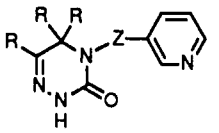
But what if it were granted in its present form? What subsequent specifications would fall within the scope of this small part of claim 105. I glanced through the abstracts in a single class of the Derwent Manual Code and found some 40-odd patents filed after the publication date of PCT 8704321 that would infringe. One, for example, is EP 314615 which claims compounds of the formula



where Z is an aminomethylene or methanimino group. It is interesting that the EPO did not cite the PCT specification when carrying out the search on this European application, for there are some quite interesting pyridyl-substituted 1,2,4-triazines set out in tables in the text.

There are probably just two reasons why specifications appear with broad complex claims such as we have discussed this afternoon. The first is that the technical area is subject to much research and that the applicant must draft the claims carefully to prevent overlap. The second, is greed.

What must the database producers do with such specifications? Do they try to process them, or do they just throw them into a garbage bin? What are patent offices to do? Do they discount them and hope that they will go away, do they spend time processing them, or just give in and grant them? What do patent attorneys do? Do they carry on pretending that the problems caused by such specifications have nothing to do with them and that they must retain the freedom to continue in the same way? Lastly what are the searchers to do?

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Very Broad Markush Claims;[1] A Solution or a Problem? Proceedings of a Round-Table Discussion Held on August 29, 1990†

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INTRODUCTION

On August 29, 1990, during the National Meeting of the American Chemical Society in Washington, DC, a symposium was held to consider very broad Markush claims. Two formal papers were presented, dealing with the advantages and disadvantages of broad generic claims, and these were followed by an open, round-table discussion. The two papers, by Sibley[2] and by Brown[3] are published immediately prior to this article, and there follows a transcript of the round-table discussion. The transcript has been edited but not materially changed or abridged.

Gerry Vander Stouw: Could we take places and come to order please? On behalf of the Divisions of Chemical Information and Chemistry and the Law, I would like to welcome you to our final technical program to be held in this room this week, not, however, our final technical program because we do have a cosponsored session on risk assessment tomorrow in room four in this hotel. That will be an all-day session on risk assessment. At this time, I would like to turn the podium over to Mike Dixon, who will be chairing this program on very broad generic structures and Mike, I think, will introduce the rest of the program and also perhaps explain to you how it is all going to work. Mike.

Dixon: Gerry, thank you. Good afternoon and welcome to this Symposium entitled Very Broad Markush Claims; A Solution or a Problem? This morning, those of you who were at the sessions organized by Mike Feider of Dow Chemical, if you are the same crowd, my compliments to you on your perserverance, you heard what Markush claims were from the point of view of search and retrieval. What we are going to hear this afternoon is, is it all worthwhile? There are inherent problems depending upon which side of the table one is sitting upon with regard to Markush formulas.[1] There are those, dare I suggest, from industry who wish to hide in the Markush claim all sorts of valuable information and put a sort of smoke screen around the particular compound for which they wish to obtain a patent. On the other side of the table, there is the competition, the chemists and the patent examiners in the Patent Offices who really need to be able to test validity and to find the needle in the proverbial haystack.

In between, there are information scientists who like to play with these compounds and invent all sorts of mystical problems around them and call them things like "nasties". We will hear about those, I am sure, this afternoon. Our format will be slightly different from that of the usual program. We will begin with two formal papers, and then we will have an open discussion which will be lead by various people, panelists here, who I will introduce subsequently.

Before going further, I have first of all, to thank the gentleman who has just walked in with this great pile of paper, Bill Milne, editor of the *Journal of Chemical Information and Computer Sciences*, who is really the organizer of this symposium, for his efforts in getting it all together. Secondly, I have a request to make of each and everyone of you. That is, if you have the temerity to ask a question or make a point, would you please state your name, your affiliation, and speak clearly. We may find it necessary to repeat the questions. If we repeat them incorrectly, please make us repeat it until we get it right. But, if you can speak up, it would help those at the back of the room and the lady on my right, far far right by the wall, who I am told will complain if we don't speak loudly enough.

So, now we are going to introduce our first participant, Jim Sibley. Jim has a B.Sc. and a Ph.D. from the University of London. That is one of the better universities of course. That is London, England, not London, Ontario. Jim has been in

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the realm of patents from the chemical point of view for 25 years, first with Ciba-Geigy and then latterly, more recently, with Shell in London and moved into patent documentation work after having been a research chemist for 6 or so years.

He is very active in particular with Federation Internationale de Documentation (FID) and the patent documentation group of FID and is a member of various committes, not the least of which is the Derwent User Committee. One final thing: in his opening comments this morning, Mike Feider referred to a particular patent, US 1506316. Well, I instantly rushed out and obtained a copy of it from a well-known company whose name I am not supposed to mention. We have spread around a few copies so that you each now should know who Markush was and what his original patent was all about and why we have problems today. If anybody hasn't got a copy—there weren't sufficient for every seat—let me know later and I will get a copy to you.

Our second participant is Lucille Brown, who is sitting here on my left. Lucille is a Senior Information Scientist with Abbott Laboratories and works in the Information Services Department. She is a graduate of Cornell University with a background in human biology, chemistry, biochemistry. She has had extensive industrial information experience in food, petrochemical, cosmetics, and most recently in the pharmaceutical industry. Her responsibilities include systems development, information analysis, supervision, and training of patent research staff.

I would now like to move on and introduce the other members of our panel, give each of them an opportunity to say a few words, to add their five cents worth or dime's worth to the debate as it were, this afternoon and then invite more open discussion. In introducing the panel, I first of all go to my immediate left where we have Edlyn Simons. Welcome Edlyn. Edlyn is, of course, the Patent Information Supervisor at Marion-Merrill-Dow.

Simmons: Thank you Michael. I think that the problem with Markush claims is a combination of two problems: a problem with the law and a personal problem. As long as the law allows people to put anything they want to in a patent application and publish the application within 18 months in Europe or eventually publish the complete specification[1] in the United States with modified claims, then people have the opportunity to write whatever they want. There is nothing to stop a patent attorney or agent from running amuck and writing gibberish. You can't index gibberish.

But how do we get that sort of thing changed? Can we actually change the law and just tell people not to do it, or are we going to change the whole system so that somebody examines the patent application for clarity without which they won't let it publish at all if it isn't clear? I don't see people doing that; even if we published laws that say that clarity in claims is essential, we couldn't enforce them.

If overly broad Markush claims were outlawed, only outlaws would write really broad Markush claims.

Actually, I think that patent attorneys and agents have a lot of motivation already not to write claims that can't be understood or searched or enforced. They run the risk of tripping over the prior art and having their patent application rejected or invalidated.

Even if they don't lose the claim, if it is too broad, the full scope of the patent will be ignored by the law. The patentee's competition can get selection patent rights in the middle of what they claim is their invention. I think the worst risk is that by drawing up a claim that is so broad that it encompasses everything in their area of research the owners of overly broad patents are creating their own prior art. If they have done that, then they probably can't actually patent something new that is really good because it might happen to fall into the scope of a 5-year-old patent specification that may not be valid.

So, I don't know how to solve this. As I said, I think it is a personal problem caused mostly by people who are so greedy, to use the word I think I heard earlier, about getting complete coverage of a broad claim that they overlook the disadvantages of doing so. I recommend self-control.

Dixon: Thank you Edlyn. Continuing along the left-hand side here. Sitting next to Edlyn is Bob Stone, who is an attorney in the Patent Department of Colgate Palmolive and since 1966 has been the Managing Patent Counsel at their Piscataway, NJ, technology center. Bob holds a B.S. in chemistry from Queens College and a J.D. from a local college here, George Washington University. He is a member of the bars of both the District of Columbia and New Jersey. He spent his early days as a patent examiner and is now still active as the chairman of several committees of the New Jersey Patent Law Association. Bob, would you like to make some comments?

Stone: Thank you Mike. If I just might comment in a more personal way at first. Next week, another community thing that I am doing, is moderating a debate between two congressional candidates. I only hope that we can get as many people to turn out for that as we have for this.

I am a patent attorney. In my time, I have written my share of Markush claims. I have not nor am I writing any Markush terminology such as you have seen today. But, I do tend to write claims as broadly as I feel that I legitimately can. With respect to writing broad Markush terminology, my responsibility is to my client.

My client is the inventor. He is entitled to get a monopoly for not only his specific invention but in a way which will defend him against somebody preempting the real basis of his invention with a very close copy. To that end, broad claims are important and necessary to foster the patent system.

With that said, there is a need also to be able to adequately search and define the parameters of invention. That is a lot of what we are talking about here today. The ability to search, in my own personal opinion, is perhaps not as serious as some of the indications that you have heard although it could be that in a period of a few years, it may become much worse. But right now, an effort is made to search inventions before a patent application is filed. The Patent Offices also do both manual and computer-based searches. After the patent is granted, if it is subjected to litigation, there may be an effort to invalidate it with a new search.

Since the establishment of the Court of Appeals for the Federal Circuit here in the United States, there has been an astoundingly large percentage of patents which have been held valid. Logically, it seems to me that it must follow that the patents that are being granted are being granted with claims that do stand up. That is why I say that I am not convinced that we have a problem that has reached a point where it presents an unresolvable difficulty. But I do see and understand that the need to search in an increasingly technological society can become worse and that is why patent attorneys, documentation specialists, and patent office people do have to sit and talk. Thank you.

Dixon: Thank you Bob. Now, we come to the first of our representatives of a Patent Office. This is, in fact, John Brennan of the European Patent Office at The Hague. He too is obviously from across the ocean. But he is a Scotsman; I have to be very careful not to confuse you by saying that he is English. John has a B.Sc. in chemistry from Leeds University and he also took his Ph.D. there. After having attended the University of California at Berkeley on a NATO postdoctoral fellowship, he returned to the United Kingdom and joined the staff of the University of Edinburgh. Subsequently, he moved to UMIST, that is the University of Manchester

Institute of Science and Technology. From there, he moved on to the European Patent Office at The Hague where he has been involved as an examiner specializing in the areas of new organic compounds, especially heterocyclics and sugars and their use-related fields. Recently he has been involved in the β-testing of both the Derwent Markush-DARC system and the CAS MARPAT system. So, John, could you give us a few words?

Brennan: Thank you. First of all, the European Patent Office (EPO) currently has no formal declared policy as far as Markush claims are concerned. As Jim Sibley has pointed out, there is a committee looking into this, so perhaps a policy will be developed. But at the moment there is none. So what I offer today are my own opinions.

I am glad to hear so far that nobody has been complaining too much about Patent Offices allowing excessively broad claims, which is something I have heard a large number of times. As Edlyn pointed out, all the major patent systems, with the exception of the United States, publish unexamined applications. They are published without any examination other than pure formalities. It is a blank sheet of paper and as long as the applicant writes within the margins and has a description and claims, then the application must be published at that level. So in the vast majority of cases, the patent offices can't do anything initially. I think that the industrial community wouldn't be happy if clerks at the patents receipt stage started to chop bits away or to say that parts weren't allowable. Therefore, for the unexamined system—and I believe both for European and PCTs both the examined and unexamined publications were originally considered and there was a preference for unexamined applications to go out after 18 months—anything that is going to be done is going to have to be done after 18 months in order to try to discourage further applicants from putting these unpleasant things in in the first place.

