SESSION 3A

INTEGRATION OF DISEASE AND PEST CONTROL INTO CEREALS PRODUCTION

CHAIRMAN	DR T. LEWIS
SESSION ORGANISER	DR J. E. KING

RESEARCH REPORTS 3A-1 to 3A-6

MANAGEMENT OF CEREAL PESTS AND DISEASES IN INTEGRATED FARMING SYSTEMS

A. EL TITI

Landesanstalt für Pflanzenschutz Stuttgart, FRG

ABSTRACT

Soil tillage, sowing technique, nitrogen fertilization and the green manure regime can affect cereal pests and diseases, their antagonistic agents or plant susceptibility to attack. On a commercial farm (Lautenbacher Hof/FRG) an integrated Farming System (IFS) being designed to make the best possible use of these interrelations is implemented on six 8 ha fields and compared, since 1978, with a conventional one practised on six neighbouring fields of 8 ha each. The results obtained indicate a reduction in the incidence of attack on the IFS-fields by stem base diseases, brown rust, and cereal aphids. An average reduction of pesticides of 38% was achieved. In contrast to the physical grain yields, the gross margin of the IFS was found to be equal or slightly higher (5%, not significant) than that of the conventional system.
A number of bio-indicators monitored has shown improvement of many ecological components, including earth-worms, abundance and diversity of carabids, staphylinides, spiders, collembola and mesostigmatic mites.

INTRODUCTION

Following decades of important developments in cereal growing methods, major changes have taken place in the production pattern. These changes have included: intensifying the use of the arable land, incorporating modern technologies particularly soil tillage and agrochemicals, an extreme simplification of the vegetational diversity substituting rotations by cereal monoculture and cropping few cultivars on large areas. All these changes have had a substantial impact on crop protection. Different natural control agents assumed to regulate the population of pests and pathogens have been "put out of action", allowing many nontarget species to become serious problems. One of the sequential effects is the increasing dependency on pesticides, with undesirable side-effects on the environment and wildlife. In integrated management approaches there is a high emphasis on the exploitation of all natural regulating mechanisms to prevent outbreaks of pest and pathogens. On the other hand, efforts should be undertaken to decrease the susceptibility of cropped plants to attack by pests and diseases. These fundamental precautions build up the framework of Integrated Farming Systems (IFS), in which the farm economics are of central importance. Pesticides are to be used only if monetary losses are predicted.

FARMING TECHNIQUES TO MANIPULATE:

Soil tillage:

Soil cultivation has various effects on pests and diseases of cereals and on their interactions with other components of farming systems. Eyespot (*Pseudocercosporella herpotrichoides*) was found to be more prevalent on ploughed plots than on unploughed ones (GROSSMANN 1974; WINSTEL 1978; YARHAM 1979). The change-over from ploughing to reduced tillage has slightly reduced the incidence of takeall (*Gaeumannomyces graminis*) in wheat and barley (YARHAM AND HIRST 1975; YARHAM 1979; GARRETT 1970). Similar results were obtained on powdery mildew (*Erysiphe graminis*)(HESSE 1971) and on septoria blotch (*Septoria tritici*), when blotch infested leaves were incorporated into the upper soil layer (BROKENSHIRE 1975). Reduced tillage has suppressed the attack by wheat bulb fly (*Delia coarctata*) (RAW 1955). Minimized soil tillage apparently favour the soil fauna particularly predators and parasites (EL TITI 1984; NILSSON 1985). By substituting the plough by a "Heavy Broadshare Cultivator" it is possible to loosen the soil without reversing it. The technique can help maintain weed seeds in the upper soil layer, so most of them can germinate and be destroyed after emergence. In this way there is only a minimum buildup of a seed bank (BAUERMEISTER 1983).

Sowing Technique:

Yields of cereals are apparently more dependent on kernel density than on the distribution of the plants (ANDERSSON 1986). Sowing cereals in double rows (e.g.of 6-8 cm within and 24 cm between) offers an alternating dense and thin canopy on the grown area. This distribution pattern is assumed to facilitate wind movement through the crop, deteriorating the infection conditions of foliage pathogens. Similar stands (band sowings) were found to decrease the weight of weeds in wheat and barley ANDERSSON 1986). It facilitates using some alternative weed control methods.

Nitrogen Fertilization:

Nitrogen can highly affect the relation between crop and pathogens in many different ways. It has pronounced effects, mainly on plant anatomy, especially on cuticle and epidermis, and on reproduction of pests (VEREIJKEN 1979) and pathogens (LAST 1954). Avoiding excessive dressing can minimize the damage caused by eyespot, powdery mildew, Septoria blotch and rust disease (DARWINKEL 1980; OPPITZ AND HOESER 1978; MUDICH *et al* 1980). Considering the mineralized nitrate in the soil profile up to 90 cm depth (SCHARPF AND WEHRMANN 1976), the amount of the nitrogen to be added should not exceed the quantity needed to achieve an optimal tillering rate. The amount applied should be adjusted to variety and climate of the site. Also, the specific form of fertilizer especially NO3 and NH4 are found to be of great influence on plant diseases (HUBER AND WATSON 1974; TROLLDENIER 1981). Studies showed that the pathogen/crop interactions depend frequently on the form rather than the amount of nitrogen available. Slow acting N-fertilizers like ALZON (dicyandiamide(DCD) and ammonium sulphate) seem to reduce the incidence of infestation by powdery mildew(EL TITI, in preparation). The nitrification inhibitor included has apparently reduced the rapid uptake of the nitrate. By means of such additives leaching of nitrate can be minimized and utilisation of nitrogen will be maximized.

Undersowings and green manure:

Keeping soils under a greencover as long as possible is one of the major elements in the IFS. This strategy provides substantial conditions for maintaining survival and activity of soil organisms including a large number of beneficial ones. Clover sown in winter wheat has increased the mortality of rose-grain aphid (Metapolophium dirhodum) mainly caused by the entomophagous fungi Erynia neoaphidis and Entomophthora planchoniana (SEIBT 1984). The population density of Agonum dorsalis, a predator of aphids, was found to be higher in such fields (WIPPERFÜRTH 1983; GROßE WICHTRUP 1984). If no hormone herbicides are to be applied, trefoil (Medicago lupulina) can be sown between the double cereal rows. In areas with dry summers, it is safe to have the trefoil at the 5 leaves stage, when cereals are harvested. Green manure crops such as fodder radish (Raphanus sativus) or Phacelia (Phacelia tanacetifolia) sown immediately after harvest can substitute undersowings.

SYSTEM APPROACH AT LAUTENBACH:

In a commercial farm (Lautenbacher Hof) of 245 ha, cereals are cropped in a rotation including sugar beet and legumes. Winter wheat (66%) is dominant over spring barley and oats. The average temperature is 9.4 C and precipitation is 745 mm a year.The soil is old eroded, mainly sandy loam, with an organic matter content of 1.2-2.1%.

The Lautenbach project (STEINER et al. 1986) is designed to compare productivity, in six 4ha (after 1983 4 or 8 ha) fields farmed conventionally with that, in six 8ha plots in which a full integrated farming system is implemented. The main differences between the systems are given in Table 1.

Table 1:Main differences between integrated an conventional farming

	Integrated	Conventional
1. soil tillage	broadshare cultivator	mouldplough
2. sowing		
technique	double rows 6 cm within, 24 between	normal drill on 15 cm
date	no differences	
3. cultivar	no differences	
4. fertilizers		
P/K/Ca	no differences	
nitrogen	N-min	
	suboptimal amount/slow acting	optimal amount high soluble
5. undersowing	clover	no undersowing
greenmanure	Fodder radish or Phacelia	
6. control measures		
weed	Herbicides or mechanical	Herbicides
diseases	Fungicides according to a high threshold level	Fungicides
insect	Insecticides according to high threshold	Insect.considering econ.threshold
7. growth regulators	reduced	recommended dose

To assess probable changer in the agro ecosystemo, a number of bioindicators are monitored on fexed plots of both systems. These include earthworms, carabids, staphylinides, spiders, mites, collembola and cellulose decomposition.

CONTROL OF PESTS AND DISEASES

Natural regulation is a longterm process, depending on the populations of the specific antagonists. This is why decision making should consider longterm effects. The strategies followed in the IFS, at Lautenbach, are:

A) Weed control: The aim is to maintain a seed bank in the upper soil layer at levels below the economic threshold. The reduced tillage favours the germination of weeds-seeds, improving the efficiency of the following control measure. High weed densities are expected during the first years followed by a gradual decline. Deciding, whether or not weeds are to be controlled, depends upon the weed/yield loss relationships, including dominant weed species and control possibilities in the succeeding crops. The control technique itself is highly dependent on the costs and the side-effects. Herbicides with minimum side-effects on fauna and flora as well as on the environment (leaching) are prefered.

B) Eye-Spot: Since the rotation is known to supress the pathogen, achieving a sufficient control of the disease, no fungicides are foreseen on the integrated fields. The rotation effects are supported by the soil tillage as well as by adjusted nitrogen fertilization.

C) Stem base disease: No additional measures are possible since effective fungicides are lacking.

D) Foliage diseases: powdery mildew, septoria blotch, brown and yellow rust are the main cereal diseases at Lautenbach. Up to the present day there is no practical system for prediction of infection. Therefore, there is a high emphasis on forecasting losses, as done by different approaches, i.e. EPIPRE (RABBINGE & RIJSDIJK 1983). According to specific field data, fungicides are applied when 1% of the top leaf area or 5% of all other leaves are damaged. This level is higher than comparable threshold levels used elsewhere (RABBINGE AND RIJSDIJK 1983).

E) Aphids: Cereal aphids are controlled with reduced insecticide dose if their density oversteps the economic threshold determined for Lautenbach. Since virus diseases are of no economic importance in the area, 5 *Sitobion* + *Metapolophium* at stage 59-63 or 15 at 69-73 can be tolerated.

RESULTS:

For comparing the two farming systems, the following parameters are used:

a) Incidence of attack:

Cereal pests and diseases did not occur regularly in the course of these studies. Comparisons were only allowed if a considerable level of attack had been recorded.

The incidence of Stem base disease (pale buff-brown lesions without specification of the pathogens involved) indicated a lower infection in the IFS-fields (fig.1). On these integrated fields, no fungicides have been used against this disease.

Serious damage by brown rust (P.recondital) occured during 1983. The initial infection on integrated/plots was higher than on the conventional ones. However, in later stages the conventional plots became more severely infected than the IFS.

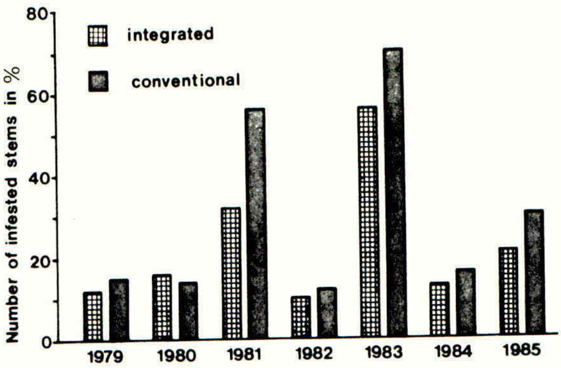


Fig.1:Incidence of infestation by Stem base disease at GS 29-37 winter wheat on "integrated" and conventional" fields of Lautenbach 1979-1985.

No differences were detected among the aphid species occuring in both farming systems. The grain aphid (Sitobion avenae) and the rose grain aphid (Metapolophium dirhodum) were dominant in the experimental years. In Fig.2, aphid densities assessed on GS: 59-69 in both farming systems, are illustrated. No differences were found when immigrant alates were dominat at monitoring time.

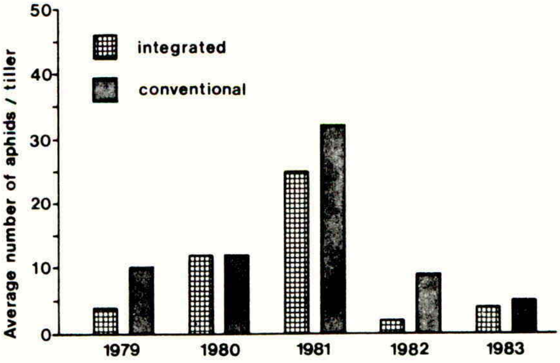


Fig.2: Mean numbers of cereal aphids/tiller on GS 59-69 of winter wheat on "integrated" and "conventional" fields of Lautenbach 1979-1983.

b) The application of pesticides:

The frequency of pesticide treatments was reduced in the IFS, as illustrated in fig.3. Insecticides were not applied on the IFS-fields at all, whereas fungicides and growth regulators were reduced.

Compared with the conventional systems, the use of fungicides in IFS fields was reduced by 56% whereas the total pesticides in the average by 38%

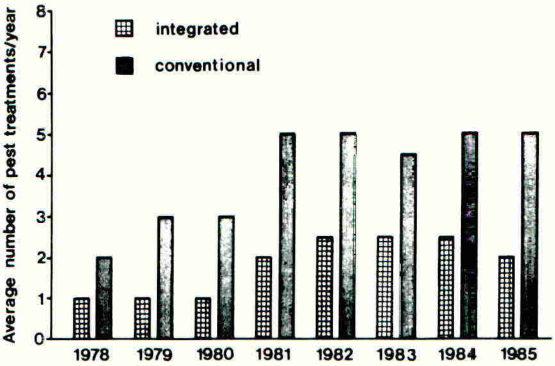


Fig.3: The mean number of pesticides treatments/year of "integrated" and "conventional" grown winter wheat 1978-1985.

c) Farm economics:

The physical yield levels show no significant tendency favouring either farming system. Higher grain yields in the IFS-system were achieved when adverse conditions (diseases; dry weather on post-earing stage) dominated. The gross margin considering all inputs (labour requirement, costs, green manures, chemical control measures, etc) and the monetary yield outputs is considered as a final parameter for judging the farm economics (Fig.4).

The data showed that, in spite of lower physical yields in some years, the gross margin of the integrated system increased by about 5% on the average. (not confirmed statistically yet).

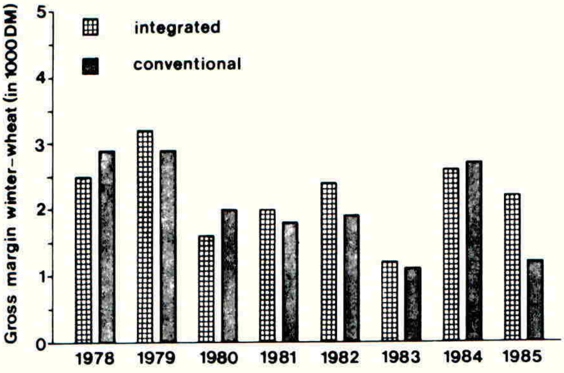


Fig.4: The gross margin for winter wheat croped in "integrated" and "conventional" farming systems at Lautenbach 1978-1985.

d) Ecological effects:
The bio-indicators monitored during the experiment have shown significant improvements. Earthworms in the integrated cropped winter wheat fields had a higher biomass over the years than in the conventionally farmed plots (Fig.5).

Mesostigmatic mites showed higher densities in the IFS-soils. Carabids, staphilynides, were captured in higher numbers and species diversity on the integrated fields. Similar results were obtained for spiders, collembola and acari in the soil surface fauna. No significant differences were detected yet between the systems concerning the cellulose decomposition rate. In addition, a number of soil physical properties such as water permeability, surface structure, water holding capacity, balk stability, have improved on the integrated farmed areas.

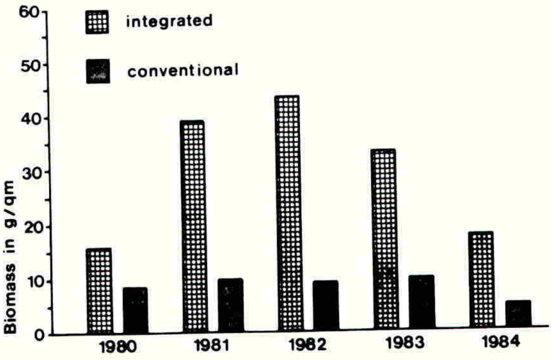


Fig.5: The biomass of earthworms (Lumbricidae) in soils of the "integrated" and "conventional" grown winter wheat at Lautenbach 1980-1985.

For adoption of single techniques in the IFS it is necessary to explain their specific effects outside the farming plots. Various mono-and bifactorial experiments were carried out or still running on such as studies on the effects of field hedges and field margin strips on the antagonistic agents in adjacent fields ; mixing herbicides with ammonium nitrate-Urea solution (liquid nitrogen-fertilizer) to reduce the recommended herbicide dose (up to one third) without decreasing the efficiency of the weed killers: effect of slow acting nitrogen fertilizers on pest and diseases, ... etc.

Integrated farming systems as described here are not intended to be universally applied. They indicate that local components of the agro-ecosystem can be integrated into a system of cereal production. The adoption of such principtles can help to maintain farm production in the long term whilst minimising the side-effects of crop production on the environment.

REFERENCES:

ANDERSSON,B. (1986): Influence of crop density and spacing on weed competition and grain yield in wheat and barley.-Proceedings of EWRS-Symposium on economic weed control, 111-128,Stuttgart

BAUERMEISTER, W. (1983): Untersuchungen zur Erfassung des Unkrautsamenvorrats im Ackerboden und zur Verlagerung der Samen durch Bodenbearbeitung.-Wiss.Zeitsch.27(1),147-156.

BROKENSHIRE,T. (1975): Wheat debris as an inoculum source for seedling infection by Septoria tritici.-Pl.Path.24,202-207.

DARWINKEL,A. (1980): Grain production of winter wheat in relation to nitrogen and diseases I.Relationship between nitrogen dressing and yellow rust infection.-Z.Acker- u. Pflanzenbau 149,299-308.

EL TITI, A. (1984): Auswirkungen der Bodenbearbeitungsart auf die edaphischen Raubmilben (Mesostigmata:Acarina).-Pedobiologie 27,79-88.

EL TITI, A. Einfluß langsamwirkender N-Dünger auf Pilzkrankheiten des Winterweizens.-in Vorbereitung.

GARRETT,D. (1970): Pathogenic root-infecting fungi.-Cambridge Univ.Press, Cambridge UK,294 pp.

GROSSMANN, F (1974): Was bedeuten Veränderungen der Produktionsbedingungen für den Pflanzenschutz?-Archiv derDLG 55, 39-57.

GROßE WICHTRUP; L. (1984): Populationsdynamik von Getreideblattläusen und ihren Antagonisten in Winterweizen mit Untersaat: Eine Untersuchung zum integrierten Pflanzenschutz im Lautenbach-Projekt.-Diss.Uni. Tübingen.

HESSE, B. (1971): Phytopathologische untersuchungen an Direktsaat-Versuchen und Gramoxonanwendung bei dreijähriger Monokultur von Winterweizen.-Diss.Uni Bonn.

HUBER,D.M.,R.D.WATSON (1974): Nitrogen form and plant disease.-Ann.Rev. Phytopath.12, 139-165.

LAST,F.T. (1954): The ffect of time of application of nitrogens fertilizers on powdery mildew of wheat.-Ann.Appl.Biol. 41,381-392.

MUDICH;A.,T.KISFALUSI,G.NAGY und J.STONYI (1980): Der Einfluß der Pflanzenernährung auf Fußkrankheiten des Weizens im industriemäßigen Getreideanbau in der ungarischen VR.-Tag.-Ber.Akad.Landwirt.Wiss.DDR, 181,57-63.

NILSSON,C. (1985): Impact of ploughing on emergence of poller beetle parasitoids after hibernation.-Z.angew.Ent.,100(3) 302-308.

OPPITZ,K.,K.HOESER (1978): Ertrags- und Qualitätsbeeinflussung durch N-Spätdüngung und Fungizidbehandlung bei Winterweizen-Bayer.Landwirtsch. Jahrb. 55, 1005-1014.

RABBINGE,R. AND F.H.RIJSDIJK (1983): EPIPRE: A disease and pest management system for winterwheat, taking amount of micrometereological factors. EPPO Bull.13(2),297-305.

RAW,F. (1955): The effect of soil conditions on wheat bulb fly oviposition.-Pl.Path.,4,114-117.

SCHARPF,H.C., J.WEHRMANN (1976): Die Bedeutung des Mineralstickstoffvorrats des Bodens zu Vegetationsbeginn für die Bemessung der N-Düngung zu Winterweizen.-Landw.Forsch.,32, 100-114.

SEIBT,U. (1984): Entomophtoraceen auf Bohnen-Getreideblattläusen.-Dipl.-arbeit, Uni Tübingen.

STEINER,H., A.EL TITI und J.BOSCH (1986): Integrierter Pflanzenschutz im Ackerbau: Das Lautenbach-Projekt.I.Versuchsprogramm.-Z.Pfl.-Krankh. Pfl.Schutz 93(1),1-18.

