Session 1

The Twenty-second Bawden Lecture

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FOOD OR FAMINE: POLITICS, ECONOMICS AND SCIENCE IN THE WORLD'S FOOD SUPPLY.

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"No man can be a statesman who is ignorant of wheat" *Socrates*

THE CHALLENGE.

My intention today is to challenge governments and their advisors with the enormity of the task of keeping the world's increasing population decently fed in the next thirty years. My contention will be that in scientific and economic terms, it may be a close-run thing, and that success or failure will ultimately lie with the political decisions that are made.

As Gordon Conway, vice chancellor of Sussex University has put it: "food security is the key to development. Without it there is little hope of improving the status of the poor or of women, and the chances of limiting population growth and protecting the environment are slim"

I will look first at the overall problem of food demand and supply, then will examine specific areas of policy where I think political decisions will be critical. These areas are agricultural and trade policy and environmental protection.

POPULATION

The problem starts with population. Human lifespan has surged this century, from probably 39 years to 65 (estimates vary). From 1950 to 1990, 2.8 billion people were added to world population. From 1990 to 2030 it is estimated another 3.6 billion people (or another 90 million a year, another Mexico) will have to be supported on approximately the same amount of agricultural land.

For many countries, there is already a vicious circle of increasing population, economic stagnation, poverty and economic degradation. Our problem is to reverse this trend, because without increased prosperity it is difficult to see how to stop the population explosion, and if we don't, *sometime* we will run out of resources to cope.

According to the UN, there are 700 million undernourished people in the world, and 10-12 million children under the age of five die each year because of related problems. This I would argue has nothing to do with the world being unable to feed its present population, but everything to do with bad political and economic organisation. I remember some years back the then Director-General of the International Wheat Council who had just returned from the scene of an African famine. He reported that in the port of a neighbouring country which supplied the famine-zone, there were grain stocks offered by the international community equivalent to one year's demand from the famished country, but not a truck was prepared to leave port, as the rebels were shooting those who tried to get any food through.

DIETS

Let's rather talk of normal food demand. As people get richer, they change their diets. FAO statistics for 1990 said that the US consumption per head was 115 kg of meat and 271 kg of milk and milk products. By contrast, Chinese per capita consumption was less than a quarter of that of the US in meat and less than one per cent in milk. Indian meat consumption was less than one per cent the US figure, and a little over 11% for milk. Of course you can argue that cultural differences will forever prevent these populations aping the west, but that there is room for some movement in the direction of a more meat and fat diet seems unarguable.

In very round numbers it takes approximately two kilos of feed to produce one kilo of chicken meat, four for pork and seven for beef and as a major constituent of feed is grain, so it is obvious that richer countries consume more grain per head of population. Similarly richer people consume more vegetable oils, and oilseeds yield less per hectare than do cereals, so here again there is pressure on land resources which are needed to feed the increase in the population. Moreover many of the world's population are approaching that income level where increases in spending power lead directly to increases in food expenditure. Finally it can be argued that the world has to some extent been living off its stocks for the past decade, so there is some additional latent demand because supplies have been curbing usage.

Jock Anderson and others calculate that the increase in population plus the increase in living standards add up to a need to produce an extra 32 million tonnes of grain a year, an annual increase of 1.7 - 1.8%.

I shall examine the scarce resources of land and water and then consider food supplies.

LAND

There are somewhat more than 13 billion hectares of land in the world, nearly 11% of which are in arable cultivation, and another 26% in permanent pasture. These bald statistics make it sound as though there is scope to add a lot of arable land, but this is an illusion. Jock Anderson concluded recently that in the next 40 years only some 150 million hectares can realistically be added, an increase of ten per cent to the total arable acreage, and "this will be difficult, infrastructurally demanding, and politically controversial in many (especially "green") quarters".

It is not just the macro numbers that matter, but also the quality of the land. Additional land may not be prime land. By contrast, sixty per cent of the land lost in the US for urbanisation, industrialisation, etc. is arable land, mostly of prime quality. As a US government official put it, "asphalt is the land's last crop".

China is said to be losing nearly a million hectares of arable land a year to urbanisation and industrialisation, and some estimates say that by 2020 China will lose enough farmland to feed 125 million people. Also there are the losses world-wide from erosion and salination.

So do we have enough? The evidence is spotty. William Rees calculates the average Canadian requires one hectare for his food, and 0.5 hectare for wood and paper products.
It is sobering to see that world average of cultivated land per person was just 0.3 hectare in 1987, and in extreme cases like Kenya the ratio is now as low as 0.1 hectare.. In China official figures put the cultivated area as low as 800 square metres per person.

So land availability presents a major problem for food security, the resolution of which depends on the reinforcement of the right scientific response with the right political decisions. Of these clear property rights, and the splitting up of the land into economically large enough units for cultivation, slowing of urbanisation and minimising the loss of good land to tarmac, are obviously priority areas.

WATER

Irrigated crops yield on average two and a half times non-irrigated. Irrigated land covers 241 million hectare. There is little potential significantly to increase this area because the easiest sites have already been developed, there is increasing political and environmental opposition to massive schemes, and water is increasingly becoming a scarce resource in its own right.

According to Ismail Serageldin of the World Bank, eighty countries with 40 per cent of the world population are already facing some water shortages, and in Beijing they are bringing in water from as far as 900 kilometres away. Other commentators believe that water demand for all purposes is expected to reach 100% of exploitable reserves in Tunisia and Algeria by the year 2000. Because of all these factors, Jock Anderson calculates a technical potential of 134 million hectare additional irrigated land, but a realistic potential of 34 million hectare, an increase of some 13%.

In managing this scarce resource, it is crucial that governments take correct decisions water rights and pricing. For example, Californian farmers should not be encouraged to lower the water table by below-the -market prices, and in particular to grow rice in what nature decreed should be near-desert conditions. Indeed I have read one statistic which claims that only 45 per cent of water used for agriculture ever reaches plants. Whether or not one believes this estimate, there is no doubt that much water is applied wastefully.

SCIENCE AND TECHNOLOGY

As there is room only for marginal addition of land and water, increased food supplies have to be achieved in the main by better husbandry and better science.

Past statistics make this seem eminently reasonable as a target to be achieved. It is science rather than increases in land or irrigation that have led to increased supply in the recent past.

Basis USDA statistics, world yields rose annually between 1974/5 and 1984/5: 2.98% for rice, 3.17% for wheat and 2.57% for coarse grains. But the succeeding ten years showed annual increases of only 1.26%, 1.04% and 1.33%. I am not sure of the reasons for this marked slowing in the growth rates, but would suggest as in all human affairs there were multiple causes. The first is that scientific advances are random, and green revolutions are once in a lifetime affairs, and developments like converting straw length to grain yield eventually come up against diminishing returns. The second was that in the eighties economic incentives were badly distorted by governments. The export subsidy war drove world prices below the cost of production, and economic chaos in Eastern Europe and the FSU followed the collapse of the Berlin Wall. Finally the advances from bio-technology have taken much longer to come to market than people predicted.

However from my trader's perspective, they are now about to leap forward; disease and herbicide -resistant crops, longer- shelf life vegetables and crops bred for special characteristics, soft wheat with the baking characteristics of hard red wheat but without the bitter taste, corn with high starch or high oil, the list is seemingly endless.

Finally precision agriculture, where the inputs of water, fertiliser and chemicals are tailored to individual two to three acre segments, will have the effect not only of reducing inputs but also of increasing average yields.

MULTINATIONALS

I would like to say something on the role of multi-nationals. In so doing I risk having some of you say "he would say that wouldn't he?" But I think it just as important to be objective about the corporate world as it is about political objectives because governments have to act through the commercial system as it exists.

Many in the NGO community fear multinationals who they think have too much power, power over the prices developing countries get for their commodities, power to knock out subsistence farmers, and power to create and then pull out of processing industries of developing countries,

These criticisms are wrong. I hope I will convince you in the section on trading that multinationals' power over commodity prices is illusory.

It is the forces of economics, not multinationals per se, which may endanger subsistence farmers, and it is the more industrial farmers who in the end will have the task of keeping hunger at bay. Power to set up and walk away from processing businesses we certainly have, but we will only invest in a country where we are welcome and my company at least has a remarkable patience when it comes to pilot projects in the developing world, much greater I am convinced than local companies which do not have such deep pockets. We act in a highly competitive business environment on a global scale. Nobody holds a gun to our customers' heads- they choose to do business with us, or to go to the competition.

Most importantly we look upon ourselves as the agents of change, and the promoters of growth around the world. We help trade happen, and trade is the engine of economic growth. We take costs out of the food system. We spread technologies and best practices. We bring in managers of experience to work alongside locals. We help raise local standards of health, safety and environmental protection. Long gone are the days when a company could afford - if it wanted- to have lower standards in distant lands. An environmental disaster is a calamity for a company wherever it occurs on the planet. So our standards often turn out to be higher than our local competition. It is common for industrial enterprises to return river water to the river cleaner than they took it out. It is in fact western consumers who are now greater polluters than industry yet this is not yet recognised publicly. We are close to the day when the emissions of one employee driving his car to work will exceed the total day's emissions of a corn plant.

Governments need multinationals to assist them in the effort to feed the world in the next century in the context of an open trading system. But we don't go where we are unwelcome, (we literally cannot operate where culture, legal systems or the market are counter to our principles) so those countries which prefer the isolationist approach need not fear.

A NEW GLOBAL SYSTEM EMERGING.

All the signals that I see, the GATT, the Berlin wall, the Rio conference, the telecom revolution and the Internet all point to the emergence of a new global order. In the past governments were able to operate on their own over a broad spectrum of their economies, and to take decisions whether or not to participate in international affairs. The challenge for governments is to turn away from being parochial to trying to solve problems in a global context, not only with other governments but increasingly in partnership with multinational companies.

This need to operate in a global context will involve accepting that some regions will necessarily be dependent on others for a major part of their food supplies, (properly handled this can result in benefits for both parties).
It will involve trying for global solutions to the problems of environmental protection and global warming and food security.

I want to emphasise that it is unlikely that the scientific limits to growth and feeding the world population will have been reached by the year 2025, but that success needs both economic and political elements to work together to the common good. The balance of my paper will concentrate on the political imperatives.

TRADE POLICY

"No nation was ever ruined by trade": Benjamin Franklin

It is generally accepted that trade is the motor of growth. Over the past decade world trade in merchandise has increased faster than world output, and world trade in services has

increased faster still. The Far Eastern tigers have got rich on trade. Trade has led to investment, and investment to jobs and increased prosperity.
The eighties were a turbulent period for the world grain trade. First came a period of stock-building (stocks rose to nearly four months supply in 1987), and the holding of huge acreage off the market through the US set-aside schemes. Then in quick succession came the US decision to fight back with the Export Enhancement Programme, and the US drought. Prices for wheat, which started the decade in the $170 a tonne range, declined to below $90 in '86 and '87, recovered to $150 as a result of the drought and then declined again to $80.

This was a classic case of market distortion by governments. In one decade prices were *higher* than they would otherwise have been, because:

- The US held grain off the market
- EU farmers because of the Common Agricultural Policy had no signals on the domestic market to enable them to respond to the US drought.

In the same decade prices were also *lower* than they would otherwise have been because of the export subsidy war. This wreaked havoc with the fortunes of farmers around the world, because at $80 a tonne wheat was priced below its cost of production almost anywhere in the world, and certainly a long way below its marginal cost at which world trade ought to have taken place. And a fluctuation in price from $170 to $80 is too large to handle in any business.

Nor has the situation got better over the intervening years. As Bill Power, President of Tradigrain, put it in June this year. "the international wheat marketing system is the only significant area of economic activity in the western world where it is considered appropriate that decisions on the pricing and allocation of supplies should be decided by governments and semi- government monopolies.

The result has been to destroy any notion of a world market in wheat".

Power went on to say that when he was writing his speech the USDA was accepting a bid from Bangladesh for wheat at $130 mt. FOB (with a $28 mt. export subsidy) at the same time Brazil was buying Argentinean wheat at $167-180 mt. FOB, and Brussels was refusing bids between $145-150 mt.
The only consolation is that ultimately markets are stronger than governments, as illustrated by our lamentable ERM experience. Agriculture has only recently been brought within the orbit of GATT/ WTO. Subsidised exports are to decrease over a six year period by 21% in volume terms and 36% in budgetary terms compared with a base period 1986/90. These measures will reduce distortions, but by no means eliminate them.

It has been said that Cargill are the biggest beneficiaries of US government export subsidies or so-called "corporate welfare" (via the EEP programme). This appears to be correct, but in fact is not so. Anyone who understands the nature of world commodity trading will realise that the ultimate beneficiaries are on the one hand the farmers who otherwise would sell their produce for a lower price, and on the other the foreign consumers who are getting grain dumped at below cost .

Let me explain the workings of the grain market. Grain is an undifferentiated commodity which sells almost entirely by price. It is perfectly normal on any major tender for there to be offered wheat from five or more different countries by up to ten different shippers. There are no barriers to entry into shipping, all you need is telecom support and a bank line. In these circumstances you cannot just take the price on the day, add your costs of shipping, insurance and finance, add a margin and do the business. You have to take a view of the direction of prices, offer below costs of the day, and by either buying before you sell or selling before you buy, back into a profit if you can. An export subsidy will necessarily get passed on, either in higher buying prices or in lower selling prices. To think otherwise is just naive.

Under these circumstances it isn't realistic to think that EEP bonuses (or EU export refunds) stick to the shippers' hands and enhance their earnings. In fact their effect is to create a two-tiered market, and we are strongly in favour of a one- price system. Cargill has recently posted to various congressmen a press report about corporate welfare with the words "there must be better ways of spending your money".

We do not believe in EEP, we want to see it abolished. Export subsidies do us no good, and at times they destroy the nascent export agri-industries of the third world, industries we ought to be encouraging.

The flip side of exports is imports. It is increasingly accepted that the result of the EU's protectionism is the impoverishment of many developing countries whose future depends on just those exports that the EU keeps out. We risk causing the same result with Eastern Europe.

The Europe agreements are fine for everything except agriculture. Here very limited access is allowed. To give just one example, the EU allows access of 12000 tonnes of beef from the Visegrad countries this year into its total market of some seven million tonnes. Given that these countries have been promised membership of the EU, and that they are heavily reliant on agriculture for growth, the EU response seems short-sighted and niggardly.

My thesis is simple: export subsidies and import protection by the rich western countries hamper the efforts of the world to feed itself, because they act to the detriment of third-world agriculture in two ways: denying third-world producers access to our rich market in those commodities we can also produce-like sugar and some fruits- and secondly by making them suffer unfair competition when we in the rich world subsidise our surpluses in export markets, or give them away under the guise of non- emergency food aid. By putting money into the hands of the (relatively) rich Western farmers, we are keeping many in the third world in unnecessary poverty, and as I said before, poverty has its own vicious circle of increasing population and heightened environmental degradation.

We are also introducing artificial instability into the food system., because our national and regional policies are distorting an open trade system which would smooth out price fluctuations for all and increase food security by offsetting shortages and surpluses. So what we are doing is both inequitable and de-stabilising.

But surely there are occasions where state intervention is necessary, and legitimate? Under a narrow definition, I believe there are two cases. First where world prices have fallen so precipitately that your domestic industry or agriculture may not survive the shock but there is reason to hope that the price fall is only a temporary phenomenon. The Safeguards clauses in the GATT treaty are designed for short-term relief in such circumstances. So are anti-dumping mechanisms but they have been woefully misused by the rich world to protect inefficient domestic industries over long periods and these actions have held up, not enabled, the adjustment process. In each of the past two years, there have been nearly 250 anti-dumping actions reported to the GATT, double the number in the late '80s , with the US, Australia, Canada and the EU in the lead.

The second case is also a short-term "fix" to a longer-term problem; food aid. The rich world must be ready to respond to international food crises. But to give food aid on an on-going basis inhibits the emergence and growth of sustainable local agri-industry which is the only viable solution long-term.

World food security will. I believe, be enhanced and not diminished by further trade liberalisation in the agricultural field. Crop failures will still occur, but simultaneously some areas will experience good growing weather.

What is important is that trade should be allowed immediately to cover the deficiency, and that the resultant price signals be converted immediately into signals to the farmer to grow more world-wide.

Some think that to ensure world food security a better alternative might be to go back to the old idea of commodity schemes backed by expensive stockpiles. But not one of those schemes worked in the past, so why should they work now in a world where even agricultural trade is much more liberal? Is it not more logical to say that once the liberalisation process has started there is no alternative but to allow it to go further?
Trade policy should be treated by governments in the way that responsible governments treat competition policy; they should set clear fair rules and administer them in a transparent fashion. They should not listen to their electorates pleading for special case after special case. They should recognise that beggar-my-neighbour policies do just that, they destroy the chances the world has of feeding its increasing population and increase the expectation of environmental damage in the third world.

AGRICULTURAL POLICY

"Without agriculture there is no stability. Without grain there is chaos"
Deng Xiaoping

The Common Agricultural Policy has been both success and failure: its success has been in transforming the Community from import-dependency to being one of the world's leading exporters: its failure has been that agricultural incomes stubbornly lag those of workers in other sectors of the economy despite (second failure) high cost and wasted and misallocated resources. Agricultural land prices boomed as a consequence of supported prices and investment has been attracted to farming instead of other economic activity.

The US farm policy has similarly distorted economics in particular by withdrawing land from cultivation, and, like the CAP, by simultaneously giving incentives to produce and incentives not to produce.

The developed world is gradually coming to accept the proposition that it is farm incomes, not farm prices, that should be supported, and that agricultural policy should be separated from social and environmental policies. Farm prices should be market-clearing: set them too low and production is discouraged; set them too high and resource misallocation will occur, primarily to the benefit of owners of land. Agriculture should be the first motor and enabler of economic growth, not a sink into which all resources are poured. The economies of Taiwan, South Korea, Thailand and the PRC all built their industrial development on a rapidly growing agricultural base.

This allowed them to lower the real cost of food, which in turn enabled savings which were invested in other sectors of the economy. Many African countries tried emphasising industry over agriculture, and made a mess of both.

Why then is it taking so long to reform agricultural policy? Partly the answer is that governments cannot afford to let rich countries run short of food. Partly it is the power of the farm lobby, the fact that the users of food, agri-industry and consumers, are divided whereas the farmers are more united. Partly the answer is fear of change particularly where land values are concerned. Yet the evidence is mounting that reform can be managed satisfactorily. Bob Collins, the Australian minister of primary resources described this June the ten-year reform of the Australian dairy industry.
Subsidies were phased down, 30% of dairy farmers left the industry, but productivity rose 33% and exports doubled, and remarkably, land values have not declined in real terms. The government committed itself to research and development, and matched industry's spending dollar for dollar. Other government priorities were the retraining of redundant workers (farmers make good light engineers, he said) and land care, in particular maintaining water quality and preventing land erosion.