Now, a system of multiple fees is something which a number of people have suggested. They tend to say "multiple fees" without going into a lot more detail. It sounds very attractive; if somebody puts in a big messy application, then you charge then 10 fees instead of one. When you come down to trying to define how you would make them pay the multiple fees, however, it becomes much more difficult.

That fees should be based upon the number of compounds claimed is, of course, the first thing that is suggested. That is only relevant, however, if there is a finite number of compounds in the application. In my opinion, it is often not unreasonable for a claim to cover an infinite number of compounds. Even where there is a finite number of compounds, assuming that people could be forced to restrict their claims to a finite number of compounds, definitions such as "a hydrocarbon group of up to 20 carbon atoms", which appear not infrequently, would cause an awful lot of examiner time just sitting down, working out how many hydrocarbon groups there were of up to 20 carbon atoms. This is in fact a nontrivial problem in mathematics. One may be sure, moreover, that the attorney and the company who claimed this would have a different idea from the examiner, and then, we would have to waste time arguing over that. Finally, there would have to be appeals. Charging according to the number of compounds, I believe, is a nonstarter.

Another method which has been suggested is relating the fees to the amount of search time involved, and the applicant paying in proportion to that. I think that is also very difficult to justify intellectually because the amount of search time will depend upon the classification system used by the Patent Office involved. In one Patent Office, they might just have to search in one documentation group to cover what looks like a fairly complex application because there is a pyridine ring in a claimed structure and the pyridine ring comes fairly high on the classification system. Another Patent Office may have to search 20 groups of documentation to handle the same application. So an applicant might pay one fee in the United States and a much larger fee in Europe on the same application. Even within one system, the same amount of material could involve very large differences in fees purely on the basis of an arbitrary classification system. This again seems intellectually unfair to the applicants.

One possible solution is a procedure which we use in the European system and that is to propose lack of unity of invention. A Markush formula says that we are dealing with a group of functionally equivalent structures. Obviously, the broader the Markush claim is, the larger the number of functional equivalents. If we can discover one compound with the same activity which falls within that Markush formula, then we can say to the applicant that we have found one of his functional equivalents and, therefore, if he wishes to continue to say that everything else is equivalent to that, then there is not an invention any more. Either that, or as we see it now, there is in fact a multiplicity of inventions and he must pay the corresponding number of search fees. Obviously, the broader the Markush claims become, the greater the chance of the applicant falling into that kind of a trap.

So, from the EPO point of view, I think that this is the only system which, in the future, as far as I can see at the moment, has some hope of success in limiting what applicants put into their claims. Thank you.

Dixon: Thank you John. Our second representative of a Patent Office is also a John—John Terapane from the U.S. Patent and Trademark Office (USPTO) just down the street and across the river from here. John obtained his Ph.D. in physical organic chemistry from the University of Cincinnati and subsequently enjoyed a position on the staff at that University for a few years before joining the Patent and Trademark Office in 1970 as an examiner in organic chemistry.

In 1975, he took up a position within OTAF, the Office of Technology Assessment and Forecast (which has since been disbanded) at the USPTO. In 1982, he was appointed to the position of Supervisory Patent Examiner of Art (Chemistry) in Unit 123 where he supervised the examination of patent applications for organic compounds and their uses. In 1983, he was appointed Director of OTAF. In 1985, he joined the special Law Division of the Patent and Trademark Office as Head of the Chemical Unit.

Mostly recently, in February of this year, he became Director of Group 120, the principal organic chemistry group in the USPTO. There, he is responsible for the work of about 100 patent examiners. Most of the applications with the type of broad Markush claim which we have been discussing this afternoon are filed in Group 120. John?

Terapane: I though we were to take a stand on whether this was a problem or not. I will do that right up front and say it is a nagging problem. I would put it right up there with living under the national debt or with my teenager. It is certainly a problem in Group 120. I think the contribution I can make is to give you a brief review of what we've tried to do about this problem. It has been of some interest for two decades. We have been somewhat inventive in trying to respond to this problem of broad Markush claims.

Beginning about 1970, we tried to apply the appropriate statutes pertaining to the support required for broad claims. We rejected the claims in many applications for not having an enabling disclosure for making all of the compounds, not showing how to use all of the compounds and/or not having utility. We took the position that many of the compounds claimed cannot have the disclosed utility.

There is a strong presumption of validity to what the applicant claims. The only way we can get sustained on the above approaches is for us to do more than just make allegations. We have to have a written document to support our position, or at least some very sound scientific principles to support it. Over the decade of 1970–1980, the courts pretty much went against us. It is rare now for an examiner to be sustained for making enablement-type rejections against a broad Markush claim.

Around 1980, we decided to change our approach. We began rejecting broad claims under the statutes that permit restriction of an application. The courts said we couldn't do that. In other applications, we withdrew the claim. We said we weren't going to examine it, again using the statute that permits restriction. The courts said we couldn't do that either.

We are now left with a situation where we don't have many tools to handle these Markush claims. Only a few actions are possible. If the claim contains compounds so disparate that there is no common core or common utility, we can make a rejection. We can work with the attorneys and have them voluntarily restrict the application, and we try to do this. If we find some prior art, we can reject the claim.

Our practice in the USPTO differs from that of the European Patent Office in that we do not allege, just because we found art, that all the disparate compounds in a Markush claim are equivalent. In fact, if you read through our case law, you come up with the other conclusion. The Markush claim almost by definition contains compounds that are disparate but not necessarily equivalent.

In 1986, we tried again. We made two proposals to the principal bar group. We first proposed amending the law to permit restriction on a single chain. The second proposal we made was to raise the fees to be commensurate with the amount of work involved. Somebody did a calculation, which indicated that one of their filing fees would have been $480 000. They took a very strong stance against both of those proposals, as you can well imagine.

Picking up on a comment that Edlyn made, it is going to take a joint effort. I think there is a problem here. I think it needs to be solved. I think it needs the input of the information scientists. I think it needs input from a representative cross-section of the patent community. Social consciousness is also needed. It is like handling your teenager. I don't think there is one single solution. I think it is many things that you hope will work. It is going to take the cooperation of everyone.

Dixon: Thank you John. Our second representative from industry is Paul Ginsburg, who is presently the Assistant General Patent Counsel at Pfizer, in New York. Paul obtained his B.S. from CCNY in chemistry in the mid-60s and later his Ph.D. from the City University of New York and his J.D. from Columbia. Prior to joining Pfizer, he worked with Schering-Plough, with Merck, and with the patent firm of Fish and Neever. So, here now is a second point of view from industry. Paul?

Ginsburg: First, in general terms, I think it is industry's view that broad and adequate patent production is really critical in the United States. As Lucille Brown pointed out,[3] particularly in the pharmaceutical business, the costs of developing a drug are so enormous that cutting back on the scope of the patent protection could have a really chilling effect on the research and development that pharmaceutical companies can afford to undertake.

Our view is that the inventor should be able to obtain patent protection that reflects what his inventive contribution is. Whether it should be broad or narrow really has to be analyzed on a case-by-case basis against the background of the prior art. If one has a very significant, perhaps pioneering, invention, one should be entitled to claim it in the broadest possible terms. We recognize that this can cause problems with searching and, as the other speakers have suggested, we agree that this is a problem that has to be looked into.

But I think it is a problem that we have to do the best we can to solve without jeopardizing the current extent of patent protection in the United States. It is a problem for both industry and for the United States as a whole because there is a tremendous amount of foreign competition out there and, in our view, the United States companies should be more competitive rather than less competitive and we don't want to tie their hands, so to speak.

One should not feel that because broad claims are allowed there is anything inherently wrong with that. We don't believe that it is anticompetitive. We think that it is procompetitive. Granting broad claims encourages people to disclose their inventions. Patents are limited in term ranging from 17 to 20 years roughly; 17 years now in the United States. Thus, even if one obtains very substantial patent protection, it is only for a limited amount of time.

It is quite possible that someone will get a broad patent and someone else can get what we call a selection invention within that broad scope. Then, there generally is a cross license that will allow both parties to practice the invention of the selection patent. I think that the classification problems outlined by Jim Sibley,[2] while they certainly were to some extent terrifying, may not be truly representative of the typical pharmaceutical patent application. Some of those claims, I would concede, were poorly drafted. But, I don't think they are typical. I don't recall a single case that I have written that was as complex as any of those discussed. I think an effort really does have to be made by patent attorneys to try to make their claims clearer. This is something, of course, that people have to work on.

I would like to make just a few legal points now. These will be somewhat unconnected because they are not based on prepared remarks but rather offered in response to some of the points that earlier speakers made. One problem that was raised is that a broad claim can be so broad as to cover inoperative compounds. It is my understanding that the courts have held that if there are only a very small number of inoperative compounds within a broad genus, that doesn't necessarily invalidate the broad claim. In any event, even if one's broad claim would be held invalid, even if perhaps it covers things that are not operative or because it is so broad that it inadvertently reads on the prior art, the remedy for that is to have a whole series of dependent claims. That is why patent applications generally have a number of claims of decreasing scope.

A good patent attorney will always put in a claim that covers the commercial embodiment, if it is known, quite specifically. Thus it is highly unlikely that a good patent attorney would write a patent application that would not be held to cover what is going to be sold.

The title of the talks that we have heard this afternoon focused on the problem of possibly overly broad Markush claims. But I would like to point out that things may really be more complex than that. Because the problem is really one of what the disclosure of the patent application is rather than what the claims are. Even if one had relatively narrow claims, because perhaps there might be some regulation that would limit the scope of the claim, the disclosure could still be very broad. If a disclosure is broad, those people who do abstracting of the application are going to be stuck with abstracting the disclosure. Again, from the point of view of what is the relevant prior art, the relevant prior art is what is in the disclosure, not what is in the claims.

One other problem that we have is that even if by *fiat* we could go so far as to not merely regulate what people put into

their claims but what they put into their patent disclosures, the remedy for that could be that some people will publish in various publications such as *Research Disclosure* what they would have put into their patent application. Again, this would set up prior art that examiners would have to deal with. For that reason, trying to regulate too closely what is going to go into a patent application could be counterproductive. What you would be doing would be forcing people to put their disclosures into a document that is less readily available than a patent document and that would really be against the public good rather than for the public good. So, I think that what we are going to be stuck with is doing the best we can to try to make our disclosures clear and to try to abstract and classify them as best as we can. But, it is not a problem that is going to be easily avoided by trying to restrict what people can put into patent applications.

Dixon: Thank you very much, Paul. We come now to the last of our panelists. Peter Norton on my right, like Richard Kurt sitting in the back row and myself, is a graduate of the Derwent University Patent Information Class of 1989. Peter has been involved with indexing and retrieval of patents for certainly 30 years for which I have known him.

