromothieno[3,2-*b*]pyridine also prepared by us from the commercial thieno[3,2-*b*]pyridin-7-ol and $POBr_3$ and methoxy or fluoroanilines and phenols (Scheme).



i) $Pd(OAc)_2$ (6mol%), BINAP (8mol%), Cs_2CO_3 (2 equiv.), dry toluene, 100 ºC
ii) CuI (20 mol%), *N*, *N* dimethylglycine (30mol%), Cs_2CO_3 (4 equiv.),dry dioxane, 110 ºC

The compounds obtained will be studied in human tumor cell lines to evaluate their inhibitory growth effect.

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