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FROM THE ENIGMATIC TO THE METAPHORIC:
TRANSACTIONS WITH HETEROCYCLES AND
ENVIRONMENT

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BY

BABAJIDE I. ALO



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**FROM THE ENIGMATIC TO THE METAPHORIC:
TRANSACTIONS WITH HETEROCYCLES AND
ENVIRONMENT**

An Inaugural Lecture Delivered at the University of Lagos on
Wednesday, 25th June, 2003

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By

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University of Lagos, 2003

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Vice Chancellor,
Distinguished Academia,
Distinguished Guests
Ladies and Gentlemen

To God be the Glory! It is with joy and a deep sense of humility and fulfilment that I present myself this 25th day of the Month of June in the year of our Lord Two thousand and three Anno Domino, to deliver the 4th Inaugural Lecture in 2002/2003 session of this great, unique, and enchanting foremost University in Nigeria. I also feel humbled to deliver the first Inaugural Lecture of this University in the specialities of pure heterocyclic chemistry and environmental chemistry.

1.0 PREAMBLE

Mr. Vice-Chancellor, by way of preface, let me observe that our tradition of the Inaugural Lecture at the University of Lagos appears to be a liberal one. Several views have been expressed on the form of an Inaugural Lecture. Our distinguished late Sociologist, Professor Olusanya, had opined that an Inaugural Lecture might take any of these three forms:

- Concentrate on the Professor's role in the development of the department, if the lecturer is also the occupant of the chair to which the headship is attached;
- Focus on the professor's work within the general framework of his discipline; or
- Zero in on any specific aspect of any general topic on which one has something fresh and stimulating to tell one's audience.

The distinguished Economic Geographer and former Vice-Chancellor, Nurudeen Alao, in his inaugural lecture in 1982 rightly indicated that:

An inaugural lecture should distil a problem area at a contrived level of generality to enable a mixed audience to appreciate what makes the problem tick

but at the same time must maintain the minimum level of technicality necessary to preserve the integrity of the problem.

However, I wish to state briefly my own view of the aim of an Inaugural Lecture. The *raison-d'être* for such Lectures in the origin of academia and of a University is essentially to inaugurate the holder of a Chair in the University. In other words, an Inaugural Lecture is meant to initiate a new Professor into the professorial kingdom. The "new Professor" gives an account of what he/she has contributed to the international pool of knowledge in his/her discipline and/or contribution to the development of his specialisation.

Even though I am not a "new Professor" having been in the chair for over a decade now, it is my great honour and bounden duty, this day, to present to you my significant research contributions within the general framework of my multi-disciplinary training. Hence, the title of my Inaugural Lecture is **"FROM THE ENIGMATIC TO THE METAPHORIC: TRANSACTIONS WITH HETEROCYCLES AND ENVIRONMENT."**

Permit me to say that the choice of the title to wrap up my 29-years research forays was quite problematic. The title changed as the manuscript evolved. It started with words like: "Not all chemists Wear White Coats" to "Chemistry: the Bastion of Compulsion" to "Doing a Waltz with Jewel-studded Molecules." However, the consensus between my collaborators and me ended up in the title under which this lecture is being delivered.

2.0 MOLECULAR RINGS STUDDED WITH JEWELS

In the beginning, my interest in Chemical research was focused mostly on the need to develop chemotherapeutics for local medical problems that were intransigent towards orthodox therapies. The enigmatic molecules, also known as

the "star-studded molecules", or "Molecules embedded with Jewels by nature" (the stars amongst organic compounds) otherwise called HETEROCYCLIC COMPOUNDS were the obvious compounds of choice for our interest. We wish to describe these compounds now as enigmas amongst organic compounds. As many organic chemists will confirm, you have to be enigmatic as a chemist to work with heterocyclic compounds! We are also propounding that it is metaphorical that these compounds have also become the kernel on which environmental chemistry revolves. All of us will kind of agree that having transactions with star-studded compounds requires one to be an icon or an enigma amongst chemists. Maybe, I am one!

2.1 WHAT ARE HETEROCYCLIC COMPOUNDS?

Heterocyclic compounds, as a group, now dominate the Chemistry sub-field called Organic Chemistry, with a least 55% of organic chemistry publications dedicated to this specialisation. Heterocyclic chemistry is indeed taught and researched worldwide at most universities and its scope is reflected in many fine textbooks and scientific monographs. Regrettably, the significance of these enigmatic molecules in chemistry cannot be down played although they are usually discussed only in a non-systematised manner. Furthermore, many teachers of organic chemistry avoid elaborating on the applications and relationships of heterocycles in nature. In this Inaugural Lecture, emphasis will be placed mostly on the practical importance of heterocycles in medicine and the environment. I hope to have you, the audience, through this Inaugural Lecture, to become involved in an immensely important and exciting field of modern chemical science and technology.

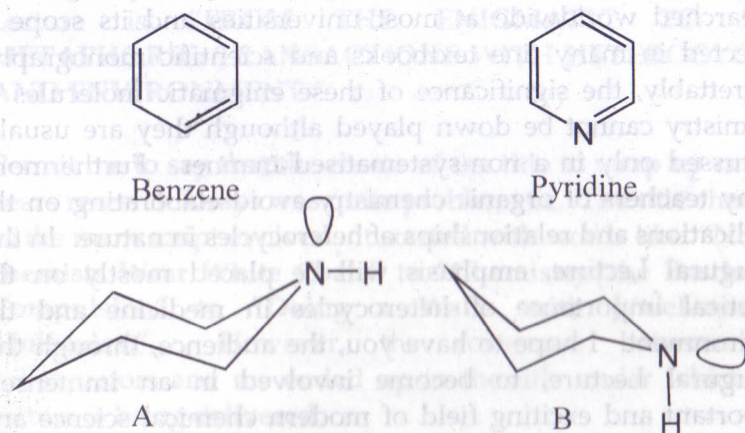
All of us, whether or not we are students of organic chemistry, will be aware of the vital role of proteins, fats and carbohydrates in life processes. Experience has shown that

considerably less is usually known about **heterocycles**: the class of compounds, which provide the backbone framework to these important life-support molecules.

2.1.1 From Homocycle to Heterocycle

The Russian scientist, N. Beketov, once compared heterocyclic molecules to jewellery rings studded with precious stones. Several carbon atoms usually make up the setting of a typical molecular ring, while the role of the jewel is played by an atom of another element, other than carbon, i.e. a heteroatom. In general, it is the heteroatom that impacts to a heterocycle its distinctive and sometimes striking properties. For examples, if we change one carbon atom in **benzene** for one nitrogen atom, we obtain a heterocyclic ring, pyridine, from a homocyclic molecule. In the same way, we can derive 1,2,3,4-tetrahydropyridine with different conformations from cyclohexane (Figure 1).

Fig. 1



A great many heterocyclic compounds are known. They differ (a) in the size and number of their rings, (b) in the type and number of heteroatoms, (c) in the positions of the heteroatoms

and so on. The rules of their classification help to orient us and also systematise the group.

Cyclic hydrocarbons are divided into cycloalkanes (cyclopentane, cyclohexane and so on), cycloalkenes (for example, cyclohexene) and aromatic hydrocarbons (with benzene as the main representative). But with heterocycles the most is the basic general classification of heterocycloalkenes (e.g. 1,2,3,4 tetrahydropyridine) and heteroaromatic systems (e.g. pyridine, etc.). Subsequent classification is based on the type of heteroatom present. On the whole, the heterocycloalkanes and the heterocycloalkenes show comparatively small differences when compared with the related non-cyclic compounds. Thus, piperidine possesses chemical properties very similar to those of aliphatic secondary amines, such as diethylamine, and 1,2,3,6-tetrahydropyridine resembles both a secondary amine and an alkene.

An interesting feature of heterocycloalkanes and heterocycloalkenes is the possibility of their existence in several geometrically distinct non-planar forms, which can quite easily (without bond cleavage) equilibrate with each other. Such forms are called conformations. For instance, piperidine exists mainly in a pair of chair conformations in which the internal angle between any pair of bonds is close to tetrahedral ($109^{\circ}29'$) to minimise steric strain. In these two chair conformations (Fig. 1) the N-H proton is in either the equatorial (A) or axial (B) position, the first being slightly preferred.

By contrast, the heteroaromatic compounds, as the most important group of heterocycles, possess highly specific features. Historically, the name 'aromatic' for derivatives of benzene, naphthalene and their numerous analogues came from their characteristic unusual physical and chemical

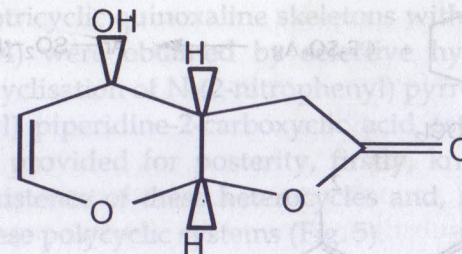
properties. Aromatic compounds differ from other groups by an increased stability toward temperature and light. They tend to be oxidised and reduced with difficulty. On treatment with electrophilic, nucleophilic and radical agents, they mainly undergo substitution rather than the addition reactions to the multiple bonds that are typical of ethylene and other alkenes. Such behavioural pattern results from the peculiar electronic configuration of the aromatic ring. These characteristics we have exploited in our research and we shall discuss shortly.

3.0 IN THE BEGINNING

Our forays, endeavours and contributions to the world literature in heterocyclic chemistry started in 1975. At that time, my supervisor/collaborator, **Prof. E. K. Adesogan**, who incidentally also introduced me to the world of heterocyclic Chemistry in my Part II as far back as 1972, and I investigated the potency in a finely ground mixture of earthworm casts and salt in treating chronic dysentery in some parts of Nigeria. D. S. Adoun, former Headmaster of E. K. A. gave him this antidote. His mother experienced rapid cures from its use. The Headmaster and EKA have since then used it with consistently good results. We then set forward to investigate the antibiosis of earthworm casts by looking at secondary metabolites from the microorganism content of the casts. We were able to establish antibiotic activity in the casts as a whole and found that the activity was dependent on the presence of living microorganisms within the casts (Alo, B. I. and Adesogan, E. K, 1976 unpublished results). One of the fungi isolated was *Fusarium oxysporum* var. Schelcht with Accession No. IMI211881 has since been deposited at the Commonwealth Mycological Institute in Kew, England since 1977. On Czapek-Dox medium, there was no pigmentation and the fungus gave the well-known fusaric acid and its dehydroanalogue. The fungus pigmented heavily however on Raulin-Thom medium. Most of the pigments on the fourth day were due to non-volatile naphthazarins. On the eighth day, a non-volatile red

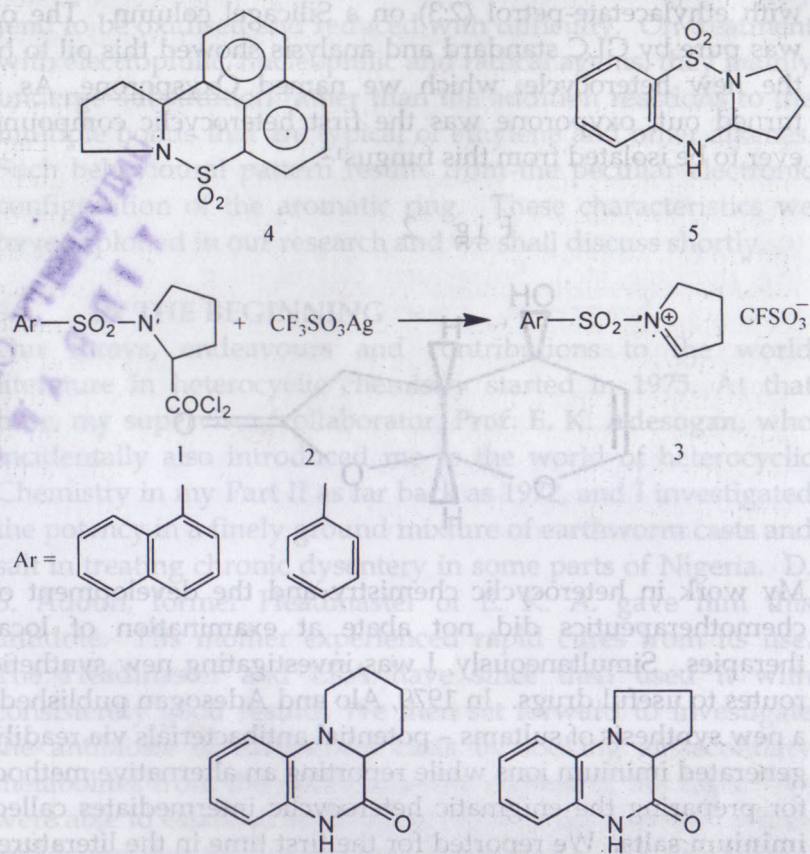
oil was separated (ca 15mg per litre of culture fluid) by elution with ethylacetate-petrol (2:3) on a Silicagel column. The oil was pure by GLC standard and analysis showed this oil to be the new heterocycle: which we named Oxysporone. As it turned out, oxyporone was the first heterocyclic compound ever to be isolated from this fungus^{1,2}.

Fig. 2



My work in heterocyclic chemistry and the development of chemotherapeutics did not abate at examination of local therapies. Simultaneously, I was investigating new synthetic routes to useful drugs. In 1979, Alo and Adesogan published³ a new synthesis of sultams – potential antibacterials via readily generated iminium ions while reporting an alternative method for preparing the enigmatic heterocyclic intermediates called **iminium salts**. We reported for the first time in the literature, the New Synthesis of Sultams via readily generated Iminium Ions. We showed the world that N-Arylsulphonylpropyl chlorides (1) reacted instantaneously with silver trifluoromethanesulphonate (2) at room temperature to give the iminium salts (3), which provided convenient routes to the new heterocyclic compounds (sultams) 9,10,11,12-tetrahydronaphtho[1,8-de]pyrrolo[1,2-b]thiazine 7,7-dioxide (4) and 2,3-dihydro-1H-pyrrolo[1,2][1.2.4]-benzothiadiazine 5,5-dioxide (5).