He joined Derwent after having worked as Aspro-Nicholas in the United Kingdom as an information specialist. He joined Derwent in 1963 and is in fact the father of the famous Derwent code. Peter is the inventor of the fragmentation code and saw it through its various generations at Derwent. In 1971, he became a Director of the company and had the responsibility for all the chemical coding activities. Of course, in the few years prior to leaving Derwent, he was particularly involved in the Markush-DARC project and was the originator of many of its features and was active in the development of the whole system. Since leaving Derwent, he has formed his own consultancy company, which has the name of Shennor. Peter is now concentrating on indexing the "nasties". Peter?

Norton: Some 3 years ago, I tried to think of a way of describing patents which took an excessive amount of time to index. I came up with the word "nasties". This proved to be very popular and so today, I give you the sequel to it, "supernasties". These are patents which are virtually unindexable. In fact, if you take a look at Figure 1, you should see an example of a supernasty. All these have, in fact, been indexed. Each one of these was supposed to indicate a particular point about broad patents. But there won't be time to go through all the points.

To begin, I would like to say that the main purpose of a patent is not to provide the inventor with exclusive rights to a vast area of chemistry but rather to stimulate innovation in others by a full disclosure of the invention to the public. I would liken an invention to prospecting for gold. If one prospects for gold in California and makes a strike, one stakes a claim. That doesn't prevent someone else from coming along on the next hill and making an even bigger strike or, in the patent area, an even better drug.

The broad patents, the nasties, I should say, preclude anybody prospecting for gold in California, South Africa, Australia, or Russia. The supernasties would not only forbid you from searching for gold in this galaxy, they would forbid you from searching for any metal as well.

The second point I would like to make refers to two of the examples here, in Figures 2 and 3, and concerns the dangers inherent in very wide patents. If you look at Figures 2 and 3, here we have two supernasties, both covering an infinite number of compounds. Figure 2 shows a European patent (EP 318093) and Figure 3 shows one from the Patent Cooperation Treaty (PCT) (WO 8904303). They overlap to a tremendous extent, although this is not immediately obvious. The other interesting point is, first of all, the first has three International Patent Classifications (IPCs, underlined), while the other has four, but they have none in common. The next point is that the two priorities are both U.S., and they are 3 weeks apart. So I look forward to the litigation with great pleasure.

The last example, Figure 4, is my summary of the nasties that I have had to handle during the first half of 1988, namely, Derwent weeks 8801–8826. These are for pharmaceutical and agricultural patents only. Dyes are not included in these figures. I would also like to point out that anything I say here is my own opinion and has nothing whatsoever to do with Derwent Publications Limited except for the fact that they did provide me with the original documents.

If I can refer to another example, in Figure 5, this is a sulfonamide by a certain Wilmington Company. The abstract goes on for 3 pages and is similar to the sort of thing that Jim has been talking about. The reason that I put it in here was to draw your attention to the provisos on the third page of the patent. If you look at provisos 2, 3, and 6, which by the way appear in claim 1 (this is a U.S. patent—US 4838925), what happens if X or Y is halogen or halomethoxy and A is (A-3)–(A-6) or (A-8) or (A-9)? For $64 000, what is Z? The answer is, it must be carbon and it must also be nitrogen! Needless to say, proviso 6 does not appear in the disclosure which puzzled me. The previous two examples (Figures 6 and 7), also refer to errors in the production of the patent document either by the attorney or the examiner. In fact, I think Figure 7 was a European patent so it must have been the attorney. The number of errors that do creep in to patents or patent documents nowadays is increasing, and some of the documents are becoming almost unintelligible.

Dixon: I am glad to see that the heading to your table of the nasties by patentee is itself not exactly error free; Derwent continues with its own nasties! It is also interesting that the table in Figure 4 shows a typical binomial distribution. What should be an interesting exercise is now for you to take this and correlate it with the Fortune 500 positions of each of those companies and see who gets the advantage out of drawing up these strange Markush formula patents.

We have come to the point now, ladies and gentlemen, where we are open for discussion. I invite discussion from each and every one of you: either between yourselves, in which case we will all leave, between yourselves and the panel, and between the panelists. I am just going to try and act as chairman.

The one thing that I would ask please, yet again, if you have anything to say, please state your name, your affiliation, and I know you are affiliated to the American Chemical Society, we will not accept that, and please speak clearly. So, who wants to start the ball rolling? Bob Buntrock caught my eye first of all.

Buntrock: Bob Buntrock, Amoco Corporation. What I am about to say represents my own opinion. These opinions are strictly my own. I don't expect anybody else to identify with them. But I have been thinking about this for a fair amount of time. I am not primarily a patent searcher. But as I am a professional searcher, I do deal with patents. I guess I have been getting a little philosophical, and the philosophy I have is that this whole situation is approaching the area of intellectual dishonesty. I will be very blunt on that. I cannot believe this from the particular branch of the legal profession which essentially prides itself on intellectual honesty.

In fact, if you read their writings, lawyers claim, I think, to be the most coldly logical people on the face of this planet. But, the situation has overstepped those bounds as Peter just pointed out. It isn't just convoluted, you might say, trap-door inconsistencies; these sorts of things are generating outright falsehoods. I don't think this is right.

Even though these, I have to assume, are written by patent attorneys and even if they might be rather poorly written, they

89-302436/42 B05 MERI 12.04.88
MERCK & CO INC *EP -337-714-A
28.03.89-US-328643 (+US-180507) (18.10.89) A61k-31/16
A61k-37/64 C07c-125/06 C07c-143/74 C07c-153/05 C07d-213/40
C07f-09/28 C07h-13/04 C07k-05/02

New HIV protease inhibitors - comprising amine protecting gp. linked to di peptide isostere linked to aminoacid with small terminal gp.

C89-133733 R(AT BE CH DE ES FR GB GR IT LI LU NL SE)

Other Priority: 24.08.88-US-236084

Cpds. of formula (I) and their salts are new

A-G-B-B-J (I)

A = trityl; H; R_1-CO; phthaloyl in which the aromatic ring is opt. substd. by 1 or more of 1-4C alkyl, halo, OH, NO_2, 1-3C alkoxy, 1-3C alkoxycarbonyl, CN and $CON(R)_2$; $C(R_2)(R_3)(R_4)$-O-CO; $N(R_5)(R_6)$-O-CO; R_7SO_2NH-CO; R_8-S(=O)$_m$-; or $(R_7)_2P(=X)$;

R_1 = H or 1-4C alkyl substd. with one or more halo adjacent to the carbonyl C (halo = F, Cl, Br or I);

R = H or 1-4C alkyl;

R_2-R_4 = H; 1-6C alkyl opt. substd. with one or more of halo, alkylSO, and arylSO,; aryl opt. substd. with one or more of 1-4C alkyl, 1-3C alkoxy, halo, NO_2, acetoxy, dimethylaminocarbonyl, phenyl and (1-3C)alkoxycarbonyl; or fluorenyl; or

R_2,R_3 and R_4 may be independently joined to form a monocyclic, bicyclic or tricyclic ring system which is 3-10C cycloalkyl and opt. substd. with 1-4C alkyl; or a 5-7 membered hererocycle;

R_5 and R_6 = 1-4C alkyl or aryl; or

R_5+R_6 are joined to form a 5-7 membered heterocycle;

R_7 = aryl opt. substd. with one or more of 1-4C alkyl, halo, NO_2 and 1-3C alkoxy;

m = 0-2;

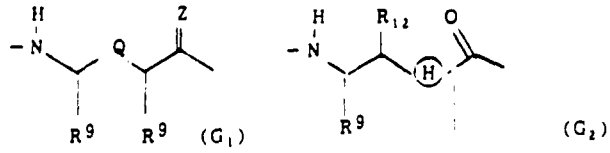
R_8 = R_7 or trityl;

X = O, S or NH;

G = a gp. of formula (G_1) or (G_2)

B(5-B1E,5-B1G,5-B1F,5-B1M,5-B1P,6-H,7-H,8-D3, 9-D1,9-D2,10-A8,10-A9,10-A10,10-A12C,10-A15,10-A17, 10-A18,10-A21,10-A22,10-B1,10-B2,10-B3,10-B4,10-C1, 10-C2,10-C3,10-C4,10-D1,10-D2,10-D3,10-E2,10-E3, 10-E4,12-A6,12-G1B3) B0120

EP-337714-A+



(G_1) (G_2)

Z = O,S or (HH);

R_9 = independently H, -$(CR_{10}R_{11})_n$-R_{11}; OR; $N(R)_2$, or 1-4C alkylene-R_{11};

n = 0-5;

R_{10} = independently H, OH or 1-4C alkyl;

R_{11} = (i) H;
(ii) aryl opt. substd. with one or more of halo, OH, NH_2, NO_2, NHR, $N(R)_2$, 1-4C alkyl, 1-3C alkoxy, COOR, $CON(R)_2$, $CH_2N(R)_2$, CH_2NHCOR, CN, CF_3, NHCOR, aryl- (1-3C alkoxy, aryl- (1-4C alkyl), aryl, $NRSO_2R$, $OP(O)(OR_X)_2$, and O-CO-(1-4C) alkyl substd. with amine and/or quat. amine;
(iii) 5 or 6-membered heterocycle including up to 3 N, O and S heteroatoms, opt. substd. with one or more of halo, OH, NH_2, NHR, $N(R)_2$, 1-4C alkyl, 1-3C alkoxy, COOR, $CON(R)_2$, $CH_2N(R)_2$, NHCOR, CN, CF_3, $NHSO_2R$, $OP(O)(OR_X)_2$, and O-CO-(1-4C)alkyl substd. with amine and/or quat. amine;
(iv) 1-6C alkyl or 1-6C alkenyl, opt. substd with one or more of OH, 1-4C alkyl, NH_2, NHR, $N(R)_2$, NH-C(=NH)H, NH-C(=NH)-NH_2, COOH, COOR, SR, arylthio, SO_2NHR, 1-4C alkyl sulphonylamino, arylsulphonylamino, CONHR, NHCOR, OR, aryl (1-3C) alkoxy and aryl;
(v) 3-7C cycloalkyl opt. substd. with one or more of OH, 1-4C alkyl, NH_2, NHR, $N(R)_2$, NH-C(=NH)H, -NH-C(=NH)-NH_2, COOH, COOR, SR, SO_2NH_2, alkyl sulphonylamino, arylsulphonylamino, CONHR, and NHCOR;
(vi) a 5-7-membered carbocyclic or 7-10-membered bicyclic carbocyclic ring which is opt. unsatd. and opt. substd. with one or more of halo, OR, COOR, $CON(R)_2$, $CH_2N(R)_2$, $SO_2N(R)_2$, S(O)$_y$R, $N(R)_2$, NHCOR, 1-4C alkyl, phenyl, CF_3 and $N(R)$-SO_2R; or
(vii) benzofuryl; indolyl;azabicyclo (7-11C)cycloalkyl, or benzopiperidinyl;

R_X = H or aryl;

y = 0-2;

R_{12} = OH or NHR_{13};

EP-337714-A+/1

89-302436/42

R_{13} = H, CHO, 1-4C alkyl or COOR;

