References


Thione[3,2-b]pyridine Arylethers: Synthesis and Growth Inhibitory Activity on Human Tumor Cell Lines

Binario C. Calheiros,1,3,4* Rui M. V. Almeida,4 Isabel C. P. C. Ferreira,4 Maria João R. F. Queiroz4

1 Centro de Química, Universidade do Minho, Campus de Gualtar, 4710-057 Braga, Portugal.
2 CISOR/IPS, Instituto de Investigação do Porto, Universidade do Porto, 4300-115 Porto, Portugal.
3 Department of Physical Chemistry, University of Coimbra, Portugal.
4 Department of Biochemistry and Molecular Biology, University of Coimbra, Portugal.

Thionespyridine arylethers have been reported as having interesting biological activities, namely antimicrobial and antiparasitic activity. Herein, we describe the synthesis of thionespyridine arylethers 1a-1j, obtained by means of a copper-catalyzed C-O coupling, using N,N-dimethylformamide as a ligand, of the 7-bromothionespyridine (3,2-b)pyridine, also prepared with substituted phenol (see scheme).

The growth inhibitory activity of the dihydrothionespyridine arylethers 1a-1j was evaluated against four human tumor cell lines (K562, PC3, MDA-MB-231 and HEPG2) using the reverse transcriptase assay. Furthermore, the hepatotoxicity of compounds was assessed using a porcine liver primary cell culture (PLPC). The most promising compound was shown to be the methoxy derivative 1j, presenting IC50 values in the range of 1.5 to 3.5 \( \mu \text{M} \). For this compound, more studies are necessary to find its mechanism(s) of action.

Acknowledgements: The authors acknowledge financial support from the Portuguese Foundation for Science and Technology (FCT, Portugal). The authors also acknowledge financial support from the European Union within the 6th framework program (Project No. 2004-200886200). The following grants were also acknowledged: FCT (PTDC/QUI/516604/2004) and FCT/PTDC/QUI/516604/2004, and the postdoctoral grant to R.M. (SFRH/BD/4034/2010).

Non-anionic: Alloca reductase inhibitors. Design, Synthesis, Biological Evaluation and In Silico Studies

Maria Chaboussou1,2,5 M. C. P. R. Branco,5 Rui M. V. Almeida3,4,5,6

1 Department of Chemical Sciences, University of Coimbra, Portugal.
2 Department of Chemical Sciences, University of Coimbra, Portugal.
3 Department of Chemical Sciences, University of Coimbra, Portugal.
4 Department of Chemical Sciences, University of Coimbra, Portugal.
5 Department of Chemical Sciences, University of Coimbra, Portugal.
6 Department of Chemical Sciences, University of Coimbra, Portugal.

Ado 2.2.2.2 dehydrogenase (A2R) involvement in the onset and progression of diabetes mellitus complications has been a matter of concern for the past years. Furthermore, recent evidence points towards A2R's implication in inflammatory pathologies.3-5 As such, A2R is an appealing target for medicinal chemistry.

In the present work, we evaluated the growth inhibitory activity of the dihydrothionespyridine arylethers 1a-1j against four human tumor cell lines (K562, PC3, MDA-MB-231, and HEPG2) using the reverse transcriptase assay. Furthermore, the hepatotoxicity of compounds was assessed using a porcine liver primary cell culture (PLPC). The most promising compound was shown to be the methoxy derivative 1j, presenting IC50 values in the range of 1.5 to 3.5 \( \mu \text{M} \). For this compound, more studies are necessary to find its mechanism(s) of action.

Acknowledgements: The authors acknowledge financial support from the Portuguese Foundation for Science and Technology (FCT, Portugal). The authors also acknowledge financial support from the European Union within the 6th framework program (Project No. 2004-200886200). The following grants were also acknowledged: FCT (PTDC/QUI/516604/2004) and FCT/PTDC/QUI/516604/2004, and the postdoctoral grant to R.M. (SFRH/BD/4034/2010).