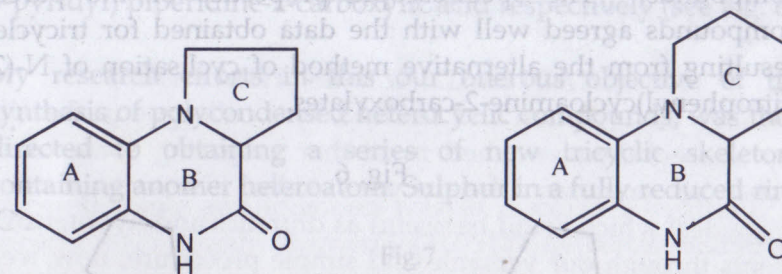
Fig 3



Mr. Vice-Chancellor, Sir, Polycondensed nitrogen heterocycles such as the above novel compounds in Fig. 3 had attracted considerable interest in world-wide chemical literature in the early '80s because of their importance in drug therapy and their marked activity in many biological systems. We recognised a gap that heterotricyclic quinoxalines with bridge-head nitrogen atoms and having a fully-reduced ring 'C' are relatively few in the literature. Yet there was a renewed interest as several German patents were granted in 1979 on the

use of certain quinoxaline derivatives as drugs. The paucity of knowledge on such tricyclic quinoxaline heterocyclic systems led us to work out a general and facile method for the synthesis of these ring systems as a continuation of our interests in these enigmatic nitrogen heterocycles. Hence, I started in my research group here at the University of Lagos *inter alia*, work on Polycyclic Nitrogen Compounds and in 1982, we published the first⁴ in a 9-Part series so far on this group of compounds. We started by showing the world that new heterotricyclic quinoxaline skeletons with a fully-reduced "C" (Fig. 4) were obtained by selective hydrogen transfer reductive cyclisation of N-(2-nitrophenyl) pyrrolidine and N(2-nitrophenyl) piperidine-2-carboxylic acid esters respectively and hence provided for posterity, firstly, knowledge on the possible existence of these heterocycles and, secondly, a new route to these polycyclic systems (Fig. 5).

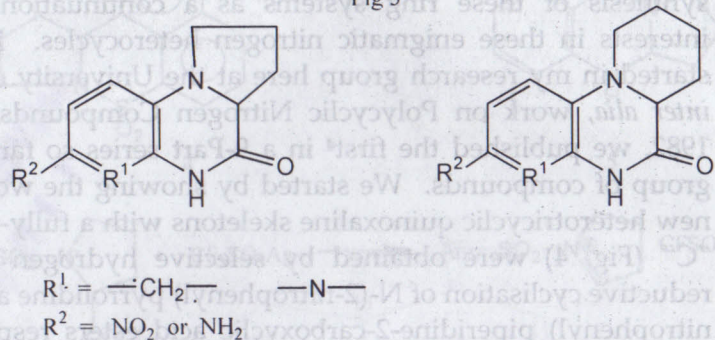
Fig. 4



In continuation of my interest in synthesis and chemistry of new heterocyclic quinoxalines with bridge-head nitrogen atoms and a fully-reduced ring C and following our earlier observation that derivatives of N-(2-nitrophenyl)cycloamine-2-carboxylates cyclise readily under selective hydrogen transfer reduction conditions to give the corresponding tricyclic quinoxalinones, we (Adegoke and Alo, 1983) turned our attention to investigate the utility of this new route to a

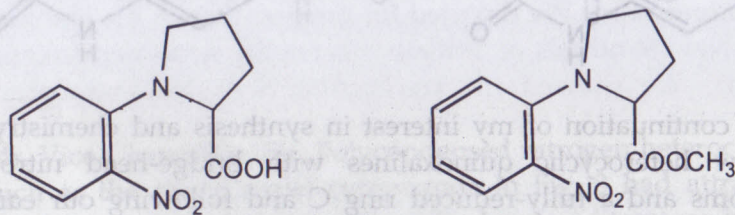
general synthesis of the parent skeleton as well as the 4 or 6-azatricyclic quinoxalinone skeletons. (Fig 5)

Fig 5



Deamination of aminobenzenes has been quite well explored as a route to unsubstituted benzenes. We then reported in Part II of the series⁵, the successful transformation of the nitro derivatives of the tricyclic quinoxalinones into the parent compounds. The spectroscopic properties of the parent compounds agreed well with the data obtained for tricycles resulting from the alternative method of cyclisation of N-(2-nitrophenyl)cycloamine-2-carboxylates.

Fig. 6

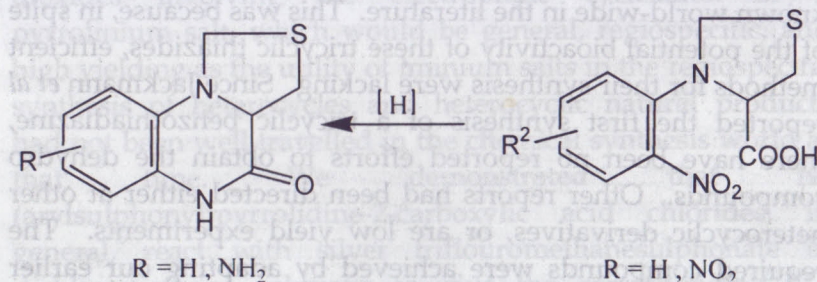


Our studies during this time simultaneously extended to the unusual but important aza-analogues because, as far as we know, the only tricyclic azaquinoxalinone ever reported before our studies was a chelidamic acid derivative obtained by treatment of diethyl chelidonate reference with 2,3-

diaminopyridine. Bicyclic azaquinoxalines (pyrido [2,3-b] pyrazines) were however available commercially. In fact, these bicyclic azaquinoxalines were used as synthons for Reissert compound studies as a follow-up to the earlier use of the tricyclic pyrrolol[1,2-a]quinoxalines. This situation prompted us to explore the possibility of preparing new 4 or 6-azaheterotricyclic quinoxalinones (e.g. 6 and 7) via our hydrogen transfer reductive cyclisation routes⁵. We undertook to prepare the unknown chemical compounds: 1,2,3,3a-tetrahydro-9-nitropyrrolo[1,2-a]quinoxalin-4-one and 7,8,9,10-tetrahydro-3-nitropyrido[1,2-a]quinoxalin-6-one which were then reduced and deaminated to give new parent tricyclic quinoxalinone skeletons. We were successful. The latter compounds were identical with the tricycles obtained by an unambiguous independent synthesis. Also new 6-aza-1,2,3,3a-tetrahydropyrrolo [1,2-a]-quinoxaline-6-one were prepared by selective hydrogen transfer reductive cyclisation of esters of N-(2-nitro-3-pyridyl)pyrrolidine-2-carboxylic acid and N-(2-nitro-3-pyridyl) piperidine-2-carboxylic acid respectively (see Fig. 6).

My research efforts in this our onerous objective of the synthesis of polycondensed heterocyclic compounds, was then directed to obtaining a series of new tricyclic skeletons containing another heteroatom: Sulphur in a fully reduced ring

Fig.7

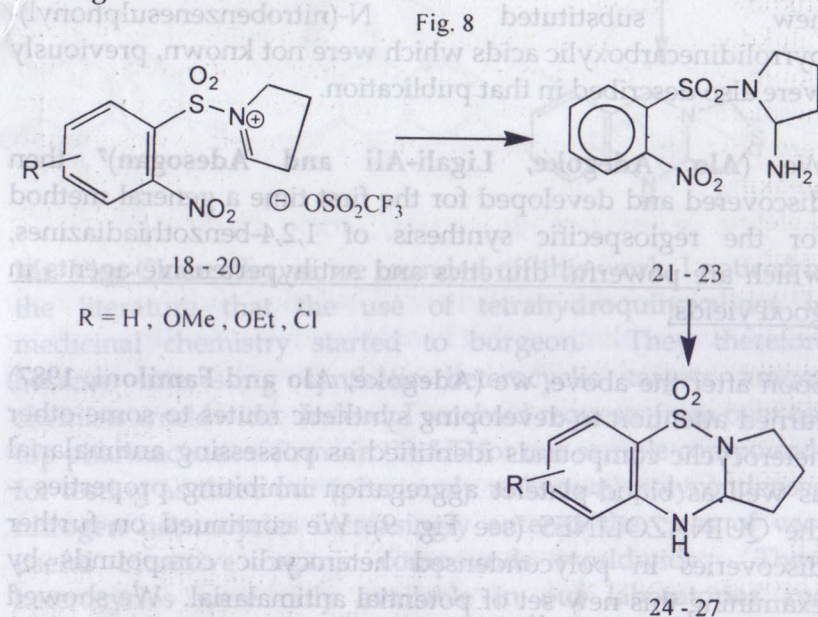


It was expected that the presence of another heteroatom other than nitrogen should produce a skeleton of different physiological properties. This was also because heterocyclic compounds in which a thiazolidine residue is fused to a quinoxalinone nucleus at the 1,2 positions were relatively unknown. The only report, as far as we knew it, was that of Talukdar *et al* who obtained some mesoionic thiazolol[3,2-a]quinoxalin-4-ones among several other compounds. Other mesoionic systems could not be prepared because they were very unstable. The Part III of our Series⁶ therefore was ground breaking. We reported, in 1983, new tricyclic quinoxalinone skeletons with bridge-head nitrogen atoms and containing sulphur in a fully-reduced five membered ring 'C' (Fig 7). 3,3a-Dihydrothiazolol[3,4-a]quinoxalin-4-ones were prepared by metal-acid reductive cyclisation of N-(nitrophenyl)- and N-(dinitrophenyl)thiazolidine-4-carboxylic acids. Attempts to obtain the skeleton by selective hydrogen transfer reductive cyclisation of corresponding esters were unsuccessful as the sulphur poisoned our catalysts.

4.0 THE BENZOTHIADIAZINES (THIAZIDES)

Through a suggestion and demand by a colleague in the US, my interest then switched to further preparing some other series of enigmatic heterocycles called benzothiadiazine (thiazides) which could be useful as diuretics and hypotensive agents through our versatile and simple procedure, now well known world-wide in the literature. This was because, in spite of the potential bioactivity of these tricyclic thiazides, efficient methods for their synthesis were lacking. Since Jackmann *et al* reported the first synthesis of a tricyclic benzothiadiazine, there have been no reported efforts to obtain the dehydro compounds. Other reports had been directed either at other heterocyclic derivatives, or are low yield experiments. The required compounds were achieved by adapting our earlier reported procedure of the room temperature reaction of several N-arylsulphonylpyrrolidyl chlorides with silver

trifluoromethanesulphonate in dichloromethane solutions to give N-(arylsulphonyl)pyrrolidinium salts in respectable yields. We then demonstrated⁷ that N-(arylsulphonyl)pyrrolidinium salts could provide a convenient route to the tricyclic substituted benzothiadiazines (thiazides) See Fig. 8.

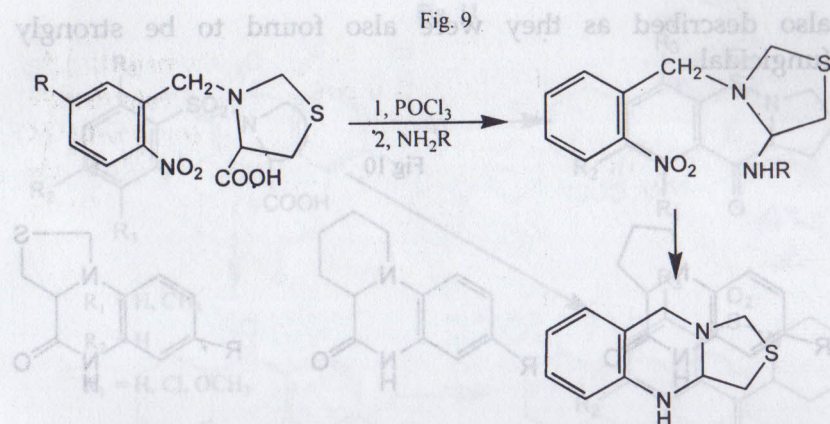


My next move and intention was to discover a synthesis of tricyclic tetrahydropyrrolo[1,2-b]-[1,2,4]benzothiadiazine dioxides involving the electrophilic cyclisation of a pyrrolinium salt, which would be general, regiospecific, and high yielding as the utility of iminium salts in the regiospecific synthesis of heterocycles and heterocyclic natural products had not been well travelled in the chemical synthesis world at that time. We demonstrated that N-(arylsulphonyl)pyrrolidine-2-carboxylic acid chlorides, in general, react with silver trifluoromethanesulphonate in dichloromethane solutions to give the corresponding N-(arylsulphonyl)pyrrolinium salts (18-20) which can be converted into nitroamine synthons (21)-(23). These

intermediates in turn provided easy access to tricyclic 1,2,4-benzothiadiazines (24)–(27). These new nitroamine synthons (21)–(23) are ordinarily difficult to obtain by other routes. Reductive cyclisation of the nitroamines led to the tetrahydro-1H-pyrrolo[1,2,4]benzothiadiazine 5,5-dioxides (24) – (27) in very good yields. Efficient methods for the synthesis of some new substituted N-(nitrobenzenesulphonyl)-pyrrolidinecarboxylic acids which were not known, previously were also described in that publication.

