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THE SYNTHESIS OF BENZO[*c*]CARBAZOLES

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University of the Witwatersrand,
JOHANNESBURG,
In fulfillment of the requirements for the degree of
Master of Science.

March 2005

DECLARATION

I declare that the work presented in this dissertation was carried out exclusively by myself under the joint supervision of Professors C.B. de Koning and J.P. Michael. It is being submitted for the degree of Master of Science in the University of the Witwatersrand, Johannesburg. It has never been submitted before for any degree or examination at any other University.

March 2005

ABSTRACT

The base/light-induced cyclisation (condensation) reaction between alkyl and carbonyl substituents on biaryl compounds discovered in the University of the Witwatersrand laboratories was used to synthesise benzo[*c*]carbazoles. Specifically, 5,7-dimethyl-7*H*-benzo[*c*]carbazole was synthesised from 2-methyl-1*H*-indole in 79 % yield over four steps. The reaction sequence involved bromination of 2-methyl-1*H*-indole at C-3 to give 3-bromo-2-methyl-1*H*-indole. The subsequent methylation of the amino group to give 3-bromo-1,2-dimethyl-1*H*-indole was followed by Suzuki coupling with acetophenone-2-boronic acid under non-aqueous reaction conditions to give 1-[2-(1,2-dimethyl-1*H*-indol-3-yl)phenyl]. Lastly, ^{t}BuOK/hν–induced cyclisation of the product yielded the desired benzo[*c*]carbazole. 10-Methoxy-5,7-dimethyl-7*H*-benzo[*c*]carbazole was synthesized analogously in 75% yield from 5-methoxy-2-methyl-1*H*-indole.

TO

My husband Zimi Nhlapo,
my sons Thuto and Phatsimo,
mothers Onica Nhlapo and Emma Kgamphe,
brothers Daniel, Tebogo and Lekgotla Kgamphe
and
sister Tiro Nhlapo.

Let this be another testimony to how far we have come!

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LIST OF ABBREVIATIONS

Ac	acetyl
Ar	aryl
bp	boiling point
Bu	butyl (*n* = normal, *s* = secondary and *t*/*tert* = tertiary)
CFS	cesium fluorosulfate
DDQ	dichlorodicyanobenzoquinone
DME	dimethoxyethane
DMF	*N,N*-dimethylformamide
DNA	deoxyribonucleic acid
Et	ethyl
FVP	flash vapour pyrolysis
h	hours
HIV	human immunodeficiency virus
h*v*	photochemical conditions
IR	infra red
LDA	lithium diisopropyl amide
Me	methyl
min.	minutes
mp	melting point
NBS	*N*-bromosuccinimide
NMR	nuclear magnetic resonance
o-tol	*ortho*-tolyl
Ph	phenyl
SEM	scanning electron micrograph
THF	tetrahydrofuran
Ts	tosyl (*para*-toluenesulfonyl)
X	halogen

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