INTRODUCTION

Compounds are subjected to screening for numerous types of biological and pharmacological activities. Identification of such novel, and reportedly bioactive,
compounds has led to further optimization through “lead modification” and "lead
development" in drug discovery. Lead optimization is an involved function of the
structure and very often dietary factors profoundly modify the pharmacological
activity. Lead development often involves replacing one group with
another at a specific point to add a molecule altering =same properties leading to
the development of the parent molecule. Once the pharmacological profile of the
parent molecule is established, the enhanced profile of modified lead can be
identified. Slight changes may cause a complete change in the activity of the
INTRODUCTION

Medicinal chemistry is a science whose roots lie in all branches of chemistry and biology. It involves the isolation, characterisation and synthesis of new compounds that can be used as medicine for the prevention, treatment and cure of diseases. Medicinal chemistry thus provides the chemical basis for the interdisciplinary field of therapeutics. By contributing therapeutic agents, chemists have produced innumerable achievements for the cause of medicine.

Organic chemists, synthesise new drugs as well as isolate and characterise natural products. In each case, there is interest in the complex relationships between chemical structure and pharmacological actions. The search for chemical structure which exhibit physiological activity is a difficult goal of organic chemical approach. Compounds are subjected to screening for numerous types of biological and pharmacological action. Observation of interesting and repeatable biological activity open pathways for additional chemical research, effort in the expansion of the series and often leads to significant new medicinal products.

The pharmacological activity of a compound is an involved function of the structure, and very small changes may profoundly modify the pharmacologic effects. These structural modifications may involve replacing one group with another at a specific point in the molecule shifting the same group from place to place in the parent molecule, saturating valence bonds or modifying from acidity or basicity. Slight changes may sometimes completely reverse the action of the
compounds. Many of the currently used anti-spasmodics, anti-convulsants, local anaesthetics, non-narcotic, analgesics, ataractics, chemotherapeutic agents and hypnotics have been products of this approach.

The determination of the structure of a biologically active molecule provides a two-fold benefit to pharmacy and medicine. It makes possible research leading to synthesis and modification of the structure. Changes in the structure are usually accompanied with changes in the biological activity and occasionally vast improvement is accomplished. Studies on the structure and synthesis of pencillins led to the development of semi-synthetic pencillins and later to cephalosporins. Some of the new compounds have made possible major improvements in antibiotic therapy. Total synthesis is made possible by knowledge of chemical structures and in some instances is important economically in reducing the cost of the drug.

Pharmacological research plays two important roles in its contributions to pharmacy and medicine. The pharmacologist designs and operates model systems for detecting and evaluating the activity of compounds for control of diseases such as those of the central nervous system, the gastro-intestinal tract, the cardiovascular bed and endocrine organs.

It is difficult to find a potent new drug which does not have side effects in some individuals. The pharmacologist much predict an effective human dose which hopefully will produce minimum side effects. An important part of pharmacology
is the study of drug absorption, distribution, metabolism and excretion. Rational drug therapy requires a thorough knowledge of the kinetics of these processes after intravenous and or oral administration of the drug. Initial studies in animals are often performed with radioactive form of the drug to determine the amounts of the drug and its metabolites which appear in blood, urine and tissues. To determine the concentration of drugs in biological fluids or tissues requires precise instrumental measurements. Accurate quantity and its metabolite often requires the use of modern chromatographic techniques coupled with the mass spectrograph.

When we want to ascertain that a new drug is safe, detailed studies may be made, varying dose and of prolonged administration of that drug. The toxicologist must define the acute toxicity measurement in laboratory animals and begin chronic studies. As knowledge and skills increase and ability to measure toxic reactions improves, we will be able to assure greater safety and efficacy of new drugs.

In the present study some biologically active benzoxazoles, benzimidazoles and tetrazoles have been synthesised using new synthetic methods and the compounds were purified by usual methods. Structures of these compounds were established by the IR, $^1$HNMR, mass spectral and elemental analysis. These compounds were subjected to preliminary pharmacological screening. Significant pharmacological activities of these compounds were compared with known standards.