We (Alo, Adegoke, Ligali-Ali and Adesogan)⁷ then discovered and developed for the first time a general method for the regiospecific synthesis of 1,2,4-benzothiadiazines, which are powerful diuretics and antihypertensive agents in good yields.

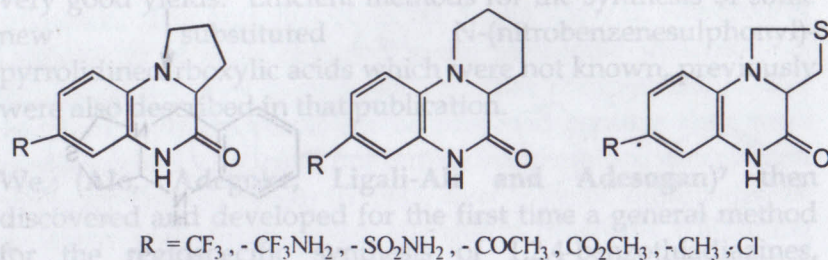
Soon after the above, we (Adegoke, Alo and Familoni, 1987) turned attention to developing synthetic routes to some other heterocyclic compounds identified as possessing antimalarial as well as blood-platelet aggregation inhibiting properties – the QUINAZOLINES (see Fig. 9). We continued on further discoveries in polycondensed heterocyclic compounds by examining this new set of potential antimalarial. We showed that regiospecific synthesis of 4H-3,3a-Dihydrothiazolo [4,3-b]quinazolines and 7-methyl-4H-3,3a,-dihydrothiazolo[4,3-b]quinazolines and 7-methyl-4H-3,3a,-dihydrothiazolo [4,3-c]quinazolines could be achieved smoothly by decarboxylation of the corresponding N-substituted thiazolidinecarboxylic acids using phosphorus oxychloride. These eventually afforded the nitroamines. Reductive cyclisation of the nitroamines, successfully led to the quinazolines. This work carried out under my supervision was indeed the M. Phil. Thesis of Dr Oluwole Familoni, FCSN, now Associate Professor and current Ag. Head of the Department of Chemistry in this University and published in Part 5 of our Series (see Fig. 9).



Mr. Vice-Chancellor, as we rounded off this work, I noticed in the literature that the use of tetrahydroquinoxalines in medicinal chemistry started to burgeon. They therefore became interesting synthetic heterocyclic targets among chemists worldwide. Indeed, I received requests from over ten top pharmaceutical firms in the US for our sample compounds for testing as these our (previously unknown) polycondensed nitrogen heterocycles increasingly entered the class of very useful bioactive organic compounds worldwide. These heterocycles were only available in our laboratories and nowhere else in the world. As a matter of fact, my first Ph.D. product who worked on these molecules: Dr. (Mrs) Alexandra Graham-Ode was immediately employed at Rutgers University where she spent only 18 months before being attracted to Abbott Pharmaceutical Laboratories in Chicago where she has been since 1994 till today. Hence in Part 6 of our Series⁹, we examined and published facile syntheses of sixteen novel tricyclic angular benzo-substituted quinoxalinones with saturated ring 'C' (See Fig. 10). The heterocycles were afforded by intramolecular reductive cyclisations of the corresponding new N-(X-substituted-2-nitrophenyl)-2-cycloamino-carboxylic acids. Efficient methods for obtaining these precursors was also important and were

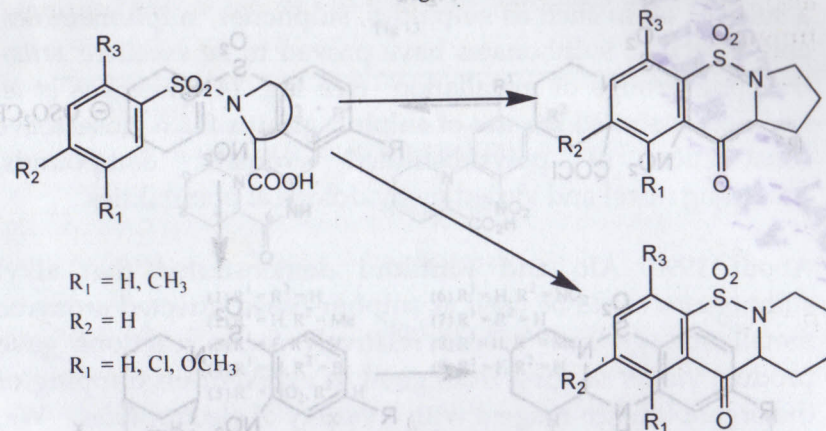
also described as they were also found to be strongly fungicidal.

Fig 10



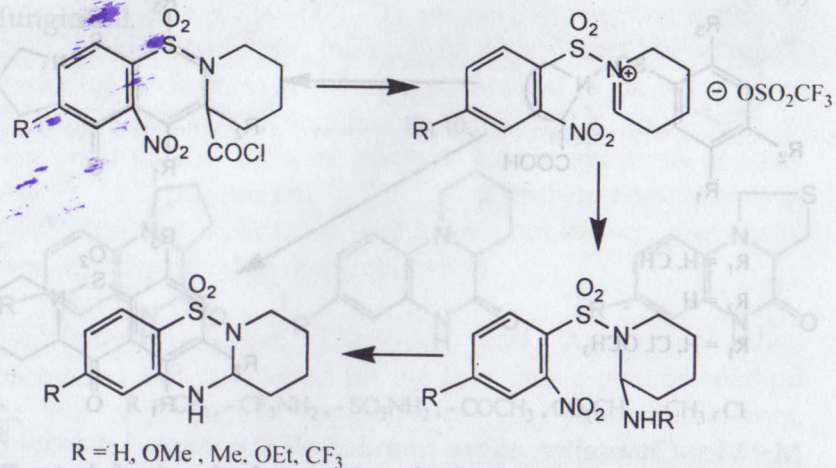
The international requests for collaboration and testing of our samples were so many that I could not relent in our search for new heterocycles. This led us (Alo, Ewedemi and Familoni (1988)¹⁰ to develop a general method for the regiospecific synthesis of the new tricyclic 1,2-benzothiazines through Friedel-Crafts-related *exo-trig* intramolecular cycliacylation reactions of the acid chlorides of the corresponding new N-(X-halo-Y-methylbenzenesulphonyl) cycloamine-2-carboxylic acids, or N(X,Y - dimethylbenzene sulphonyl) cycloamine-2-carboxylic acids (see Fig. 11). The starting materials were new and never known in the literature and hence our first task was to discover efficient methods for the synthesis of these new carboxylic acids. The research led to the discovery of ten new heterocyclic compounds with double jewels, i.e. 2-heteroatoms S and N in the skeleton.

Fig 11



Similarly, because I had demonstrated the usefulness of iminium salts in the Synthesis of a variety of polycondensed heterocycles, it became necessary to extend these triflate-assisted decarbonylation reactions to the development of analogues with six-membered ring 'C' in the systems. This became necessary because these systems will be perfect analogues of the powerful bioactive piperidine alkaloids. Hence, in Part 8 of our series¹¹, N-(arylsulphonyl)tetrahydropyridinium salts were obtained regiospecifically and in high yield by smooth triflate-assisted decarbonylation of the corresponding N-(arylsulphonyl)piperidine-2-carboxylic acid chlorides at room temperature. These synthons were converted into the nitroamines which reductively cyclocondensed to give the new 9-substituted tricyclic azacycles, hexahydropyrido[1,2-b][1,2,4]benzothiadiazine 6,6-dioxides (see Fig. 12).

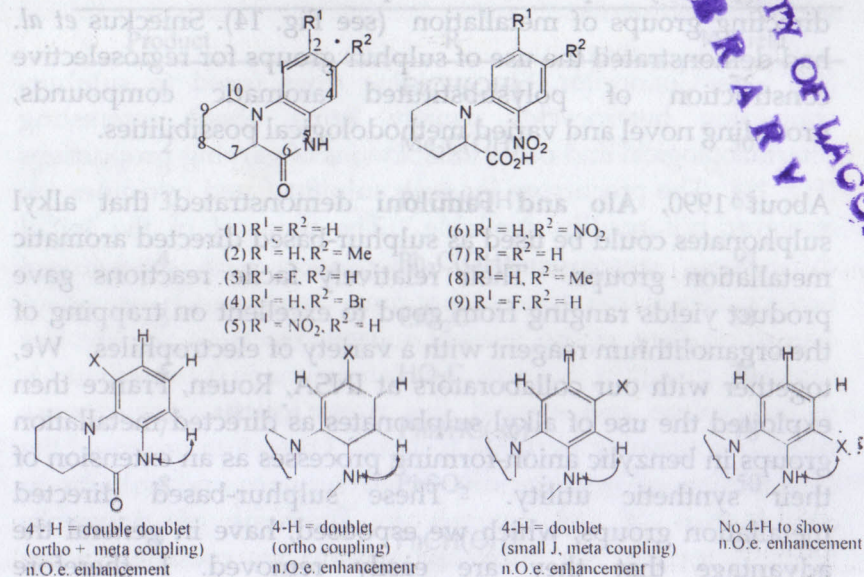
Fig 12



As I was discovering new heterocyclic compounds, I was also providing knowledge on unambiguous **chemical analysis and identification** of these novel compounds. Thus in Part 9 of our Series¹², we showed the use of the Nuclear Overhauser Effects in N.M.R Spectroscopy for the Determination of the Orientation of Aromatic Substitution in Tricyclic Quinoxalinones. Together with colleagues at the University of Sussex in the U.K., in 1991, we demonstrated from ¹H-N.m.r. nuclear Overhauser enhancement studies that the amide NH of 7,8,9,10-tetrahydropyrido[1,2-a]quinoxalin-6-ones could be used to analyse for and identify the aromatic proton signals of the quinoxalin-6-ones upon new reactions changing the molecular structure. We then showed that bromination of these skeletons with bromine in glacial acetic acid takes place at C-3 whilst nitration with potassium nitrate-concentrated sulphuric acid takes place at C-2 (see Fig. 13).

As far as we know, this was the first ever use of this technique in the analytical chemistry of these potential drugs.

Fig 13



5.0 METALS IN HETEROCYCLIC SYNTHESIS

Mr. Vice Chancellor, following a long-term study leave in 1983 at Guelph-Waterloo Centre for Graduate work in Chemistry (GWC²), University of Waterloo, Canada working with the world legend of directed metallation chemistry, Prof. Victor Arthur Snieckus, I was introduced to the use of metals for synthesis of complex heterocycles. This was because organolithium and other organometallic intermediates continued to occupy a prime position in synthetic chemistry since they facilitate a large variety of chemical transformations. In the elaboration of homo- and hetero-aromatic systems, their use is increasing owing to the continuing development of substituents capable of directing the introduction of the metal into the molecule in a predictable manner. Sulphur-based directed metallation groups have attracted particular attention. Substituents on homo- and hetero-aromatic systems including

a sulphur atom such as sulphides, sulphones, sulphonamines, and especially sulphonates have proved to be excellent *ortho*-directing groups of metallation (see Fig. 14). Snieckus *et al.* had demonstrated the use of sulphur groups for regioselective construction of polysubstituted aromatic compounds, providing novel and varied methodological possibilities.

About 1990, Alo and Familoni demonstrated that alkyl sulphonates could be used as sulphur-based directed aromatic metallation groups. Their relatively facile reactions gave product yields ranging from good to excellent on trapping of the organolithium reagent with a variety of electrophiles. We, together with our collaborators at INSA, Rouen, France then exploited the use of alkyl sulphonates as directed metallation groups in benzylic anion-forming processes as an extension of their synthetic utility. These sulphur-based directed metallation groups, which we espoused, have in general the advantage that they are easily removed. I therefore demonstrated to the chemistry world, the use of Sulphur-based Directed Benzylic Metallations for heterocyclic synthesis using the Lithiations of new Alkylarenesulphonates as template¹³.

Fig. 14

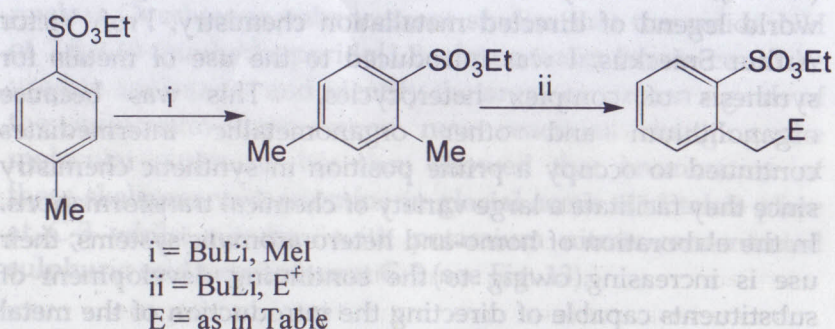


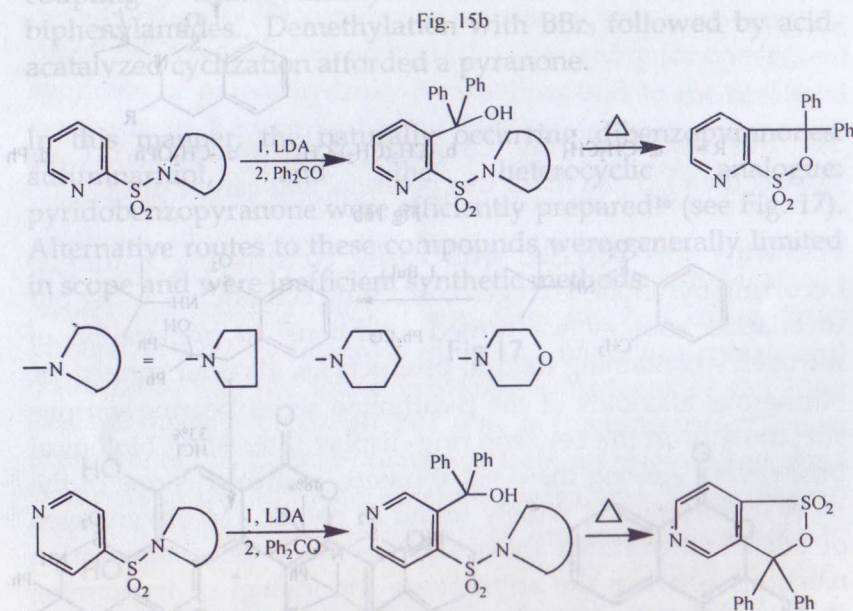
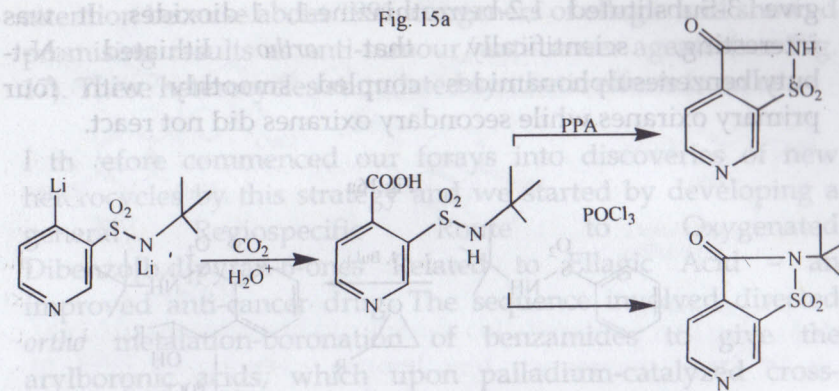
Table 1: List of Electrophiles and Yields of Product.