Some NGOs advocate that peasant agriculture should be maintained in the developing world, and that intensive agriculture in the developed world should be transformed into an extensive model. I believe this to be a totally misguided approach because:

- food security cannot be served by a system which does not encourage best techniques, be they advances in inputs, machinery or husbandry.
- environmental protection cannot be served by spreading agriculture over more of the world's surface than necessary. Dennis Avery of the Hudson Institute wrote in 1991 that the impact of science-based agriculture since 1960 has been a doubling of the calories of food produced with very little increase in the area of land used. Were we trying to achieve today's level of production without today's science- based agriculture, we would need to plough up another ten million square miles (roughly the size of the US) which even if possible would be disastrous in conservation terms.

- Simplistically, if we want to preserve what remains of our forests, we have to support a science- based agriculture which, where the land can support it, will be intensive.

What then is the government's role in agriculture?

- to ensure that it is price that brings supply and demand into balance
- to encourage the adoption of science-based agricultural methods. Land ownership, the diffusion of technology, the funding of basic research, these are the proper areas of government action, not the counting of cows and olive trees.
- to support rural infrastructure, encourage rural industry and support farm incomes where necessary, so that farming is not depressed by surrounding poverty
- to set economic incentives and controls for environmental protection.
- and to back all this up with as undistorted a world trading system as possible

Finally I would like to illustrate what harm governments can do by wrong policies. This is why I said earlier that whether we feed ourselves next century depends crucially on government decision. My first example contrasts the yields of wheat from 1978 to 1994 of the Former Soviet Union (a command economy where there were no economic incentives) and the world. In 1978 the FSU had a wheat yield somewhat higher than the world average. In the rest of the world yields rose through 1994 but not in the FSU so that taking the last three years the FSU yields have been approximately 50% less.

My second example relates to that bad policy instrument, set-aside. According to a recent study by the National Grain and Feed Foundation of the US, 65 million acres were idled in 1991 in that country. Some were necessarily idled, because of their environmental fragility. If however 38 out of the 65 million were returned to production, the sales of farm supplies would rise by four billion dollars a year and farm incomes by two billion.

ENVIRONMENTAL PROTECTION

"To live in accordance with nature is to live in accordance with virtue" Zeno.

There is no lack of scare stories on the subject of environmental degradation:

- top soils are being lost, because of over-grazing and poor methods of cultivation, and sedimentation is reducing the capacity of dams and watercourses
- nearly 2 billion hectares of soils are thought to have been degraded mostly but not all by bad agricultural practices
- forests are being cut down at an unsustainable rate of about 16 million hectares a year, and bio-diversity lost
- aquifers are being depleted

- fresh water is increasingly polluted by chemicals and fertilisers
- air is polluted, ultra-violet radiation is increasing and global warming will add another dimension to the fallibility of weather forecasters.
  etc.etc..

There are three main reasons for environmental degradation

- poverty coupled with ignorance, or as a colleague of mine puts it "survival sure trumps long-term interests every time".
- the wrong economic incentives being given in guiding development.
- greed untrammelled by laws, regulation or public opinion

As an example of poverty, look at the experience of Africa. The population has grown three per cent p.a. for the past 20 years, but output has stagnated. Deepening poverty has led to unsuitable lands being farmed and trees cut down, which in turn has led to increased vulnerability to droughts and floods and in turn to further exploitation and degradation of marginal lands.

As an example of wrong signals, look at the Former Soviet Union, where the goal of industrialisation was pursued without thought to the economic cost. Public opinion was powerless to cry halt. The damage to natural systems was not valued or priced.

Balanced economic growth within a framework of incentives and constraints does lead to better resource use. Torvild Aakvaag, chairman of Norsk Hydro, told the RSA in April this year that industrial emissions have declined by about 90% per unit of production over the past 30 years. So if world industrial output has doubled. over the period then industrial emissions are down 80% in total.
The reasons are an enhanced environmental awareness. better emission controls, better operation and new clean technology, and most important of all, it is financially attractive to save energy. We are finding there can be a business advantage in environmental protection.

Similarly in agriculture there is increased attention being paid to precision agriculture. This can yield significant benefits. In the US farm belt, a 21% increase in nitrogen efficiency and a 31% decrease in crop-protection chemical use from 1980 to 1993 are claimed. Also in the US farm belt, conservation tillage practices have decreased sheet and rill erosion from 4.1 tonnes per acre per year in 1982 to 3.1 tonnes in 1992.

Genetic engineering will greatly assist all types of agriculture, from intensive large-scale to small-holdings. Not only by developing plants with the ability to fix nitrogen from the atmosphere or to combat specific diseases, but also in developing plants with special characteristics which more closely fit customer demand and therefore reduce total consumption. Corn with a high oil content or a high starch content, soft wheat with the baking characteristics of hard wheat are examples.

Genetically Modified Organisms (GMOs) bring other problems in their wake, ranging from public acceptability (easier to achieve for plant products than for animals) to patents. The right to patent should be upheld but should be severely restricted so that entire plant varieties like all GMO soybeans should not be patentable but rather a specific process or a specific gene. We give Steven Spielberg intellectual property rights over ET but not over every sci-fi film ever made. So it should be in the seed business.

Government regulation of all environmental affairs has to be done delicately. by rifle shot, not scatter gun. Blanket pesticide taxes, mandatory pesticide reductions, fertiliser taxes are blunt instruments which should be avoided. Any measure which is likely to lead to undetected fraud should not be used. Regulation which is too harsh and therefore drives business away is no good. Cost- benefit analysis is crucial, with the environmental elements properly priced.

Government's role in the environment is precisely to see that proper cost-benefit analyses are made, to offer incentives wherever possible and regulation which is transparent and as near to the market as possible, i.e. by .making pollution certificates tradable..

It has two other vital functions:

- to aid the spread of best technology, both by funding research which is too basic for industry to finance, and to help transfer the new knowledge
- to educate the population in the uses and abuses of new technologies particularly genetic engineering. This will involve the open discussion of relative risks to come up with logical policies. At the moment we chase some risks (like pesticide residues) to extremes, while other risks (like poor food handling and preparation in the home) go largely unchecked.

What governments must not do is use the environment as a weapon of trade war.

THE CRUCIAL POLITICAL DECISIONS.

To end my presentation, I want to recap what I believe are the crucial areas of decision that governments all over the world must address if we are to achieve an acceptable standard of nutrition for our increasing
population.

I am convinced the context must be multi-national, that solutions must be worked out internationally and that beggar-my-neighbour policies be banned.

For governments I suggest there is a three-fold path to enlightenment:

- *Allowing the market to operate without distortions* is crucial. The millions of adjustments made daily by individuals mean this the most sensitive way of controlling production and consumption.

- Governments must get out of centre-field in agriculture, and confine themselves to setting a framework in which the an undistorted market can operate, where competition is fair, where there is a safety net for social hardship and where rules for environmental protection are arrived at on the basis of cost-benefit analysis and best available techniques.

Similarly trade must not be distorted by export subsidies, and import protection should only be used as a short-term defence in exceptional circumstances.

Is this dreaming? I don't think so. We have made significant progress in recognising income support is better than price support, and in agreeing to put agriculture into the GATT/ WTO system. The edifice is crumbling, let's put our shoulders to the wall.

- The second path to enlightenment is the *alleviation of poverty,* much more problematical but immensely important if the population explosion is ever to blow itself out. On the one hand I am relatively optimistic because of the influence of the communication revolution in making it increasingly difficult for governments to mismanage, and of the deregulation of capital markets in making it easier for companies to overcome borders. On the other hand I see from my own company how many more demands we have on our capital and management resources now that the world is opening up. Why should companies waste their time on no-hope countries?

- The third path is *science*. We have to create and maintain conditions and incentives whereby research into food production is encouraged and scientific advances are available to all countries.

In the past two decades world opinion has changed radically concerning the role of governments and the developmental role of the private sector. What I am advocating here is an evolution of government thinking, not a revolution. For that reason I remain optimistic.

I wish your conference wisdom and enlightenment.

DAN-S 3.7.95
SPEECHES/BAWDEN,

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Session 2

New Herbicides and Plant Growth Regulators - New Compounds and Formulations

Chairman	Dr A D Dodge
Session Organiser	Dr L G Copping
Papers	2-1 to 2-9

CHARACTERISTICS AND POTENTIAL USE OF HUMIC ACIDS AS NEW GROWTH PROMOTING SUBSTANCES

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ABSTRACT

Humic acids (HAs) are complex macromolecules (C_{14-20} H_{14-21} O_{6-9} N) of aromatic units with linked amino acids, peptides, amino sugars, aliphatic acids and other constituents. Natural HAs derived from a tropical peat soil were characterized and their potential uses as growth promoting substance were evaluated on the basis of seedling and tissue culture experiments. Assumed to have biochemical effects on plants, the results obtained were in agreement with the evidence reported by previous workers. Effects of HAs on plant growth were confirmed to be concentration and plant species dependent.

INTRODUCTION

Humic acids (HAs) are a group of humified organic substances with molecular weights at up to 100,000. Their molecular structure has been assumed to be complexes (Stevenson, 1982), but basically they consist of aromatic units with linked amino acids and other compounds (Orlov, 1985). Vaughan and co-workers reported that HAs have potential to induce membrane permeability (Vaughan & McDonald, 1976 ; Vaughan & Ord, 1981 ; Vaughan *et al.*, 1985) by interacting with phospholipid structures of cell membranes and reacting as a carrier of nutrients through the membranes (Chen & Aviad, 1990). Moreover, some other reports have presented the stimulation effect on respiration and chlorophyll levels in tomato plants (Sladky, 1959), stimulation and inhibition of phosphorylase in wheat plants (Bukvova & Tichy,1967) and inhibition of indole-acetic acid (IAA) metabolism (Mato *et al.*, 1972), although the mechanisms by which these substances affect enzyme activities are not completely understood (Chen & Aviad, 1990).

This paper presents new evidences in the application of a natural humic acid on perennial crop species both in the seedling and tissue culture stages. Selected data of previous workers are represented to support the findings.

MACROMOLECULE AND CHEMICAL CHARACTERISTICS OF HUMIC ACIDS

Characterization of macromolecular structure of HAs can be conducted in several ways, such as infrared spectrophotometry and scanning electron microscopy. Spectrograms of the sample obtained from standard extraction procedure (Schnitzer, 1982) of moderately developed tropical peat soil and its scanning microphotograph are shown in Figure 1A and B, respectively. In general the spectrum is characterized by absorption bands at 3400, 2980-2900, 1720-1650, 1650, 1250 and 1170-950 cm^{-1} indicating the presences of -OH band, C-H absorption, carbonyls, carboxyl, aromatic C=C and carbonyl banded H, aromatic C-O and C-C, C-OH, C-O-C of glucosidic bounds, polymeric materials and Si-O contamination in the HA samples (Tan, 1992). Macrostructure of HAs have been reported in a great deal of variation, ranging from sheet-like to string - or tissue-like structures (Lobartini, *et al.*, 1992). Figure 1B shown that the macrostructure of the sample HA is characterized by string-to tissue-like structure.

Humic acids contain mainly C, H, N, O, and S. Analytical data of the sample are 53.5% C, 5.0% H, 2.0% N, and 39.5% O+S with C/N ratio of 26. Except for the lower N content, all values obtained are in agreement with those HAs extracted from selected subtropical soils (Tan *et al.*, 1991).

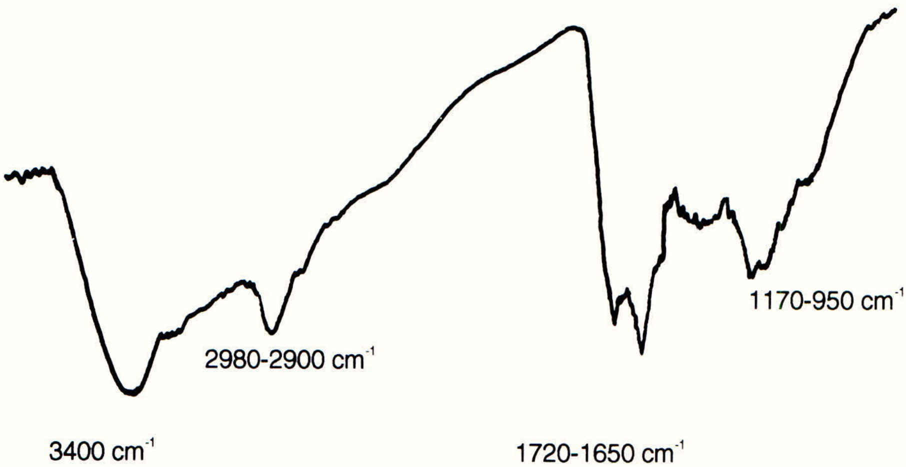
EFFECTS OF HUMIC ACIDS ON PLANT GROWTH

The potential use of HA application in the laboratory as well as in field has been very well documented (Chen & Aviad, 1990 ; Piccolo *et al.*, 1992). Significant effects of HA on plant growth show similar phenomenon as those caused by growth promoting substances (Dell'Agnola & Nardi, 1987). Samson & Visser (1989) and Albozio *et al.* (1987) showed that the addition of HA increased nutrient and water absorption resulting in a more efficient assimilation by plants.

<u>Tissue culture plants</u>

Effects of HA addition on tissue culture was first reported by Irianto & Tan (1993) who indicated that HA application up to 160 mg HA/litre positively affected the weight of pine tree calli, whereas increasing concentrations up to 800 mg HA/litre significantly inhibited callus growth. Recent data obtained by Goenadi & Mariska (1995) indicate similar effects. Data in Table 1 show that different responses of selected crop species were observed at different optimal concentrations. In combinations with benzyladenine (BA) 0.3 mg/litre, the addition of HA at 400 mg/litre, 40 mg/litre, and 300 mg/litre yielded the fastest growth of *Gnetum gnemon*, *Elletaria cardamomum*, and *Pogostemon cablin*, respectively. This evidence supports the hypothesis that HAs increase membrane permeability (Vaughan & McDonald, 1976), resulting in increased nutrient uptake. Using ^{14}C-humic acid, these researchers showed that HAs may also be absorbed directly and become associated with cell walls and to a lesser degree with mitochondria and ribosomes of beet roots. At higher concentrations, HAs resulted in retarded growth of plants leading to the possibility that these substances

(A)



(B)

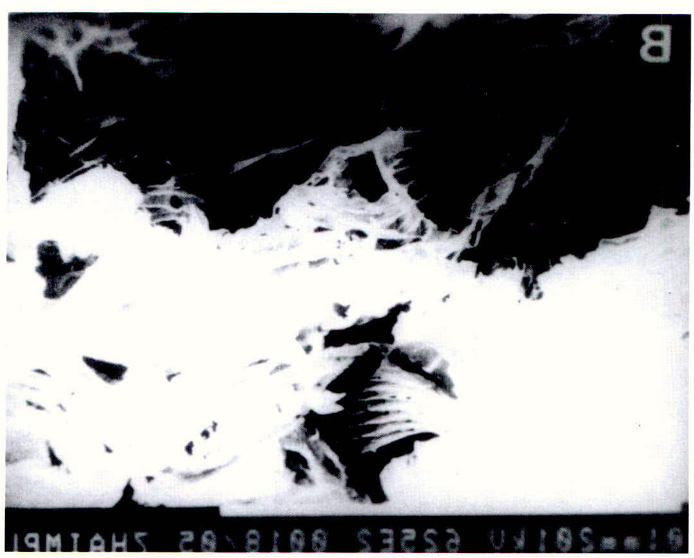


Figure 1. Infra-red spectrogram (A) and SEM micrograph (B) of the sample HA extracted from moderately developed tropical peat soil.

Table 1. Effects of HA application on *G. gnemon, E. cardamomum* and *P. cablin* in-vitro.

Treatment (mg HA/L)	Shoot Initiation (days)	Shoot Number
G. gnemon		(12 days after culturing)
0	30.1 c *)	0.5 a
100	10.9 a	1.0 c
200	8.8 a	1.0 c
300	10.9 a	1.0 c
400	8.3 a	0.9 bc
500	21.0 b	0.7 ab
E. cardamomum		(21 days after culturing)
0	16.5 a	2.3 cde
40	6.0 b	5.3 a
80	6.5 b	4.5 ab
120	7.8 b	3.5 bc
160	8.0 ab	3.0 cd
200	8.3 ab	2.3 cde
240	12.8 ab	1.8 de
280	12.8 ab	1.3 e
P. cablin		(21 days after culturing)
0	14.6 a	1.4 b
80	9.2 b	1.4 b
120	9.1 b	1.8 ab
160	9.4 b	2.2 ab
240	8.7 b	2.0 ab
300	9.4 b	3.2 a
380	8.2 b	2.6 ab

*) Figures in each group of the same column followed by the same letter(s) are not significantly different according to Duncan Multiple Range Test at $P < 0.01$.

may be potentially used as growth regulators. Similar phenomena have been reported by Rauthan & Schnitzer (1981) on cucumber above 300 mg HA/litre level. Our preliminary observation showed that by foliar spraying 2% (w/v) Na-HA suspension, a chlorosis developed on the leaves of *Peperomia pellucida* and *Ageratum conyzoides* three days after application. However, this particular aspect needs further studies.

Seedling plants

Application of HA on seedlings or field crops can be conducted through soil or foliar treatments. Applying the assumption that HAs enhance membrane permeability of plants resulting in increased nutrient uptake, a study was conducted in the greenhouse with oil palm (*Elaesis guinensis*) seedlings over a seven month period. The peat-extracted HAs with 0, 0.8, 1.6, and 3.2% (w/w) concentrations carried by zeolite were applied at 10 g/pot. These treatments were combined with four levels of NPK fertilizers (Urea, TSP, MOP), i.e. 0, 25, 50, and 100% of the standard dosages. Observed plant height and leaf number data are presented in Table 2. It is indicative that the application of zeolite-carried HA (0.8% w/w) reduced the fertilizer need up to 75%. These findings were in agreement with those reported by others on field crop. Brownell *et al.* (1987) tested the response of various field crops and found a hormone-like responses on the crops. Increased yields were also reported on processing tomatoes (10.5%), cotton (11.2%) and grape vines (25%) (Chen & Aviad, 1990).

CONCLUSIONS

Favourable or detrimental effects of HAs application on plant growth is dependent upon concentrations and crop species. These phenomena are most likely to involve interactions of a series of biochemical reaction on plants.

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Table 2. Effects of zeolite-embedded HA on the growth of seven-month old oilpalm seedlings.

Treatment	Plant height (cm)		Leaf Number	
Control (FO%) *)	54.5	a **)	11.7	ab
Control (F100%)	77.7	c	13.7	bc
HA 0.8% + FO%	54.5	a	11.3	a
+ F25%	76.0	c	12.7	abc
+ F50%	68.2	abc	13.3	abc
+ F100%	78.3	c	12.7	abc
HA 1.6% + FO%	58.8	ab	11.3	a
+ F25%	74.8	c	13.3	abc
+ F50%	73.0	bc	14.0	c
+ F100%	78.8	c	13.7	bc
HA 3.2% + FO%	59.2	ab	11.3	a
+ F25%	72.2	bc	13.3	abc
+ F50%	78.3	c	14.0	c
+ F100%	82.5	c	14.7	c

*) F = Fertilizer

**) Figures in the same column followed by the same letters are not signifiantly different according to Duncan Multiple Range Test at $P < 0.05$.