TROLLDENIER,G. (1981): Influence of soil moisture, soil acidity and nitrogen source on take-all of wheat.-Phytopath.Z., 102, 163-167.

VEREIJKEN,P.H. (1979): Feeding and multiplication of three cereal aphid species and their effect on yield of winterwheat-Doctoral Thesis, Agric.Res.Report 888,Wageningen.

WALLWORK,J.A. (1976): The distribution and diversity of soil fauna.-Academic Press.London New York San Francisco,355 pp.

WINSTEL, K. (1978): Minimalbodenbearbeitung und Pflug-Kultur-Krankheitsbefall und Ertrag bei Getreide an drei Standorten.-Diss.Hohenheim

WIPPERFÜRTH,T.: Klee als Untersaat im Winterweizen: eine Methode zur biologischen Kontrolle der Getreideblattläuse.-Diss.Uni Tübingen.

YARHAM,D.J. (1979): The effect on soil-borne disease of changes in crop and soil management. In: Schippers,B., Gams, W.Ceds. Soil borne plant pathogens.-Academic Press,London New York San Francisco, pp.371-383.

YARHAM,D.J. , J.M.HIRST (1975): Diseases in reduced cultivation and direct drilling systems.-EPPO-Bull 5,287-296.

DECISION GUIDES FOR FUNGICIDE USE TO CONTROL LEAF DISEASES OF WHEAT GROWN UNDER INTENSIVE CEREAL MANAGEMENT PROGRAMS IN KENTUCKY

R.E. STUCKEY, D.E. HERSHMAN

Extension Professor and Assistant Extension Professor, Department of Plant Pathology, University of Kentucky, Lexington, Kentucky 40546

J.H. GROVE, D.A. VAN SANFORD

Assistant Professors, Department of Agronomy, University of Kentucky, Lexington, Kentucky 40546

ABSTRACT

Wheat research conducted in intensive cereal management (ICM) programs during the past 4 years has resulted in the conclusion that changing the cultural practices to include selection of high yield potential cultivars and to increase seeding and nitrogen fertilizer rates, increase not only the potential for higher yields but also the potential for more disease. To control the increased levels of disease no single prescription ranging from 0 to 3 fungicide applications was found suitable for all instances. Three decision guides were developed for determining if, when, and what fungicides should be applied in ICM programs for control of the three major leaf diseases (leaf rust, powdery mildew, and Septoria leaf blotch) of wheat in Kentucky.

The guides and instructions for their use were developed in such a manner that producer use was anticipated.

INTRODUCTION

Many Kentucky wheat producers, in the face of declining wheat prices, have decided that production per unit area must be increased if they are to survive financially. Reports from and personal visits to England and the European mainland have convinced them that, with added inputs, wheat yields can be increased. These inputs include the selection of wheat cultivars with high yield potential, an increase in seeding rates and the use of higher rates of nitrogen. Unfortunately, these practices have created conditions more favourable for diseases and, in certain instances, necessitated the use of foliar fungicides - a cereal practice foreign to most Kentucky small grain producers.

Three major leaf diseases; leaf rust (*Puccinia recondita* f. sp. *tritici*), leaf blotch (*Septoria tritici*), and powdery mildew (*Erysiphe graminis* f. sp. *tritici*) frequently reduce yields of wheat in Kentucky. While each of these diseases do not pose an economic threat each year, yield reductions in untreated plots may be as high as 75%. Research at the University of Kentucky over the past 10 years has shown yield responses due to fungicides that have varied from 0 to over 40 bushels/acre dependent upon the cultivar, the disease and the environment. Thus, blanket recommendations of fungicide use do not appear warranted. Decision guides (point systems) were developed for each of the three diseases to assist the producers in intensive cereal management programs to determine if, when, and what fungicides should be applied (Stuckey 1985). The concept of decision guides was not new to most producers since they were already familiar with the point system for soybean seed production developed 5 years earlier (Stuckey *et al*. 1984). The decision guides offer no guarantee of an economic return on investment. Their use increases the probability that fungicides will be applied when needed. A further benefit of foliar fungicides applied during the early heading stage of wheat growth development is the potential suppression of glume blotch (*Septoria nodorum*) and head scab (*Gibberella zeae*) head diseases.

MATERIALS AND METHODS

Information gained from 10 years of fungicide screening and time of application on experimental plots conducted at university farms in Lexington and Princeton was the primary source of knowledge used to develop the decision guides. Textbooks and information exchange with colleagues in plant pathology and other related disciplines were also very useful. Grower workshops with live plant material were extremely helpful for grower acceptance. What at first appeared difficult to growers became systematic when each step was taken sequentially. Growers developed a better appreciation for scouting, early disease recognition and the importance of well timed fungicide applications.

To use the decision guides, the field in question must be scouted. A minimum of four different areas of the field is examined. Fungicide application is considered when (according to the decision guides) any of the areas examined have sufficient point totals. At least one area is selected where advanced growth and thick dense stands occur since this is the area where diseases are first likely to be seen. Recognition and correct identification of diseases are necessary before the decision guides can be used.

To complete the decision guide forms, information in five categories is required.

1. The growth stage of the crop according to Feekes' growth scale (Large 1954) and the stage of disease development are needed. (A descriptive figure of the growth stages accompanies the decision guides that are made available to producers). Dependent upon the value assigned, a decision is made: (a) to continue with the remaining 4 categories, (b) to discontinue and re-examine the field 3 to 7 days later, or (c) to discontinue scouting the field when the crop or disease is too far advanced for treatment.

2. Cultivars commonly grown in Kentucky are designated as resistant (R), moderately resistant (MR), moderately susceptible (MS), susceptible (S), or very susceptible (VS). Cultivar classification is given in a table that accompanies the guides. Points are then assigned according to cultivar designation.

3. An estimation of yield potential for the field (in the absence of disease) is requested. Only those fields with good yield potential will profit from fungicide application.

4. High nitrogen rates are often necessary to maximize yields, however, these conditions also produce thick, heavy stands of vigorously growing plants that are more susceptible to disease. Point values are assigned based on rates and in some cases timing of nitrogen application (i.e. powdery mildew decision guide). High nitrogen applications may create lodging of wheat, in which event, antilodging chemicals should be considered.

5. Accurate weather information is difficult to predict. The weather needed to complete the decision guides include the temperature and moisture for the past two weeks and for the two week forecast. Based on those two parameters, a point value is assessed.

When more than one disease is present in the field, each disease should be evaluated separately according to the appropriate decision guide and the recommendation of the more severe evaluation implemented. For example, if a cultivar has both powdery mildew and leaf rust, and according to the decision guide a spray application is suggested in both cases, then select the chemical or combination of chemicals effective for control of both diseases and apply the higher of the two rates recommended for control of each disease. Do not add both rates and apply.

There are several conditions under which, if any exist, foliar fungicide applications are not recommended and it is not necessary to proceed further with the decision guides. These conditions are:

A. A resistant or moderately resistant cultivar is planted for the disease scouted.
B. If yield potential is less than 45 bushels/acre where powdery mildew or Septoria leaf blotch diseases are of concern or less than 35 bushels/acre where leaf rust disease is present.
C. If no disease is present on the flag leaf by early dough stage of grain development.
D. For powdery mildew disease only, if less than 25 tillers/sq.ft. at Feekes 7 growth stage are present.

When none of the above conditions have been satisfied, the most significant disease is identified, the appropriate decision guide selected and the one most representatieve current growth stage and disease development condition for the crop determined. After all 5 categories are completed, points are summed from each and the total number of points compared to the recommendation chart. If no application is recommended, fields are rechecked every 5 to 7 days for Septoria leaf blotch and powdery mildew and every 3 to 5 days for leaf rust.

There are only a limited number of fungicides registered and available for use in Kentucky to control leaf diseases of wheat. The mancozeb fungicides are protectant fungicides effective for leaf rust and Septoria leaf blotch control when applied prior to infection. Systemic fungicides benomyl and triadimefon are effective for powdery mildew and Septoria leaf blotch and for powdery mildew and leaf rust, respectively. Fungicides and fungicide rates recommended are given for each disease in the decision guides. The profitability of applying fungicides will depend on the number of bushels of yield protected, the cost of the fungicides applied, the application cost, and the price received per bushel. A breakeven number of bushels/acre needed to recover costs (fungicide and application) at various wheat prices is given in a table that accompanies the decision guides available to producers. Values range from 1.5 to 15.0 bushels/acre dependent upon the costs and the price received for grain.

RESULTS

In 1985, a year favourable for leaf rust development, a single fungicide application in replicated plots increased yields from 25 bushels/acre (control plots) to greater than 60 bushels/acre. In other tests, three applications of fungicides produced only marginally higher and, infrequently, lower yields than those recorded with a single application. Furthermore, yields achieved with proper timing of a single protectant fungicide application (product cost $ 4/acre) were not significantly different from a single eradicant fungicide application (product cost $ 18/acre). However, improper timing of a protectant application (i.e. post infection) was totally ineffective and resulted in yields not different from control plots. The decision guides allow producers to select and use appropriate fungicides in a practical and timely manner. Description and explanations of diseases, fungicides, fungicidal properties and their effectiveness for each disease, growth development stages of wheat and a cost/benefit table for fungicide use accompany the decision guides available to producers.

DISCUSSION

Small grain producers in Kentucky have rapidly accepted the high yield potential wheat cultivars that have been released. Higher seeding rates and higher rates of nitrogen applied to assist cultivars reach their maximum yield potential have intensified disease problems. In 1985 many producers had most of their planted acreage in high yielding cultivars that were susceptible to leaf rust. Unfortunately, 1985 was the most devastating leaf rust year in recent history in Kentucky. Many fields that should have received fungicides did not. Hysteria developed and fields with resistant cultivars received fungicide applications. In yet other instances, fields received fungicides too late, or had an inappropriate fungicide applied due to the stage of disease development on the plants.

There are no 'miracle' fungicides available that are effective for all diseases and that possess a residual for plant protection throughout the entire growing season. Correct disease identification and a biological understanding of each pathogen are essential for effective fungicide choice and usage. The decision guides and accompanying attachments offer this information.

The European countries have been leaders in intensive cereal management. Kentucky wheat producers are eager to accept new technology that will make wheat production more cost effective. It is probable that transfer of production practices 'in toto' from European to Kentucky fields will not result in satisfactory economic returns. Yet, when average European wheat yields in some countries are 3 to 4 times in excess of yields in Kentucky, certainly some transfer of production techniques are needed. Perhaps in Kentucky there are certain production practices (i.e. seeding rates and nitrogen applications) that should be altered on a permanent basis while others (i.e. fungicide applications) need to remain flexible dependent upon the particular year. Results of research in intensive cereal management in Kentucky would suggest that in certain years, fungicide applications are not needed, while in other years as many as two applications are likely to be beneficial. In many years, a single well timed application will be the most profitable. Current prices and the farm economy dictate that only those inputs determined to be economic should be used. Those producers that employ such practices are those most likely to survive. The decision guides appear to be a worthy approach to utilize fungicides in a needed and timely manner.

REFERENCES

James, W.C. (1971) Manual of assessment keys for plant diseases. Canadian Development Agency No. 1458.

Large, E.C. (1954) Growth stages in cereals, illustrations of the Feekes' scale. Plant Pathology 3, 128-129.

Stuckey, R.E. (1985) Point systems for small grain disease control. Proceedings of the Southern Small Grain Workshop Conference 45-46. Tallahassee, Fl.

Stuckey, R.E; Moore, W.F.; Wratcher, J.A. (1984) Predictive systems for scheduling foliar fungicides on soybeans. Plant Disease 68, 743-744.

POWDERY MILDEW DECISION GUIDE

From each of the 5 factors select the single most appropriate condition.

FACTOR			RECOMMENDED POINTS	ASSESSED POINTS
I	Growth Stage and Disease Development			
	Feekes	Number of leaves from the top of the plant free of disease		
	6, 7, or 8 (one joint, two joint or last leaf visible)	4	DNS*	
		3	0	
		2	1	
		1	3	
		0	4	
	9 or 10 (ligule fully developed or boot)	4	DNS	
		3	1	
		2	3	
		1	5	
		0	6	
	10.5 (flowering)	3	DNS	
		2	2	
		1	4	
		0	6	
	11.1 (milk)	2	DNS	
		1	1	
		0	3	______
II	Varietal Susceptibility			
	Moderately Susceptible		1	
	Susceptible		3	
	Very Susceptible		4	______
III	Yield Potential			
	<45 bushels		DNS	
	45 - 55 bushels		0	
	55 - 65 bushels		1	
	65 - 75 bushels		2	
	75 - 85 bushels		3	
	>85 bushels		4	______
IV	Nitrogen Management (lb N/Acre)			
	<60		0	
	60 - 90 all in Spring at Feekes 3-5		2	
	60 - 90 1/2 or more after joint or no-till wheat		1	
	90 - 120 all in Spring at Feekes 3-5		3	
	90 - 120 1/2 or more after joint or no-till wheat		2	
	>120 all in Spring at Feekes 3-5		4	
	>120 1/2 or more after joint or no-till wheat		3	______

V Environment. Based on the past 2 weeks and the 2 week forecast, select the point value most closely associated with the appropriate average temperature/moisture condition. Temperature selected should be the average of the daytime and nighttime temperatures. If moisture is the result of heavy rainfall, deduct 2 points from the average and wet category.

Temperature (°F)	Moisture and Relative Humidity	
	Dry	Ave. and Wet
50 - 60	1	3
60 - 70	2	4
70 - 80	1	3
>80	0	0

______

SUM TOTAL ☐

RECOMMENDATION: If sum total is:

A) ≤ 13 DNS* = Do not spray, re-evaluate in 5-7 days.
B) 14-16 Apply 2 oz/A Bayleton, re-evaluate in 2 weeks.
C) 17-20 Apply 3 oz/A Bayleton, re-evaluate in 2 weeks.
D) > 20 Apply 4 oz/A Bayleton, re-evaluate in 2 weeks.

SEPTORIA LEAF BLOTCH DECISION GUIDE

From each of the 5 factors select the single most appropriate condition.

FACTOR		RECOMMENDED POINTS	ASSESSED POINTS
I Growth Stage and Disease Development			
Feekes	Number of leaves from the top of the plant free of disease		
6, 7, or 8 (one joint, two joint or last leaf visible)	4	DNS*	
	3	0	
	2	1	
	1	3	
	0	4	
9 or 10 (ligule fully developed or boot)	4	DNS	
	3	1	
	2	3	
	1	5	
	0	6	
10.5 (flowering)	3	DNS	
	2	2	
	1	4	
	0	6	
11.1 (milk)	2	DNS	
	1	1	
	0	3	______
II Varietal Susceptibility			
Moderately Susceptible		1	
Susceptible		3	
Very Susceptible		4	______
III Yield Potential			
<45 bushels		DNS	
45 - 55 bushels		0	
55 - 65 bushels		1	
65 - 75 bushels		2	
75 - 85 bushels		3	
>85 bushels		4	______
IV Nitrogen Management			
Rate Applied in Spring (lb N/acre)			
<60		0	
60 - 90		1	
90 - 120		2	
>120		3	______

V Environment. Based on the past 2 weeks and the 2 week forecast, select the point values most closely associated with the appropriate average temperature/moisture condition. Temperature selected should be average daytime temperature.

Temperature (°F)	Moisture		
	Dry	Ave.	Wet
<50	0	0	0
50 - 65	0	1	3
65 - 80	0	2	4
>80	0	1	2

______

SUM TOTAL ☐

RECOMMENDATION. Sum total is:

A) ≤ 12 points. DNS* = Do not spray, re-evaluate in 5-7 days.

B) 13-15 points. Spray may be beneficial, if sprayed apply 2 lb Mancozeb, or 1/4 - 1/2 lb Benlate plus Mancozeb, or 4 oz Bayleton plus Mancozeb, re-evaluate field in 10 days.

C) ≥ 16 points. Apply 2 lb/a Mancozeb or 1/4 - 1/2 lb Benlate plus Mancozeb, or 4 oz Bayleton plus Mancozeb, re-evaluate in 10 days.

LEAF RUST DECISION GUIDE

From each of the 5 factors select the single most appropriate condition.

FACTOR			RECOMMENDED POINTS	ASSESSED POINTS
I	Growth Stage and Disease Development (see explanation on reverse side)			
	Feekes 7, 8, 9, or 10 (two joint through boot)	No pustules	DNS*	
		Pustules on 1-20% of leaves; ave. infected leaf <1% covered	0	
		Pustules on 20-50% of leaves; ave. infected leaf 1-5% covered	5	
		Pustules on >50% of leaves; ave. infected leaf 5-15% covered	4	
		Pustules on >80% of leaves; ave. infected leaf >15% covered	DNS	
	10.1 - 10.5 (head emergence-flowering)	No pustules	DNS	
		Pustules on 1-20% of leaves; ave. infected leaf <1% covered	2	
		Pustules on 20-50% of leaves; ave. infected leaf 1-5% covered	4	
		Pustules on >50% of leaves; ave. infected leaf 5-15% covered	4	
		Pustules on >80% of leaves; ave. infected leaf >15% covered	DNS	
	11.1 (milk stage)	No pustules	DNS	
		Pustules on 1-20% of leaves; ave. infected leaf <1% covered	DNS	
		Pustules on 20-50% of leaves; ave. infected leaf 1-5% covered	2	
		Pustules on >50% of leaves; ave. infected leaf 5-15% covered	3	
		Pustules on >80% of leaves; ave. infected leaf >15% covered	DNS	
II	Varietal Susceptibility			
	Moderately Susceptible		1	
	Susceptible		3	
	Very Susceptible		5	
III	Yield Potential			
	<35 bushels		DNS	
	35 - 45 bushels		0	
	45 - 60 bushels		1	
	60 - 80 bushels		2	
	>80 bushels		4	
IV	Nitrogen Management (lbs N/acre)			
	<60		0	
	60 - 90		1	
	>90		2	

V Environment. Based on past 2 weeks and 2 week forecast. If rains and/or heavy dews did not exist nor are forecast for a minimum of six hours of continuous leaf wetness on leaves, enter 0. If six or more hours of leaf wetness occurred then select points according to average daytime and nighttime temperature during that time.

Temperature (°F)	RECOMMENDED POINTS	ASSESSED POINTS
<55	0	
55 - 65	3	
65 - 75	5	
>75	2	

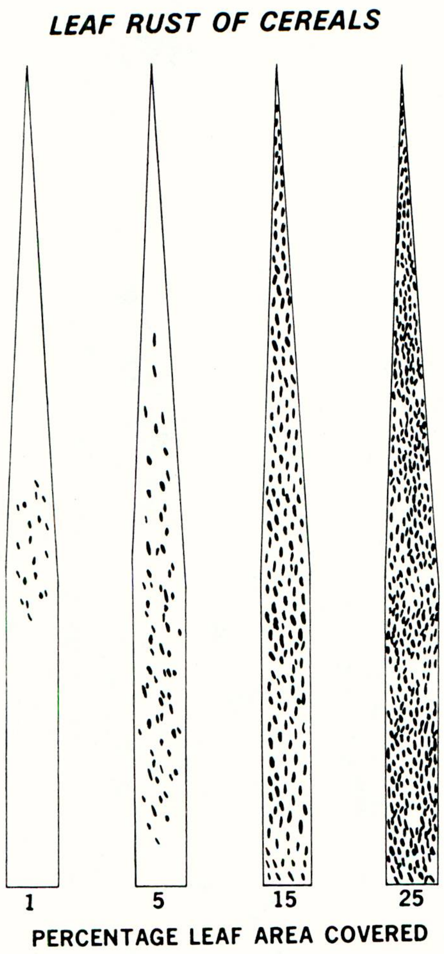
SUM TOTAL ☐

RECOMMENDATION. (see next page)

RECOMMENDATION. If sum total is:

- A) ≤11 points. DNS* = Do not spray, re-evaluate in 3-5 days.
- B) 12-14 points. Spray either with 2 lb/A Mancozeb or 4 oz/A Bayleton. Bayleton is preferred where more than 20% of leaves have rust pustules and where more than 1% of the leaf surface is covered. A Mancozeb + Bayleton application made at heading may also assist in control of head diseases. Re-check field 8-10 days after spraying with Mancozeb and 10-14 days after spraying with Bayleton.
- C) ≥15 points. Same as above; but where Bayleton is used, apply 6 oz/A rate.

