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The synthesis and evaluation of thymoquinone analogues as anti-ovarian cancer and antimalarial agents

Okiemute Rosa Johnson-Ajinwo [1], Imran Ullah [2], Haddijatou Mbye [2], Alan Richardson [2], Paul Horrocks [2], Wen-Wu Li [3]

Affiliations

Affiliations

1 Institute for Science and Technology in Medicine, Keele University, Staffordshire, United Kingdom; Faculty of Pharmaceutical Sciences, University of Port Harcourt, Nigeria.
2 Institute for Science and Technology in Medicine, Keele University, Staffordshire, United Kingdom.
3 Institute for Science and Technology in Medicine, Keele University, Staffordshire, United Kingdom. Electronic address: w.li@keele.ac.uk.

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Abstract

Thymoquinone (TQ), 2-isopropyl-5-methyl-1,4-benzoquinone, a natural product isolated from Nigella sativa L., has previously been demonstrated to exhibit antiproliferative activity in vitro against a range of cancers as well as the human malarial parasite Plasmodium falciparum. We describe here the synthesis of a series of analogues of TQ that explore the potential for nitrogen-substitution to this scaffold, or reduction to a hydroquinone scaffold, in increasing the potency of this antiproliferative activity against ovarian cancer cell lines and P. falciparum. In addition, alkyl or halogen-substituted analogues were commercially sourced and tested in parallel. Several TQ analogues with improved potency against ovarian cancer cells and P. falciparum were found, although this increase is suggested to be moderate. Key aspects of the structure activity relationship that could be further explored are highlighted.

Keywords: Malaria; Ovarian cancer; Synthesis; Thymoquinone.

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