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FLUROXYPYR BUTOXY-1-METHYLETHYL ESTER; NEW FORMULATION OPPORTUNITIES

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ABSTRACT

The physical and chemical characteristics of fluroxypyr-meptyl ester restrict the choice of formulations to emulsifiable concentrates or to emulsions in water in which the oil phase has to contain an organic solvent to dissolve the ester. Efficaceous dry formulations of the meptyl ester are not possible. By choosing a novel ester of the active ingredient fluroxypyr, the butoxy-1-methylethyl ester, it proved possible to develop the following novel formulations: a 400 g a.e./l EW formulation, a 360 - 400 g a.e./kg WP and high concentration EC's without aromatic solvents. These formulations show on a g/g basis similar levels of herbicidal efficacy and selectivity. This technology also allows the development of EW, EC, WP or WDG pre-mixes with other herbicidal active ingredients with higher concentrations and/or improved crop safety than is possible with fluroxypyr-meptyl.

INTRODUCTION

The physical and chemical characteristics of the active ingredient of any pesticide or for that matter the salt or ester derivatives of a pesticide where possible, determine in which form the pesticide can be formulated. Currently, fluroxypyr, the biologically active moiety of the post-emergence broad-leaved cereal herbicide Starane*, is present in formulations as the meptyl (= 1-methylheptyl) ester. Although the fluroxypyr ester is often referred to as the active ingredient of this herbicide, the product becomes only herbicidally active after uptake and subsequent hydrolysis by the plant to the acid, fluroxypyr (Sanders & Pallett, 1987). Fluroxypyr-meptyl has a melting point of 58 - 60 ºC, thus it is very difficult to prepare efficaceous wettable powders or water dispersible granules of this molecule using conventional formulation techniques. The solubility of this ester in aromatic solvents limits the concentration of active ingredient achievable in emulsifiable concentrates.

*Trademark of DowElanco

Without the addition of co-solvents, such as dichloromethane or other chlorinated hydrocarbons, the optimal concentration of fluroxypyr-meptyl is approximately 300 g a.i../l (equivalent to 200 g a.e./l).
Oil-in-water emulsions (EW's), if required, are therefore even more dilute, containing both sufficient aromatic solvent to prevent crystallisation of the meptyl ester at low temperatures and an aqeous phase to produce the emulsion.
The objective of the present investigation was to identify novel esters of fluroxypyr which would allow the formulation of aqueous systems, emulsions in water (EW's), high concentration EC's, WP's or WDG's, with the additional prerequisite that these formulations would be free of aromatic solvents. A further prerequisite was the need for bioequivalence between fluroxypyr-meptyl and the new fluroxypyr ester. The decision was made to concentrate on esters of fluroxypyr which are liquid at ambient temperatures, rather than on esters which have a high melting point.

CHOICE OF ESTER

More than 20 esters have been synthesised and all have been formulated using the same formulation recipe as for the current 200 g a.e/l commercial product to allow a direct comparison with the existing fluroxypyr-meptyl formulation. Dose response curves were determined for these formulations in glasshouse trials at a day/night temperature range of 24/9 °C. The target weeds in these studies were: *Chenopodium album*, *Galium aparine, Galeopsis tetrahit*, *Lamium purpureum*, *Rumex obtusifolius*, *Stellaria media*, *Viola arvensis* and *Veronica hederafolia* and winter oilseed rape, *Brassica napus*. All applications were made post-emergence at the four to six leaf stage of all weeds except *G. aparine* which was treated at the four whorl stage and *R. obtusifolius* which was treated at the 9 - 12 leaf stage. Crop selectivity was determined on winter barley cv. Igri. The plants were grown outside prior to treatment at the 2-3 leaf stage. Crop height was determined 7 and 14 days after application. Typical results with the candidate novel ester of choice are shown in Figure 1.

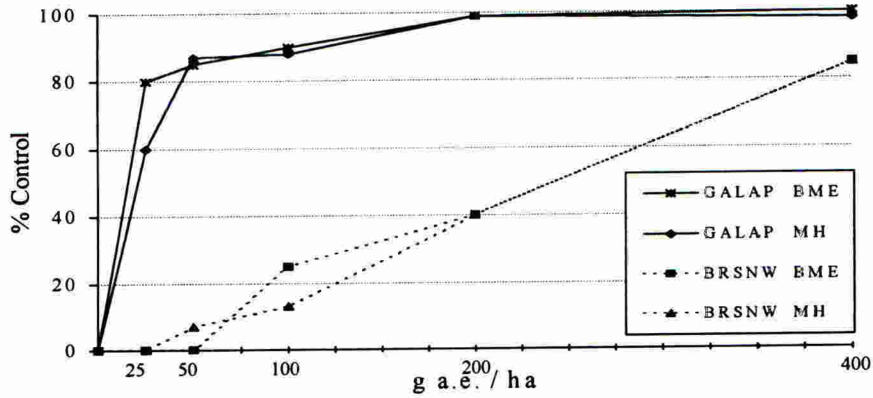


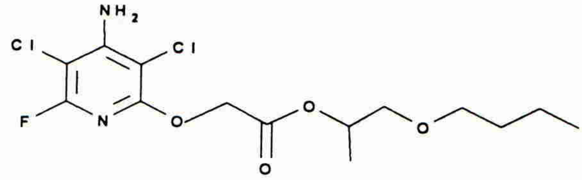
Figure 1. Comparison of the herbicidal efficacy (% control) of the butoxy-1-methylethyl ester of fluroxypyr (BME) and the meptyl ester (MH), both formulated as a 200 g a.e./l EC on *Galium aparine* (GALAP) and oilseed rape (BRSNW) 21 DAT under glasshouse conditions.

After narrowing the choice of ester down to four candidates, one ester based on an alkyl alcohol and three on alkoxyalkyl alcohols, the esters were formulated as 360 g a.e./l EW, 360, 450 and 540 g a.e./l EC and 360 g a.e./kg WP to determine their formulation "flexibility". The candidate formulations were evaluated in direct comparison with the current commercial EC formulation containing 200 g a.e./l EC, both in glasshouse and in replicated small plot field trials following the use instructions and application conditions for the commercial fluroxypyr-meptyl EC formulation. Figure 2 shows a comparison of the efficacy of a key candidate EW formulation of the novel ester of choice with the commercial product on *G. aparine* in glasshouse trials. The fluroxypyr ester selected for further development after evaluation of these various formulations is the butoxy-1-methylethyl ester, often this ester is referred to as the butoxypropyl ester.

DESCRIPTION OF FLUROXYPYR BUTOXY-1-METHYLETHYL ESTER

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Chemical name (IUPAC): 2-butoxy-1-methylethyl (4-amino-3,5-dichloro-6-fluoro-2-pyridyloxy)acetate

Chemical formula: $C_{14}H_{19}Cl_2FN_2O_4$

Molecular weight: 369.2

Physical description: Viscous dark brown liquid

Density: D_4^{22} = 1.294 (Purity test material: 98.9% w/w)

Freezing point: No definite freezing point at -5 °C

Boiling point: Decomposition occurred at 280 °C without boiling

Flash point: 195.5 °C (Pensky Marten Closed Cup)

Vapour pressure: 6 x 10^{-6} Pa at 20 °C.

Volatility: Henry's Law constant (H) = 1.759 x 10^{-4} Pa/m^3/mol

Solubility in water (at 20 °C)	Purified water	12.6 ± 0.3 mg/l
	Aqueous buffer (pH 5)	10.8 ± 0.2 mg/l
	Aqueous buffer (pH 7)	11.7 ± 0.4 mg/l
	Aqueous buffer (pH 9)	11.5 ± 1.2 mg/l

Solubility in organic solvents (at 20 °)	Toluene	> 4,000 g/l
	Methanol	> 4,000 g/l
	Acetone	> 4,000 g/l
	Ethylacetate	> 4,000 g/l
	Hexane	68 g/l

Partition Coefficient: n-Octanol/Water	Buffer	Test Conc.	$Log_{10} K_{OW}$
	pH 5	0.01 M	4.19
	pH 5	0.001 M	3.83
	pH 7	0.01 M	4.28
	pH 7	0.001 M	4.22
	pH 9	0.01 M	4.19
	pH 9	0.001 M	4.03

TOXICOLOGY

Mammalian Toxicology

Acute Oral LD_{50} Rat		> 2,000 mg/kg BW
Acute Percutaneous LD_{50}		> 2,000 mg/kg BW

Irritation Tests

Skin irritation	- Rabbit	Non-irritant
Eye Irritation	- Rabbit	Non-irritant
Skin Sensitisation	- Guinea - pig	Non-sensitiser

Mutagenicity Tests

Fluroxypyr butoxy-1-methylethyl ester is not mutagenic.

Sub-chronic Toxicity

Renal toxicity was evident in a 90-day sub-chronic oral toxicity study in Wistar rats. The non-observable adverse effect level: 463 mg/kg/day.

GLASSHOUSE SCREENING TRIALS

As shown in Figure 1, the efficacy of the butoxy-1-methylethyl ester and the meptyl ester is very similar when formulated as a 200 g a.e./L EC. The GR_{80} values for *G. aparine* are 25 and 41 g a.e./ha and for *L. purpureum* are 100 and 200 g a.e./ha for the butoxy-1-methylethyl and meptyl esters of fluroxypyr, respectively. None of the esters evaluated had any effect on the weed spectrum of fluroxypyr.

The selectivity of both esters when applied as a foliar spray on winter barley, cv. Igri was identical. A slight height reduction was observed only at the rate of 400 g a.e./ha. No chlorosis or leaf necrosis was observed.
The bioequivalency of the butoxy-methylethyl ester and the meptyl ester was maintained when the butoxy-1-methylethyl ester was formulated either as high concentration EC (max. conc. 540 g a,e,/l), a 360 g a.e./l EW (Figure 2) or a 400 g a.e./kg WP (Figure 3).

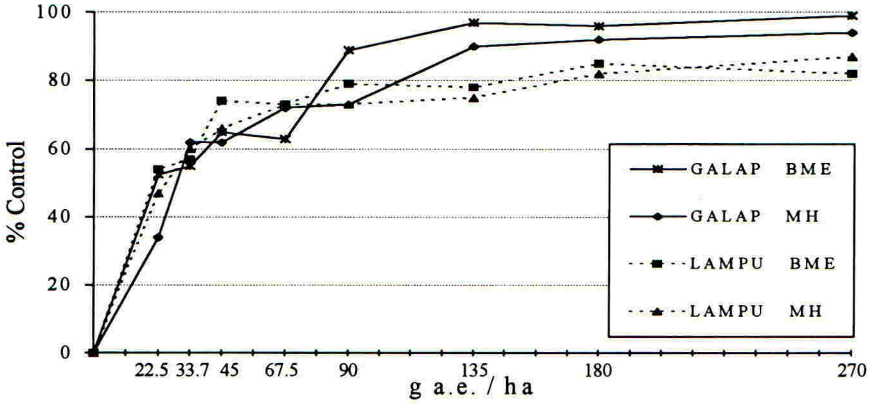


Figure 2. Comparison of the herbicidal efficacy of a 360 g a.e./l EW formulation of fluroxypyr butoxy-1-methylethyl ester (BME) and a 200 g a.e./l EC of fluroxypyr- meptyl (MH) on *Galium aparine* (GALAP) and *Lamium purpureum* (LAMPU) 21 DAT under glasshouse conditions.

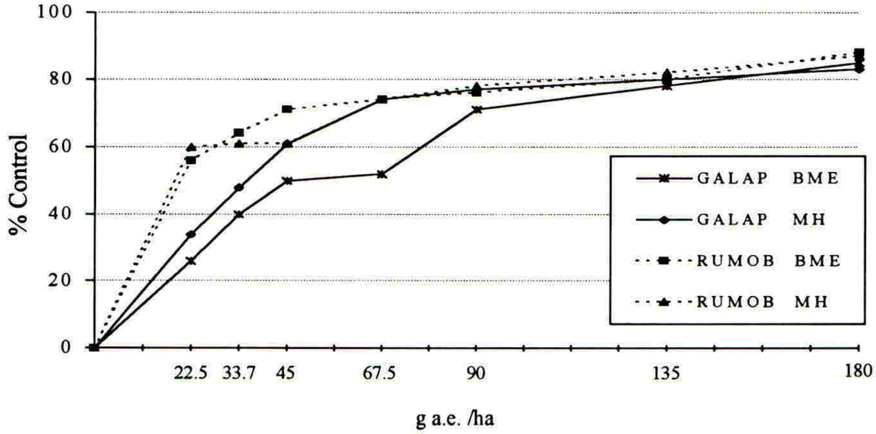


Figure 3. Comparison of the herbicidal efficacy (% control) of a 400 g a.e./kg WP of fluroxypyr butoxy-1-methyl ester (BME) and a 180 g a.e./l EC of fluroxypyr-meptyl (MH) on *Galium aparine* (GALAP) and *Rumex obtusifolius* (RUMOB) 23 DAT under glasshouse conditions.

All these formulations are free of aromatic solvents. Studies with ^{14}C-labelled formulations of the meptyl and the butoxy-1-methylethyl esters in controlled environment cabinets indicate that uptake, translocation and metabolism (hydrolysis) of the novel ester is similar to that of the meptyl ester formulated as the 200 g a.e./l EC.

FIELD TRIALS WITH EW FORMULATIONS

A limited series of field trials in 1993 confirmed the results of the efficacy and selectivity studies carried out under glasshouse conditions. The results obtained in eight replicated plot trials in Germany when the formulations were applied at growth stage ZD 31 of the crop (6 trials in winter wheat and 2 trials in winter barley) are shown in Table 1. In all cases, the formulations were fully selective to the crop.

Table 1. Comparison of the herbicidal efficacy on *Galium aparine* of a 360 g a.e./lEW based on the butoxy-1-methylethyl ester and a 180 g a.e./l EC based on the meptyl ester when applied at growth stage ZD 31 of the crop. Average of 8 trials. Germany, 1993.

	Control of *Galium aparine* (%), 10 WAT	
Ester:	Fluroxypyr-butoxy-1-methylethyl	Fluroxypyr-meptyl
Formulation:	400 g a.e./ l EW	180 g a.e./l EC
Rate g a.e./ha:		
108	94 (57 - 100)	93 (47 - 100)
144	97 (75 - 100)	97 (77 - 100)
180	99 (90 - 100)	99 (90 - 100)
360	100	100

In 1994, a direct comparison was made of 360 g a.e./l and 400 g a.e./l EW formulations with the 180 or 200 g a.e./l EC formulation in 36 replicated field trials in Belgium, Denmark, France, Great Britain and Sweden. The results confirm the data obtained in glasshouse trials, namely the concentration of the novel ester can be increased from 360 g a.e./l to 400 g a.e./l EW without affecting selectivity or influencing efficacy.

DISCUSSION AND CONCLUSIONS

Its has been shown that the formulation options of fluroxypyr products can be increased by changing from the solid meptyl to the liquid butoxy-1-methylethyl ester. This ester, when formulated as a 400 g a.e./l EW, a 400 g a.e./kg WP or as a 540 g a.e./l EC, gives the same herbicidal efficacy as is obtained with the current commercially available 180, 200 or 250 g a.e./l EC formulations on a gram for gram basis. The 400 g a.e./l EW formulation will be developed as a priority.

In comparison to the current EC formulations, the following advantages should be mentioned: the formulation is aqueous based, contains no aromatic solvents, eliminates concerns about flammability, and has a 1.6 - 2.2-fold higher loading of active ingredient which reduces significantly the problem of disposal of used containers. These factors contribute to increased environmental acceptability of the novel fluroxypyr ester formulations.

The butoxy-1-methylethyl ester has a low vapour pressure. Direct comparison with the meptyl ester shows that the vapour pressure of the butoxy-1-methylethyl ester is lower than the one determined for the meptyl ester in the same study: 6×10^{-6} Pa versus 1×10^{-5} Pa at 20 °C, respectively. There have never been reports on problems with vapour damage from the meptyl ester and it is important to ascertain that by changing to a liquid ester the vapour pressure does not increase.

The results in Figure 3 show that the novel ester technology can be used to deliver highly efficacious wettable powder formulations of fluroxypyr. The liquid butoxy-1-methylethyl ester and the necessary surfactants are imbibed on a silica carrier resulting in a dry product.

The increased solubility in organic solvents of the fluroxypyr-butoxy-1-methylethyl ester compared to the meptyl ester offers far greater flexibility for formulation development. It is now possible to formulate in-can mixtures of the novel ester with twice the concentration of active ingredient per litre than achievable with the meptyl ester using EW technology, viz. using a water based system. Preliminary studies show that both tank-mixes as well as in-can mixtures of the novel ester with contact herbicides have an increased crop selectivity due to the fact that there is no need to employ organic solvents in these mixtures.

High concentration EC (max. conc. evaluated was 540 g a.e./l) formulations of the novel ester have been prepared successfully using alkylated plant oils as diluents, and these formulations are bioequivalent to the 200g a.e./l EC prepared with the meptyl ester. The limiting factor from a practical application point of view is increased viscosity; this applies to both EW and EC formulations. In our experience, the optimal concentration for both high concentration EC's and EW's of the butoxy-1-methylethyl ester of fluroxypyr is 400 g a.e./l.

ACKNOWLEDGEMENTS

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RPA 201772: A NOVEL HERBICIDE FOR BROAD LEAF AND GRASS WEED CONTROL IN MAIZE AND SUGAR CANE

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ABSTRACT

RPA 201772 is a novel herbicide discovered by Rhône-Poulenc Agrochimie. Extensive field trials in 1993 and 1994 have shown that RPA 201772 provides excellent selective control of both grass and broad-leaf weeds in maize (*Zea mays*). Applied pre-emergence or early pre-plant at the relatively low rates of 75g/ha to 140g/ha, RPA 201772 controls important weeds including *Abutilon theophrasti, Amaranthus retroflexus, Setaria faberi, Setaria viridis,* and *Panicum spp.* RPA 201772 disrupts pigment biosynthesis via an inhibition of p-hydroxyphenyl pyruvate dioxygenase and as such brings a new mode of action to the pre-emergence maize market to combat the risks of resistance to current products. Possible mixtures with other herbicides to improve further reliability and weed spectrum have also been investigated. Potential for use in sugarcane has been demonstrated in 1994. The toxicological, ecotoxicological and environmental profiles of RPA 201772 are very favourable. Acute oral and dermal toxicity is very low and RPA 201772 is non-mutagenic. Sub-chronic and chronic studies show that all species tested tolerate high levels of RPA 201772 for prolonged periods of time with few signs of toxicity. Also, it exhibits virtually no ecotoxicity to aquatic, avian or beneficial species. In the field RPA 201772 provides appropriate residual activity but dissipates within a growing season with no carry-over into following crops. With these properties, RPA 201772 represents a significant advance in weed control in maize.

