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A X H O R E S

The work embodied in this dissertation is related to the investigation of some 2-alkoxy/phenoxy-6-nitro-4H-1,3,2-benzodioxaphosphorin-2-sulphides, and some O-alkyl O,O'-diaryl phosphorothionates with reference to their insecticidal, toxicological, chemical and other properties along with the structural elucidation by chemical analyses and spectroscopic methods.

Part - I:

In Chapter-I of this thesis, a general introduction of organophosphorus pesticides has been presented; common or trade names, chemical structures, and other properties of some of them have also been given; a general discussion on IR, NMR, mass spectra, anticholinesterase activities, and chemical hydrolysis of some of these compounds has been given at the end of the thesis (in Appendix-II to VI).

In Chapter-II, a review on the synthesis and biological activities of several organophosphorus compounds reported during the period 1976-1978 has been presented.

Chapter-III of this dissertation has been devoted to a short review of saligenin cyclic phosphorus compounds with special emphasis on salithion. It has been revealed that the biological activities of these cyclic organophosphorus compounds are greatly influenced by the

exocyclic substituents on the phosphorus atom, and also by the substituents in benzene ring or in hetero-cyclic ring.

Part-II:

Preparation and structure determination of some diaryl alkyl phosphorothionates, and some nitro-saligenin cyclic alkyl/phenyl phosphorothionates have been given in Chapter-I; the structures of all compounds are established by chemical analysis, IR, mass and PMR spectra. Mass fragmentation processes, and the splittings in PMR signal at $\delta = 5.4$ ppm of the cyclic (β -methoxy)ethoxy and the (β -ethoxy) ethoxy compounds are entirely different from that of other cyclic alkoxy compounds.

In Chapter-II, insecticidal activity on cockroaches, acute oral toxicity on male rats, phytotoxicity, anti-cholinesterase activity in housefly-head homogenate as well as human blood plasma, and chemical hydrolysis of *N*-alkoxy/phenoxy-6-nitro-4H-1,3,2-benzodioxaphosphorin-2-sulphides have been presented. The methoxy-compound shows greatest insecticidal activity. All compounds are less toxic to rats than salithion. Satisfactory correlation is obtained between the anticholinesterase activity (for housefly-AChE) and Hammett's electronic (σ^*), Taft's steric (E_s) as well as Hansch's hydrophobic (π) parameters. From chemical hydrolysis studies it has been observed that

the iso-propoxy compound is most stable to alkaline hydrolysis; a good correlation is also obtained between the alkaline hydrolysis rate constants and Hammett's σ^* as well as Taft's E_s parameters.

Further studies on the insecticidal activity of the iso-propoxy compound indicate that $6\mu\text{g/larva}$ (as a contact toxicant), and $4\mu\text{g/larva}$ (as an oral toxicant) are required for 100 percent mortality of the insects - *Mericalia racial* and *Lotonilia orocala* respectively.

In Chapter-III the biological activities and the hydrolytic properties of some O-alkyl O,O-diaryl phosphorothionates have been given. The said compounds have no insecticidal activity; but O-(2-methoxy) ethyl O,O-diparanitrophenyl phosphorothionate has very good synergistic effect with malathion.

The insecticidal activity and other properties of the nitro-saligenin cyclic alkyl phosphorothionates justify further examination of the said compounds as potential pesticides.