Growth Stage and Disease Development



After James, W.C. (1971)

To assess the most appropriate category for growth stage and disease development (factor 1, previous page), first determine which growth stage is most representative of the majority of the plant, then examine all leaves of 10-15 plants in several locations in the field. If no leaf rust pustules are found, re-examine field in 3-5 days. If rust pustules are found, determine if the number of leaves containing rust pustules are less than 20, between 20 and 50, between 50 and 80 or greater than 80 percent. Next select the drawing of percentage leaf area covered which is most representative of those leaves containing pustules. The choices given are <1%, 1-5%, 5-15%, and >15%. In most instances the percent of leaves infected and the average percentage of leaf area covered will correspond to the same point value on the decision guide. In those cases when they do not, select the higher value. If the evaluation of percent leaves infected or percentage leaf area covered results in a DNS (do not spray) the DNS takes precedence.
When a DNS is encountered because of insufficient disease, fields should be re-evaluated in 3-5 days. When a DNS recommendation is a result of >80% of leaves containing pustules or the result of a majority of leaves with a >15% leaf area covered, the fields need not be evaluated again for leaf rust - it is already too late for economic fungicide benefit.

THE EFFECT OF AUTUMN NITROGEN, INSECTICIDE AND FUNGICIDE ON WINTER WHEAT SOWN AT TWO DATES AND WITH THREE LEVELS OF SPRING NITROGEN.

R.W. CLARE, I. AP DEWI

Rosemaund EHF, Preston Wynne, Hereford, HR1 3PG

W.E.R. MADGE

Norfolk Agricultural Station, Morley St Botolph, Wymondham, Norfolk NR18 9DB

ABSTRACT

Twenty experiments were carried out between 1982 and 1984 to examine the effect of autumn nitrogen, insecticide and fungicide on winter wheat drilled in either September or October. The autumn treatments were compared at three levels of spring applied nitrogen.

The average responses to sowing date and autumn inputs were small but the range of responses was large. Take-all and Barley Yellow Dwarf Virus were found in some early sown plots but were rarely found on plots sown in October. The average response to fungicide and insecticide in the presence of take-all and BYDV was larger than the average response in their absence.

Prophylactic treatment with nitrogen, insecticides and fungicides in the autumn was not worthwhile but yield losses were associated with specific pest and disease problems in some experiments, suggesting that fungicide and insecticide applications should be based on thresholds or forecasts.

INTRODUCTION

October has been the traditional month for sowing winter wheat (Eddowes, 1976) but many crops are now sown in early to mid-September. By increasing the autumn cereal area to replace the less profitable spring sown cereals, gross margins per hectare can be improved. Since yields may decline with sowing dates from mid-October onwards (McClean, 1981) early sowing is essential if a large winter cereal area is to be drilled before weather and soil conditions deteriorate.

Early drilling advances crop development, modifies tiller production and survival and increases total crop biomass (Prew *et al*, 1983; Green *et al*, 1985). As a result, grain yield can be increased by early sowing (Green & Ivins, 1985) but in a review of 77 experiments McClean (1981) found that the effect of September sowing as compared to October varied widely, giving yield increases in some experiments and depressions at others.

Diseases

The severity of foliar diseases, mildew and Septoria tritici, can be increased by early sowing (Prew et al, 1983). Root and stem base diseases, such as take-all and eyespot, can also attain higher levels in early sown crops (MAFF, 1985). Take-all can be particularly severe in early sown second to fourth wheats and can have large effects on grain yield (Hornby, 1985).

Pests

Early sown cereals are particularly vulnerable to Barley Yellow Dwarf Virus - BYDV (Buxton & Ap Dewi, 1985). Winter wheat can be infected by direct transfer of the aphid vectors to emerging crops and colonisation by winged aphids. Early sown cereals are at risk since they have emerged and are well developed when aphid numbers are high. Frit fly (Oscinella frit) and yellow cereal fly (Opomyza florum) can also attack early sown winter wheat.

Nitrogen

Early sown wheat can take up much more nitrogen by the spring than crops drilled later, reducing the amount of nitrogen leached from the soil in the autumn and winter (Widdowson et al, 1984).

MATERIALS AND METHODS

From 1982 to 1984, a total of 20 experiments were carried out at ADAS Experimental Husbandry Farms, the Norfolk Agricultural Station and by ADAS South East Agronomy Department. The trials examined the effect of autumn (from date of drilling to early spring) inputs and spring nitrogen on winter wheat (cv. Norman) drilled in September and October.

Rotational position

Of the 20 experiments, 6 were first wheats, 11 were second wheats and 3 were wheat following a long run of cereals. At most sites the break crops had been grass or legumes but at one site (Rosemaund) the second wheat followed oilseed rape and at another site (Norfolk Agricultural Station) followed sugar beet.

Time of sowing

1. Early : Mid-September
2. Normal : Mid-October

The sowing dates achieved ranged from 9-27 September and 9 October to 5 November for the early and normal sowing dates respectively. Sixteen (80%) of the early sowing dates were between 9-16 September and 12 (60%) of the later sowing dates were between 9-16 October.

Autumn pest control

1. Nil
2. Full programme

Most experiments used cypermethrin (Ambush C) or deltamethrin (Decis) applied in October-November or November-December, on the early and normal sowing dates respectively, to control the aphid vectors of BYDV. Fonofos (Dyfonate), applied pre- or early post-drilling, and/or triazophos (Hostathion), applied in January-February, were also used in some experiments to control of range of problems including frit fly and *Opomyza*.

Autumn disease control

1. Nil
2. Full programme

Most experiments used triadimefon and carbendazim (Bayleton BM) applied in November-December but some of the early drilled crops were treated in October and some of the later drilled crops in January-February.

Nitrogen

Autumn nitrogen

1. Nil
2. 40 kg/ha nitrogen applied in October-November at Growth Stage 13.

Spring nitrogen

This treatment was included to ensure that the autumn inputs were examined over a range of spring nitrogen levels and to test for interactions between the autumn inputs and spring nitrogen. Three rates of spring nitrogen were used. In most experiments these were 160, 200 and 240 kg/ha nitrogen.

Other applications

Herbicides were applied to the trial areas to ensure good weed control for both sowing dates. Growth regulators were applied to prevent lodging. In most experiments the spring and summer fungicide programme was composed of 3 sprays at Growth Stages 31, 39 and 59. Where necessary an insecticide was applied to control grain aphids.

The design, treatments, dates and rates of application for each experiment have been published (MAFF 1982, 1983, 1984; Nuttall and Madge, 1985). Full details are also available from each Centre Director.

RESULTS

Sowing date

The average response to early sowing was small at 0.09t/ha but the range of responses was large, from -2.42t/ha (Rosemaund 1983) to +2.17t/ha (High Mowthorpe 1982). Ten experiments gave negative responses and 10 gave positive responses to early sowing. The yield reductions following early sowing were generally smaller than the increases. Five experiments gave yield reductions greater than 0.2t/ha but 8 gave yield increases greater than 0.2t/ha (Table 1).

TABLE 1

The effect of sowing date on grain yield (t/ha at 85% DM)

	September sowing			October sowing		
	1982	1983	1984	1982	1983	1984
Boxworth	-	8.89	8.91	-	8.94	9.84
Bridgets	10.34	10.61	7.40	9.55	9.72	7.21
Drayton	11.04	9.38	8.34	11.07	9.27	10.30
High Mowthorpe	9.89	10.01	10.21	7.72	10.20	8.87
NAS	9.09	10.55	10.00	8.51	9.67	10.06
Rosemaund	9.78	2.64	10.55	9.05	5.06	10.60
South East	8.24	7.23	8.77	6.90	7.61	9.87

Autumn inputs

The average responses (Table 2) to autumn applied nitrogen, insecticide and fungicide were generally small and positive (less than 0.3 t/ha). The largest average negative response followed the use of autumn nitrogen on early sown plots in 1984. The averages hide a wide variation in the actual yield responses obtained (Fig. 1). Large yield increases or reductions were found in some experiments; for example, the fungicide treatment to early sown plots increased yield by 1.14t/ha (Rosemaund 1983) and decreased yield by -0.95t/ha (Drayton 1984). The majority of responses were small, within the range -0.25 to +0.25t/ha. More positive responses to fungicide and insecticide were found on the early sowing date. The proportion of experiments with positive responses to fungicide were 74% and 65% for the early and normal sowing date respectively. Similarly, the proportion with positive responses to insecticide were 74% and 47% for the early and normal sowing dates.

Interactions

Seventeen first order interactions were found, the majority of these were between sowing date and one of the other treatments, however no single interaction occurred in more than four experiments. Only 4 second or third order interactions were observed.

TABLE 2

Grain yield response (t/ha at 85% DM) following the use of autumn fungicide (F), insecticide (I) and nitrogen (N).

Site and year	September sowing date			October sowing date		
	+F	+I	+N	+F	+I	+N
1982						
Bridgets	-.37	.34	-	-.03	-.13	-
Drayton	.24	-	.26	-.06	-	.09
High Mowthorpe	.01	.12	.00	-	-	-.02
NAS	.23	.30	-.01	.10	-.28	.62
Rosemaund	.00	.18	.10	-.27	.37	.17
South East	.10	-.01	-.07	.16	-.02	.29
Mean	.04	.19	.06	-.02	-.02	.23
1983						
Boxworth	.16	.04	-.09	.07	-.63	.13
Bridgets	-.01	.05	.17	-.23	-.08	-.09
Drayton	-	.11	.04	-	-.08	-.25
High Mowthorpe	-.16	.12	.06	-	-	.02
NAS	.20	.50	.16	.18	.30	.15
Rosemaund	1.14	-.08	.20	.01	.51	.20
South East	.29	.13	-.35	-.27	.03	-.29
Mean	.27	.12	.03	-.05	.01	-.02
1984						
Boxworth	-.06	-.07	-.10	.20	-.24	.45
Bridgets	.60	.60	.16	.02	.19	.06
Drayton	-.95	-.10	-.15	-.10	.54	-.14
High Mowthorpe	.09	.11	.04	.16	-.62	.08
NAS	.36	.47	-.27	.22	-.04	.66
Rosemaund	.34	.90	.02	.19	.07	-.06
South East	.36	-.03	-1.56	.39	.57	.40
Mean	.11	.27	-.27	.15	.07	.21

DISCUSSION

The main feature of the results was the small average response to treatments which hid a large variation in the actual responses obtained. In some cases the responses to early sowing could be explained. At High Mowthorpe in 1982 and 1984 the large yield increase following early drilling was associated with dry conditions in spring which favoured the better established early drilled plots (MAFF 1982, MAFF 1984). At Rosemaund in 1983 the yield reduction following early drilling was associated with severe take-all (Simkin *et al*, 1985) and at Drayton in 1984 was associated with lodging (MAFF, 1985). However, it was not possible to identify sites giving consistent responses to early drilling.

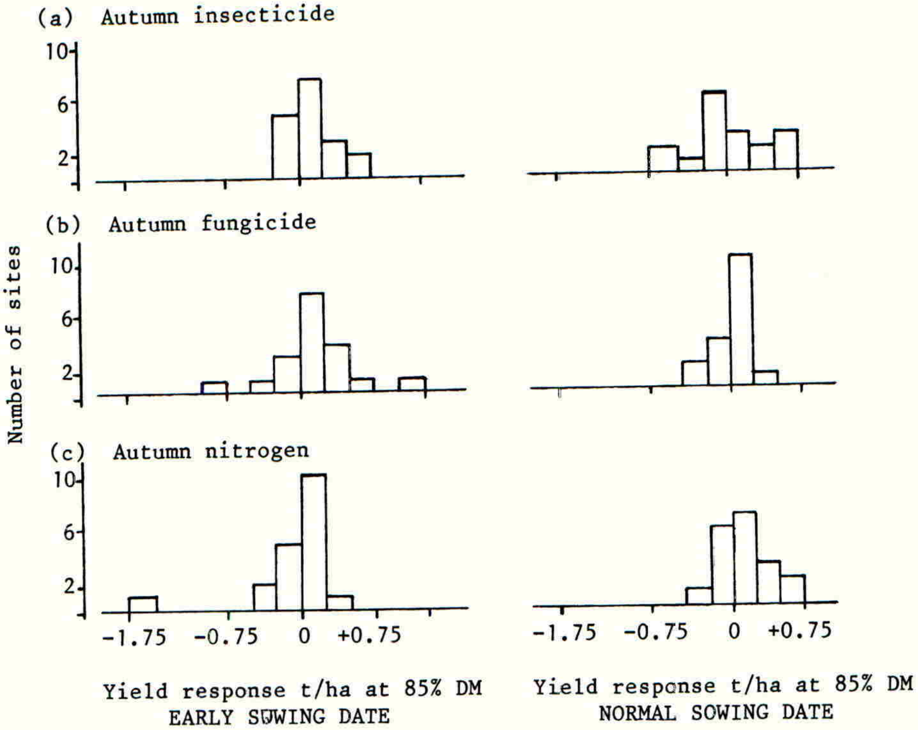


Fig. 1. Frequency distribution of yield response to autumn inputs for September and October sowing dates.

The responses to autumn applied insecticide and fungicide were variable but it was possible to relate the results to the incidence of pests and disease problems in individual experiments. The major disease problems were take-all, found predominently at second wheat sites, and *Septoria tritici*. BYDV and some *Opomyza* were the major pest problems. Although these diseases and pests were evident on the early drilled plots in some experiments, they were seldom a problem on the later drilled plots. Yield responses to fungicide and insecticide were larger where specific diseases or pest problems were observed (Table 3). The average response to fungicide in early sown plots where take-all was a problem was 0.40t/ha as compared with -0.07 t/ha where take-all was not found. At Rosemaund (Simkin *et al*, 1985) the yield increase from fungicide on the early sown plots was associated with a reduction in take-all levels although the fungicide used had not hitherto been associated with control of this disease. At the Norfolk Agricultural Station the yield increase following autumn fungicide was not associated with a reduction in take-all and was attributed to control of *Septoria tritici* (Nuttal and Madge, 1985).

TABLE 3

The average yield response (t/ha at 85% DM) to autumn inputs in experiments grouped according to diseases, pests and nitrogen index.

	Number of experiments	Sowing date September	October
Disease			
Septoria tritici	2	0.26	-0.09
Take-all	7	0.40	0.09
Nil	10	-0.07	0.04
Pests			
BYDV	6	0.38	0.11
Opomyza	3	0.26	-0.13
Nil	12	0.09	-0.01
Nitrogen index			
0	13	-0.13	0.21
1	4	0.03	-0.03
2	3	0.05	-0.10

The disease and pest problems were observed on the early sown plots.

The variation in response to autumn nitrogen was not apparently related to nitrogen index (Table 3) although yield responses were lower on N index 0 sites following early sowing. This reduction in grain yield was associated with lodging (Boxworth 1983, Boxworth 1984) and increased levels of take-all, eyespot and sharp eyespot (South East 1984). In most experiments nitrogen mineralised from soil reserves was sufficient to support the greater autumn growth following early sowing and autumn applied nitrogen was not required.

Since the average responses to treatments were small, prophylactic autumn treatment of winter wheat is not justified. However, applying no autumn treatments carries a high risk of financial loss since large yield reductions were associated with pest and disease problems in some experiments. Disease and pest control in the autumn should therefore be based on forecasting and thresholds. The exception is take-all. Although autumn fungicide improved yield in the presence of take-all the yield increases were not directly attributable to take-all control in all experiments. The risk of severe attacks should be reduced by drilling susceptible wheat crops later in the autumn.

It was not possible, with two sowing dates and one variety, to identify an optimum sowing date but the results demonstrate that drilling winter wheat in September can lead to yield increases. However, early sowing can increase the risk and severity of pest and disease problems and it is important to control these if the potential yields of early sown crops are to be achieved.

REFERENCES

Buxton, J and Ap Dewi, I (1985) The importance of BYDV control. Rosemaund Experimental Husbandry Farm Annual Review 1985, 6-11. HMSO.

Eddowes, M. (1976) Crop Production in Europe, Oxford University Press, 107.

Green, C.F. and Ivins, J.D. (1985) Time of sowing and the yield of winter wheat. Journal of Agricultural Science, Cambridge 104, 235-238.

Green, C.F., Paulson, G.A., Ivins, J.D. (1985) Time of sowing and the development of winter wheat. Journal of Agricultural Science, Cambridge 105, 217-221.

Hornby, D. (1985) Soil nutrients and take-all. Outlook on Agriculture 14, 122-128.

MAFF. (1982) Research and development reports Agriculture Service Cereals. Reference Book 224(82). MAFF publications.

MAFF. (1983) Research and development reports Agriculture Service Cereals. Reference Book 224(83). MAFF publications.

MAFF. (1984) Research and development reports Agriculture Service Cereals. Reference Book 224(84). MAFF publications.

MAFF. (1985) Eyespot and sharp eyespot of wheat and barley. Ministry of Agricultural, Fisheries and Food (Publications) Leaflet 321. HMSO.

McClean, S.P. (1981) The evidence on time of drilling. In winter Wheat, Proceedings of the 16th NIAB Crop Conference, 1980, 43-46.

Nutall, M. and Madge, W.E.R. (1985) Winter wheat time of sowing 1982-1984. Norfolk Agricultural Station Annual Report No.77 1984-1985, 67-75.

Prew, R.D., Church, B.M., Dewar, A.M., Lacey, J., Penny, A., Plumb, R.T., Thorne, Gilian N., Todd, A.D. and Williams, T.D. (1983) Effects of eight factors on the growth and nutrient uptake of winter wheat and on the incidence of pests and diseases. Journal of Agricultural Science, Cambridge 100, 363-382.

Simkin, M., Nicolson, S.M. and Clare, R.W. (1985) Take-all. Rosemaund Experimental Husbandry Farm Annual Review 1985, 12-17. HMSO.

Widdowson, F.V., Penny, A., Darby, R.J. and Bird, E. (1984). The effect of sowing date and of leaching on soil nitrogen supply for winter wheat, at Rothamsted and Woburn, 1981 In ADAS/MAFF Reference book 385, The Nitrogen Requirement of Cereals (ed. P Needham), 125-128. HMSO.

ACKNOWLEDGEMENTS

Acknowledgement is made to the large number of ADAS colleagues who carried out these experiments.

INTEGRATION OF EXOGENOUS VARIABLES FOR DISEASE MANAGEMENT, QUALITY YIELD AND PROFITABILITY IN WINTER BARLEY

V.W.L. JORDAN, G.R. STINCHCOMBE

Department of Agricultural Sciences, University of Bristol, Long Ashton Research Station, Long Ashton, Bristol, BS18 9AF, UK

ABSTRACT

Integration of three major inputs, nitrogen, growth regulators and fungicides, in winter barley production has provided options for greater efficiency of production by maintaining yield and quality, improving profitability, and allowing decreased fungicide inputs.

Over several seasons in the UK, delaying the main nitrogen top dressing from mid-March until mid-April has resulted in later vegetative growth, a more open canopy structure, smaller leaves, less disease, earlier ear emergence, longer green leaf retention giving a longer grain filling period, less straw, and more grain yield with better grain size. Plant growth regulators, whilst sometimes needed as anti-lodging agents, are less effective on April nitrogen crops. Fewer fungicide sprays are required on such crops in order to control disease and maximise profitability.

In 1985, three fungicide sprays applied to cvs Igri, Sonja and Halcyon, that received their main nitrogen top dressing in mid-March realised gross margins of £658, £549 and £626/ha respectively, whereas a single fungicide application to crops that received their main nitrogen top dressing in mid-April realised gross margins of £663, £623 and £693/ha respectively.

INTRODUCTION

The damaging effects of individual pathogens on barley growth and yield and the factors which influence these effects have been well demonstrated. However, in field crops diseases seldom occur alone, and so studies on single pathogens may not provide an adequate basis for developing disease management strategies.

The adoption of new crop husbandry practices, including the intensive use of fertilisers, early sowing, mono-culture, minimum or reduced cultivation and the frequent introduction of new varieties with higher yield potential has markedly influenced the prevalence and severity of cereal pathogens and the practices used to control them. As a result, there is now a greater need for fungicide control. Currently UK barley crops receive 2 - 5 fungicide treatments, mostly applied as routine according to growth stage or else timed in response to specific problems or risks; fungicides are often applied prophylactically as mixtures or co-formulations to deal with disease complexes. The benefits of such fungicide schedules, in terms of disease control and the so-called phytotonic effects, have been sufficiently profitable apparently to justify their routine use. However, excessive fungicide use may lead to new problems, such as carbendazim-resistant eyespot and reduced sensitivity of powdery mildew to DMI fungicides, which in the long term may lead to increased costs of control.

Profitability of cereal poduction in the UK is falling, and ways of further improving production efficiency need to be examined. To decrease the number of chemical treatments is one obvious approach, and this would also help to meet public concern about the increased amounts of chemicals which are being applied to the land.

Interactions between cereal diseases and husbandry inputs have been reported (Jenkyn & Finney 1981; Evans et al. 1983) which show the need to quantify disease damage in cereal growing systems. Attempts have also been made to explain differences in yield relative to more than one variable within a defined production system. Investigation into the consequences of nitrogen dose and timing on foliar diseases of winter barley has given a better understanding of how crop canopy structure and disease development interact with plant growth regulator and fungicide regimes (Jordan & Stinchcombe 1986; Jordan et al. 1985). This paper explores the opportunities for integrating these inputs to improve production efficiency and to increase economic returns.