(H) = (i)3-7C cycloalkyl opt. substd. with one or more of 1-4C alkyl, OH, $N(R)_2$, COOR, CONHR, $NHSO_2R$, NHCOR, aryl (opt. substd. with 1-4C alkyl) and heterocycle (opt. substd. with 1-4C alkyl);
(ii) phenyl opt. substd. with one or more of OH, OR, NHR_{13}, COOR, $CON(R)_2$ and NHCOR; or
(iii) 5-7-membered heterocycle opt. substd. with one or more of halo, OH, $N(R)_2$ and 1-4C alkyl;

Q = CH(OH)-CH(R_9-, -CH_2-NH-, -CH(NHR_{13})-, -CH(NHR_{13})-CH(R_9)-, -P(W)(=X)-CH_2- or -CH(OH)-;

W = OH, NH_2, OR or NHR;

B = independently absent or -NH-CH(R_9)-C(=Z)-;

J = YR_{14}, $N(R_{14})_2$, $N(R_{15})(R_{16})$ or Y-$(CR_{14}R_{17})_n$-R_{17};

Y = O or NH;

R_{14} = H; 1-6C alkyl opt. substd by one or more of $N(R)_2$, OR, $NHSO_2$(1-4C)alkyl, $NHSO_2$- aryl, $NHSO_2$ -(dialkylaminoaryl), CH_2OR, 1-4C alkyl, COOR, $CON(R)_2$, NH-C(=NH)-$N(R)_2$, -NH-C(=N-CN)-$N(R)_2$, NHCOR, N(OH)-SO_2Me, NH-CO-O-CH_2-Ph, $N(R)_3$ $^{\oplus}A^{\ominus}$, $NR_{15}R_{16}$, aryl, CHO, $OP(O)(OR_X)_2$ and O-CO-(1-4C) alkyl substd. with amine and/or quat. amine;
$(CH_2CH_2O)_nMe$; or $(CH_2CH_2O)_nH$

$A^{\ominus}$ = a counterion;

R_{15},R_{16} = 1-5C alkyl joined directly to form a 5-7-membered heterocycle;

R_{17} = (i) H;
(ii) aryl opt. substd. by one or more of halo, OR, COOR, $CON(R_2)_2$, $CH_2N(R)_2$, $SO_2N(R)_2$, $N(R)_2$, NHCOR, 1-4C alkyl, phenyl, CF_3, NR-SO_2R, -1-4C alkyl-$N(R)_2$, $OP(O)(OR_X)_2$ and O-CO-(1-4C) alkyl substd. with amine and or quat. amine;
(iii) heterocycle (as defined below) opt. substd. with one or more of halo, OR', COOR, $CON(R)_2$, $CH_2N(R)_2$, $SO_2N(R)_2$, $N(R)_2$, NHCOR, 1-4C alkyl, phenyl, CF_3, $N(R)SO_2R$, phenyl(1-4C)alkyl, $OP(O)COR_X)_2$ and O-CO-(1-4C)alkyl substd. with amine and or quat. amine; or
(iv) a 5-7-membered carbocyclic or 7-10-membered bicyclic ring as in R_{11} (vi), except the opt. substits. do not include S(O)$_y$R, but additionally include $OP(O)(OR_X)_2$ and O-CO-(1-4C)alkyl substd. with amine and/or quat. amine;

R' = H, 1-4C alkyl or 2-4C alkenyl.

B0121

EP-337714-A+/2

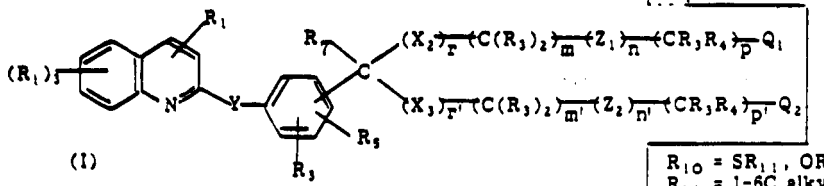
Figure 1.

are still published. They are a low proportion. But, once again for a profession that essentially thinks in terms of black and white with no gray zone, this is a gray zone. For a profession that thinks along those lines as opposed to scientists who generally don't allow for black and white and for whom everything is a shade of gray, I think this is inconsistent with their general or possibly overgeneralized philosophy.

Granted, to maintain economic viability, chemists have to interact with their patent attorneys and, yes, the patent attorneys are duty-bound to get the widest possible protection.

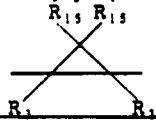
89-159176/22 B02 MERI 25.11.87
MERCK FROSST CANADA *EP -318-093-A
25.11.87-US-125050 (31.05.89) A61k-31/47 C07d-215/18
C07d-401/12 C07d-409/10
New quinolyl-phenyl substd. di:carboxylic acid derivs. - are antagonists of leukotriene(s) e.g. for treating rhinitis, psoriasis angina, etc.
C89-070639 R(AT BE CH DE ES FR GB GR IT LI LU NL SE)

2-Substd. phenylalkyl-quinoline cpds. of formula (I) and their salts are new:



(I)

R_1 = H, halo, 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, CF_3, SR_2, SOR_2, SO_2R_2, $N(R_3)_2$, OR_3, $COOR_3$, COR_3, $C(OH)(R_3)_2$, CN, NO_2, N_3 or (all opt. substd.) phenyl, benzyl, 2-phenethyl or pyridyl;
R_2 = 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, CF_3, or (all opt. substd.) phenyl, benzyl or 2-phenethyl;
R_3 = H or R_2;
R_4 = H, halo, NO_2, CN, OR_3, SR_3, $N(R_3)_2$ or 1-8C alkyl;
or CR_3R_4 = residue of natural amino acid;
R_5 = H, halo, NO_2, N_3, CN, SR_2, $N(R_3)_2$, OR_3, 1-8C alkyl or COR_3;
R_6 = $-(CH_2)_s-C(R_7)_2-(CH_2)_s-R_8$ or $-CH_2CON(R_{12})_2$;
R_7 = H or 1-4C alkyl;
R_8 = (a) mono- or bi-cyclic heterocyclyl with 3-12C and 1 or 2 N, S or O, each ring being of 5 or 6 atoms, or (b) W-R_9;
R_9 = up to 21C hydrocarbyl or acyl residue of an acyclic or monocyclic carbocyclic acid with not more than one ring hetero-atom;
R_{10} = SR_{11}, OR_{12} or $N(R_{12})_2$;
R_{11} = 1-6C alkyl, COR_{14}, phenyl or benzyl;
R_{12} = H or R_{11};
or $N(R_{12})_2$ = a 5-6 membered ring contg. up to 2 O, S or N as heteroatoms;
R_{13} = 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, CF_3, phenyl, benzyl or 2-phenethyl;
R_{14} = H or R_{13}; R_{15} = R_3 or halo;
R_{16} = H, 1-4C alkyl or OH;
m and m' = 0-8;
n and n' = 0 or 1, but not both 0;
p and p' = 0-8;
m+n+p (and m'+n'+p') = 1-10 when $X_2(X_3)$ = O, S, SO or SO_2, or 0-10 when $X_2(X_3)$ = CR_3R_{16};
r(r') = 0 or 1 when $Z_1(Z_2)$ = $Het(R_3R_5)$, and r(r') = 1 when $Z_1(Z_2)$ = $CONR_3$;
s = 0-3;
Q_1 and Q_2 = $COOR_3$, tetrazolyl, $COOR_6$, $-CONH-SO_2R_{13}$, CN, $CON(R_{12})_2$, CHO, CH_2OH, $COCH_2OH$ or $NHSO_2R_{13}$;
if $Q_1(Q_2)$ = COOH and R_4 = OH, SH or NHR_3, then $Q_1(Q_2)$ and R_4 may form a ring by elimination of water;
W = O, S or NR_3;
X_1 = O, S, SO, SO_2, NR_3 or $C(R_3)_2$;
X_2 and X_3 = O, S, SO, SO_2 or CR_3R_{16};
Y = $-CR_3=CR_3-$; $-C\equiv C-$; $-C(R_3)_2-X_1-$; $-X_1-C(R_3)_2-$; $-C(R_3)_2-X_1-C(R_3)_2-$;



; CO; NR_3-CO; $CONR_3$; O; S; or NR_3;
Z_1 and Z_2 = $CONR_3$ or $Het(R_3,R_5)$ but at least one must be $Het(R_3,R_5)$;
Het = phenylene, pyridylene or thienylene.

USE

(I) are antagonists of leukotrienes and, to a minor extent, inhibit leukotriene biosynthesis. They are useful as antiasthmatic, antiallergic, antiinflammatory and cyto-protective agents, e.g. for treating or preventing rhinitis, psoriasis, angina, conjunctivitis, gastritis or hepatic ischaemia.

(I) can be formulated with other active cpds., e.g. non-steroidal antiinflammatories, to protect against the damaging effects of such cpds.

SPECIFICALLY CLAIMED

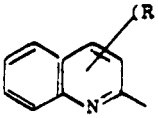
About 100 cpds. e.g.:
2-(3-(3-(2-(7-chloroquinolin-2-yl)ethenyl)phenyl)-3-(2-carboxyethylthio)propyl)benzoic acid, disodium salt;
2-(3-(3-(2-(7-chloroquinolin-2-yl)ethenyl)phenyl)-3-(2-(dimethylcarbamoyl)ethylthio)propyl)benzoic acid, sodium salt;
3-(3-(2-(7-chloroquinolin-2-yl)ethyl)phenyl)-(2-(dimethyl-carbamoyl)ethylthio)methyl)benzoic acid, sodium salt;
EP-318093-A+/1

89-159176/22

5-((3-(2-(7-chloroquinolin-2-yl)ethenyl)phenyl)(2-dimethyl-carbamoyl)ethylthio)methyl)thiophene-2-carboxylic acid;
3-((3-(2-(7-chloroquinolin-2-yl)ethenyl)phenyl)(2-(dimeth-ylcarbamoyl)ethylthio)methyl)benzoic acid;
4-((3-(2-(7-chloroquinolin-2-yl)ethenyl)phenyl)(2-(di-methylcarbamoyl)ethylthio)methyl)benzoic acid;
3-((2-carboxyethylthio)(3-(2-(7-chloroquinolin-2-yl)eth-enyl)phenyl)benzoic acid;
3-(2-((2-carboxyethyl)thio)-2-(3-((7-chloro-2-quinolinyl)-methoxy)phenyl)ethyl)benzoic acid;
3-(1-(3-(2-(7-chloro-2-quinolinyl)ethenyl)phenyl)-1-((2-carboxy-4-pyridinyl)thio)methyl)benzoic acid; and
3-(1-((2-carboxyphenyl)thio)-1-(3-(2-(7-chloro-2-quinol-inyl)ethenyl)phenyl)methyl)benzoic acid, disodium salt.

