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BOOK OF ABSTRACTS



NEW METHOXYLATED DI(HETERO)ARYLAMINES DERIVATIVES OF A THIENOPYRIDINE: SYNTHESIS AND EVALUATION OF ANTIOXIDANT ACTIVITY USING DIFFERENT METHODS

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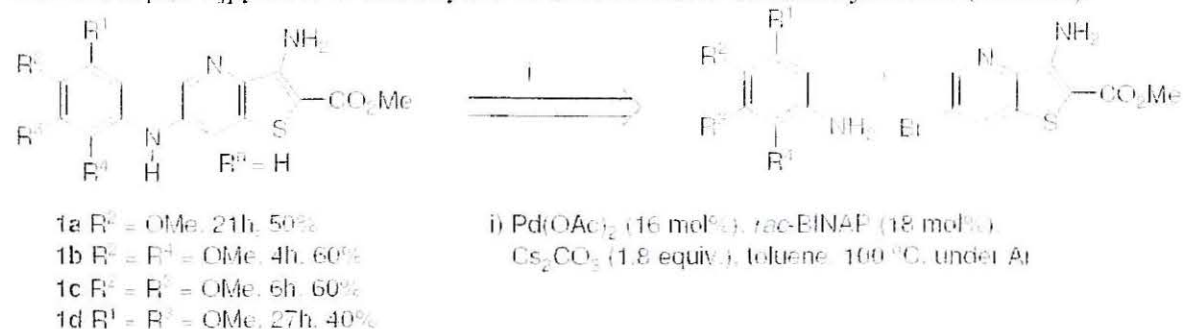
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Abstract

Thienopyridines derivatives have attracted much attention because of their potential biological activity. Recently 7-(aryl)aminothieno[3,2-*b*]pyridines have been identified as potent inhibitors of VEGFR-2 kinase (Vascular Endothelial Growth Factor Receptor-2) which has been identified as a key component of the signalling pathway responsible for the sprouting and maturation of new blood vessels from the tumor leading to tumor growth and metastasis [1].

Here we present the synthesis of new 6-(aryl)aminothieno[3,2-*b*]pyridines **1a-d** by palladiumcatalyzed Buchwald-Hartwig C-N coupling [2] of the methyl 6-bromo-3-aminothieno[3,2-*b*]pyridine-2-carboxylate with anisidines or dimethoxyanilines (Scheme).



Scheme

For some years now we have been interested in the antioxidant activity of di(hetero)arylamines [3]. Antioxidant assays as free radical scavenging activity on DPPH radicals, reducing power and lipid peroxidation inhibition using the beta-carotene linoleate system and the thiobarbituric acid reactive substances (TBARS) assay, were performed on the new diarylamines **1a-d**, using alphatocopherol and ascorbic acid as standards. The results will be discussed in terms of EC₅₀. The best compound in all the methods studied is **1c** with two methoxy groups, one in the *meta* and the other in the *para* positions. For the TBARS assay the EC₅₀ results for compounds **1a-c** are even better than for alpha-tocopherol (0.011 ± 0.001 mM). It was thus possible to establish some structure-antioxidant activity relationships depending on the number and position of the methoxy groups.

References

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