NEW AMINOPHOSPHONATES – AN ASSESMENT OF THEIR BIOLOGICAL ACTIVITY

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Two series, acyclic and cyclic aminophosphonates, were synthesized for potential agrochemical application. Within the series, they differed in terms of their substituents at their phosphorus, carbon and nitrogen atoms. We studied their efficiency to: destabilize lipid model membranes (BLM); hemolyze and fluidize pig erythrocytes (RBC); change plant membranes properties (Nitellopsis obtusa, Beta vulgaris ssp., L. rapacea, Syringa vulgaris L., Cucumis sativus); change the activity of the antioxidant enzymes in plants (Cucumis sativus); and inhibit plant growth (Spirodela oligorrhiza). Experiments were also performed to check if the aminophosphonates studied exhibit antioxidative properties. The results obtained enabled us to classify aminophosphonates into three classes: useless as potential pesticides; of medium biological application; and changing the mentioned parameters of the objects studied sufficiently enough to treat them as at least good pesticides. We then determined what structural features of aminophosphonates were responsible for their activity. The general and obvious conclusion was that this activity is directly related to the lipophilicity of particular compounds. However, such an approach was found to be too simple. For instance, if the length of the hydrocarbon chain attached to the N atom was too long (C14H21) then the activity of such a compound was significantly weaker than that of a similar compound with a shorter hydrocarbon substituent at the same atom. It may be an example of the cut-off phenomenon, where a loss of biological activity is observed in compounds with long alkyl chain, and it means that the increase in the lipophilicity of a compound does not necessarily mean an increase in its biological activity. A similar effect was observed for compounds with iso-propyl groups attached into the P atom. Their lipophilicity was lower in comparison with compounds with n-C4H9 groups attached at this atom, but their efficiency to influence the studied parameters was greater. This effect may be the result of a better screening of the polar part of the molecule by a branched i-C3H7 group. Finally, acyclic compounds were found to be more effective than cyclic ones.