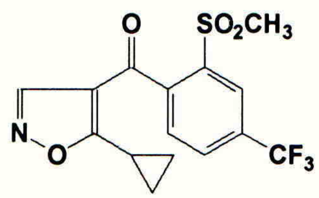
INTRODUCTION

For many years maize growers have relied mainly on triazines and chloroacetanilides as the standard materials for pre-emergence control of broad-leaf and grass weeds. Applied at high rates, these standard products have caused concerns of toxicological and environmental safety leading to restrictions on use. As a consequence, some European farmers, in particular, have no effective pre-emergence broad-leaf weed control products at their disposal. In addition, weeds are developing resistance to the triazines which further limits their effectiveness.

RPA 201772 is a novel pre-emergence herbicide, discovered by Rhône-Poulenc Agrochimie (Cain, *et al.*, 1993). It represents a new class of herbicide chemistry which disrupts pigment biosynthesis via an inhibition of p-hydroxyphenyl pyruvate dioxygenase in susceptible plant species. Selective in maize, extensive development trials have been carried out worldwide, particularly in the USA and Europe. When applied pre-emergence or early pre-plant, relatively low rates of RPA 201772 provide effective control of important broad-leaf and grass weeds of maize. In a limited number of trials in 1994, RPA 201772 also showed potential for use in sugarcane.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Chemical Name (IUPAC):	5-cyclopropylisoxazol-4-yl 2-mesyl-4-trifluoromethylphenyl ketone
Common Name:	Not yet approved
Empirical Formula:	$C_{15}H_{12}F_3NO_4S$
Molecular Weight:	359.3
Appearance:	Off-white/Pale yellow solid
Melting Point:	140°C
Aqueous Solubility:	6.2 mg/litre
Vapour Pressure at 25°C:	1×10^{-6} Pa
Henry's Law Constant:	1.87×10^{-5} Pa/m^3/mol

TOXICOLOGY (Technical Material)

Acute Oral LD50 (rat):	>5000 mg/kg
Acute Dermal LD50 (rabbit):	>2000 mg/kg
Inhalation LC50 (rat):	>5.23 mg/l

Non-irritant to the skin

Minimal eye irritation

Non-mutagenic

Sub-acute and chronic studies show that all species tested tolerate high levels of RPA 201772 for prolonged periods of time with few signs of toxicity.

ECOTOXICOLOGY (Technical Material)

Aquatic

Daphnia and Fish Acute:	Non-toxic at maximum limit of water solubility
Eastern Oyster 96h EC_{50}:	3.4 mg/l
Mysid Shrimp 96h EC_{50}:	18 µg/l

Avian

Quail and Mallard Duck

Acute oral LD_{50}:	>2150 mg/kg
Dietary LC_{50}:	>5000 mg/l

Beneficials

Earthworm:	Non-toxic at 1000 mg/kg

Honeybees:

Acute contact LD_{50}:	>100 µg/bee
Acute oral LC_{50}:	>100 µg/bee

ENVIRONMENTAL FATE

Laboratory studies indicate that RPA 201772 has a relatively short half-life in soil with final mineralisation to carbon dioxide. Degradation has been shown to proceed via hydrolysis and microbial degradation. Also in laboratory studies, RPA 201772 and its major metabolites have been shown under simulated high rainfall conditions to be potentially mobile in soil. However, field dissipation studies in the USA and Europe indicate that due to the rate of degradation, residues remain in the surface horizons and that after 4 months virtually no residues remain in the soil profile. These results have been confirmed by biological data which show that RPA 201772 has sufficient residual activity in the surface horizons to provide weed control until the crop canopy develops.

MODE OF ACTION

RPA 201772 has a very systemic activity following either root or foliar uptake. The target site has been established as *p*-hydroxyphenyl pyruvate dioxygenase, an enzyme involved in the conversion of *p*-hydroxyphenyl pyruvate to homogentisate. This is a key step in plastoquinone biosynthesis and its inhibition in meristematic tissues gives rise to bleaching symptomology of new growth. These symptoms result from an indirect inhibition of carotenoid biosynthesis due to the involvement of plastoquinone as a cofactor of phytoene desaturase. Further details of the mode of action of RPA 201772 will be detailed in subsequent publication (Pallett *et al.* in preparation).

FIELD TRIALS

Maize

During 1993 and 1994 more than 200 small plot efficacy and selectivity trials have been conducted in the USA and Western Europe. The performance of RPA 201772 applied alone or in mixtures with other products has been compared with a standard reference treatment (metolachlor and atrazine mixtures). For all the trials RPA 201772 was formulated as a 75% water dispersible granule (EXP 31130A). Applications were made to randomised plots with 3 or 4 replicates. In both the USA and Europe, RPA 201772 was applied pre-emergence. In further trials in the USA, RPA 201772 was applied as an early pre-plant treatment an average 21 days prior to sowing. Herbicidal performance was assessed visually or by quadrat counts of surviving plants in comparison with untreated control plants. Crop tolerance was also assessed visually at intervals following emergence.

The weed control data given in Tables 1, 2 and 3 represent the mean values calculated from all occurrences of each species. For mixtures with chloroacetanilides the results are combined in preparing mean values.

Table 1 Pre-emergence weed control in maize- USA

	Weed Control (%)			
	RPA 201772	RPA 201772 + metolachlor or acetochlor	RPA 201772 + dimethenamid	Metolachlor + atrazine
g/ha	105	105 + 1100	105 + 360	2200 + 2200
Digitaria spp	98	100	100	99
Echinochloa crus-galli	95	98	97	98
Panicum miliaceum	100	-	-	50
Setaria faberi	84	91	91	87
Setaria glauca	19	80	80	70
Setaria viridis	98	87	92	93
Abutilon theophrasti	94	95	95	81
Amaranthus retroflexus	89	97	95	96
Ambrosia artemisifolia	100	100	100	96
Chenopodium album	97	97	94	98
Polygonum pensylvanicum	99	100	100	95

Table 2 Pre-emergence weed control in maize- Western Europe

	Weed Control (%)			
	RPA 201772	RPA 201772 + metolachlor or alachlor	RPA 201772 + dimethenamid	Metolachlor + atrazine
g/ha	75	75 + 1400	75 + 1000	2016 + 864
Digitaria sanguinalis	80	91	95	76
Echinochloa crus-galli	75	97	98	96
Setaria spp	63	98	98	83
Amaranthus retroflexus	93	99	99	98
Chenopodium album	89	97	97	72
Bilderdykia convolvulus	39	0	0	65
Polygonum persicaria	90	84	91	50
Solanum nigrum	94	98	97	99

Table 3 Early pre-plant weed control in maize - USA

	Weed Control (%)		
	RPA 201772	RPA 201772 + metolachlor	Metolachlor + atrazine
g ai/ha	140	105 + 1120	2200 + 2200
Echinochloa crus-galli	94	97	97
Panicum dichotomiflorum	94	98	98
Setaria faberi	90	93	94
Setaria glauca	84	90	-
Abutilon theophrasti	100	100	94
Amaranthus retroflexus	100	100	99
Ambrosia artemisifolia	95	92	93
Chenopodium album	99	-	-
Datura stramonium	99	97	100
Ipomoea hederacea	90	91	100

In the USA trials, RPA 201772 applied pre-emergence at 105g/ha (Table 1) provided excellent control of major weed species, particularly *Abutilon theophrasti*. For most species efficacy was equal to or better than the standard mixture applied at a combined 4400g/ha. Although the control of *Amaranthus retroflexus* was slightly inferior to the standard, only *Setaria glauca* control was deficient with RPA 201772 alone. Mixtures of RPA 201772 with reduced rate chloroacetanilides or dimethenamid generally improved weed control still further and compensated for the weaknesses on *A. retroflexus* and *S. glauca*. The mixtures tended to improve reliability and extend residual activity.

Lower applications rates are presented for European trials (Table 2) as increasing the rate to 100g/ha did not significantly improve weed control. Although at 75g/ha some species were controlled better than with the standard (applied at a combined 2880g/ha) other species were less well controlled. Overall, RPA 201772 applied alone at 75g/ha did not give sufficient weed control. Mixtures with reduced rate chloroacetanilides or dimethenamid gave virtually complete control of all important weed species with the exception of *Bilderdykia convolvulus* which tolerated all treatments.

For the early pre-plant trials, RPA 201772 was applied alone at higher rates to provide extended residual activity required by the earlier timing. At 140g/ha RPA 201772 alone provided almost complete control of all species except *S. glauca* (Table 3). A similar result was obtained with the pre-emergence rate (105g/ha) mixed with reduced rate metolachlor. Both RPA 201772 treatments (alone or in mixture) provided similar levels of control to the standard mixture applied at a combined 4400g/ha.

More limited trials in the Southern hemisphere indicate that RPA 201772 also has potential on the different weed species found there. In contrast to the weed spectrum in the Northern hemisphere trials, RPA 201772 was more active on the grass species (*eg. Brachiaria plantaginea* and *Eleusine indica*) than on the broad-leaf species (*eg. Cassia spp, Sida spp* and *Ipomoea spp*). A mixture with atrazine is under development to provide additional activity against these important broad-leaf weeds.

Across all trials, RPA 201772 alone and in combinations was generally well tolerated by maize at effective weed control rates. However, in alkaline soils (pH greater than 7.4) and in certain sandy soils, crop injury can occur particularly, it seems, in short season varieties or when heavy rain falls shortly after application. The injury is manifested as bleaching which is usually transient being followed by rapid recovery.

Sugarcane

During 1994, a series of 13 field trials were carried out in Brazil to evaluate the performance of RPA 201772 (as EXP 31130A) alone and in mixtures with ametryn for weed control in sugarcane. Applications were made pre-emergence and early post-emergence to plant and ratoon cane. Plots were randomised with 4 replicates per treatment. Weed control was assessed visually in comparison with untreated control plots either 85 DAT (for pre-emergence treatments) or 45 DAT (for post-emergence treatments). An assessment was also made of crop tolerance.

The weed control data given in Tables 4 and 5 represent the mean values calculated from all occurrences of each species.

Table 4 Pre-emergence weed control in sugarcane

	Weed Control (%)		
	RPA 201772	RPA 201772 + ametryn	Hexazinone + diuron
g/ha	150	150 + 1000	330 + 1170
Brachiaria plantaginea	74	87	67
Cenchrus echinatus	78	86	66
Digitaria horizontalis	98	98	98
Echinochloa colonum	78	88	45
Eleusine indica	87	93	85
Panicum maximum	85	92	65
Amaranthus spp	77	94	86
Bidens pilosa	57	82	82
Commelina diffusa	25	56	67
Sida spp	74	95	90

Table 5 Post-emergence weed control in sugarcane

	Weed Control (%)		
	RPA 201772	RPA 201772 + ametryn	Hexazinone + diuron
g/ha	100	100 + 1000	330 + 1170
Brachiaria plantaginea	40	78	74
Cenchrus echinatus	47	75	50
Eleusine indica	60	83	77
Panicum maximum	51	78	54
Amaranthus spp	44	94	92
Bidens pilosa	12	89	89
Commelina diffusa	0	36	86
Sida spp	10	71	88

Sugarcane, particularly ratoon cane, tolerated RPA 201772 at a higher rate when applied pre-emergence than post-emergence. At the pre-emergence rates of 150g/ha, grass weed control with RPA 201772 alone was superior to that provided by the standard mixture of hexazinone and diuron. However, control of broad-leaf weeds was lower than with the standard. When mixed with ametryn, broad spectrum weed control of grass and broad-leaf weeds was achieved which was generally better than with the standard. Post-emergence at the lower rate of 100g/ha, RPA 201772 alone performed less well than the standard mixture being particularly deficient on the broad-leaf weeds. However, in mixture with ametryn, grass weed control was improved over that provided by the standard. Although the mixture with ametryn gave inferior control of *Sida spp* and particularly *Commelina diffusa* compared to the standard, control of *Amaranthus spp* and *Bidens pilosa* was brought up to the levels of the standard. The activity against *Panicum maximum* and *Brachiaria plantaginea* is particularly important in Brazil.

CONCLUSION

RPA 201772 is a member of a new class of isoxazole chemistry discovered by Rhône-Poulenc. The toxicological and environmental properties of RPA 201772 are extremely favourable. Also, it exhibits virtually no ecotoxicity to aquatic, avian or beneficial species. RPA 201772 has been shown to be highly effective for broad spectrum weed control in maize. Applied at relatively low rates of between 75 and 140g/ha either pre-emergence or early pre-plant, RPA 201772 controls many important grass and broad-leaf weeds. The spectrum and reliability of weed control can be further enhanced using mixtures with reduced rate chloroacetanilides.

In preliminary field trials, RPA 201772 has also shown potential for weed control in sugarcane, particularly in mixture with ametryn.

With the combination of novel mode of action, relatively low proposed use rates, low toxicity, low ecotoxicity and favourable field dissipation, RPA 201772 represents a significant advance for weed control in maize, in particular.

ACKNOWLEDGEMENTS

Acknowledgements is given for the contribution made by the Research Team at Rhône-Poulenc Agriculture Ltd., Ongar, UK and to the Field Evaluation and Development teams of Rhône-Poulenc Agrochimie in USA, Europe, Brazil and South Africa.

REFERENCE

Cain, P A; Cramp, S M; Little, G M; Luscombe, B M, (1993) EP Patent 0527036

BAY FOE 5043: A NEW LOW RATE HERBICIDE FOR PREEMERGENCE GRASS CONTROL IN CORN, CEREALS, SOYBEANS AND OTHER SELECTED CROPS

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ABSTRACT

BAY FOE 5043 is a new oxyacetamide herbicide being developed on an international basis within the Bayer organization. BAY FOE 5043, a cell division inhibitor, has demonstrated excellent activity against many major annual grasses and certain small-seeded dicotyledonous weeds when soil-applied at application rates significantly lower than the current commercial standards. Field tests conducted in the United States, Europe, South Africa, Asia and South America from 1988 through 1994 have validated efficacy when applied *early* preplant, preplant *surface*, preplant *incorporated*, preemergence as well as *early* postemergence. Applied at suggested use rates BAY FOE 5043 controls a wide variety of economically relevant weed species in corn, cereals, cotton, peanuts, potatoes, rice, soybeans, sunflowers, tomatoes and other crops. The major grass species controlled include foxtails (*Setaria* spp.), barnyardgrass (*Echinochloa crus-galli*), fall panicum (*Panicum dichotomiflorum*) and crabgrasses (*Digitaria* spp.). BAY FOE 5043 exhibits excellent properties as a mix partner for herbicides controlling dicotyledonous weeds.

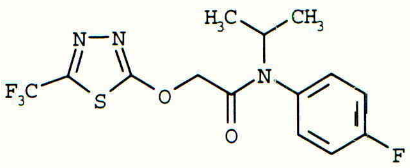
INTRODUCTION

Infestation of agricultural areas throughout the world with annual grasses is an ongoing problem faced by the farmer. The impact of these infestations is manifested in commercially significant yield and quality losses. Control of these problem species is the focal point of the new selective grass herbicide, BAY FOE 5043, being developed by Bayer.

BAY FOE 5043, a new oxyacetamide herbicide, was discovered by researchers in the Plant Protection Division of Bayer AG in 1988. This compound selectively controls economically relevant annual grasses as well as certain small-seeded dicotyledonous weeds at about ½-¼ the application rate of current standards. Although the spectrum of BAY FOE 5043 is relatively broad, particularly widespread grass species such as foxtails (*Setaria* spp.), barnyardgrass (*Echinochloa crus-galli*), fall panicum (*Panicum dichotomiflorum*) and crabgrasses (*Digitaria* spp.) are the focus of its control. BAY FOE 5043 is selective in corn (maize), cereals (wheat, barley, rye), potatoes, rice, soybeans and a wide variety of other crops.

CHEMICAL & PHYSICAL PROPERTIES

Structure:



Chemical Name:	4'-fluoro-*N*-isopropyl-2-(5-trifluoromethyl-1,3,4-thiadiazol-2-yloxy)acetanilide
Chemical Formula:	$C_{14}H_{13}F_4N_3O_2S$
Common Name:	(awaiting ISO approval)
Code Name:	BAY FOE 5043
CAS Reg. No.:	142459-58-3
Molecular Weight:	363.68
Appearance:	white to tan solid
Melting Point:	75 - 77°C
Dissociation Constant:	does not dissociate
Vapor Pressure @ 20°C:	9.0×10^{-5} Pa

Water Solubility @ 25°C:

pH	4	7	9
mg/l	56	56	54

Partition Coefficient: Octanol / Water: $\log K_{ow} = 3.2$

TOXICOLOGY & ECOBIOLOGY OF TECHNICAL MATERIAL

Acute Toxicity:	Oral LD_{50} rat	1,617 mg/kg
	Dermal LD_{50} rat	> 2,000 mg/kg
	Inhalation LC_{50} rat	> 3,740 mg/m^3
Fish Toxicity:	LC_{50} blue gill	2.4 mg/l
	LC_{50} rainbow trout	3.5 mg/l
	LC_{50} Daphnia	39.4 mg/l
Irritation:	Eye rabbit	non-irritating
	Dermal rabbit	non-irritating
Mutagenicity:	Ames Test	non-mutagenic
Teratogenicity:	Rabbit & rat	non-teratogenic

ENVIRONMENTAL FATE

Hydrolysis:	pH = 5, 7, 9	stable
Photolysis:	aqueous pH = 5	stable
	soil	stable
Soil Metabolism:	Aerobic DT_{50}	34 days
Soil Mobility:	Sandy Loam	K_{oc} = 354

MODE OF ACTION

The mode of action of BAY FOE 5043 at the molecular level, as with other oxyacetamides, has yet to be identified. Studies with the only commercially available oxyacetamide herbicide, mefenacet (rice in Japan) have shown a similarity in mode of action at the cellular and tissue levels to the chloroacetanilides (e.g. metolachlor). Although the molecular mode of action of chloroacetanilides is also unknown, both classes of compounds inhibit both cell division and growth. This inhibition results in a complete arrest of cell division in the root and shoot meristematic regions. New growth is halted and elongated tissue may become distorted. Detailed studies with mefenacet and metolachlor have shown that cells no longer enter the division cycle although progress through the individual phases of cell division (pro-, meta-, ana- and telophase) is not affected. The mitotic index is accordingly reduced.

MATERIALS & METHODS

The biological data presented in this paper are the result of field trials conducted in the United States, Europe, South Africa and Asia from 1988 through 1994. The full spectrum of application timings was examined at rates ranging from 25-1000 g a.i./ha depending upon the crop, weed spectrum and soil conditions. A randomized block experimental design was used with $\geq$ 3 replications. Plot dimensions were $\geq$ 2.1 x 3.6 meters. Small scale compressed air sprayers designed for use in experimental plots were used for all applications. Water was used as the carrier at a minimum volume of 100 liter/ha.