Main crop inputs

Nitrogen

The importance of nitrogen fertilisation during growth of the barley crop has long been recognised but its effectiveness can be much impaired by fungal diseases (Last 1962; Bainbridge 1974). The amount of N available from soil reserves varies and so the amount of N fertiliser required to supplement soil supply for optimum yields will also vary. Schemes for determining the N-fertiliser needs are in use in the UK; they mostly predict the amount of N top dressing required. Much has been written on timing the spring top dressing but seldom have consistent differences in yield been demonstrated (Archer 1985). Survey data (Anon. 1982) show that the majority of farmers in England make two or more applications to winter barley, most commonly 40 - 60 kg/ha in February with the balance in mid-March (malting varieties), or at early stem extension in late March/early April (feed varieties) (Grylls & Archer 1982). More recently, the average economic optimum N top dressing has been shown to be near 160 kg N/ha, some crops responding to 200 kg/ha (Archer 1985). However, lodging is a common risk in winter barley and is increased by higher N rates; plant growth regulators are commonly used in these situations.

Plant growth regulators

In 1981, a UK winter barley survey (Anon. 1982) showed that more than one-third of crops were treated with a plant growth regulator (pgr). In recent years, commercial formulations of pgr's containing the growth retardant chlormequat or an ethylene-releasing chemical, ethephon have been increasingly used in cereals to offset losses of recoverable yield caused by crop lodging or necking. The mechanisms underlying their action are not yet fully understood, and variability in yield response to pgr's has been highlighted (Child et al. 1983). Whilst pgr's may increase the optimum for N dose, extra yield response is unlikely to pay for pgr and additional N applications (Archer 1985).

Fungicides

The amounts of fungicides used on cereal crops have increased dramatically during the past decade but have, by and large, remained cost-effective.

The importance of foliar diseases on winter barley varies widely, depending on site and season, but losses are mainly caused by powdery mildew (Erysiphe graminis) leaf blotch (Rhynchosporium secalis) and net blotch (Pyrenophora teres). Many reports demonstrating the effectiveness of a range of fungicides have been published; their selection, use and timing are the main determinants of successful disease control.

METHODS

Each year from 1981 - 1984 we have done multifactorial experiments on winter barley sown in mid-September, at several sites in south-west England. The aim was to determine the consequences on disease severity and crop yield of varying N timing and pgr application with or without fungicide programmes (Jordan et al. 1985; Jordan & Stinchcombe 1986). The financial impact of some of the results of these and more recent experiments were examined for cost-effectiveness of production and for maximising economic returns. In this paper we present yield data from one of these experiments at Long Ashton, cv. Sonja, 1983, and examine the cost-effectiveness of 4 different fungicide programmes, (A, triadimefon (November, GS 24) + prochloraz (April, GS 31) + propiconazole (May, GS 39); B, fenpropimorph (November, GS 24) + prochloraz (April, GS 31) + propiconazole (May, GS 39); C, triadimefon (½ rate) + propiconazole (½ rate)(GS 24 + GS 31 + GS 39); D, fenpropimorph + chlorothalonil (April, GS 31) + propiconazole (May, GS 39)) with unsprayed, each with 50 kg N/ha in February (GS 30) followed by the main top dressing (104 kg N/ha) in mid-March (GS 31) or mid-April (GS 31) with or without a chlormequat formulation at GS 30. The 20 treatments (5 x 2 x 2 factorial) were arranged in randomised blocks (18m x 4m plots) and replicated three times (Jordan & Stinchcombe 1986).

In order to determine whether profitable yields could be maintained by reducing the number of fungicide applications in crops in which disease and crop structure was modified by selective N timing, field experiments were done at Long Ashton in 1985 using cvs. Igri, Sonja (feed barleys) Halcyon and Tipper (malting barleys) sown 11 September 1984. Nitrogen (40 kg/ha) was applied overall in February, followed by the main N top dressing (Igri, Sonja - 120 kg/ha) in either March or April, 90 kg/ha in March + 30 kg in April, or 30 kg in March + 90 kg in April. Halcyon and Tipper received 80 kg N/ha top dressing at the same times and proportions. Chlormequat was applied overall at the end of February (GS 30); and additional pgr application (ethephon + mepiquat chloride) was made to Halcyon and Tipper on 24 April. The fungicide treatments used were: triadimenol (November, GS 25) + prochloraz (March, GS 31) + propiconazole (May GS 39); prochloraz (GS 31) + propiconazole (GS 39); propiconazole (GS 39). In each experiment the treatments were arranged in randomised blocks (12 x 4m plots) and replicated three times. Sprays were applied at manufacturers' recommended concentrations, using an Oxford Precision Sprayer (4m boom) to apply 250 l/ha. Plots were harvested in July, weighed on the combine and samples (500 ml) of the harvested bulk retained for moisture, grain size, weight and quality determinations. Yields were expressed as t/ha after correction to 85% DM.

Calculated management costs (seed, basal fertiliser, nitrogen top dressing, herbicides, insecticides and pgr's) excluding fungicides were £180/ha for all four varieties, the lower N input on Halcyon and Tipper being balanced by the late pgr application. Fungicide costs were: £40.65 (3 sprays); £27.20 (2 sprays); £15.20 (1 spray). In both the

1985 and the 1983 experiments, where different fungicide applications and N split-dressings were made, additional costs for application, based on contractors' price, were £7/ha for N top dressing and £9.35/ha for each spray application. Gross margins/ha (yield (t) x £100 less management and application costs) were calculated.

RESULTS

Yields and gross margins are shown in Table 1 from the experiment in 1983. Calculated management costs were £174.00 and fungicide costs for the 4 programmes were: A - £47.51, B - £50.06, C - £41.78 and D - £33.82/ha and the chlormequat formulation £13.50/ha (Table 1).

Applying nitrogen in mid-March resulted in earlier vegetative growth, increased crop height, larger leaves and more foliar disease, but delayed ear emergence compared with crops that received the main nitrogen top dressing in mid-April. All fungicide programmes suppressed disease in May and June, the best foliar disease control was given by the 3-spray fungicide programme A.

Substantial yield increases were obtained by delaying the main top dressing from mid-March until mid-April, particularly where fungicides were applied to April - N crops. Similar financial benefits were obtained from non-fungicide treated crops with April - N as from crops that received N in mid-March and fungicide programmes B, C and D. Chlormequat applied to fungicide-treated, March - N crops increased profitability but resulted in a financial loss when applied to April - N crops.

TABLE 1

Harvested yield (t/ha) and gross margin (GM £/ha) from 1983 experiment, cv. Sonja

Fungicide programme	Costs (£/ha)	March N		March N + pgr		April N		April N + pgr	
		Yield	GM	Yield	GM	Yield	GM	Yield	GM
A	47.51	8.02	552	8.45	572	9.03	653	9.18	645
B	50.06	7.77	516	8.32	557	8.79	627	8.77	602
C	41.78	7.20	476	8.24	557	8.75	631	8.87	620
D	33.82	7.33	506	8.02	552	8.49	622	8.56	606
Unsprayed	0	5.97	423	6.12	415	6.81	507	7.14	517
SED(36 d.f.) yield 0.294									

(Yield data reproduced from Jordan & Stinchcombe 1986)

Yields and gross margins from the 1985 experiments are shown in Table 2. On all four cultivars, application of fungicide increased harvestable yield. Overall, the single fungicide spray (GS 39) gave yield responses of 14 - 28%, whereas the 2-spray programme increased yields by 20 - 38%. The 3-spray programme gave yields not significantly different from 2-sprays. With the exception of cv. Tipper, in which yield responses were confounded by a severe attack of sharp eyespot (Rhizoctonia cerealis), postponing nitrogen top dressing from mid-March until mid-April gave extra yield increases on all cultivars. A similar response was obtained with the N split applications when the majority was applied in April. With few exceptions, the yield responses were accompanied by higher grain weight, specific weight and a greater proportion of grain over 2.2 mm in size.

In terms of gross margin, one fungicide spray (GS 39) following mid-April nitrogen was as profitable (cv. Igri) or more profitable (cvs. Sonja and Halcyon) as 2 or 3 fungicide sprays applied to crops that received all or the majority of nitrogen in mid-March. On all cultivars, highest gross margins were provided by the 2-spray programme (prochloraz, GS 31 + propiconazole, GS 39) applied to crops that received ¼ N March + ¾ N April.

Although grain nitrogen determinations from the experiments on Halcyon and Tipper were not done, data from a similar experiment in Wiltshire, cv. Halcyon, harvested August 1985 (pers. comm. Chalkland Cereal Group Field Trials Results 1985) showed that, providing the total amount of applied nitrogen was < 150 kg/ha, when most was applied in late April, the grain nitrogen did not exceed 1.88% (dm).

TABLE 2

Harvested yield (t/ha) and gross margin (GM - £/ha) from 1985 experiments cvs. Igri, Sonja, Halcyon, Tipper

Fungicide programme	Total N March		Total N April		¾ N March + ¼ N April		¼ N March + ¾ N April	
	Yield	GM	Yield	GM	Yield	GM	Yield	GM
cv. Igri								
Unsprayed	6.18	438	7.33	553	6.29	442	6.45	458
1-spray	8.22	617	8.68	663	8.34	619	8.73	661
2-spray	8.98	672	8.65	639	8.72	639	9.23	688
3-spray	9.08	658	8.73	623	8.93	636	8.64	607
SED (yield)	0.448							
cv. Sonja								
Unsprayed	6.02	422	6.87	507	6.07	420	6.61	474
1-spray	7.15	510	8.28	623	7.59	547	7.59	547
2-spray	7.41	515	8.28	602	7.95	562	8.59	626
3-spray	7.99	549	8.34	584	7.85	528	8.45	588
SED (yield)	0.232							
cv. Halcyon								
Unsprayed	7.52	572	7.80	600	7.29	547	7.79	592
1-spray	8.36	631	8.98	693	8.55	643	8.94	682
2-spray	9.11	685	9.12	686	9.02	669	9.33	700
3-spray	8.76	626	9.46	696	9.14	657	9.10	653
SED (yield)	0.239							
cv. Tipper								
Unsprayed	4.98	318	5.66	386	5.43	356	5.70	383
1-spray	6.34	429	5.69	364	6.18	406	6.36	424
2-spray	7.21	495	7.11	485	7.49	516	7.53	520
3-spray	7.34	484	7.35	485	7.65	508	7.32	475
SED (yield)	0.433							

DISCUSSION

Disease control is part of a complex system and its needs and demands are determined by changes in the rest of the system. It therefore needs to be integrated within crop management in order to ensure reliable yield and quality, at reasonable cost and with an acceptble margin of profit.

In the current agro-economic climate, production must be targeted to grain market requirements even though the market is difficult to predict. Production costs are rising faster than output value and as a result gross margins are falling. Farmers are now more interested in the maximum economic return for their crops rather than in maximum yields. Thus, it is essential that expenditure on crop husbandry practices is utilised in the most efficient way to meet the objective of the crop grown.

Our research findings over the past 5 years, covering a range of sites and seasons, have given a better insight into the interactions of three major input variables and identified ways by which yield and profitability can be maintained, or even improved, by their manipulation. Our results show that even reasonably high input systems are always cost-effective but may not necessarily be the most profitable.

Fixed costs on farms with over 200 ha have been estimated at £425/ha (Nix 1986). Thus, using data from our 1985 experiments with barley at £100/t, all fungicide and non-fungicide treated crops of Igri, Sonja and Halcyon that received April nitrogen would provide some profit from investment. However, if the grain price fell to £80/t, only fungicide-treated crops of Igri and Halcyon, and crops of Sonja that received 1 or 2 fungicide sprays and April nitrogen would provide profit (over fixed costs and managements costs). Greatest profitability at £80/t was provided by 1 or 2 fungicide applications to April nitrogen crops.

Admittedly, the single fungicide spray used in these experiments was pre-targeted to growth stage (GS 39), and so there may be opportunities to further improve treatment efficiency and yield benefits by fungicide selection and more precise timing in relation to disease thresholds.

Similar studies are in progress at Long Ashton with winter wheat, but responses to date have been more variable depending on variety, disease or disease complex most prevalent, and climate.

REFERENCES

Anon. (1982) Pointers to profitable winter barley. ICI Survey 1981.

Archer, J. (1985) Crop nutrition and fertiliser use. Farming Press Ltd., Suffolk.

Bainbridge, A. (1974) Effect of nitrogen nutrition of the host on barley powdery mildew. Plant Pathology 23, 160-161.

Child, R.D.; Treharne, K.J.; Hoad, G.V. (1983) Growth regulator potential for improvement of cereal yields. In Factors affecting the accumulation of exploitable reserves in the cereal plant, pp 14-26; MAFF Agronomy Open Conference, 1983.

Evans, E.J.; Jordan, V.W.L.; Locke, T. (1983) The rise of barley net blotch and its control by fungicides. Proceedings of the 10th International Congress of Plant Protection 1983 3, 925.

Grylls, J.P.; Archer, J.R. (1982) Response of winter barley to nitrogen. In The Nitrogen Requirement of Cereals, pp 191-208; MAFF Soil Scientists Technical Conference, 1982.

Jenkyn, J.F.; Finney, M.E. (1981) Fungicides, fertilisers and sowing date. In Strategies for the Control of Cereal Diseases pp 179-188. Eds. J.F. Jenkyn & R.T. Plumb, Blackwell Scientific Publication.

Jordan, V.W.L.; Stinchcombe, G.R.; Todd, S.F. (1985) Interaction of nitrogen, growth regulator and fungicide application with winter barley disease and yield. 1985 Fungicides for Crop Protection. BCPC Monograph No. 31, 367-370.

Jordan, V.W.L.; Stinchcombe, G.R. (1986) Interaction between fungicide, plant growth regulator, nitrogen fertiliser application, foliar disease and yield of winter barley. Annals of Applied Biology 108, 151-165.

Last, F.T. (1962) Effects of nutrition on the incidence of barley powdery mildew. Plant Pathology 11, 133-135.

Nix, J. (1986) Farm Management Pocket Book, 186 pp. Wye College, Ashford, Kent.

CEREAL ARTHROPODS AND BROAD-SPECTRUM INSECTICIDES

J.F.H. COLE, C.J. EVERETT, W. WILKINSON AND R.A. BROWN

ICI Plant Protection Division, Jealott's Hill Research Station, Bracknell,
Berkshire, RG12 6EY

ABSTRACT

The effects on non-target arthropods of annual summer or autumn applications of cypermethrin and dimethoate, for aphid and BYDV control in winter wheat, were studied between 1981 and 1984. Following spraying, dimethoate caused significant reductions in 9-10% of the species and cypermethrin in 4-6%. These declines persisted until about February following an early November spray and for about 35 days in the summer with cypermethrin, but possibly longer with dimethoate. The effects of autumn insecticide applications could not be detected in the following springs and summers and there was no evidence that, at the site studied, populations of the Grain Aphid *Sitobion avenae* were higher in plots treated with insecticides the previous autumn. The diversity of non-target arthropods, as assessed by the Berger-Parker Dominance Index, seemed to follow similar annual trends under all treatments, though the numerical dominance of the commonest species of spiders and staphylinid beetles was temporarily decreased following autumn sprays of dimethoate.

INTRODUCTION

The expansion of the UK winter cereal acreage and the current practice of early sowing has resulted in increased prominance of a number of pests and diseases considered to be minor in the past (Gair 1981). In particular, the destructive potential of Barley Yellow Dwarf Virus (BYDV) has been recognised (Plumb 1977), as has its ease of control with broad-spectrum insecticides, especially synthetic pyrethroids (Horrelou & Evans 1979). Because of the potential for the treatment of a considerable proportion of the UK acreage with broad-spectrum insecticides in the autumn, it was necessary to investigate the consequences of such treatments for a range of non-target species, over a number of years. Accordingly an experiment was begun in 1981 and a data set of considerable dimensions created in which between 5,000,000 and 10,000,000 arthropods were identified. The data are not yet fully analysed and so this paper necessarily addresses only some of the more general aspects.

MATERIALS AND METHODS

Two broad-spectrum insecticides, cypermethrin and dimethoate were applied during the autumns of 1981 and 1982 and in the summer of 1983 in each of three fields of winter wheat (cv Huntsman) at Damerham, Hampshire, UK (Table 1). Preliminary results for pirimicarb, a selective aphicide, applied at the same time, are presented elsewhere (Cole & Wilkinson 1984).

In order that the results were not obscured by rapid re-invasion of arthropods from surrounding untreated areas, large plots ranging in size between 3.4 and 6.5 ha were used (Table 2) and samples were taken from the central 1 ha only. All other agronomic treatments were the same on all plots. Dimethoate and pirimicarb are not currently recommended by the manufacturers for autumn application against BYDV vectors.

TABLE 1

Insecticide treatments

Insecticide	Rate/ha g a.i.	(Formulation)	Application dates		
Cypermethrin	25	(250ml "Ambush C"/ha)	12/11/81	4/11/82	9/6/83
Dimethoate	340	(850ml "Rogor E"/ha)	13/11/81	4/11/82	9/6/83
Pirimicarb	140	(280g "Aphox"/ha)	12/11/81	11/11/82	9/6/83

TABLE 2

Plot size

	Block size (ha)		
Treatment	I	II	III
Cypermethrin	4.6	3.8	5.9
Dimethoate	4.0	4.3	5.5
Pirimicarb	3.8	3.4	5.9
Untreated	3.8	3.7	6.5

The arthropod fauna were sampled regularly using pitfall traps (10 per plot, run for 7 days) and suction sampling (3 samples with a "D-VAC" per plot). Samples were taken to the laboratory, stored in 70% alcohol and identified under low power magnification; in excess of 350 taxa were identified. For this paper the log (n+1) transformed data were analysed in a series of one-way factorial ANOVAs. In 1982 and 1983, aphid assessments were made on 8 June by counting the aphids on 6 replicates of 20 tillers per plot before any spraying. The diversity of species within larger groups was examined by calculating the Dominance Index $\underline{d}$ (Berger & Parker 1970):

$$\underline{d} = N_{max} / N_t$$

where : N_{max} = the abundance of the commonest species on any sampling occasion

N_t = the total abundance of all species on that sampling occasion

RESULTS

In both years that autumn spraying was practised, the total number of taxa identified per pitfall trap sample declined on all plots from between 90 and 110 in November to between 50 and 60 in late January. During the summer months, between 100 and 140 taxa were identified on each trapping occasion. Following the early November spraying, significant reductions were noticed in 9 to 10% of taxa on the dimethoate plots and 6% on the cypermethrin plots in both years (Figures 1a and 1b). The number of species showing significant reductions in abundance dropped after about 40 days in 1981 and 10 days in 1982. By the summers following each of these sprays, no such pattern could be seen. Following the 1983 summer spray, the number of species showing a significant decrease in abundance fell after 15 days (Figure 1c). No trend in differing abundance between treatments could be detected when the final samples were taken from one block only, in summer 1984, 33 months after the first sprays.

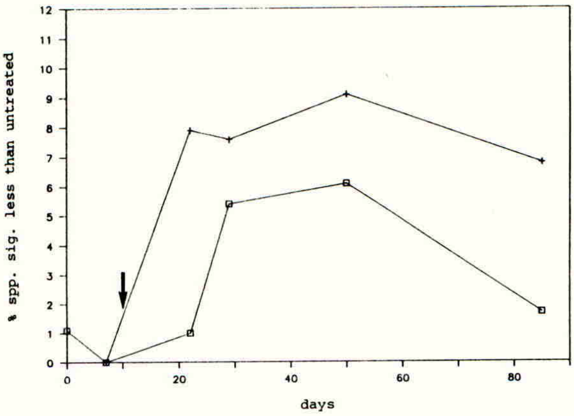


Figure 1a

The % of species less abundant than on the control plots in the autumn/winter of 1981/82. The time of spraying (12/13 Nov. 1981) is shown by the arrow.

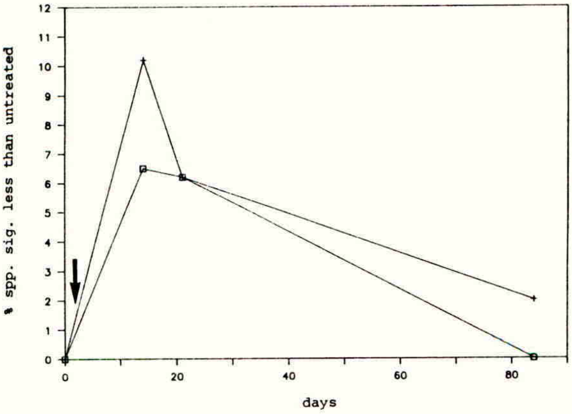


Figure 1b.

the % of species less abundant than on the control plots in the autumn/winter of 1982/83. The time of spraying (4 Nov. 1982) is shown by the arrow.