Product	R	% Yield
1	EtCH(OH)	75
2	Me ₂ C(OH)	50
3	PhCH(OH)	65
4	Ph ₂ C(OH)	91
5	EtO ₂ C	50
6	HO ₂ C	70
7	PhNHC(:O)	78
8	PhSO ₂	50
9	PhCH(OH)	60
10	Ph ₂ C(OH)	90
11	HO ₂ C	85
12		40

In our studies, Benzylic anions were obtained by regio-specific lithiations of ethyl 2-methylbenzenesulphonates. Evidence for the presence of the ethyl 2-lithiomethylbenzenesulphonates was obtained by efficient quenching studies with a range of electrophiles (see Table 1). Lithiations of the corresponding 2,4-dimethyl compound gave the 2-lithiomethyl anion only, indicative of a predominant co-ordination mechanism in the lithiations. The stratagem discovered by us furnished a convenient means, not only for homologations of 2-alkylbenzenesulphonates, but also for the construction of sulphur-containing heterocycles (thiazines or sultones) on cyclisation of the appropriate products from quenching with

appropriate electrophiles. The benzylic lithiations also provided access to aromatic compounds bearing unusual methyl substituents.

Mr. Vice Chancellor, Sir, pyridine rings fused to sulphur-containing heterocycles usually bring about interesting pharmacological and other bioactivities of varying proportions (Fig. 15). The precursors for such sulphur-fused pyridines are however not readily available. The removal of the initial difficulties accompanying metalation of π -deficient heterocycles in literature, at that time, coupled with our recent exposition then of the tertiary sulphonamide as an effective directing group in pyridine metalations made it expedient for us to explore and expand this route to obtaining diverse sulphur-containing pyridine systems. I therefore proposed the construction of new pyridine-fused sultones and sultams in continuation of my interest in synthesis of polycyclic heterocycles. In the published report¹⁴ we presented some new sulphur-containing pyridine bicycles obtained *via* *ortho*-directed Metalation of Pyridinesulphonamides (see Figs. 15a and 15b). Metalation of 2- and 4-(N,N-dialkylaminosulphonyl)pyridines with lithium diisopropylamide (LDA) gave, anions which thermally cyclised to 1,2-oxathio[3,4-b]pyridine and 1,2-oxathio[4,3-c]pyridine respectively. Hence, by this new discovery, we synthesized for the first time Pyridine-fused Isothiazoles and 1,2-Oxathiols from directed metalation strategy.



Alo and Familoni in 1993 published in the *Nigerian Academy of Science Journal*¹⁵ that Sulphur-Based Directed Lithiations could be used for the Synthesis of new 3-Substituted 1,2-Benzothiazine-1,1-Dioxides (see Fig. 16). Carbinols obtained from reactions of lithiobenzenesulphonamides with various oxiranes or benzophenone were cyclocondensed in phosphorous oxychloride or hydrochloric acid respectively to

give 3-Substituted 1,2-benzothiazine-1, 1-dioxides. It was interesting, scientifically that *ortho* lithiated N-t-butylbenzenesulphonamides coupled smoothly with four primary oxiranes while secondary oxiranes did not react.

Fig 16a

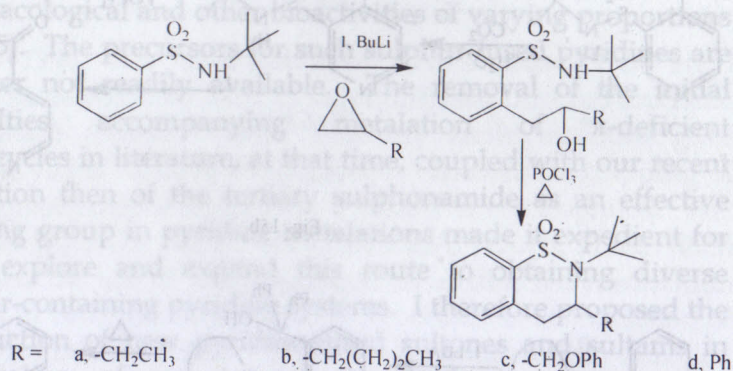
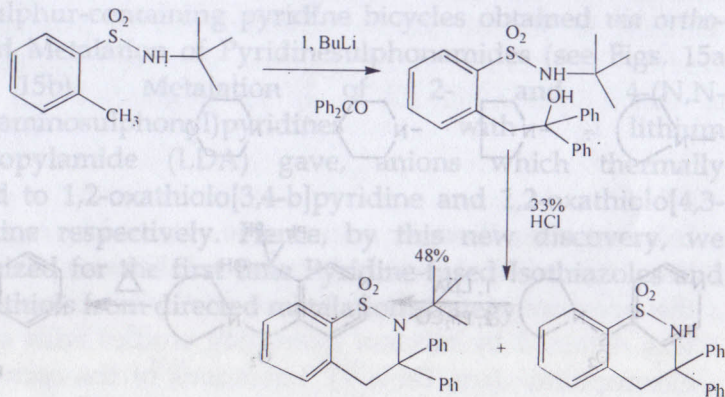


Fig 16b



5.1 DEVELOPING CROSS-COUPLING REACTIONS FOR SYNTHESIS OF DRUG CONGENERS

Mr. Vice Chancellor, in collaboration with our Canadian partners, the Sequential Directed *Ortho* Metalation-Boronic Acid Cross-Coupling Reactions for the preparation of new oxygen and nitrogen-containing heterocycles caught our

attention because about 1991, congeners of ellagic acid showed promising results as anti-tumour/anti-cancer agents (see Fig. 17). These heterocycles stimulated synthetic efforts from us.

I therefore commenced our forays into discoveries of new heterocycles by this strategy and we started by developing a general Regiospecific Route to Oxygenated Dibenzo[b,d]pyran-6-ones Related to Ellagic Acid - an improved anti-cancer drug. The sequence involved directed *ortho* metalation-boronation of benzamides to give the arylboronic acids, which upon palladium-catalyzed cross-coupling with alkoxybromobenzenes led to the biphenylamides. Demethylation with BBr₃ followed by acid-catalyzed cyclization afforded a pyranone.

In this manner, the naturally occurring dibenzopyranones: autumnariol, and the heterocyclic analogue: pyridobenzopyranone were efficiently prepared¹⁶ (see Fig. 17). Alternative routes to these compounds were generally limited in scope and were inefficient synthetic methods.

Fig 17

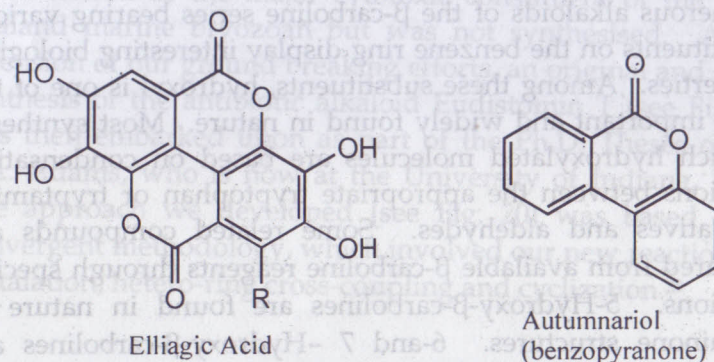
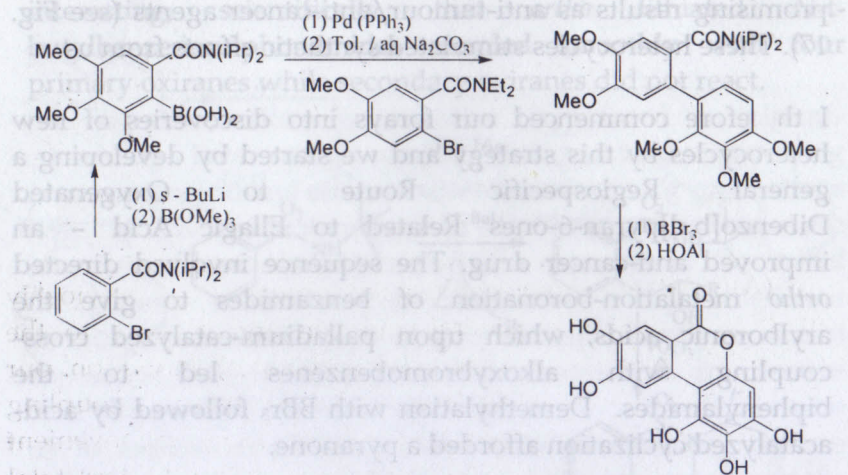


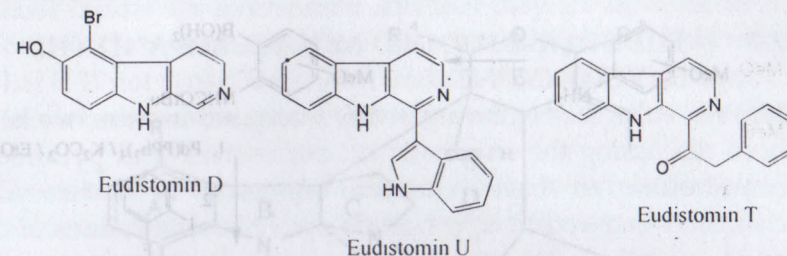
Fig 18



For this discovery, my Canadian collaborators and I are hoping for a US Patent for this potential anti-cancer drug.

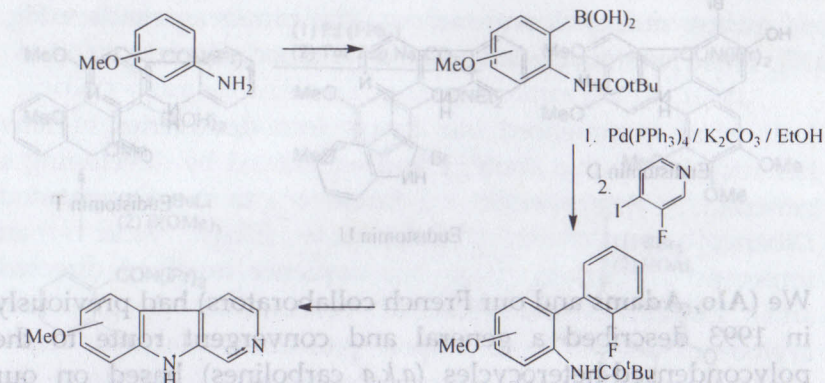
Following this success, with easily constructing naturally-occurring pyranones: oxygen containing compounds using our metalation strategy we turned attention¹⁷ to preparation of nitrogen - containing natural products i.e. alkaloid congeners. Numerous alkaloids of the β -carboline series bearing various substituents on the benzene ring display interesting biological properties. Among these substituents, hydroxyl is one of the most important and widely found in nature. Most syntheses of such hydroxylated molecules are based on condensation reactions between the appropriate tryptophan or tryptamine derivatives and aldehydes. Some related compounds are prepared from available β -carboline reagents through specific reactions. 5-Hydroxy- β -carbolines are found in nature in canthinone structures. 6-and 7 -Hydroxy- β -carbolines are widely present in nature like eudistomins as well as in 9-hydroxycanthin-6-one. 8-Hydroxy- β -carbolines are found in picrasidines and some bis-carbolines.

