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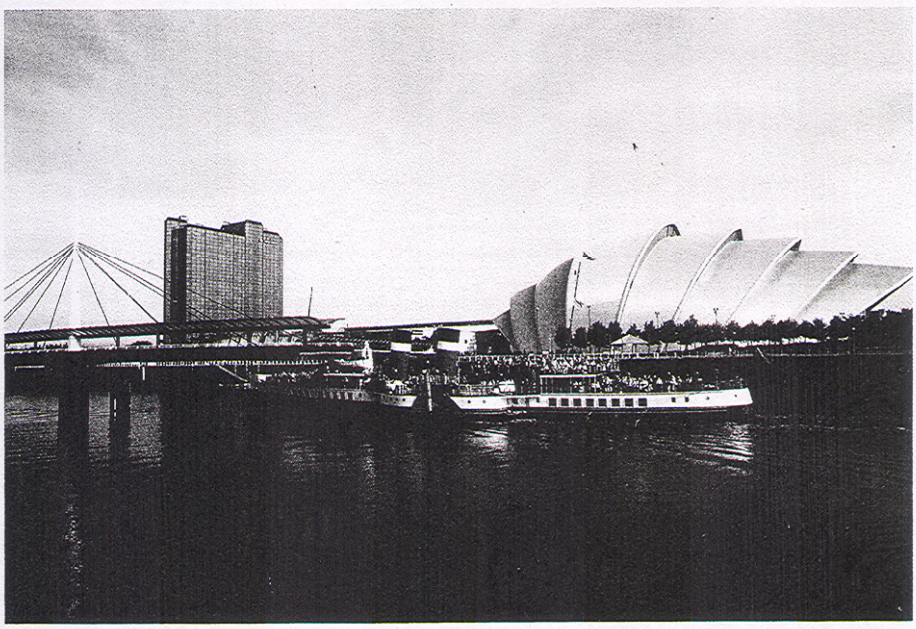

Scottish Exhibition and Conference Centre
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Programme & Abstract Book

SYNTHESIS OF 1,3-DIARYL(THIO)UREAS IN THE THIENO[3,2-*b*]PYRIDINE SERIES BY PALLADIUM-CATALYZED COUPLINGS AND REACTIONS WITH ARYLISO(THIO)CYANATES

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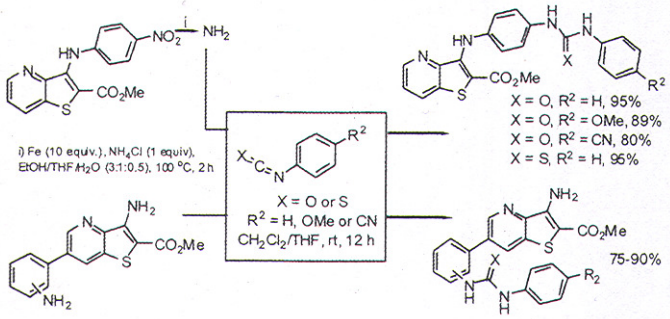
Recently, some thieno[3,2-*c*]pyridines and thieno[3,2-*b*]pyridines have been prepared with a di(hetero)arylurea[1] or a phenylacetylphenylthiourea moiety,[2] respectively, which showed to be inhibitors of the tyrosine kinase (TK) of some membrane growth factor receptors involved in tumor survival, growth, invasion and metastasis. Here we report the synthesis of 1,3-diaryl(thio)ureas from a methyl 3-aminothieno[3,2-*b*]pyridine-2-carboxylate or the corresponding 6-bromo compound,[3] functionalizing both rings by Pd-catalyzed couplings, Suzuki (C-C) in the pyridine and Buchwald-Hartwig (C-N) in the thiophene. The amino compounds obtained, some after reduction, were reacted with different aryliso(thio)cyanates to give the corresponding 1,3-diaryl(thio)ureas in high to excellent yields (Scheme).



The final compounds will be submitted to TK inhibition assays using the receptors in study.

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References

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(3) Calhelha, R. C.; Queiroz, M.-J. R. P. *Tetrahedron Lett.* **2010**, *51*, 281-283.