Weed species were either sown across the test plots or were present as indigenous populations. Efficacy as percent weed control and crop tolerance as percent damage were visually evaluated at various intervals following treatment.

FORMULATIONS

Although the environmental and user safety advantages of the 60% dry flowable (DF/WG) formulation of BAY FOE 5043 have made it the focal point for biological testing, several other formulation types have been developed and tested as well (e.g. 60% wettable powder, 0.3% granular). To date no variability with respect to crop safety or efficacy based on formulation type has been noted. Compatibility of the dry flowable formulation with liquid fertilizers has been demonstrated under field conditions.

APPLICATION WINDOW

BAY FOE 5043 is most effective when soil applied. Field testing has validated a wide application window with efficacy demonstrated when applied *early* pre-plant, pre-plant *surface* (0-30 days before planting), shallow pre-plant *incorporated,* SI (mixed into the top 2-5 cm of soil before planting), pre-emergence (immediately following planting and prior to crop/weed emergence) as well as *early* post-emergence. Application rates vary depending upon crop and soil type (see Table 1) but are in general significantly lower than current standards in the respective markets (e.g. in corn at about 25% the rate of the current standards and in European cereals at 20% of the customary rate of current standards).

SELECTIVITY & EFFICACY

BAY FOE 5043 selectively controls a wide range of important annual grasses (see Table 1) in a broad range of crops, e.g., corn, cereals (wheat, barley, rye), cotton, peanuts, potatoes, rice, soybeans, sunflowers and tomatoes. In some instances small-seeded dicotyledonous weeds are also suppressed with BAY FOE 5043. As with most soil applied herbicides, application rate is dependent upon both weed spectrum and soil type.

TABLE 1. Herbicidal Efficacy & Crop Safety of BAY FOE 5043 in the United States
% Weed Control / Phytotoxicity (No. of Trials)

Crop	Corn / Soybeans
Research Stations	USA
Database Timeframe	1989-1994
Application Timing	PRE / SI
Rate, g a.i./ha	540
Phytotoxicity	2 % (278) / 1 % (204)
Digitaria sanguinalis	93 % (122)
Echinochloa crus-galli	90 % (78)
Eriochloa villosa	57 % (11)
Panicum dichotomiflorum	91 % (57)
Sorghum vulgare	71 % (65)
Setaria faberi	89 % (240)
Setaria glauca	92 % (61)
Setaria viridis	92 % (105)

Cereals

Post-emergence treatments with BAY FOE 5043 in cereals (wheat, barley, rye) provide good weed control up to the 3 leaf weed stage (see Table 2). Although higher crop sensitivity with pre-emergent treatments of BAY FOE 5043 in cereals has been noted, pre-emergent application of the compound is possible as well.

Potatoes

In potatoes, BAY FOE 5043 provides excellent control of problem weeds (e.g. *Galium aparine*) when applied pre-emergence (see Table 2). Field tests have shown insufficient crop tolerance in potatoes when applied post-emergence.

TABLE 2. Herbicidal Efficacy & Crop Safety of BAY FOE 5043 in Europe, % Weed Control / Phytotoxicity (No. of Trials)

Crop	Corn	Cereals	Sunflowers	Potatoes
Research Station(s)	France/Germany	Germany	France	Germany
Database Range	1989-1994	1993-1994	1991-1994	1992-1994
Application Timing	PRE	E.POST	PRE	PRE
Application Rate, g a.i./ha	600	120 - 240	600	600
Phytotoxicity	none (47)	none	none (21)	none (24)
Alopecurus myosuroides[3]	85 (5)	89 (23)		93 (6)
Apera spica venti		98 (46)		
Digitaria sanguinalis	98 (3)		91 (4)	
Echinochloa crus-galli	93 (15)		93 (6)	
Poa annua		75 (4)		93 (2)
Setaria verticillata	93 (6)		98 (2)	
Galium aparine	98 (3)	60 (12)		95 (8)

3 = including ACCase resistant species

Rice

Field testing with BAY FOE 5043 in transplanted rice by Bayer subsidiaries in Indonesia, Korea and Thailand have demonstrated very good control of problem grasses and sedges such as *Echinochloa crus-galli*, *Cyperus esculentus*, *Fimbristylis miliacea*, *Scirpus juncoides*, *Leptochloa chinensis* and *Ludwigia octovalvis* when applied at 50-120 g a.i./ha. Best results were obtained when applied between 3 and 12 days after transplanting. Crop tolerance in direct seeded rice was deemed unacceptable and consequently is not recommended.

COMBINATIONS

Although BAY FOE 5043 controls some dicotyledonous weeds it is primarily a herbicide for the control of annual grasses. Extensive testing has been conducted with a broad range of commercially available and development broadleaf herbicides in all crops. Results are quite promising and in many cases definitive synergistic effects have been demonstrated. Due to its weed spectrum, low application rate and physical properties, BAY FOE 5043 represents an excellent mix partner for broadleaf herbicides both in terms of performance and formulation characteristics.

CONCLUSIONS

In conclusion, the attributes of BAY FOE 5043 can be summarized as follows:

- Broad spectrum activity against important annual grass weeds
- Season long residual control
- Multi-crop selectivity
- Low application rates compared to current standards
- Wide application window
- New mode of action for control of resistant *Alopecurus myosuroides* species in cereals
- Very favorable toxicological, environmental, and ecobiological properties
- Environmental and user safety of modern DF/WG formulation

ACKNOWLEDGEMENTS

All data contained herein has been generated and compiled within the Bayer organization. Sources include the Bayer Biological Research & Development, Toxicology, Chemical Research, Ecological Effects and Physical Properties databanks.

We, the authors, would like to take this opportunity to express our gratitude to our Bayer colleagues throughout the world and to acknowledge their efforts in bringing this project to fruition.

DPX-KE459 - A NEW SULFONYLUREA FOR POSTEMERGENCE GRASS AND BROADLEAF WEED CONTROL IN CEREALS

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ABSTRACT

DPX-KE459, methyl 2-[4,6-dimethoxypyrimidin-2-ylcarbamoyl) sulfamoyl]-6-(trifluoromethyl)nicotinate, monosodium salt, is a new sulfonylurea herbicide from DuPont for broad-spectrum, postemergence weed control in cereal crops. At the use rate of 10 g ai/ha, DPX-KE459 provides excellent control of economically important grass weeds such as *Alopecurus myosuroides* and *Apera spica-venti*, as well as a wide range of broadleaf weeds. Environmental fate and toxicology studies indicate that DPX-KE459 has a favorable environmental profile. Low use rates combined with rapid soil degradation of DPX-KE459 minimize potential for movement into ground or surface water, and allow rotational crop flexibility following either autumn or spring applications.

INTRODUCTION

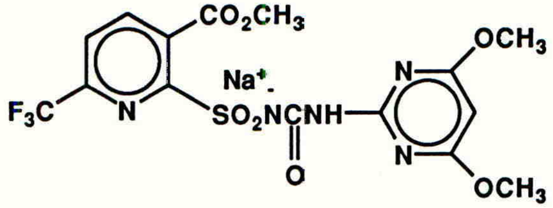
DPX-KE459 is the newest sulfonylurea cereal herbicide to be developed by DuPont. Selective control of blackgrass (*Alopecurus myosuroides*), *Apera spica-venti*, and a wide range of broadleaf weeds is obtained from postemergence application of 10 g ai/ha of DPX-KE459 in both autumn and spring timings. DPX-KE459 is highly active on blackgrass, and will introduce a new mode of action for its selective control in cereals at a time when development of resistance to current standard herbicides is a growing concern.

Environmental fate and toxicology studies show DPX-KE459 to have a very favorable environmental profile. Low use rates combined with rapid degradation of DPX-KE459 in soil minimize potential for movement into ground or surface waters, and allow for rotational crop flexibility following either autumn or spring applications. Like other sulfonylureas, DPX-KE459 acts by inhibiting an enzyme system found only in plants, which ensures low toxicity to humans and animals in the environment.

CHEMICAL AND PHYSICAL PROPERTIES

The structural formula of the active ingredient DPX-KE459 is shown in Figure 1. DPX-KE459 has also been evaluated as a 50% active ingredient wettable granule (WG).

Figure 1
DPX-KE459



Common name

Not yet available

IUPAC name

Methyl 2-[4,6-dimethoxypyrimidin-2-ylcarbamoyl)sulfamoyl]-6-(trifluoromethyl) nicotinate, monosodium salt

Chemical formula	Molecular weight	Melting point
$C_{15}H_{13}F_3N_5O_7SNa$	487.4	165 - 170°C

Stability

DPX-KE459 is most stable in aqueous solutions at pH 5 to 7. At 20°C, hydrolysis half lives are 44 days, 12 days, and 0.4 days, at pH 5, 7, and 9, respectively. DPX-KE459 is also stable in most organic solvents, including methanol, acetonitrile, acetone, ethyl acetate, and methylene chloride.

Solubility

Aqueous solubility is pH-dependent; pH 5 = 62.7 ppm, pH 7 = 603 ppm, at 25°C.

Dissociation Constant	Octanol/Water Partition Coefficient
pka = 4.9	k_{OW} = 9.2 (pH 5 at 25°C), 1.3 (pH 6 at 25°C)

The low octanol/water partition coefficient values suggest that no significant bioaccumulation of DPX-KE459 will occur.

TOXICOLOGICAL AND ENVIRONMENTAL SAFETY

The toxicological testing that has been completed thus far indicates that DPX-KE459 has favorable properties, and therefore presents low risk to humans and animals in the environment.

Acute testing on mammalian species

Technical Material (ai) and Formulated Product (50WG)

Oral LD_{50} Rat	>5000 mg/kg
Dermal LD_{50} Rabbit	>2000 mg/kg
Dermal Irritation Rabbit	EEC Classification: non-irritant
Dermal Sensitization Guinea Pig	Not a sensitizer
Eye Irritation Rabbit	EEC classification: non-irritant
Inhalation LC_{50} Rat	>5.8 mg/litre (ai only)
Ames mutagenicity	Negative (non-mammalian, ai only)

Avian, Aquatic and Beneficial Organism Testing

Technical Material (ai)

Avian Oral LD_{50}	Japanese Quail and Mallard Duck >2250 mg/kg
Avian Dietary LC_{50}	Bobwhite Quail and Mallard Duck >5620 ppm
Fish LC_{50} (96-Hour)	Carp 820 mg/litre
	Rainbow Trout 470 mg/litre
Aquatic Invertebrate EC_{50} (48-Hour)	Daphnia magna 721 mg/litre
Honeybee Contact LD_{50}	>25 µg / bee
Honeybee Dietary LD_{50} (EPPO)	>30 µg / bee

FATE IN SOIL AND THE ENVIRONMENT

DPX-KE459 degrades rapidly in the soil through both chemical and microbial mechanisms. Under laboratory conditions in various nonsterile European soils, DT_{50} values range from 8 to 25 days (Table 1). Degradation of DPX-KE459 is temperature-dependent, with a DT_{50} of 26 days at 20°C, increasing to a DT_{50} of 58 days at 10°C (sandy loam soil).

Table 1. Degradation of DPX-KE459 in Laboratory Studies using European Soils

Soil Type	Temp	Country	DT_{50} (days)
Sandy Loam	10°C	UK	58
	20°C	UK	25
Sandy Loam	20°C	France	8
Silt Loam	20°C	France	17
Clay Loam	20°C	UK	9
Loam	20°C	Germany	16

Chemical hydrolysis of DPX-KE459 is influenced by pH. DPX-KE459 is hydrolytically less stable at alkaline pH, therefore more rapid degradation will occur in alkaline soils as compared to acidic soils. Under extremely dry soil conditions, degradation may slow due to reduced microbial activity; however, chemical degradation will continue.

The degradation products of DPX-KE459 in soil have been identified and are non-herbicidal. Field dissipation studies conducted in Europe confirm the rapid degradation of DPX-KE459 observed in laboratory studies.

Proposed use rates of DPX-KE459 are low relative to the current standards for broad-spectrum weed control in cereals. Low use rates, combined with rapid degradation of DPX-KE459 in soil, minimize potential for leaching to groundwater or lateral movement into surface waters.

RESIDUE PROFILE IN CEREAL CROPS

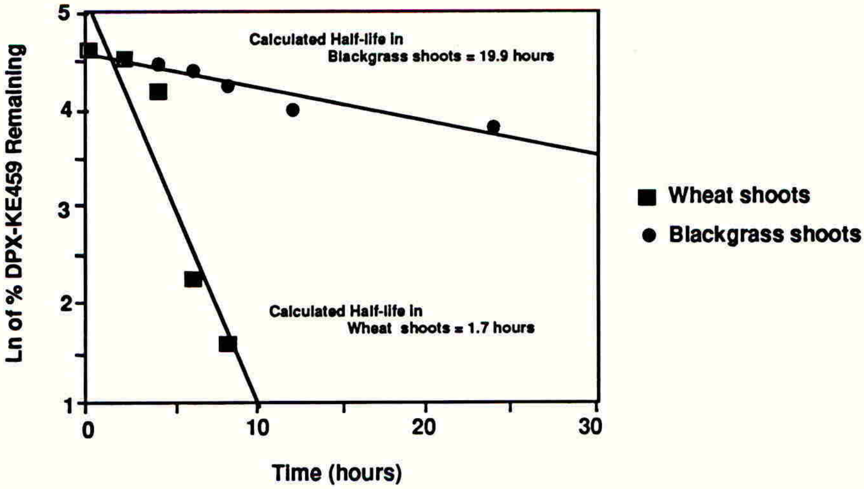
Extensive residue trials were conducted in the U.K., France, Belgium, and Germany. No residues of DPX-KE459 or its metabolites were detectable at the time of harvest of wheat in grain or straw, approximately 100 days after treatment.

MODE OF ACTION AND SELECTIVITY

DPX-KE459 mode of action is the result of inhibition of the plant enzyme acetolactate synthase (ALS), the same as other sulfonylurea herbicides (Beyer, et al 1988, Brown and Cotterman, 1994). In susceptible species, plant cell growth and division are rapidly stopped as DPX-KE459 inhibits ALS, blocking the synthesis of isoleucine and valine—amino acids essential for growth and development.

DPX-KE459 selectivity is metabolism-based. Rapid metabolic degradation of DPX-KE459 in wheat results in compounds that are inactive on the ALS enzyme. Metabolism studies demonstrate the rapid inactivation of DPX-KE459 in winter wheat compared to blackgrass, a weed that is highly susceptible (Figure 2).

Figure 2. DPX-KE459 Metabolism in Winter Wheat and Blackgrass (*Alopecurus myosuroides*)



SPECTRUM OF HERBICIDAL ACTIVITY

DuPont personnel have evaluated the weed control spectrum of DPX-KE459 in extensive field trials over several years. DPX-KE459 is a highly active herbicide on a variety of grass and broadleaf weeds, exhibiting both foliar as well as root activity. The following weed susceptibility listing (Table 2) shows some of the weed species controlled by a single application of 10 g ai/ha of DPX-KE459 (20 g product/ha of DPX-KE459 50WG) applied in either autumn or spring.

Table 2. Herbicidal Activity from 10 g ai/ha DPX-KE459

Scientific Name	Susceptibility
Alopecurus myosuroides	S
Apera spica-venti	S
Chenopodium album	S
Galium aparine	MS
Lamium purpureum	S
Matricaria sp.	S
Myosotis arvensis	MS
Polygonum aviculare	S
*Polygonum convolvulus**	S
Senecio vulgaris	S
Sinapis arvensis	S

*aka *Bilderdykia convolvulus*

Table Key: S = susceptible, >90% control
MS = moderately susceptible, 75%–90% control

DPX-KE459 provides excellent foliar as well as residual control of blackgrass when applied from the 2 to 3 leaf stage up through to mid-tillering. DPX-KE459 is highly active on blackgrass and other weeds under both warm and cold temperature conditions, and can be used effectively in autumn and spring timings. Field trials have shown DPX-KE459 to be consistently equivalent or superior to current commercial standards for blackgrass control, whether measured by biomass reduction or heading counts (Table 3).

Table 3. Activity of DPX-KE459 on *Alopercurus myosuroides* in European Field Trials[a]

Herbicide Treatment	Use Rate (g ai/ha)	% Control of ALOMY[b] Biomass	(N)	Heading	(N)
DPX-KE459	10	94	(100)	95	(64)
Isoproturon[c]	1500-2500	85	(95)	79	(59)
Fenoxaprop[d]	33-120	94	(33)	93	(25)

a Trials conducted over several years, ALOMY from GS11 to GS30.

b Reduction in final biomass or heading relative to untreated, averaged over all trials (N = number of trials).

c Rate range of isoproturon ai used alone, or with diflufenican.

d Rate according to label recommendation; both fenoxaprop-ethyl, and fenoxaprop-p-ethyl alone or with oil, evaluated in trials.

DPX-KE459 also introduces a new mode of action for selective control of blackgrass, a highly competitive and economically important weed in cereal crops, at a time when development of biotypes resistant to the current standard herbicidal treatments is of growing concern.

With additional control of a wide variety of broadleaf weeds and *Apera spica-venti,* DPX-KE459 will offer cereal growers a new option for broad-spectrum, postemergence weed control.

CEREAL CROP SAFETY

DPX-KE459 may be used on all current varieties of winter cereals, over a wide application window. DPX-KE459 can be safely applied to cereal crops at greater than 2X the projected use rate of 10g ai/ha.

ROTATIONAL CROPS

DPX-KE459 rapidly degrades in soil, allowing flexibility in selection of following crops. Standard rotational crops may be safely sown following DPX-KE459 applied in either autumn or spring, in normal crop rotation sequences.

CONCLUSIONS

DPX-KE459 will prove to be an important new tool for cereal crop production. Cereal growers gain a new, highly effective low use rate option for postemergence control of blackgrass and a wide spectrum of other economically important weeds, which can be applied in either autumn or spring. The favorable profile of DPX-KE459 ensures safety to the environment.

ACKNOWLEDGMENTS

The authors wish to gratefully acknowledge the efforts of our many colleagues in DuPont, who have contributed to the Discovery and Development of DPX-KE459.

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Brown, H M and Cotterman, J C (1994) Recent Advances in Sulfonylurea Herbicides. *Chemistry of Plant Protection.* **10**, pp. 47-79.

MON 37500: A NEW SELECTIVE HERBICIDE TO CONTROL ANNUAL AND PERENNIAL WEEDS IN WHEAT.