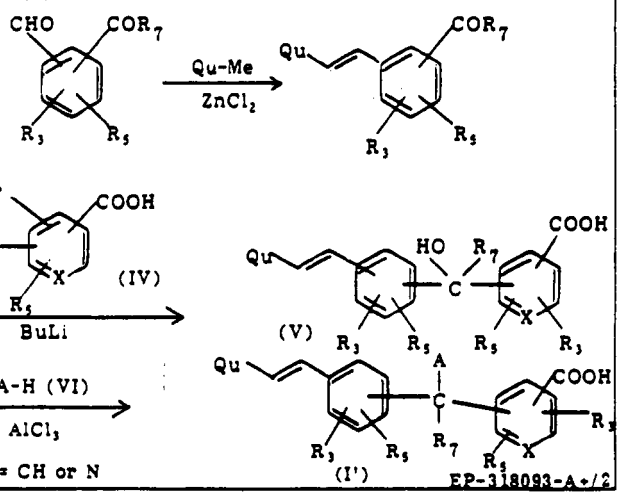
PREPARATION

9 Methods are disclosed (not claimed), e.g. as follows:

Qu =  ;

A = $-S-(C(R_3)_2)_m-(Z_1)_n-(CR^3R^4)_p-Q_1$; B0068

(I)



(IV)

(V)

(I')

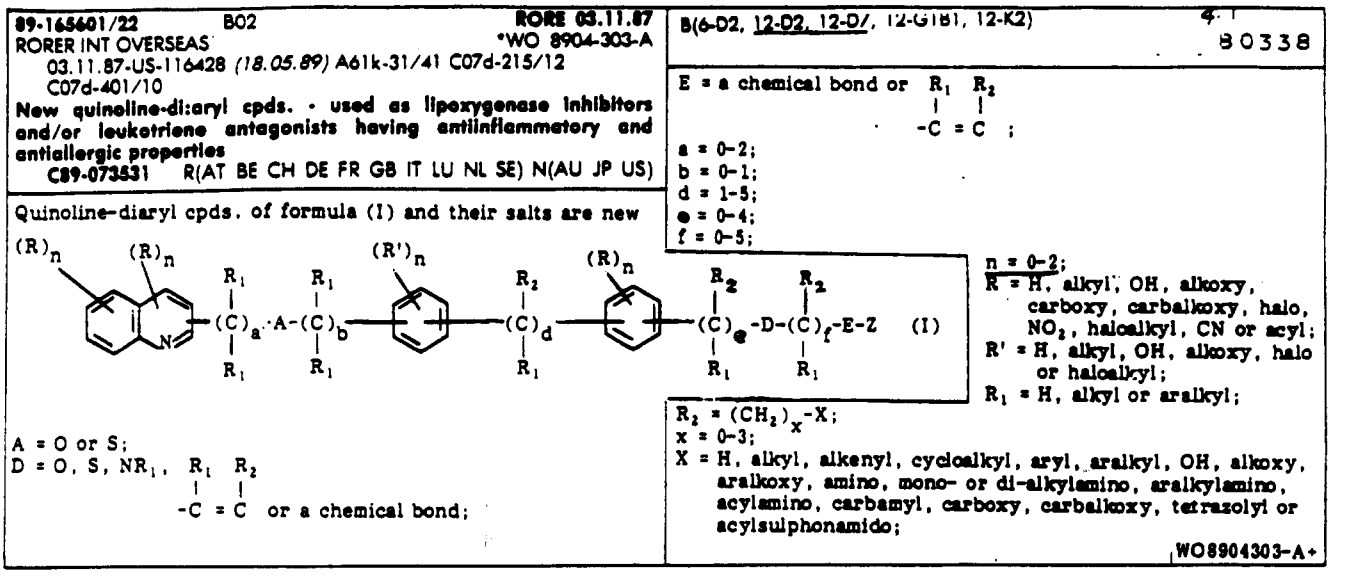
X = CH or N

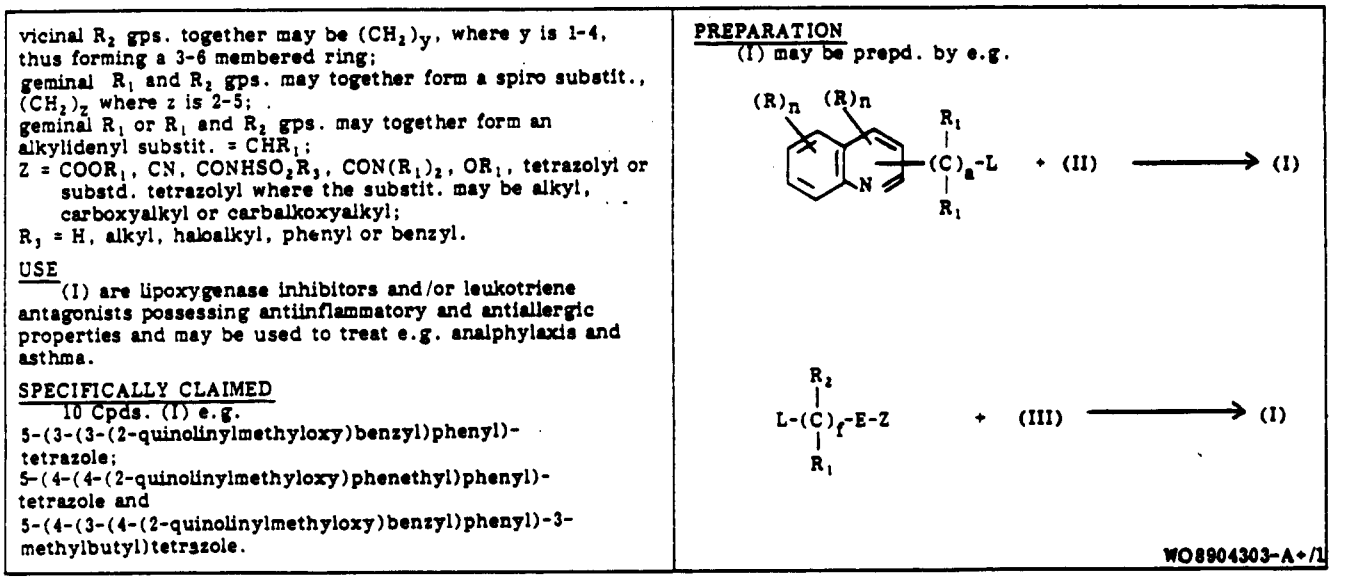
EP-318093-A+/2

Figure 2.

I am saying that once again, the realm of possibility should be intellectual honesty.

The other bit of philosophy that I would like to offer is that a man by the name of Garret Harden about 20 years ago wrote an article in *Science* called The Tragedy of the Commas. He was widely pilloried for these views. I won't go into it further. It has been extensively reviewed, critiqued, torpedoed, or whatever and he even wrote a subsequent book about it. But





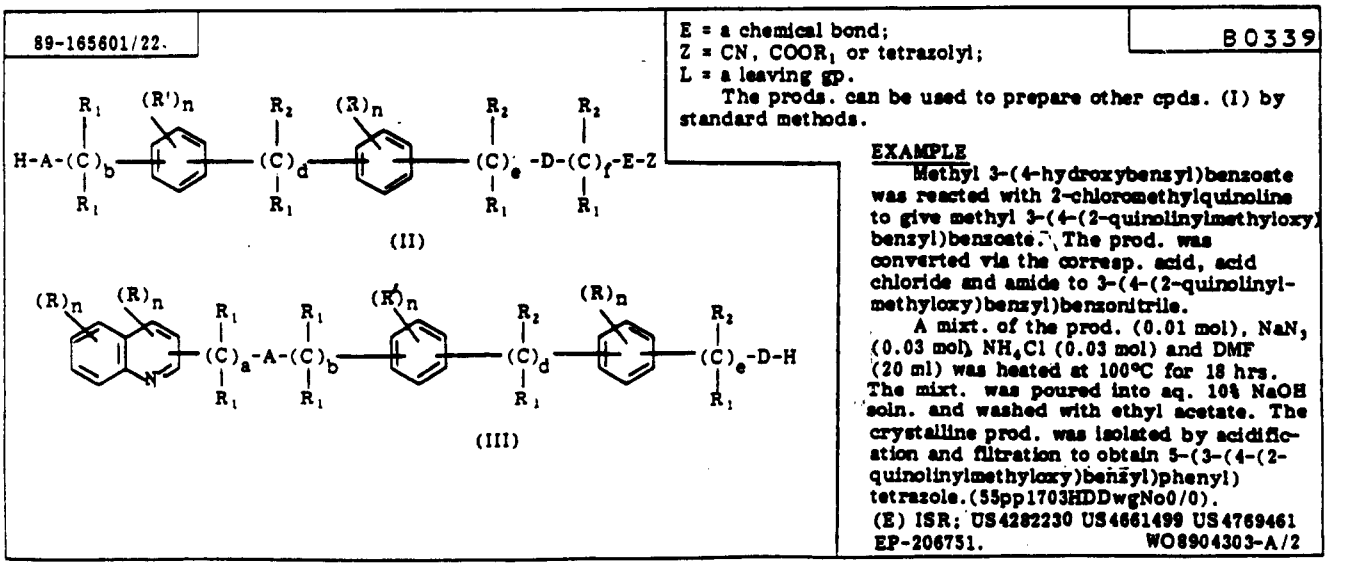


Figure 3.

I think we have another case of that here which could be called misplaced greed or whatever. I mean, there is this process that is pretty much allowed and regulated worldwide for the promotion of the useful arts and so forth. But I think greed has taken over and people are asking for the moon or maybe even another galaxy, as Peter Norton pointed out. Once again, this is just a personal philosophy, and I guess it makes me uncomfortable because here we have possibly the two most logical professions on this planet and they are allowing themselves to fall—not only through the trap door, but into free fall.

ANALYSIS OF NASTIES BY PATENTEE (1 Jan 88 - 30 Jun 88)

COMPANY	BASICS	%	COMPANY	BASICS	%
Bayer	37	5.8	Upjohn	6	0.9
Ciba-Geigy	30	4.7	Wellcome	6	0.9
Merck	29	4.6	American Home Prods.	5	0.8
Dupont	21	3.3	Beecham	5	0.8
Hoechst-Roussel	21	3.3	Eastman Kodak	5	0.8
ICI	17	2.7	Kyowa Hakko KK	5	0.8
Squibb	17	2.7	Otsuka Pharm.	5	0.8
Takeda Pharm.	15	2.4	Rohm & Haas	5	0.8
Hoffmann-LaRoche	14	2.2	Roussel UCLAF	5	0.8
Schering AG	14	2.2	Shell Int. Res.	5	0.8
Eli Lilly	11	1.7	Eisai	4	0.6
Boehring Mann. Bio.	9	1.4	FMC Corpn.	4	0.6
Sandoz	9	1.4	Janssen Pharm.	4	0.6
BASF	8	1.3	Nissan Chem.	4	0.6
Fujisawa Pharm.	8	1.3	Smith Kline Beckman	4	0.6
Rhône-Poulenc	8	1.3	Stauffer	4	0.6
Robbins	8	1.3	Sumitomo Pharm.	4	0.6
Syntex	8	1.3	Teijin	4	0.6
Boehringer Ingelheim	7	1.1	Thoma, Dr. Karl	4	0.6
Bristol Myers	7	1.1	Abbott	3	0.5
Pfizer	7	1.1	Akad. Wissenschaft	3	0.5
Sankyo	7	1.1	Chemex Pharm.	3	0.5
Schering	7	1.1	Ethyl	3	0.5
Warner-Lambert	7	1.1	Fisons	3	0.5
American Cyanamid	6	0.9	Hassle AB	3	0.5
Daicel Chem. KK	6	0.9	Miles Labs.	3	0.5
Dow Chemical	6	0.9	Shionogi Seiyaku	3	0.5
Tokuyama Soda	6	0.9	Yoshitomi Pharm.	3	0.5
Total	349	54.8		115	18.1

Thus 401 (64.2%) of the "Nasties" are produced by 38 patentees. All other patentees not shown on the above list produced only 173 (27.1%) of the "Nasties".