Fig 19



We (Alo, Adams and our French collaborators) had previously in 1993 described a general and convergent route to the polycondensed-heterocycles (a.k.a carbolines) based on our developed synthetic strategy of metalation and cross-coupling reactions. We therefore embarked on searching for convenient synthesis of parent hydroxy- β -carbolines and to the first total synthesis of 8-hydroxy-1-vinyl- β -carboline from commercial anisidines as convenient tools based on the key steps of metalation, cross-coupling and cyclization. The first total synthesis of a major cyto-toxic constituent of a marine bryozoan was then reported by us¹⁸ i.e. the 8-hydroxy-1-vinyl- β -carboline (see Fig. 19a). These alkaloids had earlier been isolated in 1991 by Munro *et al.* from *Cribricellina cribria* and characterised as the major cytotoxic constituent of the New Zealand marine bryozoan but was not synthesised. As an extension of our ground breaking efforts, an original and short synthesis of the antibiotic alkaloid Eudistomin T (see Fig 19) was then embarked upon as part of the Ph.D. Theses of Dr. L.A. Adams, who is now at the University of Indiana, USA. The approach we developed (see Fig. 20) was based on a convergent methodology, which involved our new reactions of metalation, hetero-ring cross-coupling and cyclization.²⁰

Fig. 20

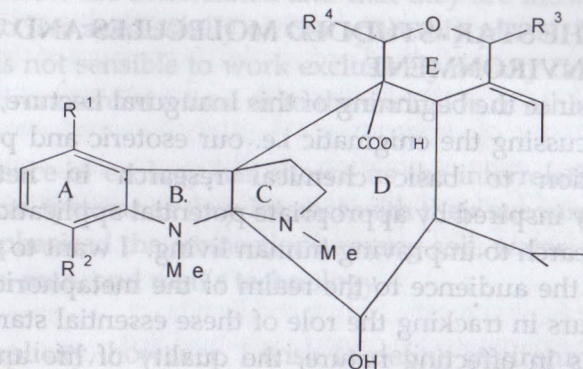


Similarly, we synthesised Eudistomins D and U as an extension of our fruitful strategy starting from simple benzene and pyridine derivatives.

6.0 HETEROCYCLES FROM MEDICINAL PLANTS

Mr. Vice Chancellor, further in the course of my career, I examined medicinal plants directly and isolated interesting heterocyclic compounds from them. **Adegoke and Alo** isolated and characterised, by spectroscopic methods, four isomeric 14-isopropyl-dihydroxydeoxyisocorymines with a lactone bridge (Fig. 21). These water-soluble heterocyclic compounds (alkaloids) were isolated for the first time by us from the seeds of *Hunteria umbellata*. We named the compounds as **abereamines** after the Yoruba name of the plant: "Abere".²⁰

Fig. 21

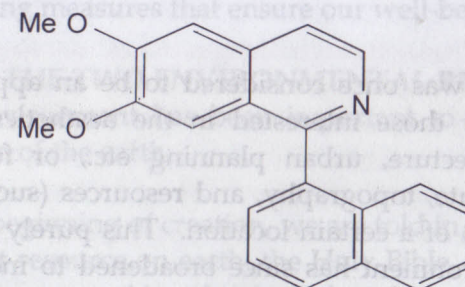


- 1 $R^1 = R^2 = OH; R^3 = R^4 = H_2$
- 2 $R^1 = H; R^2 = R^4 = OH; R^3 = H_2$
- 3 $R^1 = R^2 = H; R^3 = R^4 = OH$
- 4 $R^1 = H; R^2 = R^3 = OH; R^4 = H_2$

Abereamine

Again, Alo and Olowokudejo in 1996 examined a Nigerian medicinal plant in collaboration with German chemists in Wurzburg Germany and we published the discovery of new heterocycles: Naphthylisoquinoline alkaloids from *Ancistrocladus guineensis* in 1998²¹ (see Fig. 21b).

Fig. 21b



Naphthylisoquinoline Alkaloid Type

7.0 THE STAR-STUDDED MOLECULES AND THE ENVIRONMENT

Mr. VC, since the beginning of this Inaugural Lecture, we have been discussing the enigmatic i.e. our esoteric and pyramidal contribution to basic chemical research in heterocyclic chemistry inspired by appropriate potential applications of the basic research to improving human living. I want to now take you and the audience to the realm of the metaphoric, i.e. our endeavours in tracking the role of these essential star-studded molecules in affecting nature, the quality of life and living, *a.k.a. the environment*. Firstly, let us describe the term – “Environment.”

7.1 WHAT IS ENVIRONMENT?

Seeking a definition of “**environment**” reminds one of the old story of an elephant and seven blind men as I quote C. O. Okidi,²² the S. L. Edu Memorial Guest Lecturer for 2003. Each of the blind men characterises an elephant according to the part of the body he touches. If you ask for a definition for “environment” too, even amongst experts, each respondent will characterise it in relation to one’s discipline. While some people will say environment has something to do with pollution, forestry, water, public health, sanitation etc., others will say their own specialisation is indeed at the centre of the definition.

The environment was once considered to be an appropriate field of study for those interested in the aesthetics of our planet, i.e. architecture, urban planning etc., or for those interested in climate, topography, and resources (such as the minerals or water) of a certain location. This purely physical study of the environment has since broadened to include all the factors in our surroundings that influence man, such as the nature of the earth’s resources, the quality and status, even the noise. We are coming to realise more and more that all of

these factors are interrelated and that they are most important for us to live successfully on our spaceship planet. This means that it is not sensible to work exclusively on any one aspect of the environment at a time or to the exclusion of other aspects.

The science of ecology has shown us the interrelationships of biological systems as they interact with their surroundings and has emphasised the connections among soil, water, air, plants, animals, man, and man’s technology.

For simplicity, however, I wish to define environment as the total conglomerate of nature and natural resources as well as the context within which they exist and interact, and includes the measures such as the technology that supports socio-economic activities and which become part of the natural and cultural heritage of a people. Thus, the broad natural resource sectors such as land, water and air mainly comprise the environment.

The specific human activities of mines and minerals, forests, fisheries, landscape, general flora and *fauna*, culture and monuments are simply subsectors or sub-components of environment. Similarly, public health, human settlement and transport infrastructures are other aspects of environment. In short, environment is about the total well-being of man including measures that ensure our well-being.

7.2 THE TWO ENVIRONMENTAL REVOLUTIONS

The environment has been important to existence since the creation of the earth.

In the beginning of creation, we are told in the first book of the greatest resource on earth: the Holy Bible, in Genesis 1:31 that “*God saw every thing that he had made, and behold, it was very good*” (KJV). Then the Almighty saw the need for further beautification and so in the same Book of Genesis, we are

further told in Chapter 2:8 that "the Lord God planted a garden eastward in Eden, and there he put man whom he had formed" (KJV). And in verse 9, the Lord made "to grow out of the ground every tree that is pleasant to the sight..." To confirm and affirm the importance of environmental protection and sustainable development, even at creation, the Bible says in Genesis 2:15, "And the Lord God took the man, and put him into the garden of Eden to DRESS IT AND TO KEEP IT" (KJV).

The Environment – Nature and Beauty

The environment is colourful, colour-filled

It is new and clean

The environment is natural, evergreen

It is refreshing with dew when due

The environment is a delight, pleasant always

It is serene, peace always

The environment is pure

It is stable and orderly

The environment is nothing but beautiful

It is nothing but everything good

The beauty of the environment is cool

Environment, I wonder how beautiful your source is

Stop! Don't perturb the environment

Halt!! Don't disturb the beauty

Pollute not its nature, purify it

Depreciate none of its resources, appreciate all

And bless its sustaining source. (Adapted from WSSD doc.)

Hence since creation, the challenges and necessity for environmental protection has been with man. It is however only in the last 30 years or so that issues of environmental protection started coming to the forefront. However, we have all continued our existence on earth by not obeying God's injunction stated in Genesis 2:15 of protecting our environment!

Indeed, interest in environment and the undue depletion of the natural resources of the earth (instead of protecting them) has

continued to attract attention since the first "Earth Walk" on April 22, 1970. This was the event at which almost 2 million Americans took to the streets to protest the ever-increasing negative environmental impacts to mother earth. This led to the convocation of the first UN Conference on the Human Environment in Stockholm in 1972. Following the increased awareness of the necessity to protect this planet which the Stockholm meeting generated, 178 governments later gathered in Rio, Brazil from 3-14 June, 1992 and adopted a set of agreements. These agreements were the subject of negotiations amongst governments for over 2½ years before the Rio Summit. The Agreements were later called the RIO Declaration on Environment and Development, *a.k.a* Agenda 21: a-detailed programme of action for sustainable development worldwide²⁹. This programme of action fulfils the mandate of the United Nations General Assembly given in 1989 for countries of the world

"to devise integrated strategies that would halt and reverse the negative impact of human behaviour on the physical environment and promote environmentally sustainable economic development in all countries":

Prior to this, the world conservation strategy of the IUCN (1980) and the Report of the World Commission on Environment and Development (WCED, 1987) – had succeeded in promoting closer links between environment and development, the necessity for integration of environment and conservation values/concerns into the development process. Overall, the above historical development could be summarised in Pearce and Warford's "Two Environmental Revolutions."³⁰ The first revolution occurring in the late 1960s and early 1970s highlighting necessities for change in attitudes towards protection of the earth while the 2nd Revolution occurred in the late 1980s and early 1990s which centred on the concept of sustainable development. The attitudinal change in the 1980s has perhaps been best captured by the term

"Sustainable Development" which was the catch-phrase of the Second Revolution of the 1980s. Aside from the well known Brundtland's definition of sustainable development which is "development that meets the needs of the present without compromising the ability of the future generation to meet their own needs". (WCED, 1987), I want to simply define sustainable development in economic terms as: "a process in which the natural resource base is not allowed to deteriorate." In other words, **sustainable development emphasises the previously unappreciated role of environmental quality and environmental inputs in the process of raising real income and the quality of life.**

Over time, particularly over the past half a century, changes have been dramatic with the increasing population and consumption patterns threatening the threshold of sustainability in the balance and utilisation of the environment. Population growth has impacted on the quality of the environment, especially through industrialisation. This has put increased pressure on the environment and the natural resources.

Today, no one doubts the urgent necessity for management tools, including policy, legal, institutional and administrative arrangements and processes to ensure: (a) protection of the balance in the environment; (b) sustainability in utilisation of the natural resources components; (c) that selected areas of environment which are considered fragile or endangered are accorded special protection; (d) that, ultimately, interests of the present generation are met equitably, without jeopardising similar interests of future generations.

The dramatic negative impacts on and depletion of natural resources and undue pollution are inflicted on all sectors of the population, especially the poor, while the perpetrators remain oblivious to the consequences of their conduct. Under these

circumstances, the short-term sectional and selfish gains have put the interest of the future generations in total jeopardy. It is indeed, true that the very failure to protect the interest of future generations will at the same time, jeopardise the interests of the current generation.

Globally, we humans are about heading for destruction of our planet. Acid rain effects and global warming show that we hold immense power over life on Earth, yet we wield it indiscriminately. The damage we do globally is increasing.³¹

In the next 20 years or so, the world population will increase by 1.5 billion. These people will need food, water, electricity etc., but already our soils are vanishing, fisheries are being killed off, wells are drying up and the burning of fossil fuels is endangering the lives of millions. We are heading for cataclysm. In this lecture the point is being made that we will face this catastrophe unless we can tackle the evils of over-consumption, and the yawning gap between the rich and the poor countries of the world. Climate change, pollution and population explosion have to be stopped as they each have devastating impacts, which would strike before this century is out.

7.3 NIGERIA'S ENVIRONMENTAL PROBLEMS

Thinking locally, Mr. Vice Chancellor, the environmental problems facing us in Nigeria are enormous and varied. While some of these problems are local, others have global implications. The dramatic negative impacts from undue depletion of natural resources lead sometimes to desperation and death. Also indiscriminate application of increasingly complex technological contraptions through the desirable industrialisation processes inflict untoward pressure on the environment and its limited natural resources. We all as culprits sometimes remain oblivious of the consequences of our actions. We may not realise that the temporary gains we

derive now only jeopardise the interest of the future generations of this country. It needs to be strongly highlighted that non-protection of future generations in fact only attenuate the interest of the current generation! Inadequate sanitation, population explosion, non-existent municipal waste management systems continue to be a plague almost worse than HIV in this country or SARS in the East Asia.

This sordid state of affairs has been attributed by various people to various reasons, such as lack of will, lack of education - the fact that the so-called educated people do not know any better - lack of funds, lack of facilities, lack of know-how, lack of trained personnel, lack of interest etc. Whatever the reason may be, it is obvious to every layman that our environmental problems have become a national disgrace and an embarrassment to all citizens of Nigeria.

Now, Mr. VC, let us be more focused on the aspect of Environment that has attracted my interest for over twenty years now. It borders on the role of chemistry in Environmental Protection and Pollution Studies. It is now known and globally acknowledged that chemicals are essential requirements of modern society that need to be managed properly in order to achieve a sustainable level of agricultural and industrial development, and a high level of environmental and human health protection. From the vast scope of chemistry and environmental studies, several overlapping areas of importance can be seen. The elements of the environment cannot be studied nor fully understood without Chemistry³². Due to the central role of chemicals in pollution of all aspects of the environment, considerable attention has been given globally to the sound management of chemicals.

It is necessary to posit and bring to the front burner here, for the audience to appreciate that it is metaphorical that the enigmatic molecules: heterocyclic compounds play a major

role amongst polluting chemicals and in hazardous waste. They occur in various industrial chemicals used in the oil industry and other manufacturing processes (paint, textiles, paper etc.), and even in petrochemicals. They also exist as environmental pollutants in pesticides (which affect agriculture and public health) and fertilisers. Heterocyclic compounds are ubiquitous and important. Indeed they have become the cornerstone on which scientific studies of pollution are now rested. It is remarkable and pertinent to confirm that of the 37 chemicals in the Control/Banned List of the Federal Government of Nigeria (represented by the Federal Ministry of Environment), 30 are heterocyclic compounds.³³

Original players in the environmental chemistry field had, like most other chemists, disdain for heterocyclic chemistry. But today it is interesting that globally, heterocycles now play the major role in the two main UN Conventions on Chemicals, Pollution and Environment namely the BASEL Convention and the Stockholm Convention, which will be discussed later.