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ABSTRACT

MON 37500 (1-(2-ethylsulfonylimidazo[1,2-a]pyridin-3- ylsulfonyl)-3-(4,6-dimethoxypyrimidin-2- yl)urea) is a new herbicide for the control of grass and broadleaf weeds in cereal crops. In European wheat, MON 37500 is be applied post-emergence in the spring. Applications of MON 37500 at rates between 10 and 30g ai/ha will effectively control major perennial and annual grass weeds including; *Elymus repens, Apera spica-venti* and *Poa trivialis* with good activity on *Bromus* sp.. Broadleaf weeds controlled by MON 37500 include; *Matricaria* sp., *Stellaria media* and *Sinapsis arvensis* with good inhibition of *Galium aparine.* In North American wheat MON 37500 at rates between 18 and 35g ai/ha, effectively controls the downy brome complex (*B. tectorum, B. japonicus*), *Bromus secalinus* and *Avena fatua*, with activity on *Setaria* sp. The broadleaf spectrum in North America includes the mustard complex and good activity against some Polygonum species. MON 37500 can be used alone or in mixtures with most other agrochemicals. To date all toxicological and environmental testing of MON 37500 shows favorable results.

INTRODUCTION

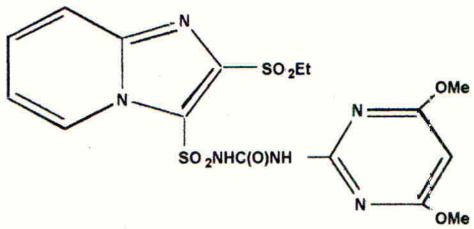
Control of grass weeds in wheat has always been difficult. This is especially true when considering perennial grasses like couch (*Elymus repens*), creeping bentgrass (*Agrostis stolonifera*), Onion couch (*Arrhenatherum elatius*) and rough meadow grass (*Poa trivialis*). The North American brome complex (*B. tectorum, B. japonicus, B. secalinus*) is especially a concern and has been growing weed problem for years. The current available products for grass control in wheat have limited, if any, utilities against these weed species.

A new herbicide being developed by Monsanto Company and Takeda Chemical Industries, MON 37500, has demonstrated good activity against all of the above mentioned hard to control grass weed species. MON 37500 herbicide has activity on most grass species and also has a very useful broadleaf spectrum. As a grass active sulfonylurea herbicide MON

37500 will be adding another mode of action to the current available arsenal of grass herbicides. This will decrease the risks of developing herbicide resistance.

PHYSICAL AND CHEMICAL PROPERTIES

Structure:



Chemical name (IUPAC)	: 1-(2-ethylsulfonylimidazo[1,2-a]pyridin-3-ylsulfonyl)-3-(4,6-dimethoxypyrimidin-2-yl)urea
Common name	: Sulfosulfuron (proposed)
Code number	: MON 37500, TKM 19
Empirical formula	: $C_{16}H_{18}N_6O_7S_2$
Molecular weight	: 470.48
Physical state	: Solid, white, odorless
Melting point	: 201.1-201.7°
Vapor Pressure	: $<10^{-6}$ Pa
Octanol water partition coefficient	: pH5 buffer <10
	pH7 water <10
	pH9 buffer <10

Solubility water :

	Solubility (ppm)
pH5	18
pH7	1627
pH9	482

TOXICOLOGY

Technical material

Acute oral LD_{50} (rat)	: > 5000 mg/kg, practically non-toxic (EPA category IV)
Acute dermal LD_{50} (rat)	: > 5000 mg/kg, practically non-toxic (EPA category IV)
Acute inhalation LC_{50} (rat)	: practically non-toxic (EPA category IV)
Skin irritation (rabbit)	: essentially non-irritating (EPA category IV)
Eye irritation (rabbit)	: moderate eye irritant (EPA category III)

Dermal sensitization (guinea pig): negative

Environmental toxicity:

96-hr LC_{50} rainbow trout	: > 95 mg/L
96-hr LC_{50} carp	: > 91 mg/L
5-day dietary LC_{50} mallard duck	: > 5620 ppm
48-hr LD_{50} oral bee	: > 30 ug/bee
48-hr LD_{50} dermal bee	: > 25 ug/bee
48-hr EC_{50} Daphnia	: >96 mg/L

MODE OF ACTION

MON 37500 is a sulfonylurea herbicide. The mode of action is almost certainly inhibition of acetolactate synthase. Upon application meristematic growth stops immediately. Affected plants appear dark green and stunted. This is followed by a reddening of the stem base. The next phase of plant death is usually very slowly developing necrosis. Death can take 3-6 weeks to occur and the speed of death is dependent upon plant growth rate (Beyer, et al).

CROP TOLERANCE

Winter wheat phytotoxicity has been insignificant from both pre-emergence and post-emergence applications of MON 37500 at rates greater than 100g ai/ha in the field and 560g ai/ha in glasshouse studies. Spring wheats also show excellent tolerance. Some spring wheat varieties have shown less tolerance than the winter wheats. However, the hard red spring varieties appear to be just as tolerant as winter wheat. Durum wheat is generally much less tolerant and tolerance is variety specific. Barley and oats are sensitive to applications of MON 37500 at normal use rates; applications to these crops are not recommended. Control of volunteer barley in winter wheat has been demonstrated with MON 37500.

WEED CONTROL

Weed Control In Europe

MON 37500 controls a broad spectrum of important grass and broadleaf weeds infesting wheat (Table 1). The rate needed to control these weeds is between 10 and 30g ai/ha. Important perennial grass weeds that have been controlled by MON 37500 include *Elymus repens*, *Poa trivialis*, *Agrostis stolonifera* and *Arrhenatherum elatius*. The best control of these grass species occurs with post-emergence applications in the spring (Figure 1).

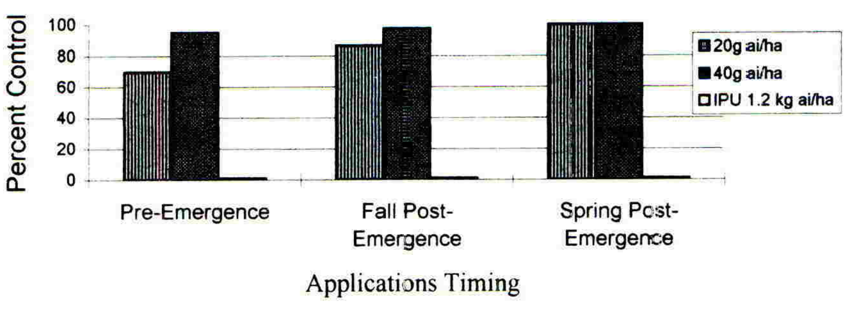
The major factors that influence the control of *E. repens* within the spring post-emergence window are timing and application rate. Further refining of the timing with the spring applications of MON 37500 indicates that the effective use rate needed to control *E. repens* can continue to be optimized (Figure 2).

Table 1. The Efficacy of MON 37500 on Selected Key Weeds that Infest Wheat World. Wide Susceptible; 85% or Higher Control is Normally Achieved at rates of 20g - 30g ai/ha. Moderately Susceptible; 60% or Better Control is Normally Achieved at rates of 20g - 30g ai/ha.

	Broadleaf Weeds	
Susceptible	Susceptible	Moderately Susceptible
Ambrosia artemisiifolia	*Helianthus sp.*	*Alchemilla arvensis*
Amsinckia lycopsoides	*Matricaria chamomilia*	*Anthemis arvensis*
Atriplex patula	*Matricaria inodora*	*Matricaria maritima*
Brassica nigra	*Polygonum aviculare*	*Myosotis arvensis*
Capsella bursa-pastoris	*Polygonum persicaria*	*Chenopodium album*
Claytonia per	*Sinapis arvensis*	*Chorispora tenella*
Descurainia pinnata	*Sisymbrium altissimum*	*Linum usitatissimum*
Descurainia sophia	*Stellaria media*	*Polygonum convolvulus*
Fumaria officinalis	*Thlaspi arvense*	
Galium aparine	*Viola arvensis*	

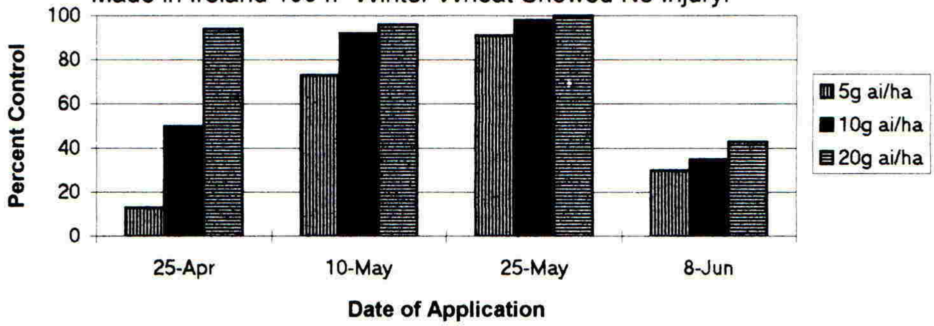
	Grass Weeds	
Susceptible	Susceptible	Moderately Susceptible
Elymus repens	*Bromus rigidus*	*Aegilops cylindrica*
Apera spica-venti	*Bromus secalinus*	*Alopecurus myosuroides*
Agrostis stolonifera	*Bromus sterilis*	*Arrhenatherum elatius*
Avena fatua (North America)	*Bromus tectorum*	*Avena fatua* Europe
Bromus commutatus	*Poa bulbosa*	*Setaria lutescens*
Bromus japonicus	*Poa tirvialis*	*Setaria viridis*
Bromus mollis	*Hordeum vulgare*	*Poa annua*

Figure 1. The Effect of Applicat onTiming of MON 37500 on *Elymus repens* Control. Application Made in 1991-1992 Season.



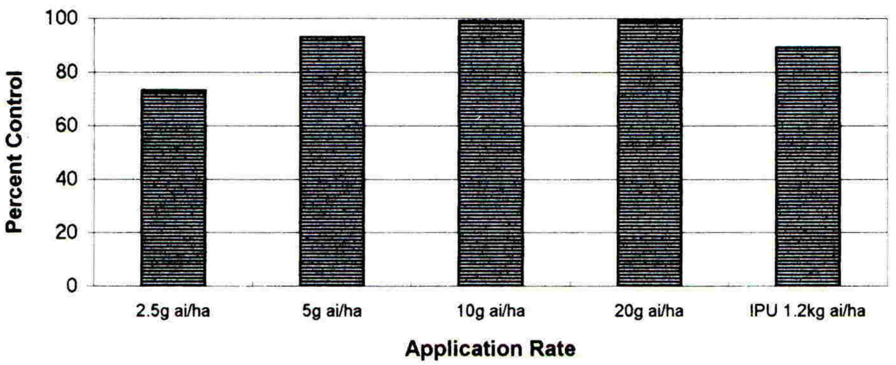
Some of the important annual grass species which are controlled by MON 37500 include *Apera spica-venti, Bromus commutatus, B. sterilis,* and spring germinating *Avena fatua.* MON 37500 will provide good suppression of blackgrass (*Alopecurus myosuroides*) regardless of application timing, and will control *A. myosuroides* within a narrow application window.

Figure 2. The Effect of Rate and Timing of Field Applications of MON 37500 on *Elymus repens* in Winter Wheat. Applications Made in Ireland 1994. Winter Wheat Showed No Injury.



MON 37500 is very active on *Apera spica-venti.* This is an important annual grass weed in Northern and Central Europe (Figure 3). The best timing of application is again in the spring before first tillering of the plants. However, MON 37500 will control *Apera spica-venti* within a broad application window.

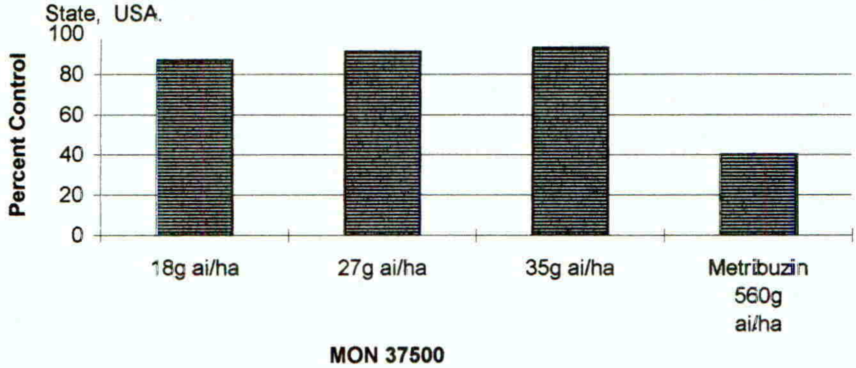
Figure 3. Percent Control of *Apera spica-venti* with Field Applications of MON 37500. Application Post-Emergence, Mid March. Location Garben, Germany 1994.



Weed Control In North America

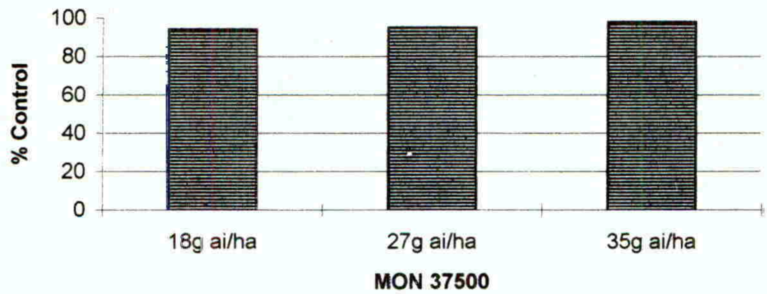
The activity of MON 37500 on the brome species is unique to this compound and represents a novel solution to many brome problems. MON 37500 has excellent activity on all the brome species in the downy brome complex (Table 1) including *Bromus tectorum* (Figure 4) and *Bromus japonicus*. MON 37500 also has excellent commercial utility with cheatgrass, *Bromus secalinus*.

Figure 4. Activity of MON 37500 Applied Fall Post-Emergence on *Bromus tectorum*. Applications Made to Winter Wheat November 14 1994, Washington State, USA.



MON 35700 provide excellent wild oat control in spring wheat (Figure 5). Best control occurs when MON 37500 is applied post-emergence. MON 37500 does not give good activity on *A. fatua* from soil applications.

Figure 5. The Activity of MON 37500 on *Avena fatua* in Spring Wheat. Application were Made Postemergence on June 7, 1993. Test Conducted in Conrad Montana.



SOIL CHARACTERISTICS

MON 37500 dissipates rapidly in the soil. Field studies under normal European soil conditions show that MON 37500 has a DT_{50} between 20 days and 60 days. As with all sulfonylurea herbicides the speed of break down is dependent upon factors such as soil moisture, soil temperature, organic matter content, soil pH and soil texture.

Similar to other sulfonylurea herbicides some rotational crops show extreme sensitivity to MON 37500. Some of the most sensitive crops include sugarbeet, sunflowers and sorghum. Despite its rapid breakdown rotational injury to some of these crops can be expected depending upon the soil condition and the sensitivity of some rotational crops.

CONCLUSIONS

MON 37500 is a new wheat selective sulfonylurea herbicide with activity on grass and broadleaf weeds. It has the unique ability to control bromes grasses, including downy brome (*bromus tectorum*) and will control couch (*Elymus repens*) selectively in a wheat crop. The ability to control downy brome fills a long un-meet need for the growers of the western part of North America. The control of couch and *Apera spica-venti* combined with the key broadleaf weeds of *Matricaria* sp. and *Galium aparine* makes MON 37500 a useful herbicide for the Nordic and Central European countries. The wide spring post-emergence application window of MON 37500 and its ability to mix with almost all agrochemicals will make MON 37500 an useful new tool for the wheat growers world wide.

REFERENCE

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AZIMSULFURON (DPX-A8947) - A NEW SULFONYLUREA FOR POST-EMERGENCE CONTROL OF *ECHINOCHLOA* SPECIES, BROADLEAF AND SEDGE WEEDS FOR SOUTHERN EUROPEAN RICE PRODUCTION.

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ABSTRACT

Azimsulfuron (DPX-A8947), 1-(4,6-dimethoxypyrimidin-2-yl)-3-[1-methyl-4-(2-methyl-2*H*-tetrazol-5-yl)-pyrazol-5-ylsulfonyl]urea is a new selective post-emergence sulfonylurea herbicide for the control of *Echinochloa* species and most of the annual and perennial broadleaf and sedge weeds found in fields of rice (*Oryza sativa L.*) in Southern Europe.
At 20-25 g a.i./ha, azimsulfuron provides excellent control of all *Echinochloa* species (*E. crus-galli, E. hispidula, E. oryzicola* and *E. oryzoides*), *Scirpus maritimus, S. mucronatus, Cyperus difformis, Ammannia coccinea, Alisma plantago-aquatica, A. lanceolatum, Butomus umbellatus, Potamogeton nodosus* and *Heteranthera limosa.*
Azimsulfuron inhibits the activity of the enzyme acetolactate synthase (ALS) in sensitive rice weeds. It is safe to Japonica and Indica rice cultivars at recommended rates of use. Studies show that azimsulfuron has favourable toxicological and environmental fate characteristics.

INTRODUCTION

Azimsulfuron is a new post-emergence rice herbicide developed by E. I. DuPont de Nemours and Co. This novel active ingredient allows growers effectively to control a broad spectrum of weeds, including *Echinochloa* species, annual and perennial broad-leaved weeds and sedges in Indica and Japonica rice (*Oryza sativa L.*) in Southern Europe.

The control of *Echinochloa* species is a major problem for rice growers in Southern Europe. Normally the efficacy provided by early post-emergence applications of thiocarbamates or similar compounds is not totally satisfactory. In many cases complete control of *Echinochloa* plants is obtained by one or two additional treatments at high rates of mid to late post-emergence compounds. Only a few products are available for post-emergence use and they do not often provide adequate weed control. Therefore, growers require alternatives to control *Echinochloa* species and other important rice weeds effectively and to optimize their crop yields.

Azimsulfuron has been evaluated for five years in field trials under Southern European rice-growing conditions (Italy, Spain and Portugal). This paper presents product chemistry, physico-chemical properties, toxicological profile and biological performance of azimsulfuron.

CHEMICAL AND PHYSICAL PROPERTIES

The structure of azimsulfuron is shown in Figure 1. Its chemical and physical properties are summarized in Table 1.

Figure 1. Chemical Structure

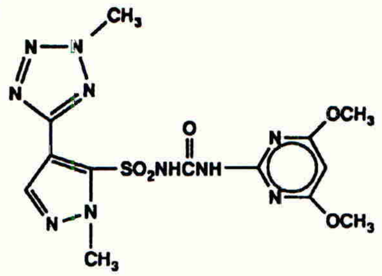


Table 1.

