XXII
Encontro Nacional
SPQ

100 anos
de Química em Portugal

3 a 6
Julho de 2011

Universidade do Minho - Braga
An eco-friendly approach to the synthesis of 3-(phenylsulfonyl) chromenes

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Compounds incorporating the chromene scaffold are present in a diversity of biologically active molecules. Structural modifications of this core unit led to new drug candidates including molecules used for the treatment of psychiatric and neurological disorders, a research area of recent interest for our research group. Considering that the substituent in position 3 of the chromene ring is a crucial element for biological activity, the incorporation of a good leaving group in this position was expected to allow the preparation of different 3-substituted chromene derivatives. The phenylsulfonyl substituent was selected for that purpose and the aim of the present work was the synthesis of 3-phenylsulfonyl-2H-chromenes. Only few reports on the synthesis of this type of compounds are referred in the literature, and the experimental procedures always involve non-aqueous solvents.

In order to generate chromene derivatives with a good leaving group on the C-3 position, the phenylsulfonyl substituent was included in that position by combining salicylaldehyde and phenylsulfonylacetonitrile, in aqueous media.

Compounds 1-4 were generated, depending on the experimental conditions. These results and the structural characterization of the products will be presented and discussed.

References

Synthesis of 1,3-diaryliureas from different(thieno[3,2-b]pyridin-7-ythio)anilines

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Recently some thieno[3,2-b]pyridine 1,3-diaryliureas derivatives were prepared as VEGFR-2 (Vascular endothelium growth Factor Receptor-2) tyrosine kinase domain inhibitors. This receptor is related with tumor vascularisation (angiogenesis) and metastasis [1]. Here we in present the synthesis of new 1,3-diaryliurea derivatives of several (thieno[3,2-b]pyridin-7-ythio)anilines. The latter were obtained by regioselective nucleophilic substitution of the 7-chloro-thieno[3,2-b]pyridine with different aminothiophenols and the 1,3-diaryliureas were then formed by reaction of the amino groups with arylisocyanates (Scheme).

Scheme-Synthesis of 1,3-diaryliureas from different(thieno[3,2-b]pyridin-7-ythio)anilines

The 1,3-diaryliureas synthesized will be studied as VEGFR-2 tyrosine kinase inhibitors either by virtual screening or enzymatic inhibition assays. The best compounds will be also studied in cell lines that express this receptor.

Acknowledgements: FCT-Portugal and COMPETE/QREN/EU-project PTDC/QUI-QUS/091560/2006 (FCOMP-01-0124-FEDER-017503). The Portuguese NMR network (Becaor Avance III 400) is financed by FCT-Portugal.

References