7.4 NIGERIA'S ENVIRONMENTAL PROBLEMS RELATED TO CHEMICALS

7.4.1 Chemicals and Environment in Nigeria

It is necessary to now examine the chemicals management situation in Nigeria and how the use or abuse of chemicals in Nigeria are affecting our environment. Table 2 below shows the latest available data on Nigeria's production and trade in chemicals (1994/95) as published in the 1999 *National Profile on Chemicals* (which I participated in compiling).

TABLE 2: CHEMICAL PRODUCTION AND TRADE IN NIGERIA 1994/95

Chemical Type	Production/manufacturing (tons MT/year & value in US\$)	Imports (tons/year & value in millions US\$)	Formulation Packaging (tons/year & value in US\$)	Export (tons/year & value in US\$)
Pesticides (agriculture & Public health)	None	808 MT (1995) 20 M US\$	50 MT 0.6M US\$ (1995)	None
Fertilizer	1,072,561.3 (1995)	109,944 MT 24,498,240 US\$ (1995)	N/A	None
Petroleum Products	6,392,922 MT (1994)	2,873,019 MT (1994) 548,979,300 US\$ (1994)	N/A	N/A
Industrial Chemicals (used in Manufacturing/processing facilities)	2,873,019 MT (1994) 548,997,300 US\$	64,350,139,180 MT 1,391,800 US\$		329,639,738
Other chemicals (unknown/mixed use)		N/A		

Source: CBN, DFR, FEPA and OPTS

N/A: Not Available

7.4.2 Priority Concerns Related to Chemical Production, Import, Export and Use

About 90% of chemicals in use in Nigeria are imported.³³ The use, storage, transportation and the disposal of the wastes rising from their use, point to a growing problem that threatens the health of the people and the ecosystem. While some of the users (industries) have in place environmentally friendly mechanisms for dealing with hazardous chemicals, many others do not.

The identification of these problems is a step towards providing a strategy and targets for suggested activities. We would highlight briefly some priority problems, relating to chemicals usage facing the country.

Air Pollution

Air is the least documented medium for pollution transport in Nigeria. Air pollutants are essentially hazardous chemicals. Important sources of air pollution in the country are mainly through vehicular emissions from poorly maintained vehicles, Cement from kiln dust, and particulates in general from dusty roads and environment. Sulphur Oxides from the fertiliser plants in Kaduna and River States as well as pollutants from Oil and gas production processes including gas flaring and petroleum refining have also contributed to the poor atmospheric quality. Other sources include industrial boilers, furnaces and thousands of privately owned electrical generators operating nationwide due to the epileptic nature of our electrical power supply by NEPA.

Pollution of Inland Waters

Hazardous chemicals in effluent discharges and solid waste are important in pollution of Inland waters. Concerns include heavy metals, suspended solids, oil and grease, elevated temperature, high BOD, COD, pH, etc. Agricultural and municipal wastes/raw sewage contribute a large amount of pollutants into the inland waters. These have had implications for both surface and underground water quality in the country. Hazardous chemicals from tanneries, many of which are in the northern parts of the country, are major sources of surface water pollution with heavy metals such as chromium, lead etc., in that part of the country.

In agricultural areas, agrochemical products such as fertilisers, pesticides and pesticides residue from field run-offs and the inappropriate use and disposal of even their containers have been a major problem. There is the problem of illegal importation of pesticides, and use of obsolete pesticides. Cases of deaths arising from misuse of such pesticides have been reported. Many communities lack potable water supply thus

depending solely on the surface water for drinking and for irrigation.

Marine Pollution

The country has a long coastline (853Km) and 70% of Nigeria's industries and 25% of the population are located in the coastal areas. Also, the major oil producing facilities of the country are located in the coastal zone. Hence oil spillage and leakage from the petroleum industry, which inevitably occur, have often resulted in both chronic and acute environmental degradation of off-shore waters, adjacent wetland and mangrove ecosystems. Pollution also occurs from ships and other seafarers through leakage from tanks containing oil, oily bilge water as well as ship waste, especially in the absence of any port reception facilities as mandated by the MARPOL 73/78 Convention.

Land Contamination

This nation does not have as yet enough data to ascertain the level of land contamination; from chemical pollutants. However, it can be projected that soil contamination exists in many parts of the country from industries that generate hazardous chemicals that are disposed along with municipal waste in open unlined dumpsites, or burnt in the open air.

Hazardous Chemical Waste Treatment

Even though there is an extensive and intensive use of chemicals by all sectors of the Nigerian industry, (see Table 3), currently, there are very few private waste treatment facilities in the country despite extensive industrialisation with steel works, metal smelters, oil refineries, basic chemical plants, and petrochemical industries among others in existence. The two well-known sewage/hazardous waste/effluent treatment facilities are the WEMABOD and Agbara Waste treatment plants. These are modified sewage treatment plants being used for industrial effluent treatment and are therefore not

efficient. Many of the industries therefore unfortunately discharge their untreated effluent directly into the environment through public sewers and drains. Primary wastewater treatment plants are limited only to some few multinational companies which are relatively either inefficient or of low capacity. Although the actual quantity of hazardous waste generated by industry is unknown, it is estimated that about 105,000 tonnes per annum is generated (Industry & Energy Division, West Central Department, World Bank, 1995). However, the IMO global waste survey of 1995 estimated hazardous waste generated in Nigeria to about 850,000 tonnes. It is to be noted also that there is no environmentally secure, scientifically engineered landfill or incinerator anywhere in Nigeria except a few privately owned polythene and clay lined landfills in some parts of the country.

TABLE 3: CHEMICAL USE BY INDUSTRIAL CATEGORIES IN NIGERIA

Industrial Category	Weight (MT) (1990)
Plastic/Rubber/Foam	182,009,213
Textile/Paper	138,154,820
Steel	7,886,876
Petro-Chemicals	13,768,291
Paint	71,369,053
Chemical	34,081,926
Agrochemicals	26,790,367
Pharmaceutical/Cosmetic	95,851
Food & Drinks	5,813,144
Building (Asbestos Fibre)	1,985,978
Tanning	272,455,000
Refrigerant	696,972
Total	755,105,501

Source: National Profile on Chemicals, 1999

Chemical Accidents and Transport

To aggravate our situation, a large number of accidents occur during transportation of chemicals and chemical products including petroleum products, partly due to our bad roads and partly due to carelessness and consequently damaging the

environment. Many of these incidents also occur at the ports, on board chemical-laden ships especially at the Lagos and Apapa Ports. Accidents or explosions and fire incidents occur on board berthed ships sometimes due to improper stacking, storage and handling of chemicals by stevedores and dockworkers.

Control of Hazardous Chemical Import

To prevent dumping of expired, banned or severely restricted chemicals into the country and in fulfilment of the Prior Informed Consent (PIC) procedure of the UNEP/FAO, Nigeria commenced the monitoring and control of the importation of banned or severely restricted chemicals through registration/granting of permits for these chemicals to any importer by relevant governmental agencies, i.e. NAFDAC and the Federal Ministry of Environment. Officers of these agencies are normally assigned to the Nigerian Ports to ensure that such chemicals are not imported into the country without the necessary permits. Those chemicals imported are also followed up to ensure proper handling storage and disposal of the waste from their use. Federal Environmental Protection Agency (FEPA) now the Federal Ministry of Environment had established an efficient CHEMICAL TRACKING PROGRAMME, which had saved this country from another Koko Toxic Waste Saga. This author had participated in the FMEv programme as a member of the National Committee on PIC and other matters in the Ministry. Hence one is in a position to advise Government that the operation of this FMEv chemicals surveillance system must of necessity be seriously beefed-up now as it has been ineffective in the last four years or so.

7.5 CHEMISTRY AS THE METAPHOR FOR ENVIRONMENTAL SOLUTIONS

It is generally agreed that there are three main causes of the present environmental pollution problems in Nigeria *viz.*: (1)

increasing population, (2) increasing *per capita* consumption, and (3) technology type-in-use. Each of these causes does not act alone but is involved in a complex of interlocking problems. Even experts do not agree as to the relative importance of each of these factors. Chemistry however occupies a central position in finding solutions to all these problems. As examples, development of "the pill" and newer biochemical discoveries make it physically possible to control our population if the matching will to improve our living standards is considered paramount. Unfortunately, it is becoming clear that "standard of living" and "quality of life" are not always the same goal. Perhaps the most important area for the application of chemistry to environmental problems is in understanding the nature of the substances causing pollution and in the kinds of technology we use.

7.6 HETEROCYCLES IN THE ENVIRONMENT

Our forays into the role of chemistry in Environment are premised on the necessity for chemical principles in the full understanding of all aspects of pollution or environmental degradation. Indeed, the enigmatic heterocyclic molecules, which we have worked with all our research life, are known to be the most potent and also most toxic compounds in the environment. Until the present century, little interest was shown in the toxicity of chemicals beyond a few established poisons such as nicotine and curare. However, the general exploitation of organic compounds in the past 40 years has led to an extensive literature on the toxicity of some of them to mammals. More recently, attempts to monitor and maintain the integrity of the environment have led to many detailed bioassays being conducted on an ever-increasing number of organic chemicals. It therefore has to be accepted that the data required to make a logical assessment of the relative toxicity of organic chemicals in general is only now becoming available in the literature, hence the necessity in this Inaugural Lecture for a separate appraisal at this stage of the role of the subgroup of

chemicals known as heterocyclic compounds in environmental pollution. But in doing so, it is necessary to examine global intervention mechanisms in preventing deleterious effects on humans from these enigmatic molecules.

7.7 UN CONVENTIONS FOR THE ENVIRONMENTALLY SOUND MANAGEMENT OF HAZARDOUS WASTE AND POPs

Mr. Vice Chancellor, earlier on I mentioned two major UN Conventions set-up for the prevention of pollution from chemicals. I wish to briefly discuss these Conventions. The provisions of the Basel Convention³⁴ to which Nigeria is a signatory requires the minimisation of the generation of hazardous waste (essentially chemical compounds waste) and other wastes. It mandates that all wastes should be disposed of as close as possible to their source of generation and the environmentally sound management of wastes must be guaranteed whatever the place of their disposal. The management of hazardous waste in its broader sense, therefore, constitutes the major pillar of the Basel Convention. As important as this Convention is to prevent dumping of toxic wastes in member countries, the authorities of many developing countries (in particular African countries) frequently do not have the trained specialists and technical know-how to assess information concerning hazardous wastes and their handling. The evidence accumulated by the Basel Convention Secretariat in response to Decision 17/18 adopted by the Governing Council of UNEP concerning the environmentally sound management of hazardous wastes demonstrates the enormity of the need for technical capacity building in many developing countries need in order to be able to deal with hazardous wastes. Some of the issues for effective implementation of the Convention include:

- The environmentally sound management of waste, such as development of low-waste technologies and

- environmentally sound waste management systems;
- Transfer of technology;
- Training of technicians;
- Harmonisation of technical standards and guidelines;
- Monitoring of the effects of waste management on human health and the environment;
- Technical guidelines for the environmentally sound management of hazardous wastes.

In order to achieve the goals of the Convention and in accordance with Article 10 of the Convention, developing countries are being assisted through:

- Transfer of technology and management systems related to the environmentally sound management of hazardous wastes.
- The development and implementation of new environmentally sound low-waste technologies and the improvement of existing technologies with a view to eliminating the generation of hazardous wastes and other wastes and achieving more effective and efficient methods of ensuring their management in an environmentally sound manner, including the study of the economic, social and environmental effects of the adoption of such new or improved technologies.
- Monitoring of the effects of the management of hazardous wastes on human health and the environment.
- Development and promotion of environmentally sound management of hazardous wastes and other wastes.
- Public awareness.

Furthermore, in accordance with Article 16 of the Convention, every country Party to the Convention will be able to receive

assistance from the Secretariat of the Basel Convention in the following areas:

- The management of hazardous wastes and other wastes;
- Environmentally sound technologies relating to hazardous wastes and other wastes such as low-wastes and non-waste technology;
- The assessment of disposal capabilities and sites;
- The monitoring of hazardous wastes and other wastes;
- Emergency responses;
- Information on consultants or consulting firms having the necessary competence in the field of management of hazardous wastes;
- The identification of cases of illegal traffic.

The second major UN Convention on chemicals and pollution focuses essentially on a group of compounds mostly heterocyclic compounds that pose concern in the environment and have now attracted special global attention. These compounds come under the general name: Persistent Organic Pollutants (POPs).

7.8 PERSISTENT ORGANIC POLLUTANTS (POPS)

7.8.1 The issue

Persistent Organic Pollutants (POPs)³⁵ are synthetic chemical substances mostly heterocyclic compounds with unique and harmful characteristics. They pose severe risks to human health and the environment due to their toxicity, their persistence, their ability to travel long distances on air and water currents, and their propensity to bio-accumulate in food chains. They include some of the world's most harmful chemicals including highly toxic pesticides such as DDT; industrial chemicals such as PCBs; and unintended by-products of industrial processes and incineration such as

dioxins and furans. POPs are the "worst of the worst" of toxic substances. They are highly toxic to wildlife and humans. They have become common contaminants in fish, dairy products, and other foods around the world.

Among the twelve POP substances (the so-called "dirty dozen") there are four unintentionally generated by-products, generated by human activities. The enigmatic compounds are:

- Polychlorinated dibenzo-p-dioxins (PCDD)
- Dibenzofurans (PCDF),
- Hexachlorobenzene (HCB)
- Polychlorinated biphenyls (PCB).

While HCB is a single chemical compound, PCDDs have 75 different theoretical combinations (congeners), PCDFs have 135 congeners, and PCBs have 209. It should be noted that the toxicity and also the resistance against destruction (persistence) vary widely among the congeners. Only 7 of the 75 congeners of PCDDs and 10 of the 135 possible congeners of PCDFs are thought to have dioxin-like toxicity.