Common Name: Azimsulfuron
Chemical Name: 1-(4,6-dimethoxypyrimidin-2-yl)-3-[1-methyl-4-(2-methyl-2*H*-tetrazol-5-yl)-pyrazol-5-ylsulfonyl]urea (IUPAC).
Structural Formula: $C_{13}H_{16}N_{10}O_5S$
Molecular Weight: 424.4 g/mole
Physical Form: Solid
Melting Point: 170 °C
Vapor Pressure: 3.0×10^{-11} mm Hg @ 25 °C
Aqueous Solubility @ 20°C (mg/litre): *p*H 5= 72.3; *p*H 7= 1050; *p*H 9= 6536
Dissociation Constant (*p*Ka): 3.6
K_{ow} (Octanol/water partition coefficient (*p*H 5 @ 25 °C): 4.43

FORMULATION

Azimsulfuron is formulated as a water dispersible 50% dry flowable (DF).

TOXICOLOGICAL AND ENVIRONMENTAL SAFETY

The toxicology and ecotoxicology completed thus far indicate that azimsulfuron presents very low risk to humans, other animals and the environment.

Acute tests (Technical and 50% DF formulation)

Acute Oral LD_{50} (rat) ....> 5000 mg/kg
Acute Dermal LD_{50} (rat) ....> 2000 mg/kg
Eye Irritation (rabbit) ....Non-irritant
Skin Irritation (rabbit) ....Non-irritant
Skin Sensitization (guinea pig) ....Non-sensitizer
Ames Mutagenicity ....Negative

Avian and Aquatic Organisms Tests

Avian Oral LD_{50}
- Bobwhite Quail ....>2250 mg/kg
- Mallard duck ....>2250 mg/kg

Avian Dietary LC_{50} (8 day)
- Bobwhite Quail ....>5260 mg/kg
- Mallard duck ....>5260 mg/kg

Fish 96-hr LC_{50}
- Carp ....>300 ppm
- Bluegill Sunfish ....>1000 ppm
- Rainbow Trout ....154 ppm

Aquatic invertebrate 48-hr EC_{50}
- *Daphnia carinata* ....>300 ppm
- *Daphnia magna* ....941 ppm

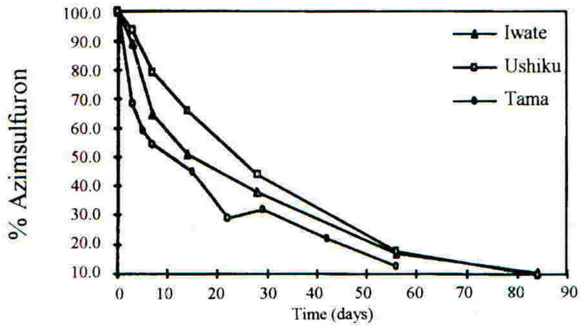
BEHAVIOR IN THE ENVIRONMENT

Field and laboratory studies show that the most significant degradation mechanisms for azimsulfuron are indirect photolysis and dissipation in soil.

In paddy water, azimsulfuron will degrade through reaction with naturally produced oxidizing reagents, such as hydroxyl radicals. The degradation is considered indirect photolysis since the oxidizing reagents are produced by the action of sunlight on normal constituents of paddy water rather than through the absorption of light by azimsulfuron.

Soil degradation occurs through microbial and chemical mechanisms. Microbial metabolism is a significant factor in the degradation of azimsulfuron. It occurs most rapidly soon after application of azimsulfuron to rice fields (note rapid degradation in Tama soil 3, 5 and 7 days after application of azimsulfuron in Figure 2). The rate of degradation of azimsulfuron, like most agricultural chemicals, changes with time as it moves into soil particles where it is protected from microbial degradation. Once azimsulfuron is adsorbed to the soil particles, chemical hydrolysis is the primary mode of soil dissipation. The rate of chemical degradation is dependent on soil water *p*H. The more acidic the soil, the more rapidly azimsulfuron undergoes chemical degradation. The half-life of azimsulfuron in laboratory experiments using non-sterile, flooded paddy soils ranges from 11-24 days (Figure 2).
The low octanol/water partition coefficient suggests no significant bioaccumulation will occur.

Figure 2. Degradation of azimsulfuron in non-sterile flooded paddy soils. Degradation was measured in laboratory experiments at 28°C. Tama (*p*H=6.3; OM=1.3), Iwate (*p*H=6; OM=2.7); Ushiku (*p*H=5.8; OM=3.6)



Soil residue tests carried out to GLP standards in Italy and Spain have shown no detectable residues of azimsulfuron applied at 25 g a.i./ha in soil (three depths: 0-10 cm, 10-20 cm and 20-50 cm) either at harvest or prior to next rice sowing. Since azimsulfuron is applied at very low rates and degrades rapidly under rice-growing conditions, no rotational crop concerns are anticipated. Studies are being carried out under Southern European rice field conditions to judge more effectively the influence of azimsulfuron application on potential rotational crops.

RESIDUES IN RICE

Crop residue tests carried out to GLP standards in Italy and Spain have shown no detectable residues of azimsulfuron applied at 25 g a.i./ha in rice grain and rice straw.

MODE OF ACTION

Azimsulfuron, like other sulfonylureas, inhibits the plant enzyme acetolactate synthase which is also known as aceto-hydroxy acid synthase (ALS/AHAS). This enzyme inhibition blocks branched-chain amino acid biosynthesis of valine, leucine and isoleucine (Beyer *et al.*, 1988). The ALS enzyme is not present in vertebrate and invertebrate animals, hence the low toxicity of azimsulfuron to these species.

As azimsulfuron is applied post-emergence it is taken up mainly by leaves, shoots and, to a lesser extent, by roots. Once taken up the active ingredient is then translocated via the xylem and the phloem. The initial symptoms of azimsulfuron in sensitive rice weeds are observed in the meristematic tissues, where inhibition of cell division causes early cessation of growth, followed by chlorosis, reddish coloration of leaves and shoots (anthocyanin formation), necrosis and plant death. Under normal conditions, sensitive rice weeds are killed within 1-3 weeks of treatment, depending on their growth stage at application and also on climatic conditions. On *Echinochloa*, when applied at the recommended timing, azimsulfuron shows rapid activity and complete control can be observed about 7 days after treatment. The use of surfactants enhances the activity of azimsulfuron, especially on *Echinochloa* species.

CROP SELECTIVITY

The selectivity of azimsulfuron to rice cultivars is based upon the ability of rice to degrade the active ingredient rapidly into metabolites that are inactive on the ALS/AHAS enzyme whilst sensitive rice weeds cannot. Tests conducted in Italy, Spain and Portugal on about 30 different rice varieties have shown a similar level of whole plant selectivity between Indica and Japonica direct-seeded rice cultivars.

Azimsulfuron is selective to rice at recommended rates of 20-25 g a.i./ha plus adjuvants. Higher rates may cause temporary rice stunting and slight chlorosis of leaves. However, these symptoms disappear within 2-4 weeks of applications, depending on the dose. Specific selectivity and yield tests have shown no significant influence on yield when azimsulfuron was applied at 40 and 60 g a.i./ha to Japonica or Indica rice cultivars.

Table 2 . Yield results of azimsulfuron on rice cultivars Thaibonnet (Mean= 2 tests) and Tebre (Mean= 2 tests) under weed free conditions (*). Spain 1992-93.

Treatment	Rate g a.i./ha	Thaibonnet Yield t/ha (% Unt)	Tebre Yield t/ha (% Unt)
Azimsulfuron + Adjuvant	20	8.51 (104.5)	9.07 (104.4)
Azimsulfuron + Adjuvant	40	8.06 (99)	9.306 (101.5)
Azimsulfuron + Adjuvant	60	7.86 (96.5)	8.67 (97.2)
Untreated	Nil	8.14 (100)	8.91 (100)

Adjuvant = non-ionic surfactant at 0.1-0.25 % v/v.
(*) All test area was previously treated with dimepiperate at 3500 g a.i./ha.
Student - Newman - Keuls; P = 0.05.

SPECTRUM OF HERBICIDAL ACTIVITY

The herbicidal activity and crop selectivity of azimsulfuron have been evaluated in the last five years in field trials carried out mainly in Italy and Spain and, to a lesser extent, in Portugal. EPPO guideline No 62 has been followed for the evaluation of biological activity and selectivity of this rice herbicide. A 50 % WP formulation of azimsulfuron was used in 1990 and 1991 while a 50% DF formulation has been used since 1992.

Field test results indicate that azimsulfuron, when applied at rates of 20-25 g a.i./ha plus adjuvant, has a broad spectrum of activity, showing very good control of four different *Echinochloa* species, important Cyperaceae species, and broad-leaved weeds found in Southern Europe. Italian results are based mainly on applications to flooded fields (8-10 cm of water) but azimsulfuron has also shown good weed control when applied under lower water depths (3-4 cm) and wet or water-saturated soils. Spanish and Portuguese results are based on applications to wet or water-saturated soils. Proper water management must be followed after azimsulfuron applications to ensure good control of existing weeds and of those emerging later.

Weed control spectrum of azimsulfuron

Monocotyledonous weeds

1.a.- *Gramineae* / grass weeds

Echinochloa crus-galli
Echinochloa hispidula
Echinochloa oryzicola
Echinochloa oryzoides

1.b.- *Alismataceae, Butomaceae, Cyperaceae, Ponteridaceae, Potamogetonaceae* and *Typhaceae*

Alisma lanceolatum
Alisma plantago-aquatica
Butomus umbellatus
Cyperus difformis
Scirpus maritimus
Scirpus mucronatus
Scirpus supinus
Heteranthera limosa
Potamogeton nodosus

Dicotyledonous weeds (*Elatinaceae, Escrophulariaceae* and *Lithraceae*)

Ammannia coccinea
Ammannia robusta
Bergia capensis
Lindernia dubia

Good control has also been reported on *Typha angustifolia, Cyperus serotinus, Nasturtium officinale* and *Sparganium erectum*. On *Heteranthera reniformis*, azimsulfuron shows good activity when applied at initial stages of growth but under heavy weed pressure it does not often provide enough persistence to control all new germinations.

Azimsulfuron, like other sulfonylurea herbicides, acts rapidly and effectively when applied to young and actively growing weeds. On *Echinochloa* species best control can be obtained from the 3-leaf stage (GS13) to beginning of tillering (GS20) under flooded conditions and from the 5-leaf stage (GS15) to 1-(2) tillers (GS21-22) in water-saturated soil. Sedge species (Cyperaceae) are well controlled from the 2-leaf stage to initial tillering (10-20 cm of height) although under normal conditions, applications of azimsulfuron at later growth stages also show good activity. Broad-leaved weeds can be effectively controlled from cotyledons up to initial bolting (5-15 cm of height). In most of the efficacy tests azimsulfuron has been applied on rice plants ranging from the 4-leaf stage (GS14) to early-mid tillering (1-3 tillers) (GS21-23).

Table 3. Percentage of control on *Echinochloa hispidula* (ECHCV- 5 tests), *Echinochloa oryzoides* (ECHOR- 5 tests) and *Echinochloa crus-galli* (ECHCG- 2 tests). Spain. 1990-94. Applications were made under water-saturated soil conditions.

Treatment	Rate (g a.i./ha)	ECHCV	ECHOR	ECHCG
Azimsulfuron	20	97.5	99.5	100
Azimsulfuron	25	98.8	99.2	100
Quinclorac + bensulfuron	750 + 50	93.5	93.2	60

Adjuvants: Non-ionic surfactant (0.1-0-25% v/v) for azimsulfuron.
Mineral oil (Actipron at 0.4% v/v) for quinclorac + bensulfuron.

Table 4. Percentage of control on *Echinochloa crus-galli* (ECHCG-Mean of 10 tests); 5 tests (a); 3 tests (b); 2 tests (c); Italy.1992-94.

Treatment	Rate (g a.i./ha)	ECHCG
Azimsulfuron + adjuvant	20	97.1
Azimsulfuron + adjuvant	25	98.9
Molinate + dimepiperate + bensulfuron (a)	1440+1500+60	69.1
Molinate + thiobencarb + bensulfuron (b)	2160+1500+60	91.1
Propanil + MCPA/propanil (c)	3500+270/3500	92.8

Adjuvant = non-ionic surfactant at 0.1% v/v; (c) = propanil in sequential application.

Table 5. Percentage of control of azimsulfuron at 20 g a.i./ha + adjuvant on main broad-leaved weeds and sedges. Italy and Spain 1990-94.

Weeds species	% control (No. of tests)
Alisma lanceolatum	98.2 (4)
Alisma plantago-aquatica	99.1 (17)
Ammannia coccinea	98.7 (4)
Butomus umbellatus	98.7 (7)
Cyperus difformis	99.8 (7)
Heteranthera limosa	92.5 (4)
Potamogeton nodosus	100 (2)
Scirpus maritimus	98.1 (18)
Scirpus mucronatus	98.6 (15)
Scirpus supinus	99.6 (6)
Lindernia dubia	98.6 (2)

Greenhouse and field tests have demonstrated that surfactants improve the activity of azimsulfuron on *Echinochloa* species, while on broad-leaved weeds and sedges the influence of surfactants is either minimal or not evident. Field work has been mainly focused on non-ionic surfactants. At the recomended rates (20-25 g a.i./ha) of azimsulfuron, the suggested surfactant dose varies from 0.1 to 0.25 %v/v, depending on non-ionic surfactant type.

Table 6. Influence of surfactant use on activity of azimsulfuron on *Echinochloa crus-galli*. Italy. Mean of 2 tests. Application under flooded conditions.

Treatment	Rate (g a.i./ha)	ECHCG
Azimsulfuron	15	69
Azimsulfuron + Trend 0.1% v/v	15	94
Azimsulfuron	20	76
Azimsulfuron + Trend 0.1% v/v	20	98

CONCLUSIONS

Azimsulfuron is a new sulfonylurea herbicide for broad-spectrum weed control in rice. Available data suggest that azimsulfuron shows favorable toxicological properties, rapid degradation, no bioaccumulation, no crop residues and no anticipated crop rotation restrictions, when used at recommended rates and with good agricultural practice.

The excellent activity of azimsulfuron on all *Echinochloa* species as well as on most of the annual and perennial broad-leaved weeds and sedges, makes this new herbicide a key element in post-emergence rice weed control programs in Southern Europe. The recommended rates, 20-25 g a.i./ha plus adjuvant, apart from showing good crop selectivity, are consistently lower (up to 150-450 times) than ones recommended for current commercial post-emergence compounds to achieve acceptable rice weed control.

ACKNOWLEDGEMENTS

The authors gratefully acknowledge the efforts of all of our DuPont colleagues who contributed to the discovery and development of azimsulfuron, and in preparing this manuscript.

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HOE 095404 - A NEW SULFONYLUREA HERBICIDE FOR USE IN CEREALS, RICE AND SUGARCANE

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ABSTRACT

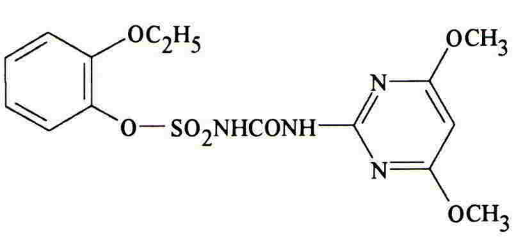
Hoe 095404 [3-(4,6-dimethoxypyrimidin-2-yl)-1-(2-ethoxyphenoxysulfo-nyl)-urea] is a new sulfonylurea herbicide with a wide efficacy spectrum and application window for the control of dicotyledonous weeds and sedges in cereals, rice and sugarcane. The use rates of Hoe 095404 vary between 10 and 120 g ai/ha dependent on application method, application timing, growth stages of weeds, weed spectrum to be controlled, and target crop. Hoe 095404, an inhibitor of acetohydroxyacid synthase, possesses favourable environmental and toxicological properties, such as low mammalian and aquatic toxicity, high soil adsorption and moderate to fast degradation in soil. No negative effects on following rotational crops have been observed so far.

INTRODUCTION

Sulfonylureas are widely used herbicides for weed control in most of the major crops world-wide (Beyer *et al.*, 1987). Hoe 095404 is a new herbicide of this class being developed by AgrEvo for selective use in cereals, rice (Bauer *et al.*, 1995) and sugarcane for the control of major dicotyledonous weeds and sedges in these crops. Hoe 095404 is a sulfonylurea type herbicide which differs from other products of this class by an alteration in the o-position substituent in the phenyl ring as well as in the bridge atom of the sulfonylurea moiety. This particular chemistry makes Hoe 095404 a highly selective herbicide for cereals, rice and sugarcane with low toxicological and environmental risk.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Common Name: Ethoxysulfuron (proposed)

Chemical Name:	3-(4,6-dimethoxypyrimidin-2-yl)-1-(2-ethoxy-phenoxysulfonyl)-urea
Chemical Formula:	$C_{15} H_{18} N_4 O_7 S$
Appearance:	white to beige powder
Molecular weight:	398.4
Melting Point:	144 - 147 ^{0}C
Vapour pressure at 20 ^{0}C:	6.6 x 10^{-5} Pa = 6.6 x 10^{-7} mbar

	pH			
	3	5	7	9
Octanol/water partition coefficient at 20 °C	773		1.01	0,06
Water solubility at 20 °C (ppm)	-	26	1353	9628
Henry's Law constant at 20 °C (Pa·m³/mol):	-	$1.00 \cdot 10^{-3}$	$1.94 \cdot 10^{-5}$	$2.73 \cdot 10^{-6}$
Hydrolysis in 50 mM phosphate buffer (half-life in days)	-	65	259	331

TOXICOLOGY

Acute oral LD_{50} (rat):	> 3270 mg/kg
Acute dermal LD_{50} (rat):	> 4000 mg/kg
Fish - 96 h LC_{50} (Oncorhynchus):	> 80 mg/kg
Eye irritation (rat):	Non-irritating
Skin irritation (rat):	Non-irritating
Ames mutagenity:	Non-mutagenic
Ecotoxicology:	No toxic effects on *Daphnia*, honey bees, or mallard ducks

FORMULATION

Hoe 095404 is available as two water dispersible granules (WDG) containing 150 g and 600 g ai/kg product, respectively. For granular application the product is available as extruded clay granules. Flowable formulations as well as jumbo granules are under development.

MODE OF ACTION AND SELECTIVITY

As with all sulfonylureas the herbicidal activity of Hoe 095404 in susceptible plants is due to an inhibition of acetohydroxyacid synthase. Hoe 095404 has an inhibition value (I_{50}) <10^{-7} M. Further details are given by Köcher and Dickerhof (1995).

Selectivity is due to a differential metabolism of Hoe 095404 in crop plants compared to weeds (Brown, 1990; Köcher and Dickerhof, 1995).

EFFICACY AND SELECTIVITY

Hoe 095404 has been intensely field tested world-wide by AgrEvo and its subsidiaries in different countries and crop situations over the past three years. Results showed that Hoe 095404 is a new interesting, highly active and selective tool for weed control in major crops such as cereals, rice and sugarcane. The product has a wide application window and broad activity spectrum including efficacy against *Cyperus* spp. , which is particularly of note. Dicotyledonous crops do not tolerate Hoe 095404 sufficiently for selective use.

Field trials - cereals

In cereals Hoe 095404 at a rate of 15 - 20 g a.i./ha controls a wide spectrum of important broad-leaved weeds (see Table 1.).