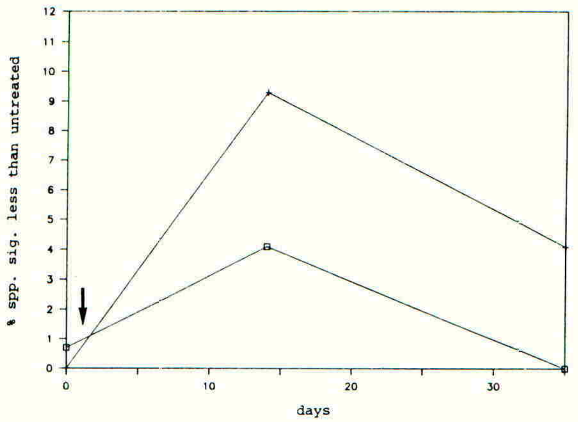


Figure 1c.

The % of species less abundant than on the control plots in the summer of 1983 (9 June 1983). The time of spraying is shown by the arrow.

□ Cypermethrin

\+ Dimethoate

Ground Beetles - Carabidae

The abundance of adult Carabidae is shown in Figure 2 and the Dominance Index (d) for adult Carabidae in Figure 3. The commonest winter species (Nebria brevicollis) is autumn breeding, the numbers of larvae trapped in the winter following spraying are shown in Table 3.

TABLE 3

The numbers of N. brevicollis larvae per pitfall trap in January 1982 and January 1983.

Treatment	20-27 January 1982	18-25 January 1983
Untreated	2.48 a	4.82
Cypermethrin	1.46 ab	4.63
Dimethoate	0.64 b	1.63

Numbers with a different letter are significantly different at the 5% probability level.

Rove Beetles - Staphylinidae

The abundance of total adult Staphylinidae in pitfall traps is shown in Figure 4 and the Dominance Index in Figure 5.

Money Spiders - Linyphiidae

The abundance of total adult Linyphiidae in pitfall traps is shown in Figure 6 and the Dominance Index for total spiders (Aranae) in Figure 7.

Summer aphids

The de-transformed mean numbers of Sitobion avenae on the 8 June 1982 and 1983 are shown in Table 4. A two-way factorial ANOVA of the log (n+1) transformed counts showed a significant difference in S. avenae abundance between years, but not between treatments.

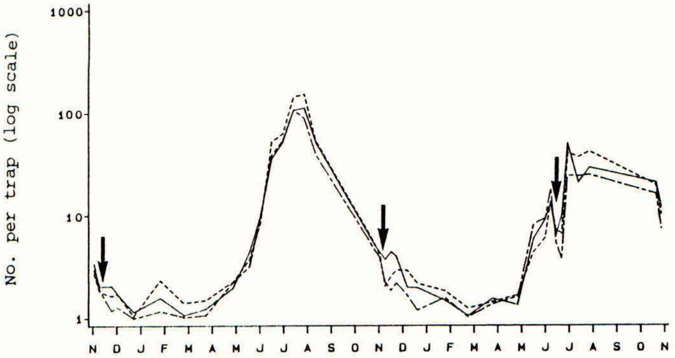


Figure 2

The abundance of total adult Carabidae, assessed using pitfall traps

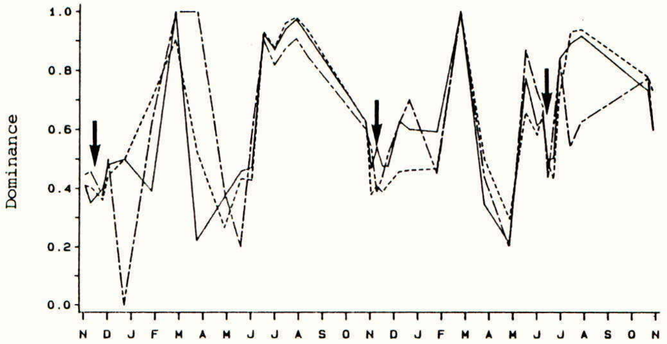


Figure 3

The Berger-Parker Dominance Index for total adult Carabidae (pitfall data)

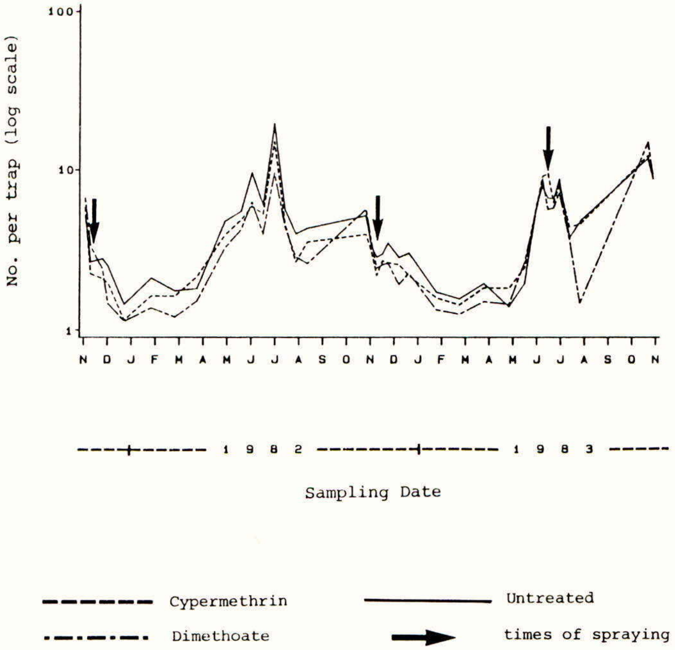


Figure 4

The abundance of total adult Staphylinidae, assessed using pitfall traps

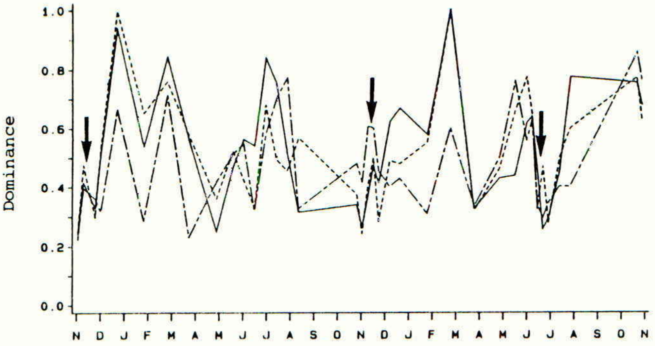


Figure 5

The Berger-Parker Dominance Index for total adult Staphylinidae (pitfall data)

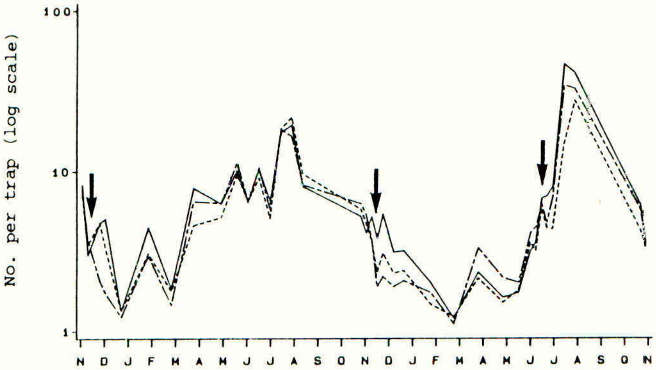


Figure 6

The abundance of total adult Linyphiidae, assessed using pitfall traps

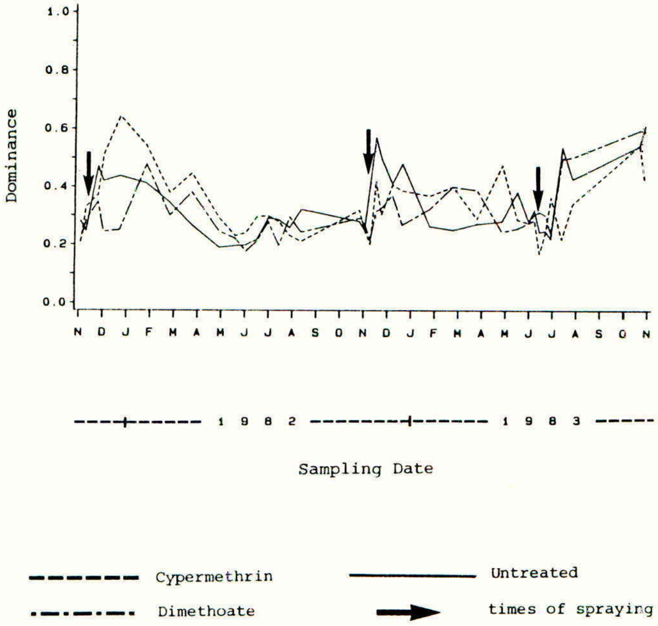


Figure 7

The Berger-Parker Dominance Index for total adult spiders (Araneae) (pitfall data)

TABLE 4

De-transformed mean numbers of S. avenae/20 tillers in the summers (8 June) following autumn spraying (before any summer spraying).

Counting date	Pirimicarb	Cypermethrin	Untreated	Dimethoate
8 June 1982	1.20	1.14	1.02	1.66
8 June 1983	0.09	0.07	0.17	0.13

DISCUSSION

Disturbance of the fauna in general by the autumn-applied broad-spectrum insecticides was limited to 9-10% of the taxa with dimethoate and 6% with cypermethrin on any one sampling occasion. These effects persisted over the winter until about February but were not evident in the following numbers.

Total adult Carabidae were significantly depressed in abundance by cypermethrin and dimethoate about 1 month after spraying in autumn 1981 and 1982 but recovered quickly. The carabid beetle Nebria brevicollis breeds in the autumn and it is possible that breeding adults and larvae may have been exposed to the autumn sprays. Significant effects on the abundance of N. brevicollis larvae were recorded with dimethoate, but this did not result in decreased abundance in the following years (unpublished data). Total adult Staphylinidae were significantly depressed particularly by dimethoate, but also by cypermethrin, in the winter of 1981 but no further significant differences were noted beyond February 1982. The abundance of the linyphiid spiders was affected by cypermethrin and dimethoate immediately following autumn spraying in both years but these differences did not persist into the following summers; however, spiders appeared to be the most vulnerable predatory species to the broad-spectrum insecticides. If chronic reductions in the number of predators had occured because of repeated use of broad-spectrum insecticides, higher summer aphid populations could have resulted, although this was not observed at this site in the summers of 1982 and 1983.

Immediately following the autumn sprays the diversity of spiders was affected by dimethoate. The numerical dominance of the commonest species was decreased until the following February but by the following summers there were no detectable differences between treatments. A similar effect was observed with cypermethrin following the summer spray in 1983. Likewise, the dominance of the commonest species of Staphylinid beetle was decreased immediately following autumn spraying with dimethoate but it recovered to be indistinguishable from the other treatments by the following spring.

The summer spray of dimethoate significantly decreased about 9% of the species and the cypermethrin spray about 4%. The effects of the cypermethrin seemed to have disappeared approximately 35 days after treatment though effects of dimethoate could still be detected on a number of species. Shires (1985) found that predatory beetles in barriered plots recovered in number from summer treatments of cypermethrin after 30 to 40 days. Vickerman & Sunderland (1977) report large effects of dimethoate on the overall abundance of arthropods in winter wheat 7 days after a spray on the 1 July 1975 that persisted in some form for two months after treatment.

Samples taken from one of the fields during the summer of 1984, 33 months after the experiment began, indicated that there had not been any chronic effects on the populations of arthropods related to the use of these broad-spectrum insecticides.

ACKNOWLEDGEMENTS

The authors acknowledge the assistance of Harold Gough, Debra Jackson, Ian Collins and David Pryke for the sampling and identification and Peter Chapman and Jackie Pope for the statistical analysis.

REFERENCES

Berger, W.H. & Parker, F.L. (1970) Diversity of planktonic Foramenifera in deep-sea sediments. Science 168, 1345-1347.

Cole, J.F.H. & Wilkinson, W (1984) Selectivity of pirimicarb in cereal crops. Proceedings 1984 British Crop Protection Conference - Pests and Diseases 1, 311-316.

Gair, R. (1981) A review of cereal pests in the United Kingdom. Proceedings 1981 British Crop Protection Conference - Pests and Diseases 3, 779-785.

Horrelou, A. & Evans, D.D. (1979) Control of barley yellow dwarf virus with permethrin on winter barley in France. Proceedings 1979 British Crop Protection Conference - Pests and Diseases 1, 9-15.

Plumb, R.T. (1977) Aphids and virus control on cereals. Proceedings 1977 British Crop Protection Conference - Pests and Diseases 3, 903-912.

Shires, S.W. (1985) A comparison of the effects of cypermethrin, parathion-methyl and DDT on cereal aphids, predatory beetles, earthworms and litter decomposition in spring wheat. Crop Protection, 4, 177-193.

Vickerman, G.P. & Sunderland, K.D. (1977) Some effects of dimethoate on arthropods on winter wheat. Journal of Applied Ecology 14, 767-777.

EVALUATION OF AGROCHEMICAL SCHEDULES IN AN APPROPRIATE INTEGRATED PEST MANAGEMENT SYSTEM FOR RICE IN WEST BENGAL (INDIA)

S.K. GANGWAR, M.K. DASGUPTA

Palli Siksha Bhavana (Institute of Agriculture), Visva-Bharati,
Sriniketan 731 236, West Bengal.

D.C. GHOSH

Birsa Agricultural University, Ranchi.

A.K.S. HUDA

Icrisat, Patancheru.

ABSTRACT

Five field experiments in two dry and three wet seasons during 1980 to 1982 compared the effects of two nutrient schedules, three insect and weed control systems and five disease control systems. Computed routine protection schedules generally gave yield increases, with little additional yield resulting from further treatments according to a blanket chemical protection schedule. Insect pests and diseases were controlled by the crop protection schedules although such protection may be less necessary in dry seasons, when disease and pest levels are lower, even though the higher yields of dry seasons may allow greater expenditure on pesticides.

INTRODUCTION

The phenomenon of one cause - one effect is rare in nature but, either due to belief in traditional scientific methodology or in enthusiasm to simplify a complex matter, agricultural research has usually relied heavily on the control of only one factor at a time. Recent trends in pest management however indicate the need for a holistic approach. In rice, the performance of crops under different nutrient regimes with various insect and weed management schedules, including prophylactic pest control systems, has been studied in the Phillipines (IRRI, 1977; 1980-1983).

We have compared the efficacy and economics of different prophylactic and supervised pest management schedules against insect pests, diseases and weeds to control both endemic and non-endemic key pests (Dasgupta and Gangwar, 1983; Gangwar and Dasgupta, 1983; 1984a,b). In the process, we have developed the concept and tactics of an integrated pest management system for rice in tropical Asia. The system includes supervisory monitoring by farmers, decision-making on the basis of known or notional critical economic threshold levels backed by predictive crop loss models for farmers' fields (Gangwar *et al*., 1986a), predictive models of interactions between and among pests, and macroclimate variables (Gangwar *et al*., 1986b). The present report deals with a summary of the evaluation of various treatment schedules as reflected in rice yield and pest control.

MATERIALS AND METHODS

Experiments for five consecutive seasons (1980, 1981, 1982 wet:

1980-81, 1981-82 dry) were conducted at Sriniketan, West Bengal, India with rice cv. Palman 579. Dates of sowing, transplanting and harvesting are given in Table 1. A split-split-plot design was used. Net plot size was 5 x 3 m^2 and plant spacings between and within rows were 20 and 15 cm respectively. Interplot spacing was maintained at 1m and the trials were situated in a non-experimental crop of the same variety. Soil was sandy loam with pH 5.6 - 6.0, organic carbon 0.4%, available P_2O_5 39.2 kg/ha and available K_2O 300 kg/ha. The experimental area falls under the Western wet sub-humid megathermal lateritic tract of West Bengal with annual rainfall of 1410mm, and a temperature regime of 10-40^oC.

Treatments

A. Main Plot (nutrient supply)

M_o - Control with NPK 80:40:40 kg/ha with half N as basal and quarter N each at 21 and 42 days after transplanting (DT).

M_1 - Micronutrient schedule with NPK as in M_o and zinc sulphate 0.5% (6 kg/ha), ammonium molybdate 0.25% (3 kg/ha) and lime 0.5% (6 kg/ha) sprayed at 21 and 42 DT.

B. Sub- plot (Protection through insecticides and herbicides)

I_o - Control with no application.

I_1 - Computed routine chemical protection schedule (CRCPS) with carbofuran (furadan 3G) at 0.5 kg/ha a.i. at 7 days before transplanting (DBT) in nursery, 35 and 55 DT, fenitrothion (sumithion) 0.1% a.i. at flowering.

I_2 - Recommended blanket chemical protection schedule (RBCPS) with carbofuran at 7 DBT, 21 and 45 DT, fenitrothion at flowering and butachlor 50 EC (machete 50) 21/ha a.i. at 4-6 DT.

C. Sub-sub-plot (Protection through fungicides and bactericides

F_o - Control with no application.

F_1 - Non-chemical schedule - hot water treatment (HWT) of seeds at 50-52^oC for 15 minutes by field method (Dasgupta, 1981).

F_2 - Supervised chemical protection schedule or need-based protection depending on critical incidence of insect pests, diseases and weeds at economic threshold levels, known or notional (SCPS).

F_3 - Computed routine chemical protection schedule (CRCPS) - HWT as in F_1 and spray of mancozeb 0.25% (1.6 kg/ha) at 2 DBT and soft dough stage.

F_4 - Recommended blanket chemical protection schedule (RBCPS) with seed treatment in mancozeb 0.3%, spray of agrimycin 100 or paushamycin 50 ppm (3g/ha), mancozeb 0.25% (1.6 kg/ha) each at 2 DBT, spray agribycin 100 or paushamycin, mancozeb and hinosan 0.1% at 21, 45, 60 DT and soft dough stage.

Treatments were randomly allocated within each split group and replicated three times. Assessment of adult and nymphs of green leaf hoppers were made on ten random sweeps. Incidences of stem borers and gall midges were recorded as actual counts of affected tillers/m^2. Diseases were recorded on a 0-9 scale (0 for no incidence, 1 for 1-5%, 2 for 6-10%, 3 for 11-20%, 4 for 21-30%, 5 for 31-40%, 6 for 41-50%, 7 for 51-60%, 8 for 61-70%, 9 for 71-100% incidence). The percentage of the ground area covered by narrow and broad leaved weeds was estimated and the data converted to the same scale as that for diseases. Assessments were made at different growth stages of the rice crop. Grain yield was adjusted to 14% moisture content.

RESULTS AND DISCUSSION

Grain yield

Micronutrients increased grain yield in dry seasons but not in wet seasons (Table 2). Dry season crops performed better than the wet season crops due to better weather, lower pest pressure and greater opportunities for cultural management such as micronutrient applications. The crop was well protected by the computed routine chemical protection schedule and little, if any, additional yield was obtained by further routine protection through recommended blanket chemical protection schedule (Tables 2 and 3).

For disease control, hot water treatment alone and supervised control were better than both routine schedules, because only need-based protection is perhaps justified (Tables 2 and 3). There was significant interaction between insect/weed control and disease control. Maximum grain yield (5.91 t/ha) was obtained with micronutrient, routine blanket control against insect pests and computed routine control against diseases in the dry season, and the same without micronutrients in the wet season (3.39 t/ha).

Greater harvest index values in dry seasons indicate that, with the same volume of biomass, more grain yield is obtained in the dry seasons (Table 3). Results also show an increased dry root weight due to micronutrients and pest control (Table 3).

Treatment schedules and grain yield when converted to monetary values are poorly correlated. Only micronutrients and routine blanket insect and weed control in dry seasons were significantly correlated with yield (Table 4).

Table 5 shows that micronutrient schedules could explain 28% of the variance in yield. With the addition of routine blanket insect/weed control, the variance accounted for rose to 45%; with further addition of computed routine insect-weed control it rose to 54%; with further addition of computed routine disease control it rose to 58%; and finally, with routine blanket protection against diseases, it rose to 63%. Pests and disease and weed incidence were lower in dry than wet seasons (Tables 6 and 7). Protected plots generally had lower incidence than control plots.

The basic approach of this experiment has been to protect the crop from key pests irrespective of growth stages, which is different from the approach of the IRRI scientists to provide protection up to a certain growth stage of the crop. Further, protection has been planned to cover diseases, insect pests and weeds. Non-endemic pests are covered by supervisory practices only. There has been an attempt to integrate the nutrient supply system with the integrated pest management system to arrive at an agricultural production system. It is hoped that such exercises might lead to appropriate man-made agroecosystems.