POP by-products are known to be formed and released unintentionally in all technological processes and/or natural biological and photochemical processes including human activities when heat is applied, transferred or exchanged in the presence of chlorine and organic substances. For example, any combustion or incineration processes, e.g. smoking of fish or barbecues, as well as many processes in the industry, may generate POP by-products. Even composting to make manure in your garden could generate POP by-products resulting from microbial activity on chlorinated phenolic compounds. Hence, POPs are ubiquitous.

Everyone living today has a body of burden of POPs that their ancestors never had. Because POPs break down very slowly, they will usually be present in the environment for a long time

to come, even if all new sources were immediately eliminated. There is evidence that many people worldwide may now carry enough POPs in their body fat to cause serious health problems, including reproductive and developmental problems, cancer, endocrine and immune system disruption, abnormal behaviour, and neurological problems. The developing embryo is most sensitive to the harm POPs can cause.

POPs, when released into the environment, can be transported in air currents to places far from their point of origin. Such transport can consist of a number of "hops" from one point to another. Each "hop" consists of three stages: evaporation, transport in the atmosphere and condensation at lower temperatures. Scientists have called this phenomenon the "grasshopper effect".³⁶ Because evaporation is minimal in colder regions, POPs tend to build up in arctic and mountain ecosystems. POPs can travel long distances in a matter of days or weeks on air currents, and more slowly in water. Ocean currents, air currents and rivers, which funnel agricultural runoff and industrial discharges to the ocean, are important POPs transport pathways.

Our studies have confirmed that Municipal sewer systems and sewage treatment plants (STP) which act as collection systems for industrial waste and agricultural runoff contain POPs. STPs and sewer systems do not destroy POPs, instead they accumulate in the sludge (solid wastes) or end up in the air if the sludge is incinerated. Where there is no advanced sewage treatment, POPs and other contaminants are discharged directly from sewage outfalls into the ocean. The PVC Plastics, "pure water" sachets, which are in common use in our households, release dioxins, furans and other unintentionally generated POPs by-products, all deadly poisons, when incinerated without appropriate precautions. Furthermore, some plastics release substances, which disrupt the natural

hormone systems of humans and other animals when buried as wastes.

With the evidence of long-range transport of these substances to regions where they have never been used or produced, and the consequent threats they pose to the environment globally, the international community has called for urgent action to reduce and/or eliminate releases of these chemicals, especially because they are so long-lived and toxic in the environment. As POPs are inherently impossible to "manage" the key is to prevent production as much as possible and reduce human exposure.

There are alternative chemicals for all POPs, and alternative approaches to manufacturing and waste disposal that do not generate POPs. However, instituting alternatives poses a technological and financial challenge, especially in developing countries and countries with economies in transition.

It is metaphoric that the undue role of heterocycles has now become the focus of the entire globe to improve human health and the environment and has led to major UN Convention on the management of chemicals!

8.0 THE STOCKHOLM CONVENTION ON POPs

After more than two years of intensive negotiations, the "Conference of Plenipotentiaries" meeting in Stockholm 22-23 May 2001, adopted the "Stockholm Convention on Persistent Organic Pollutants (POPs)" and was signed by 92 countries including Nigeria with wide geographic distribution and representing a very high level of political commitment to move towards ratification. It all started in June 1996, when governments were urged to initiate action to reduce and eliminate releases of POPs to the environment and were therefore encouraged to follow the recommendations of the *Final Report of the IFCS Ad Hoc Working Group on POPs*. This

Final Report (in para. 48) recommended that "realistic action be taken to destroy obsolete stocks of the listed POPs and remediate environmental reservoirs." Indeed, after the Parties develop their national implementation plans (NIPs) pursuant to the obligations arising from the Stockholm Convention on POPs and the Convention enters into force, almost all will need to develop programs to identify and destroy their POPs stockpiles.

Article 6 of the Stockholm Convention text addresses the identification and management of POPs waste, nine of which are pesticides (Aldrin, Dieldrin, Endrin, Chlordane, Heptachlor, DDT, Mirex, Hexachlorobenzene and Toxaphene). The UN Stockholm Convention on POPs sets out obligations (except where exemptions apply) such as: the reduction or elimination of the manufacture, use, import, export, and the offering for sale of the following compounds (pesticides): Aldrin, Chlordane; DDT; Dieldrin; Endrin; Heptachlor; Hexachlorobenzene; Mirex; Toxaphene and the industrial chemical, PCBs; restrictions on the production and use of: DDT (temporary exemption being for DDT use for malaria vector control); PCBs (exemption being PCB-containing transformers in use); and, including an obligation to develop management plans with a view to minimizing releases of by-products POPs (i.e. dioxins and furans) from destruction and industrial processes.

The Convention also requires that such wastes be managed in a manner protective of human health and the environment. Parties to the Convention must develop strategies for identifying stockpiles, products and articles in use, and wastes covered by the Treaty, after which they must manage the stockpiles in a safe, efficient, and environmentally sound manner. The Treaty requires that disposal of such wastes be done in such a way that the POPs content is destroyed or irreversibly transformed so it is no longer a POP, or otherwise

disposed of in an environmentally sound manner when destruction or irreversible transformation does not represent the environmentally preferable option or the persistent organic pollutant content is low. Countries with adequate infrastructure (a regulatory and assessment scheme for pesticides and industrial chemicals) must (for those substances with POPs properties), promote reductions, use of alternatives, and pollution prevention.

Enormous stockpiles of dangerous POPs exist throughout the world. These may be, for example, PCBs found in electrical equipment such as transformers and capacitors. Four Ph.D. students are currently working in our laboratories in this University on the assessment of PCBs, POPs etc., in the Nigerian environment. In many countries POP wastes are routinely burnt in incinerators and eliminated by other combustion technologies, e.g. boilers, metal furnaces, cement kilns. In view of the great concern that these technologies generate high levels of POP by-products emissions, work is on to worldwide promote non-combustion technologies for destroying POPs as well as to establish POPs by-products inventory.

8.1 Rising POPs from By-Products

Some provisions of the Stockholm Convention propose phase-out and elimination of the production and use of certain pesticides and some other chemicals whose production and use in many countries has already stopped or has been in decline for decades³⁷. Some other provisions require proper disposal and destruction of residual stockpiles and wastes that contain these substances. The proper implementation of these provisions - an often challenging and costly task - will bring closure to some significant toxic legacies of the past.

Some articles in the Stockholm Convention are forward looking. They specify the measures Parties must take to reduce

and eliminate releases of POPs that are produced as unintentional byproducts of certain human activities – dioxins, furans, hexachlorobenzene (HCB), and polychlorinated biphenyls (PCBs) and some advance a future vision of sustainable development, cleaner production and chemical safety.

Over the past three decades, the EU, the U.S. and a few other countries have considerably reduced the rate at which dioxins are released to the environment in their jurisdictions. As a result, the levels of dioxins found in the environment, in the food supply and in the body tissues of their human populations have declined from the historic high points reached in the 1970s. That's the good news. The bad news is that, in these countries, dioxins are still present in the environment, in ordinary food, and in human bodies at levels with the potential to cause serious harm to human health and to the environment.

It would be a tragedy if developing countries like Nigeria and countries in transition allow rising trends in total POPs releases and a corresponding rising trend in POPs levels in their environments, food supplies, and populations. If this happens, it would impose an additional and substantial burden on the global public health, environment and economies of such countries at a time when such countries are struggling to alleviate poverty and achieve sustainable development. We indeed have valid reasons to believe that POPs in the environments of developing countries will continue to increase, approaching and possibly even greatly exceeding the historic high points that were experienced by the U.S. and the EU during the 1970s. It is hereby proposed that such a public health disaster can be avoided if the Stockholm Convention is appropriately understood and implemented by this country, so that, as Nigeria continues to industrialise, priority consideration will be given to *prevention* and

substitution. Policies that are based on *prevention* and *substitution* represent a common sense approach.

Measures to prevent POPs from being produced are more desirable, more practical and, in the long-term, more cost-effective than introducing end-of-pipe measures that require our national authorities to attempt the management and control of substances that they have no capacity to detect or monitor.

9.0 PRODUCTION OF SCIENCE IN AN AFRICAN SETTING AND OUR RANDOM THOUGHTS

Mr. Vice-Chancellor Sir, I have taken time over the years to wonder aloud about where all these scientific findings are leading us to, especially as there is an increasing dearth of science produced in Africa in the international order, i.e. Africa continues to contribute very little to "mainstream science". I ask the question: **When shall an African scientist win the highly coveted Nobel Prize?**

The contribution of African scientists to "mainstream science" is often described as very small. Quantitatively, Africa has 0.36% of the World's scientific potential (close to only 20,000 research engineers and scientists). It uses 0.4% of the World's research and development (R&D) resources and produces 0.3% of mainstream. Is the scientific output of Africa showing an upward trend, a downward trend, or is stagnating?

In this Inaugural Lecture, I wish to describe an attempt in specific terms and the results of our studies on the research environment and scientific output of representative scientific institutions, especially universities in selected countries of sub-Saharan Africa. I wish to draw attention *ab initio* to an earlier study of Abegaz *et al*³⁸ which reported that there were too many complexities and difficulties associated with any efforts to study and analyze the scientific performance and output of individuals or institutions in Africa. In the absence of an African or Africa-specific database, the study decided to use the International database, namely - Science Citation Index to examine the relative activity of institutions. The results showed that there are few, but significant numbers of researchers who still manage to produce research results despite the usual difficulties. How do these "die-hards" manage in the constrained environment? Although this lecture does not claim to address this issue fully, it is easy to know that these resilient researchers survive despite the crisis

through Inter-Africa and intra-Africa co-operation, i.e. through either Regional Co-operation within Africa (intra-African co-operation) and/or international co-operation in the form of South-North co-operation. There are many examples that can be drawn from past and current activities in the sciences and engineering Faculties of this University. This author's research life is a perfect example of this phenomenon. I therefore argue for increased attention and future support to regional co-operation, especially but not limited to continued North-South co-operation at a higher level, i.e. even for more complex aspects of scientific activity. Intra-African co-operation can be promoted through a Network of activities, postgraduate programmes, etc. Indeed, we are now in a most opportune moment to plan a more effective regional co-operation than has hitherto been the case by taking advantage of the emerging information and communication technologies, i.e. the world becoming a global village. These new technologies can be employed to foster regional co-operation and will greatly cut down major costs associated with travel for higher studies, conferences, review as well as follow-up meetings and will eliminate the long term dislocation of postgraduate students and scientists from the home academic/research institutions in Africa. We are confident that such efforts will yield excellent results as experience has shown us that without it our science will be "in the woods."

Mr. Vice Chancellor, Sir, permit me to ruminate aloud on whether science in Africa has contributed to African Development and some other contemporary issues in Nigerian academia. For this I will wish to take you into an earlier study of ours⁴⁰ on the former question.

9.1 IMPACT OF UNIVERSITY-BASED APPLIED RESEARCH AND INNOVATION IN NIGERIA

Following from the uncomfortable paradigm of African science above, we thought of examining the relevance and usefulness

of all the scientific research we have been undertaking in the Universities in Nigeria since the first University was established in 1948. We wrote a proposal in 1991 to the IDRC of Canada through the WATPS Network requesting for support to study the Impact of University-based research on national economic development in Nigeria and also correlate with case studies of University-industry linkage examples from 3 No. Continents – Brazil in South America, the USA and Canada in North America and the U.K. Our focus was on the six first generation Universities as they receive a large proportion (75%) of the total grants to the Nigerian University system (Table 4). Similarly close to 50% of the academics in each University in the group draw at least 0.23% of the nations GDP on average and these institutions are therefore expected to account for a significant proportion of the university-based research and innovation in the country.

TABLE 4: RECURRENT APPROPRIATIONS TO NIGERIA'S SIX FIRST-GENERATION UNIVERSITIES (1981-1992)

UNIVERSITY	TOTAL MILLION (NGN)	PROPORTION OF TOTAL (%)	MEAN % OF GDP
Ibadan	769.4	10.2	0.25
Lagos	712.0	9.5	0.23
Nsukka	763.1	10.2	0.25
Zaria	762.5	10.2	0.24
Ife	734.6	9.8	0.24
Benin	529.5	7.0	0.18
TOTAL	427.1	56.9	

Notes: GDP, gross domestic product. In 1995, 78.5 Nigerian naira (NGN) = 1 United States dollars (USD)

Proportion of total allotment to the Federal University System (31 Universities)

This study sought answers to the following questions:

- What is the nature and level of patentable R & D in Nigeria's six first-generation universities (where all

substantial research has taken place for more than a quarter of a century)?

- Has there been demand for university-based research solutions to national problems? To what extent have the demands been met or innovations adopted?
- How effective are the mechanisms to promote diffusion of university-based innovations and promote their adoption?
- What is the status of acquired research capacity (human resources and infrastructural)? Is capacity fully utilised? If not, why?
- What are the major constraints causing the gap between university-based R&D and the productive sector? How extensive are they?
- What does the relevant experience of developed countries compared with that of developing economies?

9.2 FINDINGS OF THE STUDY

Our study uncovered the fact that Research at Nigerian universities occurs in all the major branches of science and technology (see Table 5). However, our survey suggested that Nigerian scientists have made more contributions in the applied sciences – medicine, agriculture (biological), veterinary science, metallurgy; etc. – than in the physical and mathematical sciences. During our field survey, much of the research in agriculture is directed to increasing food production through higher yields or less spoilage.

Although the data gave no clear indication of which faculty or department accounts for most of the research at Nigeria universities, Ahmadu Bello University at Zaria, which had the highest number of respondents (38 of 129 respondents), also has the largest number of research projects in agricultural science. This may be related to the universities organised

Research Centre called the Agricultural Extension and Research Liaison Services (AERLS), which has established excellent linkage with local farmers all over the north of Nigeria. The almost insatiable demand of farmers for improved agricultural practices provides a continuous stimulus to agricultural research.