Table 1. Weed spectrum covered by Hoe 095404 in cereals.
Dosage: 15 to 30 g a.i./ha, post-emergence application
(Efficacy groups, % weed control)

excellent 100 - 95 %	good 95 - 90 %	sufficient 90 - 80 %	side effect 80 - 60 %	weak < 60 %
Galium spp.	*Polygonum persicaria*	*Stellaria media*	*Veronica hederifolia*	*Lamium amplexicaule*
Polygonum spp.	*Matricaria spp.*	*Polygonum convolvulus*	*Lamium purpureum*	*Veronica persicaria*
Anthemis spp.			*Myosotis arvensis*	*Viola* spp.
Capsella spp.				*Chenopodium album*
Sinapis arvensis				
Thlaspi arvensis				
Raphanus raphanistrum				

Crop tolerance is excellent: winter and spring wheat, winter and spring barley, winter rye, durum wheat, triticale and oats fully tolerating Hoe 095404 up to 60 g a.i./ha.

Table 2. Cereal tolerance towards Hoe 095404. Means over wheat, barley, oats and durum wheat. Means of 7 - 15 trials. (span)

Product	Dosage (g a.i./ha)	% Cereal injury
Hoe 095404	15	0.7 (0 - 6)
	30	1.0 (0 - 7)

Field trials rice

When applied at 15 - 60 g a.i./ha Hoe 095404 controls a wide range of important annual and perennial rice weeds including Cyperaceae as well as broad-leaved species (Table 2). Amongst them are *Cyperus* spp, *Eleocharis* spp., *Sagittaria* spp., *Scirpus* spp., *Amannia* spp., *Lindernia* spp., *Ludwigia* spp., *Monochoria vaginalis* and others. Level of activity does not differ significantly regardless of the application method. Optimal application window for the product is the 3 - 4 leaf stage of the target weeds. While efficacy of Hoe 095404 against *Cyperus serotinus* must be regarded as intermediate to good, grasses like *Echinochloa crus-galli* are only suppressed but not sufficiently controlled by the product (see also Bauer *et al.*, 1995).

Hoe 095404, thus, provides an excellent tool for weed management in transplanted and water-seeded rice (see also Sitchawat and Khattiyakarun, 1995). For completion of the efficacy spectrum Hoe 095404 may be mixed with other rice herbicides such as anilofos, benfuresate (Heß and Rose, 1995; Nakajima et al., 1995).

Field trials - sugarcane

In sugarcane Hoe 095404 exhibits a remarkable pre- and post-emergence activity against *Cyperus* species in newly planted and ratoon cane at dosages between 60 - 120 g a.i./ha. Selectivity with over-the-top application is good.

Field trials - *Cyperus* activity

Numerous field tests in non-crop and different crop situations revealed excellent activity of Hoe 095404 against different annual and perennial *Cyperus* species when sprayed over-the-top.

Table 3. *Cyperus* control of Hoe 095404 four to six weeks after post-emergence application of 30 - 120 g a.i./ha

Crop	Dosage (g a.i./ha)	Species
Rice	30 - 60	*C. iria, C. difformis, C. serotinus, C. esculentus*
Non-crop	60 - 120	*C. rotundus, C. esculentus*
Sugarcane	60 - 120	*C. rotundus, C. esculentus*
Turf	60	*C. iria, C. difformis, C. esculentus*

At a dosage range of 30 - 120 g a.i./ha applied post-emergence all major *Cyperus* species occurring in rice, sugarcane, turf and non-crop areas are selectively controlled by Hoe 095404.

SOIL BEHAVIOUR

Degradation/Leaching

Under laboratory biotest conditions Hoe 095404 is readily degraded in biologically active soil. The biological degradation curve suggests a half-life time of about 18 - 20 days for the active ingredient. Half-life time for soil degradation under aerobic conditions has been evaluated in a sandy loam soil as DT_{50} = 18 days resulting in a DT_{90} of 58 days. Also under paddy (water logged) conditions Hoe 095404 was moderately degraded in Japanese soils with half-life times between 10 and <60 days. Model calculations using an intermediate KOC and water solubility near neutral pH indicated no risk for leaching of Hoe 095404 into deeper soil levels.

Soil residuals and carry-over

Soil residuals and carry-over effects have been investigated either through recropping of treated plots or special trials have been designed where Hoe 095404 was applied on bare ground with successive recropping with a wide range of possible rotation crops on different locations/soils. Results showed that Hoe 095404 poses only a low risk for potential damage of following crops; table 4 shows an example for the recropping of oilseed rape dependent on the elapsed time after treatment with Hoe 095404 in spring.
Rapid degradation of Hoe 095404 and low susceptibility of rotational crops thus minimise the risk of damage for cultivation species following harvest of the treated rice crop.

Table 4. Effects of Hoe 095404 (30 g ai/ha) on recropped oilseed rape after post-emergence application in cereals (spring). Mean of 3 to 6 trials-Germany

Recropping	Percent damage (oilseed rape)	
Days after application	7 - 21 d after planting	28 - 42 after planting
75 - 101	35	0
114 - 130	11	0
171 - 281	0	0

Data presented clearly show no significant risk for rotational crops under normal agricultural practice. 114 - 130 DAT, no noteworthy crop damage is observed in the case of oilseed rape planted after cereals treated with Hoe 095404.

SUMMARY

- ➔ Hoe 095404 is a new sulfonylurea herbicide for post-emergence use with potential in many crops
- ➔ Broad-leaved weed control in cereals with excellent selectivity
- ➔ Sedge and broad-leaved weed control in rice, again with very good selectivity
- ➔ Remarkable activity against many important *Cyperus* species
- ➔ Low environmental and rotational crop risk

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CGA-277476: A SHORT RESIDUAL HERBICIDE FOR SOYBEAN WEED CONTROL PROGRAMS

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ABSTRACT

CGA-277476 is a new post-emergence herbicide for soybeans discovered and being developed world-wide by Ciba Crop Protection. CGA-277476 is a sulfonylurea which can be applied to soybeans at a rate of 60 - 90 g a.i./ha with an additive. The standard formulation is a 75% water-dispersible granule (WDG). At 75 g a.i./ha, CGA-277476 controls economically important weed species such as *Abutilon theophrasti*, *Xanthium strumarium*, *Amaranthus* spp., *Ambrosia artemisiifolia*, *Echinochloa crus-galli*, and *Ipomoea* spp., rhizome *Sorghum halepense*, and *Sorghum bicolor*, and suppresses *Chenopodium album*. CGA-277476 is a short residual herbicide which allows normal crop rotations without concern for carryover injury; therefore, it adds an important component to weed control programs in soybeans.

INTRODUCTION

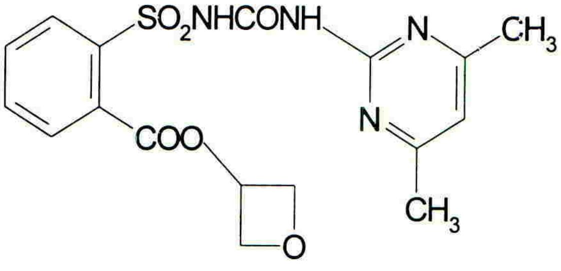
Successful use of soybeans (*Glycine max* (L.) Merr.) as an oilseed in Europe from about 1900 to 1910 promoted interest in its use in the U.S.A. (Smith and Huyser, 1987). Today, the soybean crop continues to dominate world oilseed production. Relatively few countries produce soybeans: the U.S.A., Brazil, China, and Argentina together account for approximately 95% of the world production. In Europe, Italy has become the largest soybean producer. However, the U.S.A. is clearly the world's number one producer with a share of about two thirds of the world production.

A wide range of different weed species compete with the soybean crop. The intensity and distribution of weed species are functions of a complex interaction among soil properties, rainfall patterns, temperature, and cultural practices (Jordan *et al.,* 1987). In the U.S.A., more than 40 weed species are found competing with soybeans for light, nutrients, and water, often serve as alternate hosts for insects and pathogens, and reduce the efficiency of harvesting equipment. Early post-emergence herbicide applications, when soybeans are 10-15 cm tall and weeds are generally less than 7.5 cm tall, give the best weed control and the least chance of crop yield loss (anonymous, 1992). The period of weed control lasting up to the fourth node growth stage (V4), approximately 30 days after emergence, was adequate to prevent yield losses greater than 2.5% (Van Acker *et al.*, 1993). In studies on their competitive ability, in particular *Xanthium* spp., but also *Abutilon theophrasti, Ambrosia artemisiifolia, Sesbania* spp., and *Polygonum pensylvanicum* have been demonstrated to be the most competitive broadleaved weeds (Jordan *et al.*, 1987).

Weed control in soybeans continues to be challenging with difficult-to-control broadleaved weeds and grasses. Most soybean weed control programs require an integrated approach with pre-emergence and post-emergence herbicides, cultivation or planting on narrow row widths, and crop rotations. CGA-277476 (Brooks *et al.*, 1995) is a herbicide which fits into such an integrated strategy by offering a broad-spectrum of post-emergence weed control while allowing the maximum flexibility and safety to crops planted after soybean harvest. The current paper summarizes its physico-chemical properties and weed control spectrum and discusses its use potential in soybeans.

CHEMICAL AND PHYSICAL PROPERTIES

Chemical Name (*IUPAC*)	3-(4,6-dimethyl-pyrimidin-2-yl)-1-[2-(oxetan-3-yl-oxy-carbonyl)-phenylsulfonyl]-urea
Common Name	*(not yet released)*
Chemical Structure	



Empirical Formula	$C_{17}H_{18}N_4O_6S$
Molecular Weight	406.42
Appearance	white, solid powder, odourless
Melting Point	158°C (with decomposition)
Vapor Pressure	< 2 x 10^{-6} Pa (very low)
pKa	pKa_1 = 5.1 (acidic)
Solubility	52 ppm in water at 20°C (pH = 5.1)
Soil Adsorption Constant (K_{OC})	30.6 (mean of 4 different soils)
Formulation	75% water-dispersible granule (WDG)

TOXICOLOGY AND ECOTOXICOLOGY

CGA-277476 exhibits low toxicity to humans and animals. The active ingredient has properties which provide a favourable toxicological profile. The toxicological and ecotoxicological profiles of CGA-277476 are summarized in Tables 1 and 2.

TABLE 1. Summary of Acute Toxicity of CGA-277476 (Technical Material).

Test	**Species**	
Acute Oral	Rat	LD_{50} >5000 mg/kg
Acute Dermal	Rabbit	LD_{50} >2000 mg/kg
Acute Inhalation	Rat	LC_{50} >5.08 mg/l air
Dermal Sensitization	Guinea Pig	Not a Sensitizer
Skin/Eye Irritation	Rabbit	Slightly Irritating

TABLE 2. Summary of Ecotoxicity of CGA-277476 on Fish and Wildlife (Technical Material).

Test	**Species**	
Acute Toxicity	Bluegill	LC_{50} >111 mg a.i./l
Acute Toxicity	Trout	LC_{50} >116 mg a.i./l
Acute Toxicity	Honeybee	LD_{50} > 25 µg a.i./bee
Oral Toxicity	Quail and Mallard	LD_{50} >2250 mg a.i./kg
Dietary Toxicity	Quail and Mallard	LC_{50} >5620 ppm a.i.
Acute Toxicity	Daphnia	EC_{50} >89.4 mg a.i./l

BIOLOGICAL EFFICACY

Mode of Action

CGA-277476 is readily taken up by shoots and roots and is translocated to the meristematic tissues after uptake. Growth of susceptible weeds is inhibited following an application of CGA-277476; the leaves turn yellow or red after several days, followed by complete death of the plant 1 to 3 weeks after application. Partially controlled weeds are often stunted and less competitive to soybeans. CGA-277476, like other sulfonylureas, inhibits acetolactate synthase (ALS). CGA-277476 is rainfast four hours after application.

Crops and Selectivity

CGA-277476 can be applied selectively to soybeans pre-emergence or post-emergence, and soybeans rapidly metabolize the herbicide. Soybean varieties may show some tolerance differences, but under normal growing conditions all tested soybean varieties exhibit acceptable tolerance. Crops other than soybeans have not shown commercially acceptable tolerance to direct applications of CGA-277476; however, CGA-277476 is tolerated by corn hybrids with genetically-selected resistance to imidazolinones (IR corn), while other hybrids can be severely injured. In comparisons to imazethapyr and chlorimuron, CGA-277476 has demonstrated similar soybean tolerance levels; compare Table 3.

TABLE 3. Soybean phytotoxicity comparisons between CGA-277476 and commercial standards (direct comparisons among 11 tests conducted in the U.S.A. in 1994).

Herbicide*	Application Rate (g a.i./ha)	Average of Early Phytotoxicity Ratings (%)
CGA-277476	66	8.8
	79	11.7
	92	12.0
imazethapyr**	70	8.5
chlorimuron	13	16.9

* all treatments were applied with the additive X-77 at 0.25% v/v.

** plus urea ammonium nitrate at 1.9 l/ha (standard recommendation).

Weed Control

CGA-277476 controls many broadleaved and grassy weeds; the level of weed control is dependent upon weed species, weed size at application, and growing conditions. Weed control is improved when ample soil moisture exists before and after CGA-277476 application. CGA-277476 can be tank-mixed with other post-emergence soybean herbicides. Possible tank-mix partners are acifluorfen, lactofen and bentazone.

Tank mixtures with post-emergence grass herbicides are not recommended because of the reduced grass control of species such as *Setaria* spp., *Digitaria* spp., *Panicum* spp., and other species which CGA-277476 does not completely control. Post-emergence grass herbicides must be applied either 1 day before or 7 days after the CGA-277476 application. If grasses such as *Setaria* spp. are expected, a pre-emergence grass herbicide such as metolachlor should be applied.

Weeds Controlled

Weed control efficacy with CGA-277476 is excellent on many of the economically important broadleaved weeds. Table 4 lists the weed species controlled by CGA-277476 at application rates between 60 and 92 g a.i./ha.

TABLE 4. Summary of efficacy (% weed control) from CGA-277476 applied post-emergence in soybeans between 60 and 92 g a.i./ha.

Weed Species	Application Rate ----- g a.i./ha ----- 60 - 66	90 - 92
	-- Avg. % Control* --	
Abutilon theophrasti (velvetleaf)	91 (76)**	94 (54)
Amaranthus spp. (pigweeds)	74 (44)	86 (31)
Ambrosia artemisiifolia (common ragweed)	88 (35)	91 (27)
Ambrosia trifida (giant ragweed)	80 (3)	85 (2)
Bidens pilosa (hairy beggarticks)	99 (29)	--
Chenopodium album (common lambsquarters)	69 (42)	80 (29)
Cyperus esculentus (yellow nutsedge)	77 (4)	80 (3)
Datura stramonium (jimsonweed)	69 (5)	73 (3)
Desmodium spp. (beggarweed)	95 (5)	--
Echinochloa crus-galli (barnyardgrass)	89 (3)	89 (3)
Ipomoea spp. (morningglories)	80 (49)	81 (29)
Polygonum pensylvanicum (smartweed)	93 (13)	96 (12)
Sesbania exaltata (hemp sesbania)	67 (14)	72 (13)
Sida rhombifolia (arrowleaf sida)	81 (21)	--
Sorghum bicolor (shattercane)	85 (7)	96 (4)
Sorghum halepense (rhizome johnsongrass)	70 (6)	74 (5)
Xanthium spp.(cocklebur)	88 (63)	91 (35)

* average percent control values across ratings 40 to 60 days after application.

** number in parentheses indicates the number of tests.

ENVIRONMENTAL FATE

CGA-277476 degrades rapidly in the soil with a half-life of less than two weeks. Breakdown in soil is primarily microbial and hydrolytic with some photolytic degradation. Soil degradation of CGA-277476 is independent of soil pH (5-9), organic matter content, or soil structure. The degradation products of CGA-277476 are herbicidally inactive. Under most environmental conditions, CGA-277476 is rapidly degraded in the soil which allows most rotational crops and vegetables to be planted after soybeans without injury or stress due to herbicide carryover; compare Table 5.

TABLE 5. The effect of CGA-277476 to rotational crops compared to commercial standards (direct comparisons among tests conducted in the Northern U.S.A.).

Crop	CGA-277476 120 g a.i./ha (2X Standard Use Rate)	imazethapyr 70 g a.i./ha	chlorimuron 13 g a.i./ha
	-- Average of Maximum Phytotoxicity Ratings (%) --		
Spring Barley (1)*	3	0	2
Spring Wheat (3)	0	0	2
Oat (2)	3	0	1
Sorghum (3)	0	20	30
Field Corn (4)	0	7	29
Sweet Corn (2)	0	4	9
Sugarbeets (5)	10	60	68
Canola (4)	11	30	48
Sunflower (5)	0	25	38
Alfalfa (3)	3	25	49
Green/Snap Beans (3)	0	0	20
Peas (2)	0	0	3
Potato (2)	1	33	6
Lentils (1)	0	0	58
Navy Bean (1)	3	10	28

* number in parentheses indicates the number of tests.

Notes: Maximum use rates were applied to assess the greatest potential for rotational crop phytotoxicity. Phytotoxicity ratings were taken on the crop which was planted the following year after a post-emergence application to soybeans.

INTEGRATION WITH WEED MANAGEMENT PROGRAMS

With the exception of certain areas in Asia, nearly all soybeans are treated with herbicides for weed management. In addition, many soybean fields still receive mechanical inter-row cultivation before closure of the crop canopy.

Among herbicide applications, pre-emergence treatments against grass weeds, such as *Setaria faberi*, are very common. Effective compounds including metolachlor and trifluralin are available; mixtures with other compounds, e.g. metolachlor plus flumetsulam or metribuzin, provide additional control of broadleaved weeds. Use of post-emergence compounds has increased in the past, since effective solutions have become available, e.g. imazethapyr for broadleaved and grass control, thifensulfuron and chlorimuron for broadleaved weed control, and ACC-ase inhibitors for grass control.

Some of the new post-emergence residual solutions, however, can cause injury to important rotational crops (Vencill *et al.*, 1990; Krausz *et al.*, 1994), such as corn, sorghum, wheat, and vegetables. In this respect, CGA-277476 provides an innovative solution, as post-emergence broadleaved weed control will be possible without concern regarding the selection of rotational crops. Furthermore, the mixture with KIH-9201 (CGA-248757), another new herbicide currently being developed by Ciba Crop Protection and Kumiai Chemical Company, has excellent burndown activity on many broadleaved weeds, especially *Abutilon theophrasti* (Miyazawa *et al*., 1993; Porpiglia *et al.,* 1994*)*, and will offer even broader application timing flexibility. Overall, metolachlor-based herbicides applied pre-emergence followed by post-emergence herbicides based on CGA-277476 will provide a complete weed control program for growers to control weeds in all soybean crops without concern about carry-over to rotational crops.

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