ACKNOWLEDGEMENTS

Authors are grateful to ICAR for granting a research scheme to MKD and to Visva-Bharati for facilities.

REFERENCES

Dasgupta, M.K. (1981) An easy method of hot water treatment of rice seeds for field conditions. Oryza, 18: 175-176.

Dasgupta, M.K.; Gangwar, S.K. (1983) Integrated management of pests, diseases and weeds of rice. Proc. 10th ICPP, 20-25 Nov., Brighton. In: Plant Protection and Human Welfare, 3, 934.

Gangwar, S.K.; Dasgupta M.K. (1983) Integrated control of rice pests with minimum use of agrochemicals in West Bengal, India. Indian J. Plant Protection. 11 49-53.

Gangwar, S.K.; Dasgupta, M.K. (1984 a) Efficacy and economics of pest management in evolving an appropriate integrated pest management system of rice is West Bengal. Oryza, 21: 188-200.

Gangwar, S.K.; Dasgupta, M.K. (1984 b) Integrated pest management of rice: Economics of different pest management schedules, Indian Agriculturist, 28: 181-190.

Gangwar, S.K.; Dasgupta, M.K.; Mukhopadhyay, S.K. (1986a) Modelling multiple pest interaction and pest-macroclimate relationships as a component of appropriate IPM of rice in West Bengal (India). 243-250 pp. Proceedings EWRS Symposium: Economic weed control 1986. Suttgart-Hohenheim.

Gangwar, S.K.; Chakraborty, S.K.; Dasgupta, M.K.; Huda, A.K.S. (1986b) Modelling yield loss in indica rice in farmers' fields due to multiple pests. Agric. Ecosystems and Environment. (in press) IRRI (International Rice Research Institute) (1977) Constraints of high yields on Asian Rice Farms, an interim report. Los Banos, The Philippines, 235 pp.

IRRI (1980-83). Annual Reports. Los Banos, The Philippines.

TABLE 1

Dates of sowing, transplanting and harvesting of rice cv. Palman 579 in five seasons.

Seasons	Dates		
	Sowing	Trans- planting	Harvesting
1980 wet	16 July 80	13 Aug. 80	11 Nov. 80
1980-81 dry	3 Dec. 81	26 Feb. 81	20 May 81
1981 wet	11 June 81	16 July 81	14 Oct. 81
1981-82 dry	19 Nov. 81	21 Feb. 82	6 May 82
1982 wet	11 June 82	11 Aug. 82	4 Nov. 82

TABLE 2

Grain yield (t/ha) of rice cv. Palman 579 under varying combinations of treatment schedules, dry seasons (80-81 & 81-82) and wet seasons (80, 81 & 82)

Treatment Schedules	Mo - Control				M1 - Micronutrients				(Mo +M1)/2			
	I_0 Con-trol	I_1 CRCPS	I_2 RBCPS	Mean	I_0	I_1	I_2	Mean	I_0	I_1	I_2	Mean
Fo -Control												
(dry)	3.48	4.63	4.83	4.31	4.52	5.22	5.29	5.01	4.00	4.92	5.06	4.66
(wet)	2.81	2.95	3.14	2.97	2.81	3.06	2.95	2.94	2.81	3.01	3.05	2.96
F_1 -HWT												
(dry)	4.42	4.60	5.17	4.73	5.06	5.25	5.39	5.23	4.74	4.93	5.28	4.98
(wet)	2.98	3.08	3.40	3.15	2.82	3.13	2.99	2.98	2.90	3.11	3.19	3.07
F_2 -SCPS												
(dry)	4.60	4.83	5.13	4.85	4.45	5.64	5.68	5.26	4.53	5.23	5.40	5.05
(wet)	3.06	3.30	3.20	3.19	3.12	3.15	3.26	3.18	3.09	3.23	3.23	3.18
F_3 -CRCPS												
(dry)	4.98	4.65	5.34	4.99	4.97	5.69	5.91	5.52	4.97	5.17	5.63	5.26
(wet)	3.01	3.10	3.39	3.17	2.77	3.05	3.06	2.96	2.89	3.08	3.23	3.06
F_4 -RBCPS												
(dry)	4.90	4.75	4.98	4.88	5.40	5.61	5.75	5.58	5.15	5.18	5.37	5.23
(wet)	2.88	3.22	3.39	3.16	2.89	2.98	3.19	3.02	2.88	3.10	3.29	3.09
Mean												
(dry)	4.47	4.69	5.09	4.75	4.88	5.48	5.60	5.32	4.68	5.09	5.35	5.04
(wet)	2.95	3.13	3.31	3.13	2.88	3.07	3.07	3.02	2.91	3.10	3.19	3.07

Analysis of Variance

Source	Significance		SEm±		CD at P0.05	
	dry	wet	dry	wet	dry	wet
Main(M)	0.05	NS	0.09	-	0.37	-
Sub(I)	0.001	0.05	0.07	-	0.16	0.18
Sub-sub(F)	0.001	0.05	0.08	-	0.16	0.12
M x I	NS	NS	-	-	-	-
M x F	NS	NS	-	-	-	-
I x F	0.01	NS	0.14	-	0.28	-
MxIxF	0.01	0.01	0.20	0.15	0.40	0.30

I - Insect and weed control schedules.

F - Disease control schedules.

HWT - Hot water treatment.

SCPS - Supervised chemical protection schedule.

CRCPS - Computed routine chemical protection schedule.

RBCPC - Recommended blanket chemical protection schedule.

TABLE 3

Straw Yield, harvesting index[1] and root dry weight of rice cv. Palman 579

Treatment schedules	Straw yield (t/ha)		Harvesting index		Root dry wt. (g/m^2)
	Dry	Wet	Dry	Wet	(Final wet season)
M_0 - Control	8.57	7.67	35.9	28.0	658.6
M_1 - Micro-nutrients	9.67	7.96	35.7	27.9	712.2
SEm±	NS	NS	NS	NS	10.5
LSD 0.05	-	-	-	-	45.5
I_0 - Control	8.47	7.44	35.4	28.0	612.3
I_1 - CRCPS	9.32	7.80	36.2	27.8	695.4
I_2 - RBCPS	9.57	8.20	35.9	28.1	748.5
SEm±	0.28	0.08	NS	NS	18.5
LSD 0.05	0.64	0.19	-	-	42.8
F_0 - Control	8.38	7.70	35.6	28.1	607.5
F_1 - HWT	9.16	7.75	37.0	27.9	645.9
F_2 - SCPS	9.10	8.01	34.9	27.5	697.8
F_3 - CRCPS	9.38	7.84	36.0	28.4	724.2
F_4 - RBCPS	9.58	7.76	35.7	27.9	742.6
SEm±	0.27	0.11	NS	NS	21.1
LSD 0.05	0.54	0.21	-	-	42.5
Mean	9.12	7.81	35.8	28.0	685.4

[1] Harvesting index = $\dfrac{\text{Economic yield (grain)}}{\text{Biological yield (grain + straw)}} \times 100$

TABLE 4

Simple correlation coefficient values of grain yield with different treatment schedules.

Variable	Correlation coefficient values		
	2 dry seasons	3 wet seasons	Pooled analyses
Micronutrients	0.532*	0.057	0.075
CRCPS	0.054	0.059	0.030
RBCPS	0.409*	0.079	0.100
HWT - F_1	0.064	0.009	0.008
SCPS - F_2	0.032	0.080	0.053
CRCPS - F_3	0.201	0.029	0.030
RBCPS - F_4	0.178	0.028	0.038

TABLE 5

Coefficient of determination (R^2) values for different functional equations in dry seasons

Functional equations	R^2
1. $y=4.773$ + 0.001 micronutrients (0.0003)	0.28
2. $y=4.625$ + 0.001 micronutrients + 0.0003 RBCPS-I_2 (0.0003) (0.0001)	0.45
3. $y=4.437$ + 0.001 micronutrients + 0.0004 CRCPS-I_1 + 0.0004 RBCPS-I_2 (0.002) (0.0001) (0.0001)	0.54
4. $y=4.385$ + 0.001 micronutrients + 0.0004 CRCPS-I_1 + 0.0004 RBCPS-I_2 (0.0002) (0.0001) (0.0001) + 0.002 CRCPS-F_3 (0.001)	0.58
5. $y=4.307$ + 0.001 micronutrients + 0.0004 CRCPS-I_1 + 0.0004 RBCPS-I_2 (0.0002) (0.0001) (0.0001) + 0.003 CRCPS-F_3 + 0.0004 RBCPS-F_4	0.63

(Figures is parenthethes are t-values. All r and R^2 values are significant.)

TABLE 6

Maximum scores of key diseases under disease control schedules.

Treatment Schedules	Bacterial Leaf Blight Dry	Bacterial Leaf Blight Wet	Bacterial Leaf Streak Dry	Bacterial Leaf Streak Wet	Leaf Blast Dry	Leaf Blast Wet	Node Blast Dry	Node Blast Wet
F_0-Control	5.5	6.7	3.5	6.7	4.5	5.3	3.0	5.0
F_1-HWT	4.0	5.7	2.0	5.0	2.5	6.3	1.5	4.3
F_2-SCPS	3.5	4.7	2.0	3.3	2.5	4.3	1.5	3.7
F_3-CRCPS	3.0	4.3	3.0	4.7	1.5	5.7	1.5	2.7
F_4-RBCPS	2.5	4.3	1.5	4.0	1.5	5.0	1.0	3.3
Mean	3.7	5.1	2.4	4.7	2.5	5.3	1.7	3.8

TABLE 7

Maximum scores of key insect pests, weeds and rice tungro complex under insect and weed control schedules.

	Affected tillers/m²		Counts/ten sweeps			Weeds % area		
Treatment schedules	Dead hearts (stem borer)	Gall midge	Stem	Gundhi bug	Green leaf hopper	Broad -leaf	Narrow -leaf	Tungro
Dry Seasons								
I_0-Control	11.0	2.0	5.0	4.5	4.0	40.0	40.0	5.0
I_1-CRCPS	7.0	1.5	2.5	2.5	3.0	30.0	32.5	2.5
I_2-RBCPS	6.5	1.5	3.0	3.0	2.5	25.0	30.0	3.0
Mean	8.2	1.7	3.5	3.3	3.2	31.7	34.2	3.5
Wet Seasons								
I_0-Control	19.0	7.7	6.7	14.3	7.3	46.7	55.0	6.3
I_1-CRCPS	15.3	4.7	4.0	11.3	8.0	30.0	41.7	3.7
I_2-RBCPS	14.3	5.0	4.0	10.7	7.7	26.7	35.0	3.0
Mean	16.2	5.8	4.9	12.1	7.7	34.5	43.9	4.3

SESSION 3B

CAN PESTICIDE DISCOVERY BECOME MORE RATIONAL?

CHAIRMAN	DR K. WRIGHT
SESSION ORGANISER	DR A. C. BAILLIE

INVITED PAPERS 3B-1 to 3B-4

RATIONALE IN THE INVENTION AND OPTIMISATION OF TEFLUTHRIN, A PYRETHROID FOR USE IN SOIL

E McDonald, N Punja and A R Jutsum

ICI, Plant Protection Division, Jealott's Hill Research Station,

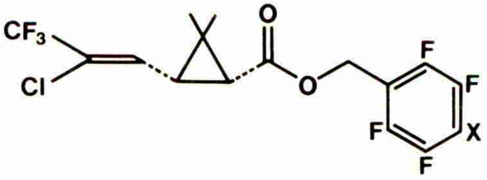
Bracknell, Berks RG12 6EY.

ABSTRACT

Tefluthrin ("Force") is a novel pyrethroid with good potency against *Diabrotica* spp. and physical and chemical properties which make it ideal for use as a soil insecticide. The steps which led to the invention and optimisation of tetrafluorobenzyl pyrethroid esters are outlined and soil-stability and vapour pressure are identified as key factors in achieving good field performance.

INTRODUCTION

One way to find a new pesticide is to take a structure of known biological activity as a lead and to develop new patentable compounds based on it. These efforts can be particularly productive if the novel chemistry leads to compounds which, because of their physical properties, can be used in new market sectors. In this paper we describe the invention of a series of novel pyrethroid esters whose chemical and physical properties make them ideal for use in soil. One of these, tefluthrin (1) is the first pyrethroid to come to the market place for this use. Its insecticidal properties are described elsewhere (Jutsum *et al.*, 1986).



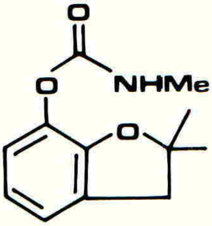
(1) X = Me
(6) X = F

(Although structures are depicted with the (1-R) configuration all the compounds referred to in the text are racemic).

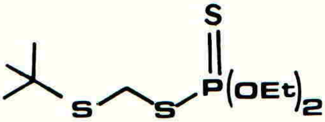
TARGET AND CHEMICAL APPROACH

Vast areas of maize, more than 10^8 hectares, are grown throughout the world and the market for maize insecticides is estimated at 440 million US dollars (Wood MacKenzie, 1985). Over half this market is in the USA where *Diabrotica* species are the major crop-pest. The adult beetle lays eggs beneath the soil where they remain over winter. They then hatch in spring to produce larvae which feed on the roots of young maize plants causing serious damage.

Major products used against *Diabrotica* at present include the carbamate carbofuran (2) and the organophosphate terbufos (3); to date synthetic pyrethroids have not proved suitable for soil insecticide markets.



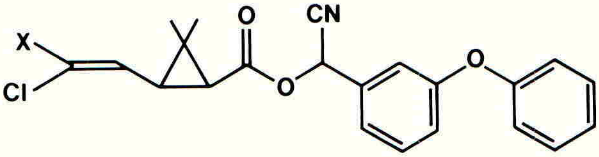
(2)



(3)

Yet pyrethroids as a class are in many ways ideal insecticides having, for example, high potency, a broad spectrum, favourable mammalian toxicity and little or no phytotoxicity. If their use could be extended to soil then other benefits would be expected. For example the compounds could be non-leaching, non-persistent, active against OP- and carbamate-resistant insects and unaffected by OP- and carbamate-degrading soil organisms.

Pyrethroid esters (4,5) were found to be quite active against *Diabrotica* in a filter-paper test but disappointing in soil (Table 1).



(4) X = Cl cypermethrin
(5) X = CF_3 cyhalothrin

TABLE 1 Efficacy of various compounds bioassayed[1] against *Diabrotica* spp

Compound	LC_{50} (filter paper) (ppm)	LC_{50} (soil) 4WAT (ppm)
Carbofuran (2)	0.4	0.5 - 1.0
Cypermethrin (4)	*ca* 0.25	>5.0
Cyhalothrin (5)	*ca* 0.50	>5.0
F_5-benzyl ester (6)	0.02	*ca* 0.3

[1] In a first test, compounds are applied to filter paper and the mortality of larvae (*D. balteata*) confined to the paper is noted. Active compounds are then incorporated in soil and larvae are introduced at varying intervals. Together the tests allow initial selection of active chemicals followed by sub-selection of those with adequate soil stability.

In soil the pyrethroid esters (4) and (5) are probably bound tightly to organic matter and are thereby unavailable for insect control: their stability might also be a limiting factor. There is scope however for correcting such deficiencies by synthesis to generate molecules with the ideal combination of chemical, physical and biological properties. In principle the required improvement might be achieved by preparing more stable molecules (eg hindered esters), more volatile molecules (eg lower molecular weight), or pyrethroids with significantly greater water-solubility (eg polar substituents). With these factors in mind a range of esters was screened and the pentafluorobenzyl ester (6) emerged as a most encouraging lead. A synthetic programme was then started aimed at the invention and development of the first soil acting pyrethroid insecticide.

Chemistry

Because it seemed likely that the pentafluorobenzyl ester (6) which has a high vapour pressure (0.9×10^{-4} Torr) was acting *via* the vapour phase (this is probably a good mode of delivery to *Diabrotica* which have large tracheae passing directly from the spiracles to the ganglia (Figure 1)) the aim of the synthetic programme was to find the optimal combination of high insecticidal potency with ideal vapour pressure.

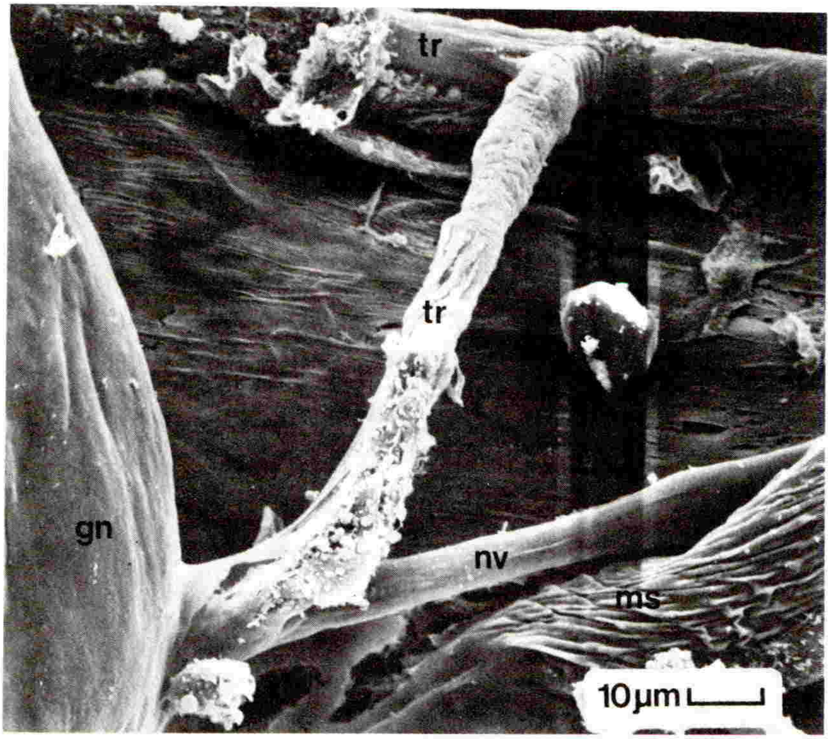
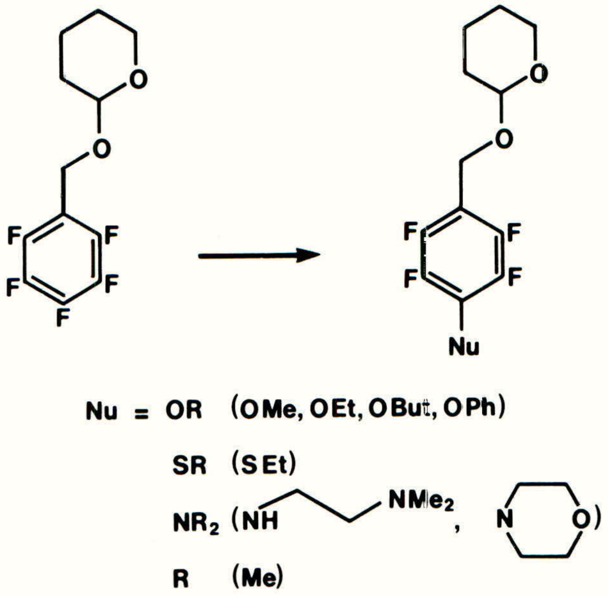


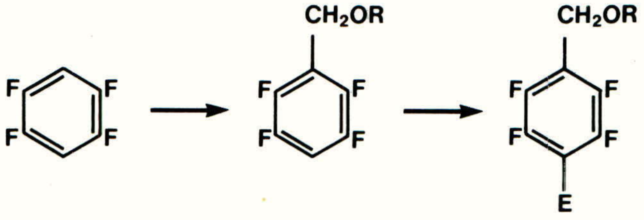
Fig. 1 Electron micrograph of dissected *D. balteata* larva. Magn. 1200x.

The micrograph clearly shows that a tracheal branch (tr) leads directly to a ganglion (gn), a most unusual anatomy for insects. A nerve (nv and muscle (ms) are also shown.
(Noted by Dr S N Irving following electronmicrography by C A Hart).

A range of analogues of (6) was prepared (Punja, 1983) by substituting one of the F-substituents in the alcohol moiety. 4-Substitution is achieved most easily by nucleophilic or electrophilic substitution of a THP-ether (Schemes 1 and 2) and fortunately these analogues are more active than the isomeric 2- and 3- substituted series (Table 2).