ANALYSIS OF NASTIES BY PATENTING AUTHORITY (1 Jan 88 - 30 Jun 88)

COUNTRY	EP	US	JA	DE	WO	AU	GB	DD	SU	ZA	FR	Σ
BASICS	290	128	69	67	45	12	12	6	3	3	2	637
%	45.5	20.1	10.8	10.5	7.1	1.9	1.9	0.9	0.5	0.5	0.3	100

Figure 4.

Dixon: The gentleman on my right here from the European Patent Office.

Verhulst: My name is William Verhulst. I am a Director at the European Patent Office, but in common with the other participants here, I am just speaking in my own name. My Directorate has the responsibility for a substantial number of the cases with which we are dealing during this symposium.

Before I continue however, I think, that for those of you who are not familiar with the structure of the European Patent Office it is necessary to clarify the difference between the European Patent Office and the USPTO. We have in The Hague, Directorate General I (DG1) which deals with

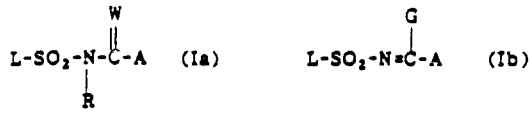
89-220057/30 C02 DUPO 25.04.86
DU PONT DE NEMOURS CO *US 4838-925-A
25.09.87-US-101314 (-US-856511) (13.06.89) A01n-43/90
C07d-401/12 C07d-417/12 C07d-487/04

New heterocyclic acyl sulphonamide cpds. - used as pre-emergent and post-emergent herbicides and plant growth regulants

C89-097769

Other Priority: 01.08.86-US-892062

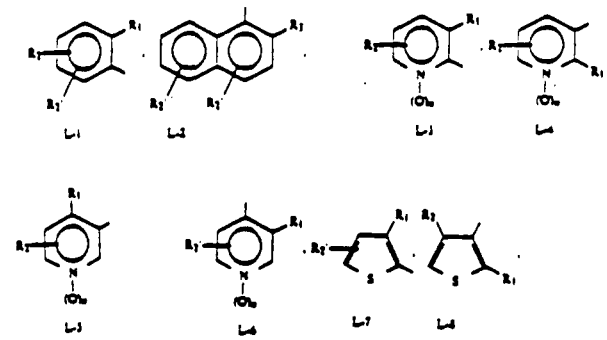
Heterocyclic acyl sulphonamides (I) of formula (Ia) and (Ib) and their agriculturally suitable salts are new:



R = H; 1-3C alkyl or haloalkyl; 1-3C thioalkyl opt.substd. with halogen; benzyl opt.substd. with F, Cl, OMe, SMe or NO_2; allyl; propargyl; 1-3C alkyl-carbonyl; COOMe or COOEt;
G = Cl, OR' or SR';

C(6-H, 12-P1, 12-P5) C0096

R' = 1-3C alkyl or haloalkyl;
W = O, S, NR" or NOR";
R" = H or 1-3C alkyl or haloalkyl;
L = mono- or bicyclic aryl or heteroaryl gp. of formula (L-1)-(L-25):



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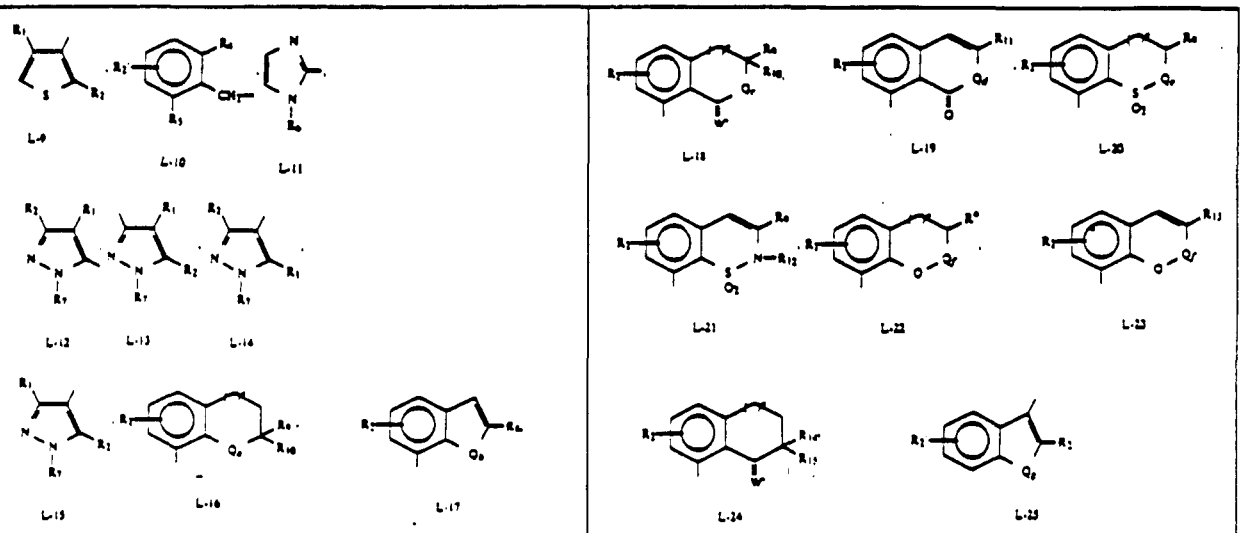

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R_1 = H; halogen; NO_2; CN; 1-4C alkyl opt.susbstd.with F, Cl, Br, CN, OMe or SMe; 2-4C alkenyl opt.substd. with F, Cl, Br, OMe or SMe; 3-4C alkynyl; 3-5C cycloalkyl opt.substd. with F, Cl or Me; $-CO-R_{16}$; $-C(OCH_2CH_2O)R_{16}$; $-C(R_{16})(OR_{17})(OR_{18})$; $COOR_{19}$; $CONR_{20}R_{21}$; N_3; $SO_2NR_{22}R_{23}$; $-SO_2-OR_{24}$; $-O-SO_2-R_{25}$; phenyl opt.substd. with F, Cl, Br, Me or OMe; ER_{26}; $(CH_2)_nQ$; or $(CH_2)_nQ_1$;
R_2 = H, halogen, CN, NO_2, 1-3C alkyl or haloalkyl, $COOR_{19}$; $SO_2NR_{27}R_{28}$, $NR_{29}R_{30}$, ER_{31}; or 1-2C alkyl substd. with 1-2C alkoxy, 1-2C haloalkoxy, 1-2C alkylthio, 1-2C haloalkylthio, CN, OH or SH;
R_2' = H, F, Cl, Br, Me, OMe or SMe;
R_3 = H, Me, OMe, OCF_2H, F, Cl, Br, $COOR_{19}$, SO_2NMe_2, OSO_2Me or $S(O)_pMe$;
R_4 = Cl, NO_2, COOMe, COOEt, $CONMe_2$, OSO_2Me, SO_2Me, SO_2Et, OMe or OEt;
R_5 = H, 1-3C alkyl, F, Cl, Br, NO_2, $SO_2NR_{32}R_{33}$, $SO_2N(OMe)Me$ or $S(O)_pR_{34}$;
R_6 = 1-3C alkyl or phenyl;
R_7 = H, 1-3C alkyl or haloalkyl, 3-4C alkenyl or phenyl;
R_8 = H or Me;

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R_9, R_{10} = H, Me or Et;
R_{11} = H, Cl or 1-3C alkyl;
R_{12} = H; 1-4C alkyl opt.substd. with F, Cl, Br or OMe; 3-5C cycloalkyl opt.substd. with F, Cl or OMe; 3-4C alkenyl; or 3-4C alkynyl;
R_{13} = H or 1-3C alkyl;
R_{14}, R_{15} = H, F, Cl, Br, Me or Et;
R_{16} = 1-4C alkyl opt.substd. with F, Cl, Br or OMe; 3-5C cycloalkyl opt.substd. with F or Cl; or 3-4C alkenyl;
R_{17}, R_{18} = 1-3C alkyl;
R_{19} = 1-4C alkyl, 3-4C alkenyl, 3-4C alkynyl, 2-4C haloalkyl, 2-3C cyanoalkyl, 3-6C cycloalkyl, 4-7C cycloalkylalkyl or 2-4C alkoxyalkyl;
R_{20} = H, Me or Et;
R_{21} = Me, Et, nPr, OMe or OEt;
or R_{20} + R_{21} = $-(CH_2)_2(CH_2)_n(CH_2)_2-$ or $-CH_2CH_2-O-CH_2CH_2-$;
R_{22} = 1-4C alkyl, 2-3C cyanoalkyl, OMe, OEt, NMe_2, 3-4C alkenyl, 3-4C alkynyl, cyclopropylmethyl or 3-4C cycloalkyl;
R_{23} = H, 1-4C alkyl or 3-4C alkenyl;
or R_{22} + R_{23} = $-(CH_2)_3-$, $-(CH_2)_4-$ or $-CH_2CH_2-O-CH_2CH_2-$;
R_{24} = 1-3C alkyl or haloalkyl;
R_{25} = 1-3C alkyl or NMe_2;

