



**UNIVERSITY OF NAIROBI
CHEMISTRY DEPARTMENT**

**ANTIPLASMODIAL ANTHRAQUINONES AND BENZALDEHYDE
DERIVATIVES FROM THE ROOTS OF *KNIPHOFIA THOMSONII***

BY

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This thesis is my original work and has never been presented for a degree in any university.

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This thesis has been submitted for examination with our approval as supervisors

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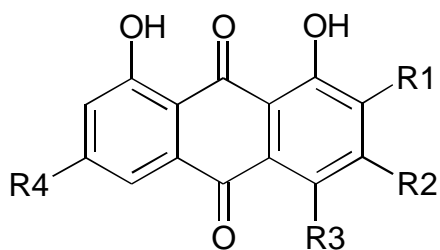
ABSTRACT

The roots of *Kniphofia thomsonii* (Asphodelaceae) were exhaustively extracted with dichloromethane/methanol (1:1) by cold percolation at room temperature. The extract showed significant antiplasmodial activity against the chloroquine-resistant (W2) strain of *Plasmodium falciparum* with IC₅₀ values of 6.36 µg/ml. The extract was subjected to chromatographic separation which led to the isolation of thirteen secondary metabolites.

By the use of 1D (¹H and ¹³C) and 2D (COSY, HMBC and HMQC) NMR, MS, UV spectroscopy and direct TLC comparison with authentic samples in some cases, these compounds were identified as the monomeric anthraquinones: chrysophanol (**1**), islandicin (**2**), physcion (**3**), aloe-emodin acetate (**4**) and aloe-emodin (**5**); the phenylanthraquinone: knipholone (**6**); the benzaldehyde derivatives: flavoglucanin (**7**) and 3''',4'''-dehydroflavoglucanin (**8**) and the dimeric anthraquinones: 10,10'-bichrysophanolanthrone (**9**), 10-hydroxy-10-(chrysophanol-7'-yl)-chrysophanolanthrone (**10**), 10-hydroxy-10-(chrysophanol-7'-yl)-aloe-emodinanthrone (**11**), 10-hydroxy-10-(islandicin-7'-yl)-chrysophanolanthrone (**12**) and 10-hydroxy-10-(islandicin-7'-yl)-aloe-emodinanthrone (**13**). The dimeric anthraquinone **13** is a new compound while flavoglucanin (**7**) and 3''',4'''-dehydroflavoglucanin (**8**) are reported here for the first time in higher plants. The C-6 oxygenated anthraquinone physcion (**3**) is reported here for the first time in the family Asphodelaceae; and this is also the first report for the occurrence of compound **9** (10,10'-bichrysophanolanthrone) in the genus *Kniphofia*.

The compounds isolated in this study were tested *in vitro* for anti-plasmodial activities against the chloroquine-resistant (W2) strains of *Plasmodium falciparum*. The monomeric anthraquinones were inactive; while the phenylanthraquinone **6** [IC₅₀ 2.50 µg/ml (W2)], the benzaldehyde derivatives **7** [IC₅₀ 2.06 µg/ml (W2)] and **8** [IC₅₀ 1.93 µg/ml (W2)] and the dimeric anthraquinones **9** [IC₅₀ 2.23 µg/ml (W2)] and **12** [IC₅₀ 3.42 µg/ml (W2)] showed good activities and appear to be partly responsible for the antiplasmodial activity of the crude extract. This investigation has showed the potential

of dimeric anthraquinones and the benzaldehyde derivatives as lead structures for development of antimalarial drugs.



- 1 $R^1 = R^3 = R^4 = H, R^2 = CH_3$
- 2 $R^1 = R^4 = H, R^2 = CH_3, R^3 = OH$
- 3 $R^1 = R^3 = H, R^2 = CH_3, R^4 = OCH_3$
- 4 $R^1 = R^3 = R^4 = H, R^2 = CH_2OH$
- 5 $R^1 = R^3 = R^4 = H, R^2 = CH_2OAc$