Scheme 1: Nucleophilic Substitution



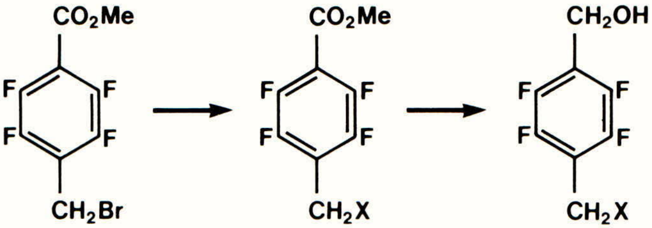
E = alkyl, allyl, benzyl, $CH(OH)R$, COR, CO_2H

= SMe

= NO_2, $SiMe_3$, $\overset{O}{\overset{\|}{P}}(OEt)_2$

Scheme 2: Electrophilic Substitution

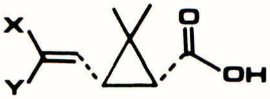
The range of 4-substituents was extended by nucleophilic attack on a 4-bromomethyl derivative (Scheme 3) and by functional group manipulations, eg SR → S(O)R → S(O$_2$)R



X = OR (OMe, OEt, OPr, OPh)
SR
NR$_2$ (NHMe, NMe$_2$, NEt$_2$)

Scheme 3: Benzylic Displacement

Each new alcohol was esterified with the CF$_3$/Cl acid (7) and those which gave the most active esters were also paired with pyrethroid acids (8 and 9).



	X	Y
(7)	CF$_3$	Cl
(8)	CF$_3$	F
(9)	Cl	Cl

Structure-activity data derived using the <u>Diabrotica</u> bioassay are summarised in Tables 2-5.

TABLE 2.

Influence of site of substituent (isomers of formula (1)) on *D. balteata* mortality

Isomers of (1)	LC_{50} (filter paper) ppm
4-Methyl	0.02
2-Methyl	0.08
3-Methyl	0.10

TABLE 3

Influence of 4-substituent (general formula (1)) on *D. balteata* mortality

4-Substituent (X)	LC_{50} (filter paper) ppm	LC_{50}(soil, 8 WAT) ppm
Me	0.02	0.33
CF_3	>5.0	not tested
n-Pr	0.13	0.85
allyl	0.01	0.125 - 0.25
benzyl	>5.0	not tested
phenyl	>0.5	not tested
OMe	0.03	>2.0
OPh	0.04	0.5 - 1.0
SPh	2.0	not tested
CH_2OMe	0.004	0.1 - 0.2
CH_2OEt	0.5	not tested
CHMeOMe	>5.0	not tested
CH_2SEt	0.1	not tested
CH_2SO_2Et	>5.0	not tested
CH_2NMe_2	0.25	not tested
NO_2	>5.0	not tested
$SiMe_3$	0.05	0.25

TABLE 4

Influence of stereochemistry of formula (1) on *D. balteata* mortality

Configuration			LC_{50} (filter paper) (ppm)	LC_{50} (soil) (ppm)
(+/-)	cis	(tefluthrin)	0.02	0.3
(+)	cis		0.007	*ca* 0.25
(-)	cis		1.1	>10.0
(+/-)	trans		0.03	> 1.0
(-)	trans		0.05	> 1.0

TABLE 5

Influence of α-substitution (esters of general formula (1)) on D. balteata mortality

α-substituent	4-substituent	LC_{50} (filter paper)
H	Me	0.02
$C\equiv CH$	Me	inactive
H	allyl	0.01
$C\equiv N$	allyl	>2.0

From Table 3 it is evident that some compounds which are very promising in the filter-paper test are much less effective in soil. Several factors may be involved in this, including intrinsic activity, metabolism, soil affinity, water solubility and vapour pressure. The physical properties of cyhalothrin and soil active esters are displayed in Table 6. As noted earlier, the key parameter and one which distinguishes cyhalothrin most readily from the soil-active esters, eg (1), is the vapour pressure.

TABLE 6

Physical properties and intrinsic potency of cyhalothrin and some soil active esters

Compound	Vapour Pressure (Torr, 20°C)	log P	Soil half-life (days)	Water Solubility (mg l^{-1})	EC_{50} (M) D. balteata[2]
Cyhalothrin (5)	1.4×10^{-9}	7.0	27	5×10^{-3}	ca. 10^{-18}
F_5-benzyl ester (6)	0.9×10^{-4}	6.2			10^{-14}-10^{-15}
Me F_4-benzyl ester (1)	1.9×10^{-5}	6.5	40	2×10^{-2}	-
Allyl F_4-benzyl ester	4.7×10^{-6}	7.2			-

These data allow a number of crucial structure-activity conclusions to be drawn as follows:

1) 4-substituents are preferred and in this position:
    a) Small alkyl and allyl substituents are better than CF_3 and benzyl
    b) Direct hetero-atom substitution generally leads to lower potency with $OR>SR>NR_2$
    c) Benzylic substitution by hetero atoms gives some very active compounds with $CH_2OMe>CH_2SR>CH_2NR_2$
2) α-substitution (by CN, $C\equiv CH$) gives inactive compounds, in contrast to the phenoxybenzyl series where α-cyano substitution is preferred.

---

[2] Miniature excitatory post-synaptic potential assay (Salgado et al, 1983).

3) For the acid moiety:

a) 1-R highly preferred over 1-S
b) 1R-cis preferred over 1R-trans

In addition experience with a variety of alcohols suggested that esters of acid (7) are generally more active than those of acids (8) or (9).

FIELD SCREENING

Following the laboratory studies four 4-substituted tetrafluorobenzyl esters were selected for testing in the field, namely the 4-methyl, 4-fluoro, 4-allyl and 4-methoxymethyl compounds. The latter two esters are marginally more active than tefluthrin in laboratory tests, but in the field the 4-methyl compound gave the best overall performance, probably due to a combination of optimum mobility with good soil stability. This compound also presents fewer synthetic difficulties and it was therefore the preferred development candidate.

Subsequent work has shown that tefluthrin (1) is active against a wide range of soil pests including Lepidoptera and Diptera as well as Coleoptera at low application rates (12-150g ai/ha), and has low mammalian toxicity compared to conventional soil insecticide products (Jutsum et al, 1986). These properties are very much in accord with our expectation on setting out to discover a soil-active pyrethroid.

In conclusion, the discovery of tefluthrin indicates that, within a class of pesticides, certain structural changes can affect the physical properties in such a way as to open up new market opportunities. Consideration of such factors is one way in which pesticide discovery can be made more rational.

ACKNOWLEDGEMENTS

The authors would like to thank many scientists who have contributed to the project and in particular P D Bentley and E Savins (Synthesis), J Clayton (Entomology), D Worthington (Soil Studies) and N H Anderson (Physical Chemistry).

REFERENCES

Jutsum, A. R.; Gordon, R.F.S.; Ruscoe, C.N.E. (1986). Tefluthrin - A Novel Pyrethroid Soil Insecticide. Proceedings 1986 British Crop Protection Conference - Pests and Diseases (In Press).

Punja, N. (1983), US Patents 4,370,346 and 4,405,640, 1983.

Salgado, V.L.; Irving, S.N.; and Miller, T.A. (1983) Pestic. Biochem Physiol. 20, 169-182.

Wood MacKenzie, (1985) Agrochemical Monitor, February 1985.

THE APPLICATION OF MODERN METHODS IN CHEMICAL FUNGICIDE RESEARCH

R. NYFELER, P. HUXLEY

Agricultural Division, Ciba-Geigy AG, CH-4002 Basle,

Switzerland

ABSTRACT

Study of the relationship between the chemical structure and biological activity of established fungicides is essential for the rational design of new biologically active compounds. This requires suitable biological test systems and detailed knowledge of the spatial structure of molecules involved. Examples from the chemistry of acylalanine- and triazole-fungicides are given to show how precise details of the conformation and absolute configuration of a compound can be obtained. The methods used include X-ray diffraction, NMR-spectroscopy and computer-assisted molecular modelling. For the triazole fungicides a structural model is discussed which characterises their mode of action at the molecular level. The development of more rational methods to design new fungicides will increasingly depend on cooperation between computational chemists, synthetic chemists, biologists and biochemists.

INTRODUCTION

One of the traditional approaches to the search for chemical plant protection agents is essentially a two-stage process.

The first stage is to search for new lead structures by randomly screening as many compounds as possible using a battery of carefully chosen biological tests. The second stage is to optimize these leads to give selective activity at low concentrations.

The organic chemist working on the synthesis of active substances has sought to understand how biological activity varies with chemical structure in the hope that this understanding will permit more rational and less random approaches to be used in the search for new leads and provide more efficient optimization methods. This requires the application of more sophisticated models to correlate chemical structure and biological activity which in turn demands more precise methods to describe chemical structures and suitable biological test systems.

In this paper, there will be first a short discussion of the biological target, followed by a presentation of techniques to describe three-dimensional aspects of chemical structure. Finally, a model for the binding of triazole fungicides to the active site of cytochrome P-450 will be discussed.

DESCRIPTION OF THE BIOLOGICAL SYSTEM

The biological properties of a fungicide required for practical application are made up of its effective activity at the 'target' and a number of control or loss factors in the ecosystem of the pathogen/plant complex (Fig. 1). A logical approach to the search for new active substances calls for models and hypotheses which describe the influence of these factors on biological activity, both individually and relative to each other. This requires firstly a thorough knowledge of the relevant biology and biochemistry, and secondly suitable biological test systems.

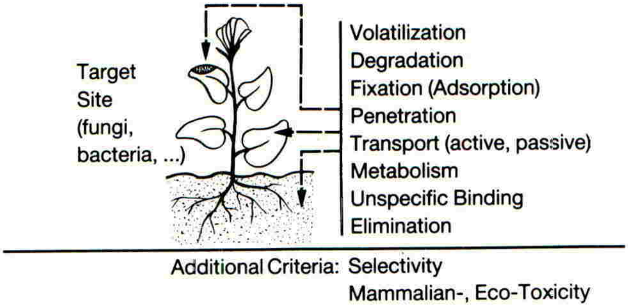


Fig.1. Control factors affecting the fate of bioactive chemicals in the pathogen-crop (soil) complex (from Geissbühler et al. 1983)

Without discussing these test systems in detail, a distinction between the following levels of complexity can be made:

1: morphological, i.e. the macroscopic or microscopic observation of the effects on whole organisms;

2: physiological, i.e. the use of separate or isolated organs, organelles, etc.;

3: biochemical, i.e. test systems which reflect the mode of action of specific enzymes, electron carriers, etc. (Geissbuehler et al. 1983)

Ideally test systems at each of these levels should be available if structure activity models are to be developed.

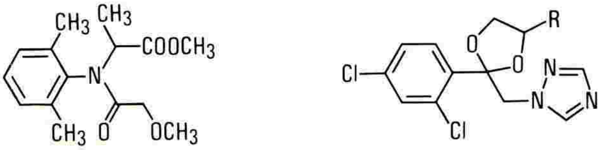
DESCRIPTION OF THE CHEMICAL STRUCTURE

Complementary to the development of more sophisticated biological test systems is the development of more detailed methods to describe chemical structure. This is essential since the biological activity of a molecule is ultimately determined by its physical, chemical and structural properties.

The points of interest as regards chemical reactivity are chiefly hydrolytic and photochemical stability. The physical properties referred to are both bulk properties such as water solubility, lipophilicity and vapour pressure, and microscopic properties such as electrostatic potential or dipole moment. Relevant structural properties include the geometries of the minimum energy conformation and all its associated conformers within a given energy range. Where a molecule has chirality the biological activity of the pure enantiomers can provide crucial information about the 'handedness' of the receptor.

A number of methods of measurement and calculation are available for investigating the structural and physical properties of a chemical compound. Examples are NMRand UV-spectroscopy, X-ray diffraction and calculations using quantum mechanical and force field methods. In recent years we have been developing a computer system to enable specialists to carry out these calculations. Combining computer graphics and computational chemistry is generally referred to as CAMM: Computer Assisted Molecular Modelling.

The use of these methods to provide structural information for structure activity correlations will be demonstrated taking selected examples from the chemistry of acylalanines and triazoles. Among the acylalanines special attention will be paid to metalaxyl (Fig. 2a), a fungicide highly effective against all pathogens in the Peronosporales (Urech et al. 1977, Hubele et al. 1983). Within the triazoles we will concentrate on the two broad spectrum fungicides etaconazole and propiconazole (Fig. 2b), (Staub et al. 1979, Urech et al. 1979).



a) Metalaxyl, CGA 48988
(Ciba-Geigy)

b) R = C_2H_5 Etaconazole, CGA 64251
R = C_3H_7(n) Propiconazole, CGA 64250
(Janssen, Ciba-Geigy)

Fig.2. Examples of acylalanineand triazole-fungicides

ABSOLUTE CONFIGURATION

Propiconazole is a broad spectrum fungicide belonging to the class of ergosterol biosynthesis inhibitors. The commercial product is a mixture of four stereoisomers arising from the two centres of chirality in the dioxolane ring. As illustrated in Fig. 3 these isomers can be grouped into two diastereoisomeric pairs of enantiomers. The two compounds in which the propyl- and the triazolyl-moiety are on the same side of the dioxolane ring are defined as having cis configuration, the other two as having trans configuration. The synthesis of the four isomers, starting from (2R)- and (2S)- 1,2-pentanediol, and the identification of the cis and trans isomers using NMR spectroscopy and europium shift reagents were achieved using methods analogous to those already described in the case of the etaconazole isomers (C. Vogel <u>et</u> <u>al</u>. 1983). It was thus possible to establish the absolute configuration of each of the four isomers.

The relative activities of the four isomers are dependent on the test system and the fungus selected. On agar, no marked difference in mycelial growth inhibition with a number of fungi was observed, but the 2S,4R-isomer is generally the most active and the 2R,4R-isomer the least active compound. Against <u>Cercospora arachidicola</u> on peanut and <u>Puccinia graminis</u> on wheat the activity of the four isomers differed significantly and showed a similar pattern to that of the etaconazole series (C. Vogel <u>et al</u>. 1983), the 2S,4R-isomer being the most active, propiconazole (isomeric mixture) and the 2R,4S-isomer were intermediate, while the 2S,4Sand 2R,4R-isomers showed the weakest activity.

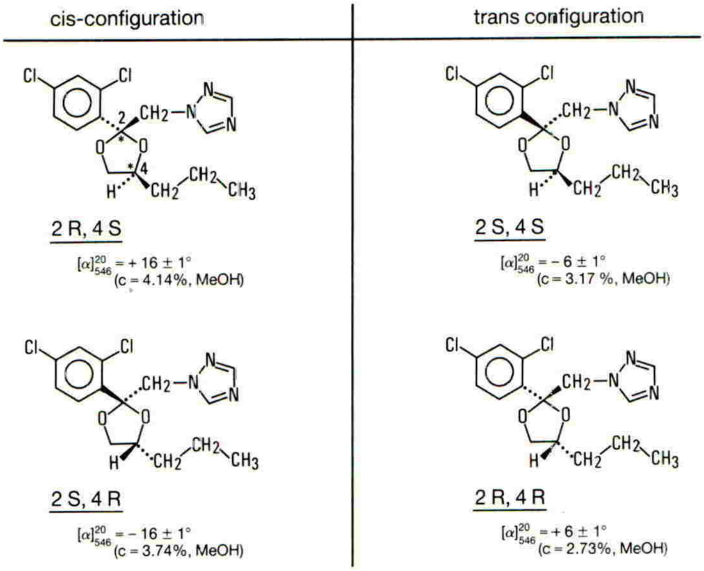


Fig.3. Isomers of propiconazole

CONFORMATIONAL STUDIES

Molecules may be treated as rigid structures with atoms in precisely defined positions but a more accurate and useful concept for the design of biologically active molecules is to consider the minimum energy conformation together with all possible conformers within a given energy range.

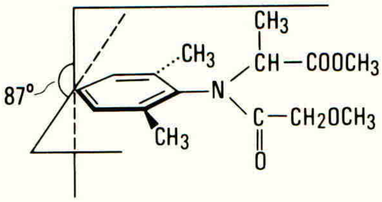
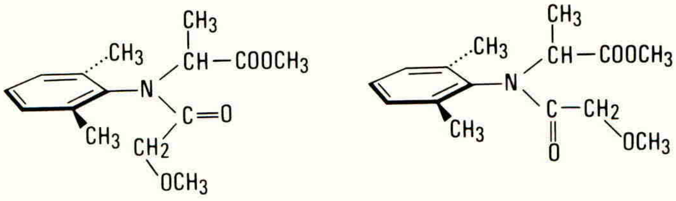


Fig.4. Most stable conformation with regard to rotation around the phenyl-nitrogen bond.

Taking metalaxyl as an example, we know from X-ray crystallographic studies of metalaxyl that the plane of the aromatic system forms an angle of 87° to the plane containing the nitrogen atom and its two substituents (Fig. 4) (Rihs 1983). It is known that 2,6-disubstituted anilines with additional substituents on the nitrogen atom are so sterically hindered that little rotation around the phenyl-nitrogen bond occurs. Our own quantum mechanical calculations showed that an energy barrier of at least 24 kcal/mol has to be overcome to achieve rotation about this bond and clearly this does not occur at room temperature.

A second rotational barrier exists around the -N-CO bond. Partial delocalisation of the π-electrons hinders rotation around this bond and results in two preferred conformations (Fig. 5). In the crystalline state only conformation A is present. From the proton NMR spectrum and quantum mechanical calculations we know that this is also true in solution (Nyfeler and Huxley 1985).



Conformation A

Conformation B

Fig.5. Most stable conformations with regard to the rotation around the nitrogen-carbonyl bond

COMPUTER ASSISTED MOLECULAR MODELLING

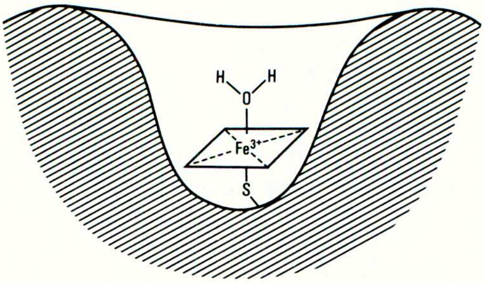
Modelling techniques based on computer graphics are an increasingly important tool for the synthetic chemist seeking to understand structure activity relationships.

Macromolecular modelling methods permit direct study of active ingredient/receptor interactions but require coordinates of the enzyme active site. The goal of indirect methods which presuppose no knowledge of the receptor is to find common conformational and electrostatic properties of active molecules and to compare these with the corresponding properties of inactive molecules. No relevant enzyme coordinates are known for plant pathogens so that modelling in the plant protection field has to rely on indirect methods.

AN ACTIVE SITE MODEL FOR ERGOSTEROL BIOSYNTHESIS INHIBITORS

Two biochemical test systems exist for fungicides which inhibit the biosynthesis of ergosterol by blocking the cytochrome P-450 catalysed C14-demethylation of lanosterol and related 14-methylsterols. The first is a cell free enzyme system derived from yeast from which the activity of a compound as a C14-demethylation inhibitor can be determined. The second characterises the type and strength of interaction between fungicides and cytochrome P-450 by means of UV-spectroscopy. From the application of these methods it could be concluded that biological activity of triazoles is due to binding of the fungicide to the heme group of cytochrome P-450 in such a way that the unhindered N4-nitrogen of the triazole ring coordinates to the heme iron (for recent reviews see Vanden Bossche 1985 and Kato 1986).

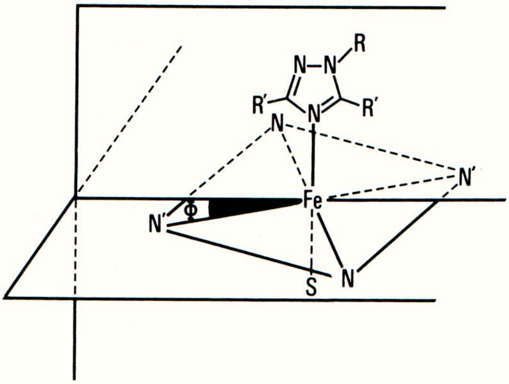
Although the detailed structure of cytochrome P-450 enzymes is not known an active site model (Fig. 6) has been proposed. The active site consists of an iron protoporphyrin moiety sitting in a hydrophobic cleft in the protein. The iron atom is either penta- or hexa-coordinate having four nitrogen porphinato ligands, a fifth sulphur ligand on the inside of the pocket covalently bound to the protein and a sixth labile ligand which is believed to be either oxygen or nitrogen (White and Coon 1980).



(from White and Coon 1980)

Fig.6. Model of active site of cytochrome P-450 (from White and Coon 1980)

Using crystal structures of pyridyland imidazolyl-porphyrin complexes we have modelled binding of triazoles to the ferric ion in the cytochrome P450 active site (Fig. 7).