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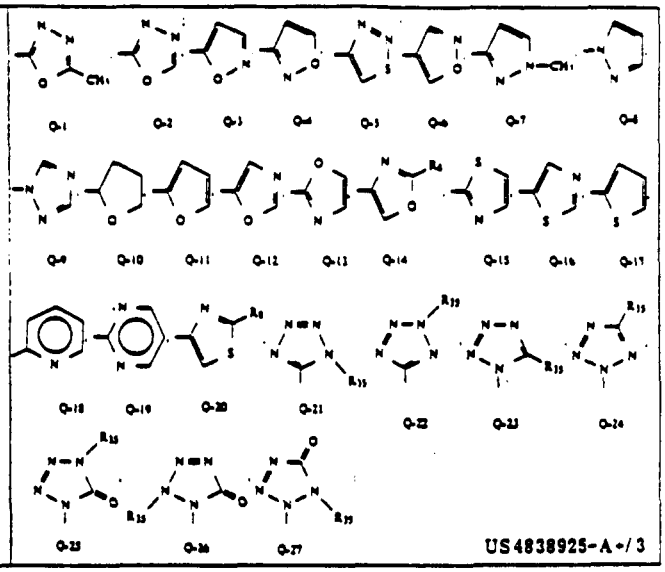
R_{26} = 1-4C alkyl, 1-4C haloalkyl, 2-4C alkoxyalkyl, 3-4C alkenyl, 3-4C alkynyl, 2-4C haloalkenyl, or phenyl opt. substd. by F, Cl, Br, Me or OMe;
R_{27} = 1-3C alkyl;
R_{28} = H, 1-4C alkyl or OMe;
or R_{27} + R_{28} = $-(CH_2)_4-$, $-(CH_2)_5-$ or $-CH_2CH_2OCH_2CH_2-$;
R_{29}, R_{30} = H, Me or Et;
R_{31} = 1-4C alkyl opt.substd. with F, Cl or OMe;
R_{32} = Me or Et;
R_{33} = H, Me or Et;
R_{34} = 1-3C alkyl, 3-4C alkenyl or 3-4C alkynyl;
Q_a = O, S, SO, SO_2 or NMe;
Q_b = O, S or SO_2;
Q_c = O, S, NH, N(1-3C alkyl), $NCH_2CH{=}CH_2$ or NCH_2CCH;
Q_d = Q_c except for S;
Q_e = O or NR_{12};
Q_f = CO or SO_2;
Q_g = O, S, NH or N(1-3C alkyl);
n = 0 or 1;
p = 0, 1 or 2;
W = O or S;
E = O, S, SO or SO_2;
Q = heterocyclic gp. of formulae (Q-1)-(Q-27):



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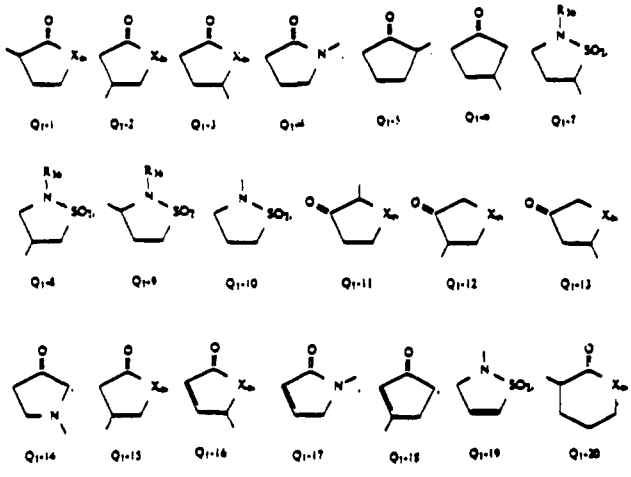
Q_1 = heterocyclic gp. of formulae (Q_1-1)-(Q_1-87):



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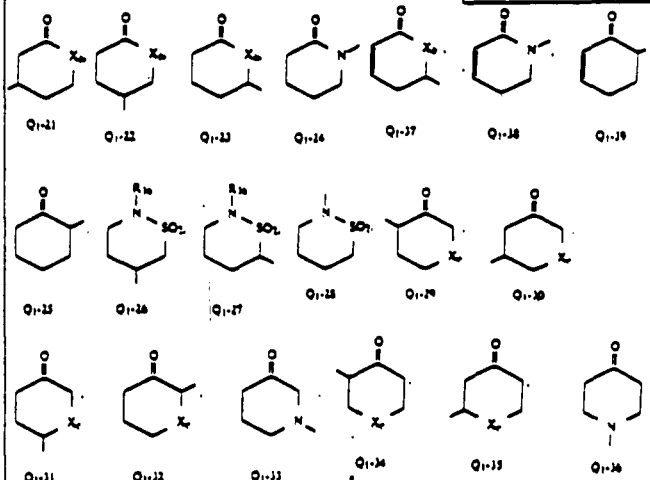

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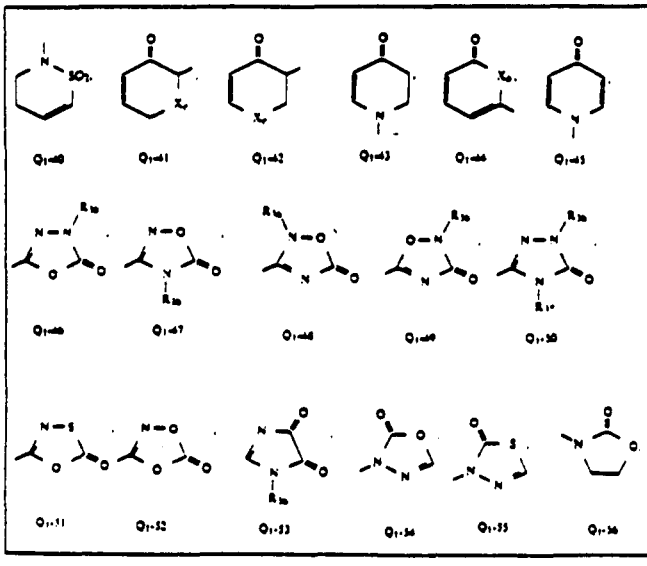

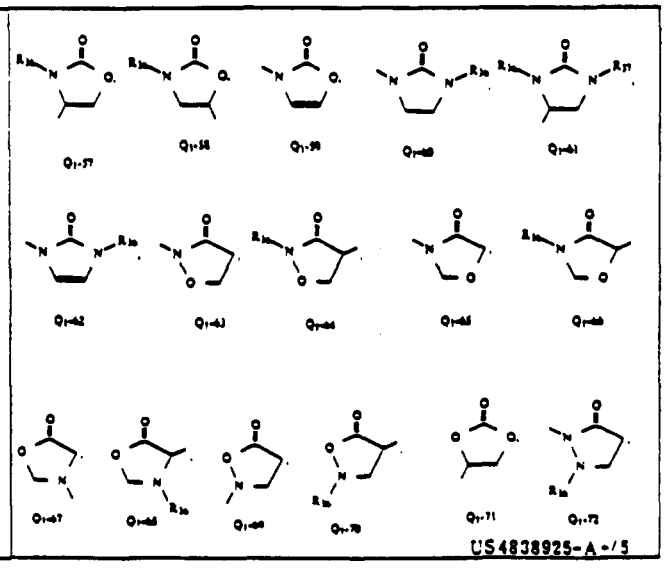

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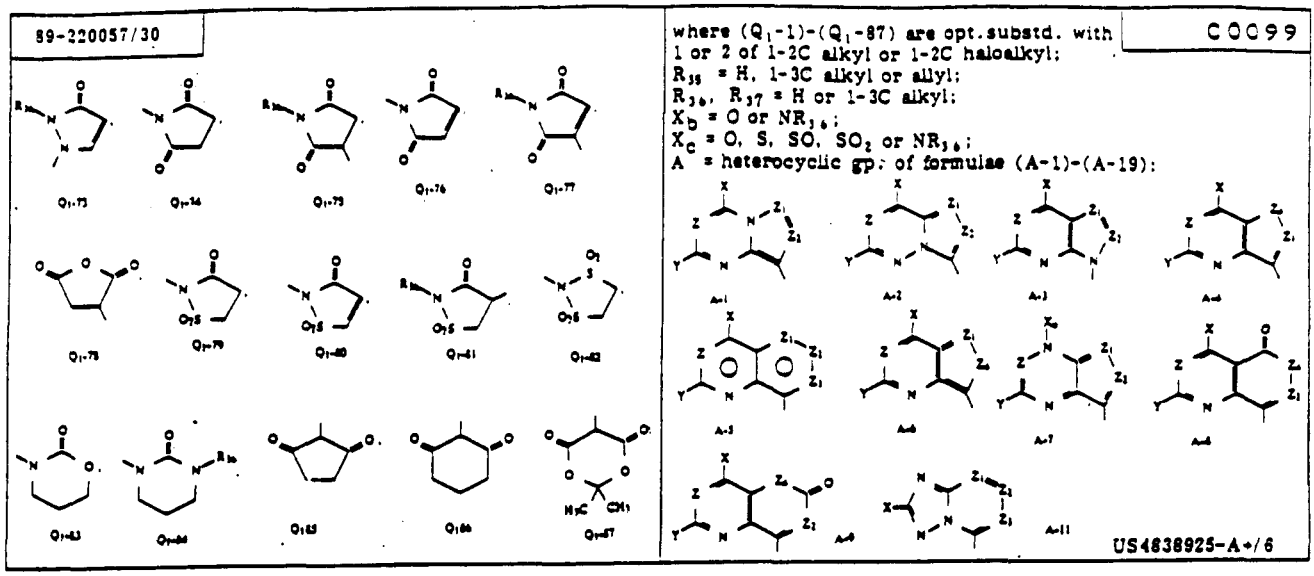
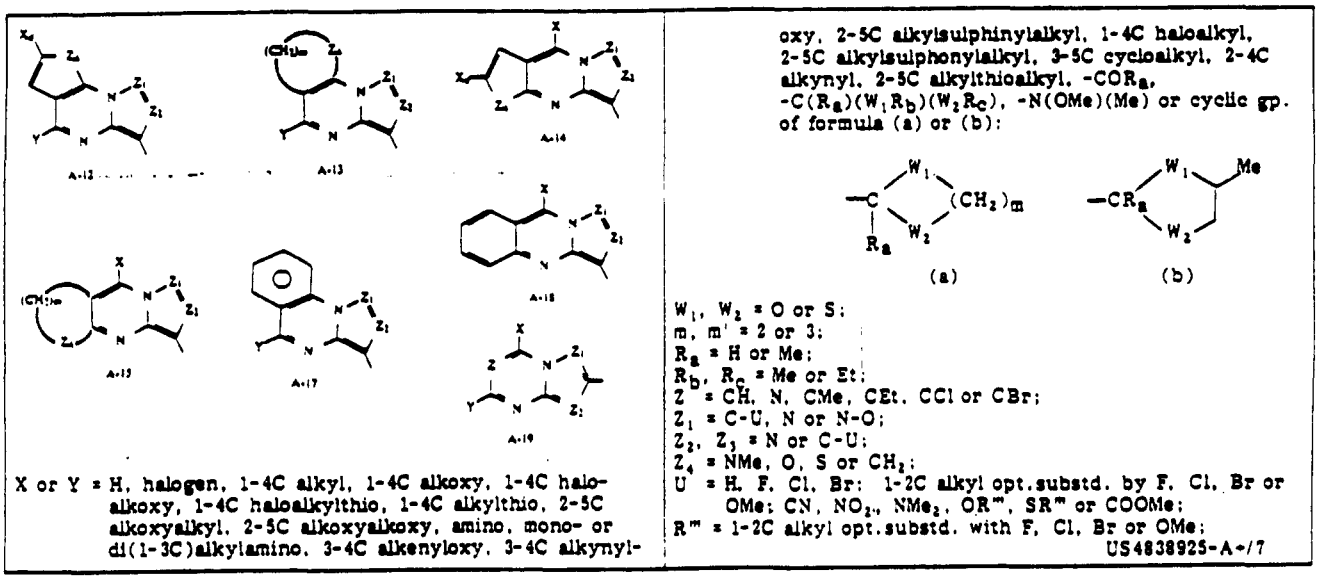