Table 5: Category of University Research Projects

Category	N	%
Medicine	11	8.5
Engineering	30	23.3
Agriculture	43	33.3
Metallurgy	3	2.3
Other	42	32.6
Total	129	100.0

Research in engineering ranked second. Some petroleum engineers are working on the enhanced recovery of hydrocarbons; others are developing catalysts for refinery operations. Mechanical engineers are studying the properties and uses of materials and other aspects of manufacturing technology. Studies on the development and use of solar energy were common. The design and building of structures, roads, highways, and transport engineering, along with research in water and public engineering, constitute the bulk of civil engineering studies.

Nigeria's university-based research in medicine is also known internationally, and Nigeria's advancement of knowledge appears to be mostly in the field of medical research. Nigerian university research has led to some important findings in surgery, neurology, pathology, pharmacology, and haematology, especially. Other remarkable S&T research at Nigerian universities was in the physical and mathematical sciences.

Despite the relevance of R&D to Nigeria's technological takeoff, there has been little increase in scientific activity at the country's universities. The following factors have been identified as some of the constraints on R&D at Nigerian universities: poor research facilities; inadequate human resources; poor linkage with the production system; inadequate funds, incentives, and motivation; and lack of clear-cut enabling policies. The leading factor seems to be poor funding for S&T research. Although the National Science Policy recommends that 5% of the gross national product (GNP) be set aside for research, the country invested less than 1% in R&D in 1992.

9.3 DEMAND FOR AND USE OF NIGERIAN UNIVERSITY R&D

An analysis of the demand for university-based research showed that the expertise of only about 30% of researchers across the universities was in demand in the productive sector. In fact, only 19% of projects sampled were commissioned by the productive sector.

University-based research in Nigeria is commonly designed *ab initio* to solve specific problems applicable to Nigeria's productive sector. Eighty percent of the projects analysed in our survey were of this nature; the other 20% of the respondents considered their research a normal scientific endeavour. It is interesting that only 19% of the applied research was commissioned by the productive sector - the majority of the studies were at the initiative of the researchers themselves. It is not surprising, therefore, that industry demand for local R&D is low. Only 21.7% of respondent had their project results actually applied in the productive sector. Though many of the investigations were in basic research, few patents existed; although some of the results deserved patents. Unlike some universities in the developed countries, none of the first-generation universities in Nigeria had a patent office.

The need for copyright protection was ignored as a matter of course because only few research results were ever adopted. Commercialisation of research results, therefore, is still in its infancy at most Nigerian universities. In our study, only 10% of the research projects had been commercialised.

In summary, the findings of this study corroborated those of Kumuyi and Igwe (1989)⁴¹, who found that only a limited number of the R&D results at institutions of higher learning ever mature into commercial innovations. The authors identified that factors for the graduation of a product or process into commercial production were absent.

9.4 ROLES AND MANDATES OF UNIVERSITIES IN NATIONAL DEVELOPMENT

The primary and traditional role of universities is the transmission of knowledge, and the training of minds etc. Another role of universities is to engage in basic research that could lead to the advancement of knowledge. The importance of the universities pursuit of knowledge is well-recognised in the developed countries. Through research and its results, universities are expected to contribute to the improvement of the quality of life and to social and technical change.

The involvement of universities in S&T is usually threefold:

- (1) The primary role is providing education and training in S&T. However, this educational function is always evolving - specialisation and differentiation of programmes are increasing in response to the growing body of scientific knowledge and the demands of the work environment. The University's need to advance knowledge through discovery, explanation, and classification has continuously modified its educational mission. University researchers are expected to keep abreast of new developments in their disciplines and train students in research methodology.

- (2) Through research, the universities contribute indirectly or directly to economic progress as and to the quality of life.
- (3) Universities should perform the role of an active change agent. By diffusing best-practice knowledge and technology to the other institutions within the society, universities help to transform the production of goods and services. The universities can perform this function through consulting activities, systematic exchanges, and application-oriented research. As Davis (1991) stated, the performance of the diffusion mission depends to a large extent on how well the other two roles are performed. The success of diffusion depends on the structure and dynamics of the industries with which the universities interface. However, the view that universities should act as catalysts in the transfer of S&T knowledge to industry and government is not shared universally. In some countries, the educational function is seen as primary, indirectly contributing to economic development. The transfer of S&T knowledge is left to other institutions.

In Africa, the universities are among the most important institutions for the development of S&T and they consume a significant amount of the national resources devoted to research in the country. Apart from turning out highly trained human resources, the universities provide S&T services to the productive sector.

In Africa, steps have been taken to formulate a new philosophy of university education. At an Accra workshop in 1972 "Creating the African University: Emerging Issues in the 1970s," it was concluded that the African university must go beyond the conventional functions of a university i.e. teaching,

research and diffusion of research results and service and commit to the struggle for economic progress and socio-political transformation.

9.5 SUGGESTIONS TO ENSURE THE APPLICATION OF RESEARCH RESULTS

The efforts of Nigerian universities to ensure the application of research results have been inadequate. The organ adopted by most universities in Nigeria has been a university consultancy firm, which handles all activities that could generate income for the university. Unlike some universities in the developed countries, Nigerian universities have no patent offices. Efforts by many to obtain research funding from industry have been largely futile. Industry's contributions to the Educational Tax Fund do not necessarily support research. Hence, the desirability of industry support for university-based research cannot be overemphasised. Apart from helping to improve the research environment, it would ensure diffusion of innovations to industry and the productive sector. The absence of well-laid-down procedures at the universities for contracts of linkages with industry is unfortunate.

However, the major constraint has been lack of co-operation from the productive sector or even defiance on the part of industry. The emphasis placed so far on ensuring the active involvement of the productive sector at all stages of University research is very limited and ineffective. Although there are exceptions, it seems most universities are not yet clear about how to improve in this area. The culture is absent. The productive sector in Nigeria, on the other hand, wants to benefit from the work of research laboratories at the universities if possible. Some suggestions to achieve better application of university results include the following:

- The universities should advertise their capabilities
- Research at universities should much as possible be in areas relevant to the needs of the private sector.

- The university researchers' autonomy should be restored so that they can establish direct links with the industries.
- Industry and research institutions should jointly sponsor relevant scientific publications.
- University laboratories should hold regular workshops and seminars for industry to disseminate their findings and discuss their applicability.
- The motive for investment in research should shift away from that of immediate profit.
- The government should formulate a policy ensuring that a small percentage of the industry's profit is spent on R&D.
- The government should give incentives for collaborative research programmes (e.g. tax incentives and tax-free import of research equipment).
- The government should allow firms to deduct 0.5% or more from their annual taxable income for expenditures on R&D
- Academics should be seconded to industries for short periods.
- The university and industry should set up a staff-exchange programme.
- Universities should sensitise potential users to the need for research and the use of available research results.
- Joint university-industry research-appraisal panels should be set up.
- The universities should continually invite industrialists to seminars and other town-and-gown meetings.
- Appropriate policy initiatives must be put in place to ensure that university-based R&D to have an

impact on the productive sector through a virile link between the two.

Furthermore, Nigerian universities should realise that their function extends beyond that of carrying out R&D to that of transfer of the knowledge and technology. This function should be given the same attention as teaching and research. In fact, teaching, research, and diffusion need to exist in a synergistic balance.

10.0 CONCLUSIONS AND RECOMMENDATIONS

Mr. Vice Chancellor, it is clear from the above that while science and technology can be enigmatic, it is still a metaphor for Africa! But we need to stage a retreat from these ugly situations both globally and locally.

As it is traditional, I wish to end this Inaugural Lecture with a number of recommendations to conclude. With respect to basic science research,

1. The Universities should increase their efforts to market university research to the productive sector. Such efforts should involve establishment of such structures as university offices for technology transfer or the novel idea of centres for innovative technology. Such structures should be devoid of any bureaucratic obstacles.
2. The Federal Government through the Ministry of Science & Technology should promulgate a policy instrument to compel or encourage all companies (Indigenous or multinational) to set up R&D units in Nigeria and collaborate closely with appropriate departments at Nigerian universities. Such a policy would encourage the productive sector to intensify their interaction with the universities within a set time frame and, hence, play a more significant role in generating innovations for the Nigerian economy.
3. University researchers should seek out problems confronting particular industries and make their research relevant to those problems to avoid wasting time and resources.
4. The subsectors of the productive sector should be involved in decisions about proposed research in the Universities. This will help the universities identify worthwhile research and establish links between the productive sector and the university.

5. The quality of R&D at the universities should be enhanced through increased funding.
6. Further studies should be made to identify and develop effective means for arousing the interest of the productive sector in university research.

Clear policies and strategies to make our basic research relevant to society can be achieved by establishment of university-industry linkages. We have every reason to believe that Nigeria's productive sector does want to explore linkages with the Research community at the universities, but the absence of enabling policies and mechanisms remains an obstacle.

On Environmental Protection issues, in order to protect our environment and improve our health and well-being in Nigeria, our recommendations shall include the following:

1. **Drastic improvement in the enforcement strategies of the myriads of environmental laws, statutes and guidelines in the country.** To this end, we have in several fora been working hard (through concerted public enlightenment programme of the 2000-member strong Nigerian Environmental Society, of which I am the current National President) to sensitise the general public and Governments on the many pressing environmental issues in Nigeria. These include the consequences of bush burning, poor environmental sanitation, improper management of wastes, the need for EIA for all development projects, as well as renewable energy development initiatives. Though adequate sanitary and/or environmental laws exist locally and even in our folklore, they are not effectively enforced. This author has therefore being in the forefront of the agitation for the re-establishment of enforcement/implementation parastatals within the new Federal Ministry of Environment. It should be similar to the defunct FEPA. It may be renamed National Agency for Environment Protection (NAEP).

We contributed to the evolution of a Federal Ministry of Environment but have also campaigned since 2001 for the establishment of an implementation Agency similar to NAFDAC in the Federal Ministry of Environment in order to move the Ministry forward. The Ministry should not be saddled with responsibility for policy making and implementation.

Also the nation needs to consider re-establishing the "Environmental Saturdays" i.e. re-introducing the general cleaning and environmental sanitation on the last Saturday of every month. The exercise assisted in getting the Nigerian people to clean their environment and tackle municipal waste effectively at least once a month. We are all witnesses to the decrepit state of our environment in its absence. In fact the nation was well acknowledged globally for environmental friendliness when this was in place.

2. **Improved Environmental Education**
As stated earlier, for solutions to any or all of the three major causes of pollution problems, increased public understanding of environmental science is necessary. It is not enough for the scientific and governmental experts to understand the chemical basis for solving a particular problem. In this context, we are suggesting the 'strengthening of all environmental chemistry programmes and establishment of new ones in all Nigerian Universities for informed public action. In this regard, the University of Lagos, Chemistry Department is blazing the trail with the recent establishment by us of Masters Degree Programme in Environmental Management and Masters and Doctoral Programmes in Environmental Chemistry.
3. **Updating of Environmental Laws**
Environmental laws existing in the country are generally obsolete and need to be updated and made

more relevant to current conditions and economic reality.

4. **Solid and liquid waste management** especially municipal waste in the country must be drastically improved. The uncomfortable state of municipal waste management in all our cities including Abuja is obscene. Effective waste management facilities such as scientifically engineered and the sanitary landfills must be established. An inventory of the new contaminated land in the country is recommended. There is therefore an urgent need for a survey to ascertain actual quantity of hazardous waste generated by industry.

5. **Increased public awareness** is essential too if the citizen is not only to understand decisions taken, but also to influence the decision-making process. Unless the public understands the *raison-d'être* for some regulatory decisions, it will earn little support. A full range of opinion must be examined in the public forum, and therefore any concerned citizens must have access to environmental education. It is hoped that such exposure will produce changes in attitude and be more persuasive in causing changes rather than the outdated comment and control measures. Too often, a lack of understanding of issues has led to either fear or apprehension on the part of the public in adopting innovation or necessary environmental protection measures.

Finally, Mr. Vice Chancellor, I wish to invite the Nigerian public to believe in the paradigm that solutions of environmental problems require a chemically albeit scientifically literate public in combination with socially aware scientists i.e. the enigmatic compounds also metaphorically contain the solutions to our society's well being.

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Finally, Mr. Vice Chancellor, my heart is full of joy and gratitude today, and I give all the glory to God Almighty, the Prime Mover, our Halloweth be, the Sustainer and Redeemer, who has spared my life till this day and has elevated me to this level of academia. I thank the Almighty Father for this privilege.

In the course of my education, training and career I came across so many talented, committed and inspiring individuals at all levels. I wish to firstly pay tribute to my late father and mother, Mr. Samuel Akinwunmi and Mrs. Janet Aduke Alo and thank all my siblings who all believed solemnly in education as the only lasting legacy.

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I thank you all for your patience and attention.

Prof. Babajide Alo, Ph.D., FCSN, FIPAN, FNES

Dean, School of Postgraduate Studies &

Director, FMEEnv/University of Lagos Linkage

Centre for Environmental Human Resources Development

EPILOGUE

This Inaugural Lecture is dedicated to the memory of our dearly beloved late Miss Babatoni Olabusola Alo, an 18-year old Pharmacy student of the College of Medicine, whose loss in 1998 has been quite a shattering experience for me and my family.

To keep her memory alive and evergreen, the family has since endowed the **Miss Babatoni Alo Memorial Prize** for the best graduating student in Pharmaceutical Chemistry (her best subject) at the School of Pharmacy, College of Medicine, Idi- Araba, Lagos.

To

BABATONI OLABUSOLA ALO

1980-1998

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