(adapted from Collins et al. 1972)

Fig.7. Model illustrating the angle ϕ (phi), defining the relative orientation of the triazole ligand within the porphyrin system (adapted from Collins et al. 1972)

The important feature of this model for pesticide design is that the heterocycle is in a semi eclipsed orientation ($0 < \phi < 20°$) with respect to two of the porphinato nitrogen atoms (N', Fig.7) rather than in a staggered orientation ($\phi = 45°$). This orientation of the heterocycle within the porphyrin system is the result of two competing forces, namely the drive towards an eclipsed conformation to achieve maximum attractive interactions between π-orbitals of the heterocycle and the iron-atom, and the drive towards a staggered conformation to minimise steric interactions between the triazole and the porphyrin ring system. The semi-eclipsed conformation observed, shows that electronic effects dominate. This is further demonstrated by the finding that the semi-eclipsed conformation is not affected by the introduction of substituents on the heterocycle (R'≠ H) but that the Fe-N bond length is significantly increased. This bond lengthening leads to weaker binding and provides an explanation for the experimental finding that triazoles with methyl groups ortho to the N4-nitrogen atom are poor inhibitors (Mercer 1983).

CONCLUSIONS

Methods to correlate chemical structure and biological activity at different levels of complexity are an essential part of a rational search for new pesticides. These methods include the well established quantitative structure activity relations (QSAR) where physico-chemical properties, steric and electronic parameters of related compounds are correlated with biological in-vitro and in-vivo results.

In this paper, methods to describe and visualise the stereochemical and stereoelectronic properties of molecules have been presented.

For the triazoles, biochemical tests exist which characterise their mode of action and can be used to correlate in-vitro data with detailed structural data. These studies allow the development of structural models at the receptor level which permit the design of novel fungicides with the same mode of action. This is a first step towards rational design of novel fungicides.

But a lot more is needed. The future will have to bring us a deeper insight into the complex nature of the processes that determine the biological activity and fate of compounds in the plant pathogen ecosystem. This requires further development of structure activity studies to investigate separately the influence of physico-chemical, chemical and structural parameters of a compound on processes such as penetration, transport, metabolism and degradation. Correlation of chemical structure with toxicological activity will be a further essential element of rational drug design and requires the development of corresponding biological test systems.

Progress to achieve more rational fungicide design will depend on applied research with established fungicides and on basic research into the fundamental processes governing fungicide action. In future, success will increasingly depend on cooperation between computational chemists, synthetic chemists, enzymologists, biochemists, biologists and toxicologists.

REFERENCES

Collins, D.M.; Countryman, R; Hoard J.L. (1972) Stereochemistry of Low-Spin Iron Prophyrins. Journal of the American Chemical Society 94, 2066-2078.

Geissbühler, H.; Müller, U.; Pachlatko, J.P.; Waespe, H.R. (1983) Bio rational design of chemicals. In: Chemistry and World Food Supplies: The New Frontiers (Chemrawn II) L.W. Shemilt (Ed), Oxford, New York: Pergamon Press, pp. 643- 655.

Hubele, A.; Kunz, W.; Eckhardt, W.; Sturm, E. (1983) The fungicidal activity of acylanilines. IUPAC Pesticide Chemistry. Human Welfare and the Environment. J. Miyamoto et al. (Eds), Oxford, New York: Pergamon Press, pp. 233-242.

Kato, T. (1986) Sterol-biosynthesis in fungi, a target for broad spectrum fungicides. In: Chemistry of plant protection. Sterol-biosynthesis inhibitors and antifeeding compounds. G. Haug et al. (Eds) Berlin: Springer-Verlag, pp. 1-24.

Mercer, E.I. (1983) Inhibition of sterol 14α-demethylase enzymes. Biochemical Society Transactions 11, 663-664.

Nyfeler, R.; Huxley, Ph. (1985) Current approaches in fungicide chemistry - The application of modern methods. In: Fungicides for Crop Protection - 100 Years of Progress. Proceeding of the Centenary Meeting, BCPC Monograph No 31, Vol.1, 45-54.

Rihs, G. (1983) Ciba-Geigy AG, Basle, unpublished.

Staub, T.; Schwinn, F.J.; Urech, P.A. (1979) CGA 64251, a new broad-spectrum fungicide. Abstract of the 9th International Congress of Plant Protection, Washington, p. 309.

Urech, P.A.: Schwinn, F.; Staub, T. (1977) CGA 48988, a novel fungicide for the control of late blight, downy mildew and related soil-borne diseases. Proceedings 9th British Crop Protection Conference 2, 623-631.

Urech, P.A.; Schwinn, F.J.; Speich, J; Staub, T. (1979) The control of air-borne diseases of cereals with CGA 64250. Proceedings 10th British Crop Protection Conference 2, 508-515.

Vanden Bossche, H. (1985) Biochemical targets for antifungal azole derivatives: Hypothesis on the mode of action. In: Current Topics in Medical Mycology. M.R. McGinnis (Ed) Berlin: Springer Verlag Vol.1, 313-351.

Vogel, C.; Staub, T.; Rist, G.; Sturm, E. (1983) The four isomers of etaconazole (CGA 64251) and their fungicidal activity. IUPAC Pesticide Chemistry. Human Welfare and the Environment. J. Miyamoto et al. (Eds) Oxford, New York: Pergamon Press, pp. 303-308.

White, R.E.; Coon, M.J. (1981) Oxygen activation by cytochrome P-450. Annual Review of Biochemistry 49, 315-356.

THE DESIGN AND SYNTHESIS OF GABAergic COMPOUNDS AS POTENTIAL INSECTICIDES

D.W. GAMMON, H.L. GINGRICH, G. SANDER, P.A. VANDERWERF, C.J. MANLY, and G.A. CROSBY

Agricultural Chemical Group, FMC Corporation, Princeton, New Jersey 08540, U.S.A.

ABSTRACT

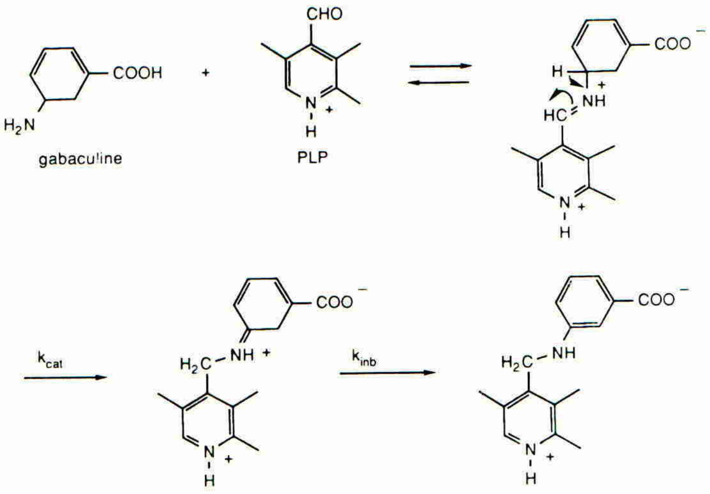
A series of aminooxy acids and esters has been shown to be insecticidally active when injected into the American cockroach. Although inhibition of GABA-T or GAD in insects proved not to be responsible for the toxicity observed with the aminooxy acids, as originally proposed, the methodology utilized in this study illustrates a rational approach to the discovery of new insecticides.

INTRODUCTION

It is well established that γ-aminobutyric acid (GABA) functions as the neurotransmitter at inhibitory neuromuscular junctions in insects. There is also considerable evidence to indicate that GABA serves as a neurotransmitter at inhibitory chemical synapses in the insect central nervous system. The activities of certain insecticides, such as the cyclodienes, avermectin and perhaps some pyrethroids, have been linked with the GABA-ergic system (Eldefrawi et al. 1985). The regulation of GABA is therefore an attractive target for the rational design of new insecticides.

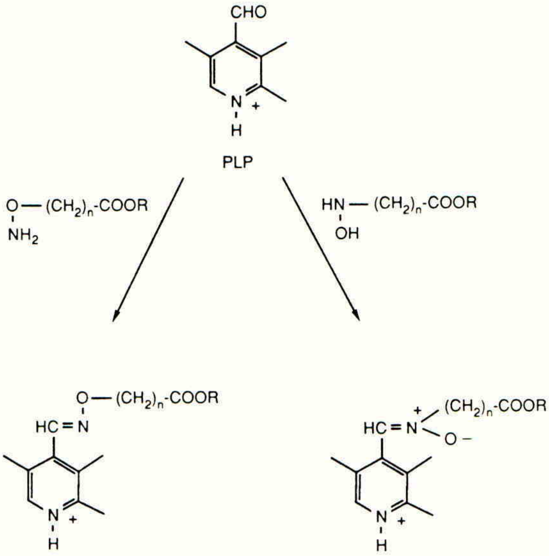
GABA is synthesized from glutamate by the enzyme glutamic acid decarboxylase (GAD), and released from the nerve terminal by a calcium ion dependent process. Interaction of the neurotransmitter with a receptor on the post-synaptic membrane causes an influx of chloride ion. GABA is thought to be removed from the synapse by uptake into neuronal and glial cells where it is catabolised to succinate semialdehyde by the enzyme GABA-transaminase (GABA-T).

GABA-T and GAD present obvious targets for the regulation of GABA levels in insects. Both of the pyridoxal phosphate (PLP) linked enzymes have been identified in invertebrate species. Gabaculine, a naturally occurring, irreversible inhibitor of bacterial GABA-T provides a model for the design of new inhibitors of this enzyme in insects. Gabaculine reacts with the pyridoxal phosphate co-factor by an irreversible process shown in Scheme 1. (Rando 1977, Rando and Bangerter 1977). Aromatization of the reaction intermediate produces a stable product which is tightly bound to the active site of the enzyme.



Scheme 1. Irreversible inhibition of GABA-T by gabaculine

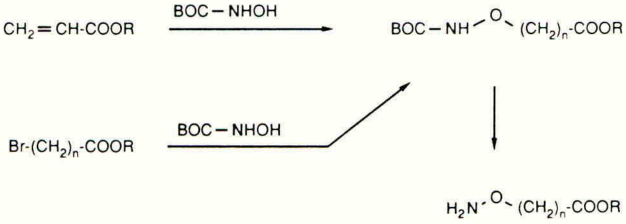
Unfortunately, gabaculine was found to be nontoxic when injected into the thorax of the American cockroach at 1000 µg/g. GABA itself produces only slight tremors when injected at the same level. Lack of activity could be due to differences between bacterial and insect GABA-T, or lack of transport of the compound to the active site in the insect. It could also mean that inhibition of GABA-T is not lethal to insects. These questions are obviously critical to the design of GABA-T inhibitors as new insecticides. In this regard we decided to explore various acyclic aminooxy and N-hydroxyamino acids as PLP-dependent inhibitors of GABA-T in insects. It was anticipated that compounds of this type could be more potent inhibitors of the enzyme because of the greater reactivity of the amine groups or reduced steric constraints in the aminooxy and N-hydroxyamino acids compared with gabaculine. Although these compounds can not function as irreversible inhibitors in the same manner as gabaculine, the initial product formed on reaction of the acyclic acids with PLP (Scheme 2) should be stable and tightly bound to the enzyme, since pyridoxal phosphate is itself very tightly bound to bacterial GABA-T (Rando 1977). Further, the oxime ethers resulting from the reaction of the aminooxy acids with PLP contain no α-hydrogens and therefore are incapable of undergoing an enzymatic transamination with subsequent regeneration of the enzyme. The N-hydroxyamino acids, being somewhat less reactive towards carbonyls, could prove to be more selective inhibitors. In this case the product of reaction with PLP would be a stable nitrone (Cooper and Griffith 1979).



Scheme 2. Inhibition of GABA-T by Aminooxy and N-hydroxyamino acids and esters

MATERIALS AND METHODS

A simple series of aminooxy acids and esters was prepared, ranging from acetate (n=2) to valerate (n=5) as shown in Scheme 3, by addition of BOC-protected hydroxylamine to methyl propenoate, or reaction with the appropriate ω-bromoalkanoate esters. The N-hydroxyamino acids were prepared in a similar fashion from O-benzylhydroxylamine hydrochloride.



Scheme 3. Synthesis of Aminooxy Acids and Esters

All compounds tested for insecticidal activity were injected into the thorax of the American cockroach as aqueous solutions in sodium phosphate buffer.

The *in vitro* and *in vivo* inhibition studies reported here with GABA-T and GAD have been previously described (Gammon *et al*. 1986). This involved calculating the constants which govern the affinity of the inhibitor for the enzyme (K_d) and the rate of enzyme inactivation (^{k}cat) based on methods previously developed for irreversible inhibitors of acetylcholine esterase (Hart and O'Brien 1973).

Gabase, a commercial preparation from Pseudomonas fluorescens, was used as a source of GABA-T. GAD was either obtained commercially from E. Coli (Sigma) or isolated from cockroach flight muscle as previously described (Gammon et al. 1986).

Molecular modeling studies were performed with the commercially available CHEMLAB® program and other software available from Molecular Design Ltd.

RESULTS AND DISCUSSION

As expected the aminooxy and N-hydroxyamino acids were more reactive than gabaculine towards pyridoxal phosphate alone. Thus both acyclic acids were found to react with PLP at room temperature in less than one hour. In contrast, gabaculine requires heating at 100°C for up to one hour for completion (Rando and Bangerter 1977).

The calculated dissociation constants (K_d) also confirmed that the aminooxy acids and esters generally bind with greater affinity to bacterial GABA-T than gabaculine by as much as 200x (Table I). However, there was little difference in ^{k}cat found for the various inhibitors (range from 0.12 to 0.18 sec^{-1}).

Table I. Inhibition of GABA-T by Aminooxy and N-hydroxyamino Acids and Esters

Inhibitor	K_d(μM)	LD_{50}^+ (μg/g)
Aminooxy acids		
Acetic (n=2)	5.5	750
Propionic (n=3)	0.4	750
butyric (n=4)	0.1	350
valeric (n=5)	1.0	400
Aminooxybutyrate esters		
methyl	0.3	50
ethyl	1.5	25
hexyl	20	225
Octyl	36	>500
N-hydroxyaminobutyric		
acid (n=4)	17	>500
ethyl ester	300	>1000
Gabaculine	21	>1000

$^+LD_{50}$ determined in American cockroach by injection into thorax

In agreement with molecular modeling studies, 4-aminooxybutyric acid and its methyl ester were the most potent inhibitors of the series, presumably because they most closely resemble GABA. Table II shows the energy difference between the lowest energy conformation (LEC) of the inhibitor and the conformation required to superimpose the nitrogen and two oxygen atoms of the inhibitor with the same three atoms of GABA.

Table II. Molecular Modeling Studies. Energy Required to Superimpose the Inhibitors with GABA

Inhibitor	Energy above LEC (Kcal/mol)
Aminooxy acids	
acetic	>100
propinoic	8
butyric	4
valeric	9
N-hydroxybutyric acid	<1
Gabaculine	4

This is illustrated in Figure 1. for 4-aminoxybutyric acid superimposed with the extended conformation of GABA. There is good correlation of the dissociation constants with the energy differences for the series of aminooxy acids and esters. However, superimposing these inhibitors with two other more folded conformations of GABA gave virtually no correlation with the observed data. The N-hydroxyaminobutyric acid and its ester were significantly less potent even though modeling studies show there is very good overlap between these compounds and GABA. The greater steric bulk of gabaculine may account for its relatively high K_d since its energy difference is the same as 4-aminooxybutyric acid.

On injection into the thorax of the American cockroach as aqueous solutions the aminooxybutyric acids and esters caused convulsions leading to prostration, suggesting they were neuroactive. As anticipated, the esters were more active than the acids, presumably due to enhanced transport of the more hydrophobic esters into the insect nervous system (see Table I). The N-hydroxyamino acids and esters were, again, much less active <u>in vivo</u> than the aminooxy acids.

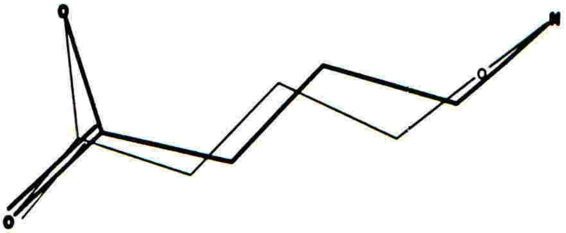


Figure 1. Superimposition of 4-aminoxybutyric acid with the extended conformation of GABA.

In general, however, there was relatively poor correlation between the dissociation constants (K_d) and toxicities of the various aminooxy acids and esters. These results suggest that inhibition of GABA-T may not be the primary cause of toxicity of the aminooxy acids in insects. This question was resolved by examining the effect of GABA-T inhibitors on the in vivo metabolism of ^{14}C-GABA. Succinate semialdehyde, the transamination product of GABA, is ultimately converted to carbon dioxide by the Krebs cycle. Thus administration of a GABA-T inhibitor should block the oxidation of ^{14}C-GABA to $^{14}CO_2$. Injection of gabaculine into cockroaches at 1000 μg/g, followed by ^{14}C-GABA, caused a 98% inhibition of $^{14}CO_2$ evolution at 2 hours and 24 hours without toxicity to the insects. Ethyl 4-aminooxy-butyrate injected at a dosage which also caused only slight symptoms (12.5 μg/g) resulted in the in vivo inhibition of approximately 50% of the labeled carbon dioxide. It appears that inhibition of GABA-T is not lethal in insects and not a useful target for the design of new insecticides.

Unlike gabaculine the aminooxy acids are nonspecific inhibitors of GABA-T as illustrated by their ability to inhibit the enzyme alanine aminotransferase (Gammon et al. 1986). The toxicity of these compounds in insects could therefore be due to inhibition of glutamic acid decarboxylase (GAD), which also utilizes pyridoxal phosphate as a cofactor. Studies with GAD isolated from both E. Coli and cockroach flight muscle demonstrated that the aminooxyacids are effective inhibitors of this enzyme (Table III). An in vivo experiment was conducted in cockroaches using low (25 μg/g) and high (500 μg/g) doses of ethyl 4-aminooxybutyrate followed by assay of the enzyme in flight muscle. For both high and low doses inhibition of GAD ranged between 68-78%, yet only the high dose caused pronounced biological effects (paralysis). These results suggest that inhibition of GAD plays only a minor role in causing toxicity. Furthermore, GAD inhibition per se, like GABA-T inhibition, is not likely to be a good target for insecticides.

Table III. Inhibition of GAD by Aminooxy-carboxylic Acids and Esters

Inhibitor	E. Coli GAD IC_{50} (μM)	Cockroach GAD IC_{50} (μM)
Aminooxy acids		
Acetic (n=2)		$<10^+$
Proprionic (n=3)		$<10^+$
butyric (n=4)	10-100	$<10^+$
valeric (n=5)		$<10^+$
Aminooxybutyrate esters		
methyl	10-100	$<10^+$
ethyl	10-100	1-10
hexyl		~100
octyl		~100

$^+$10 μM caused 100% inhibition of GAD

It can be concluded that the toxicity observed with the aminooxy acids is not a result of the inhibition of GABA-T or GAD. Being nonspecific inhibitors these compounds may be exerting their effects through reaction with some other essential PLP enzyme in the insect. Nevertheless, the experiments described in this report should help to increase our ability to take a more rational approach in the design of new insecticides.

REFERENCES

Cooper, A.J.L.; Griffith, O.W. (1979) N-Hydroxyamino acids. Irreversible Inhibitors of Pyridoxal Phosphate Enzymes and Substrates of D- and L-Amino Acid Oxidases. *The Journal of Biological Chemistry*, *254*, 2748-2753.

Eldefrawi, M.E.; Abalis, I.M.; Filbin, M.T.; Eldefrawi, A.T. (1985) Glutamate and GABA Receptors of Insect Muscles: Biochemical Indentification and Interactions with Insecticides. In: Approaches to New Leads for Insecticides, H.C. von Keyserlingk, A. Jager, C. von Szcepanski (Eds), Berlin, Springer-Verlag, pp. 101-116.

Hart, C.J.; O'Brien, R.D. (1973) Recording Spectro-photometric Method for Determination of Dissociation and Phosphorylation Constants for the Inhibition of Acetylcholinesterase by Organophosphates in the Presence of Substrate. *Biochemistry*, *12*, 2940-2945.

Gammon, D.W.; Gingrich, H.L.; Sander, G.; Stewart, R.R.; VanDerWerf, P.A. (1986) Biochemical and Toxicological Assessment of GABA Transaminase and Glutamic Acid Decarboxylase as Targets for Insecticides. In: American Chemical Society Symposium-Toxicants Affecting GABA, Octopamine and Other Neuroreceptors in Invertebrates, M.B. Green, R.M. Hollingworth (Eds), in press.

Rando, R.R. (1977) Mechanism of Irreversible Inhibition of γ-Aminobutyric Acid-α-Ketoglutaric acid Transaminase by the Neurotoxin Gabaculine. Biochemistry, 16, 4604-4610.

Rando, R.R.; Bangerter, F.W. (1977) Reaction of the Neurotoxin Gabaculine with Pyridoxal Phosphate. Journal of American Chemical Society, 99, 5141-5145.

NEW RATIONALLY DESIGNED STEROL BIOSYNTHESIS INHIBITORS

P. BENVENISTE

Institut de Botanique, Strasbourg,
France

(Paper not available for printing in the Proceedings)