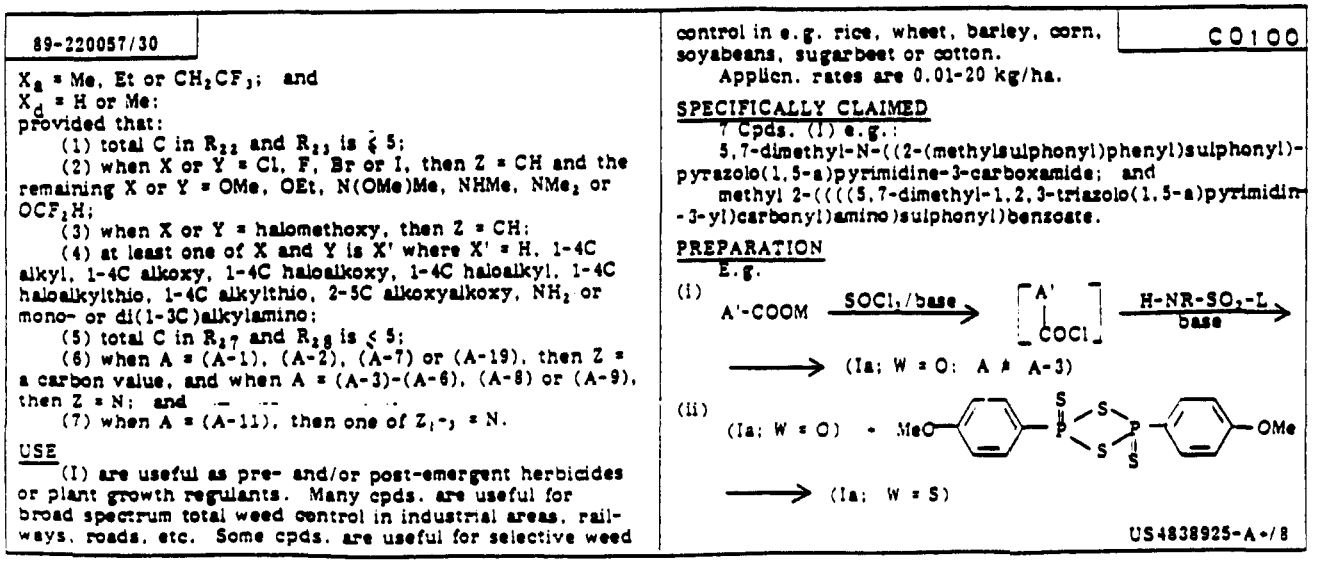


Figure 5.

searching. DG1 has the problem of searching for Markush structures. In Munich, as part of the same patent office, is Directorate General II. On the basis of the documents DG1 has retrieved and after discussions with attorneys, DG2 grants the patents. Then, we also have Directorate General III which comprises the Boards of Appeal.

The reason I want to react is that I have some comments to make about one of Jim Sibley's remarks.[2] He said that Derwent has about six nasties a week and that we, at the European Patent Office, have just a few applications for which

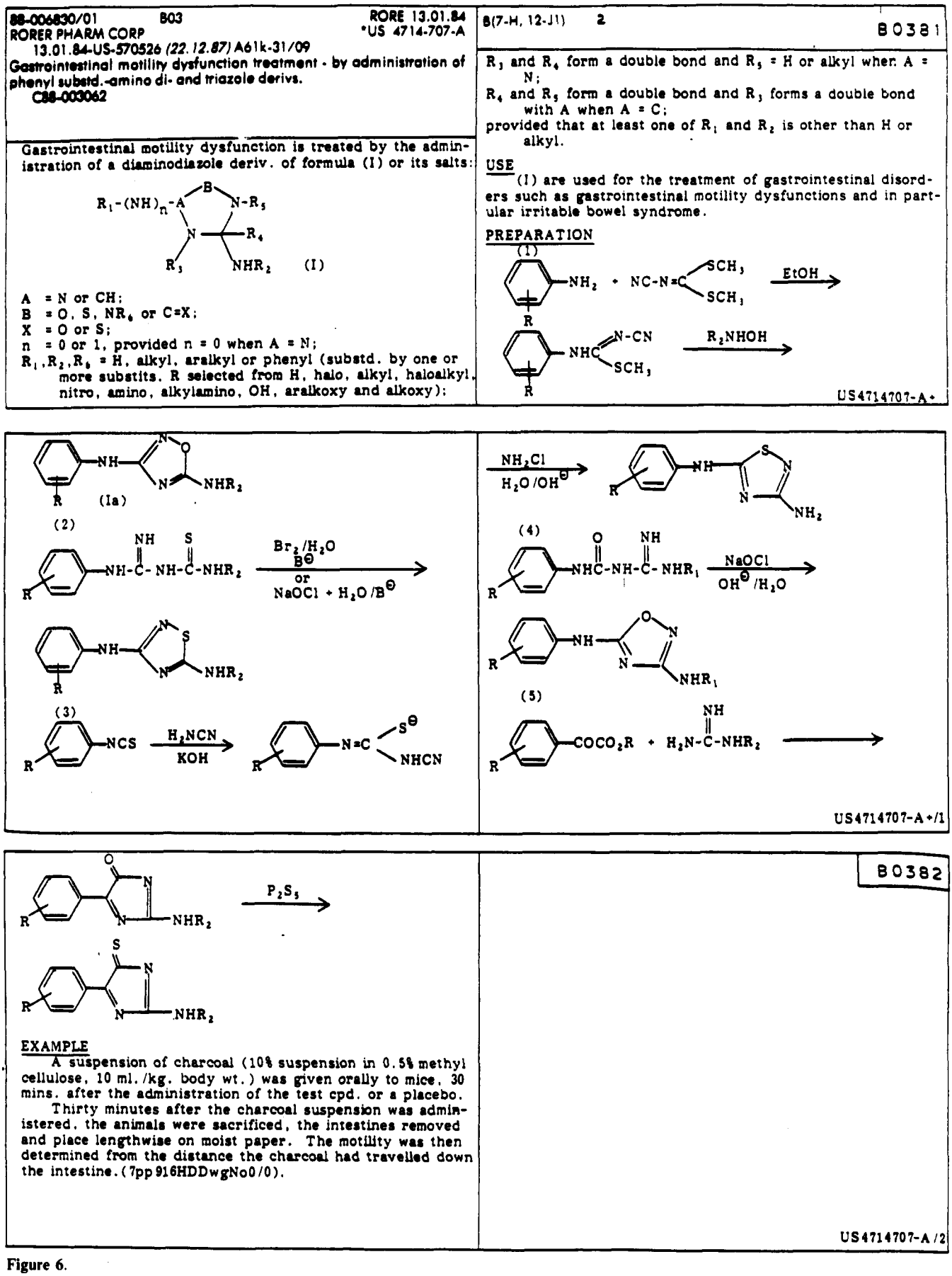


Figure 6.

we have not issued a search report but instead issued a declaration which replaces the search report.

Sibley commented that he was not going to concern himself with the reasons for the EPO's failure to establish a report on the PTC application which he discussed, so perhaps I can develop this. In fact, there is no legal obligation for DG1 to make a complete search report, although it is obliged to make a search to the extent possible. Only in extreme cases, such

have been selectively introduced at strategic positions in order to constructively alter the physical properties of these peptides. It was still a further object of this invention to prepare novel peptides which more effectively inhibit the activity of renin and thereby are more useful antihypertensive agents, and are also compounds useful in treating congestive heart failure.

DETAILED DESCRIPTION OF THE INVENTION

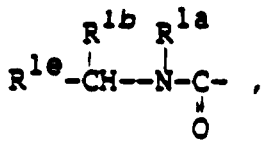
The present invention discloses renin-inhibitory tripeptides having amine-containing ureas at the N-terminii, which have the formula:

A-B-E-G-J,

wherein:

A is

hydrogen;

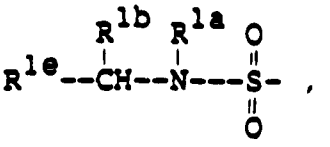


where

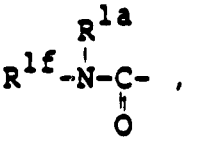
R^{1a} and R^{1b} are independently hydrogen or C_1-C_4 alkyl or R^{1a} and R^{1b}taken together are $-(CH_2)_3-$;

R^{1e} is hydrogen; aryl, wherein aryl is unsubstituted or mono-, di or trisubstituted phenyl or naphthyl, where the substituent(s) is/are independently selected from the group consisting of C_1-C_8-alkyl, amino, mono- or di-C_1-C_4-alkylamino, amino-C_1-C_4-alkyl, hydroxy-C_1-C_4-alkyl, phenyl-C_1-C_4-alkyl, mono-or di-C_1-C_4-alkylamino-C_1-C_4-alkyl, guanidyl, guanidyl-C_1-C_4-alkyl, hydroxyl, C_1-C_4-alkoxy, CF_3, halo, CHO, CO_2H, CO_2-C_1-C_4-alkyl, CO_2-C_1-C_4-alkoxy, NR^5R^6, and $N(R^5)_3^{\oplus}A^{\ominus}$, wherein R^5 and R^6 are independently hydrogen, unsubstituted or monosubstituted C_1-C_4-alkyl, where the substituent is amino, mono- or di-C_1-C_4-alkylamino, hydroxyl, C_1-C_4-alkoxy or $N(C_1$-C_4-alkyl$)_3^{\oplus}A^{\ominus}$; and $A^{\ominus}$ is a counterion selected from the group consisting of small, single negatively-charged ions, such as chloride, bromide, nitrate, perchloride, benzoate, maleate, benzene sulfonate, tartrate, hemitartrate and acetate; Het, wherein Het is an unsubstituted or mono- or disubstituted 5- to 7-membered mono- or bicyclic or 7- to 10-membered bicyclic heterocyclic ring, where the one or two heteroatoms are independently selected from the group consisting of N, O, S, NO, SO, SO_2 and quaternized N, and the substituent(s) is/are independently selected from the group consisting of hydroxyl, thiol, C_1-C_6-alkyl, CF_3, C_1-C_4-alkoxy, halo, aryl, as defined above, aryl-C_1-C_4-alkyl, amino, mono- or di-C_1-C_4-alkylamino, amino-C_1-C_4-alkylamino, amino-C_1-C_4-alkyl, hydroxy-C_1-C_4-alkyl, di-C_1-C_4-alkyl-amino-C_1-C_4-alkyl, guanidyl, guanidyl-C_1-C_4-alkyl, CHO, CO_2H, CO_2-C_1-C_4-alkyl, NR^5R^6, and $N(R^5)_3^{\oplus}A^{\ominus}$ wherein R^5, R^6 and $A^{\ominus}$ are as defined above, or alternatively when N is present as a heteroatom, the substituents are $-(CH_2)_q-$ or $-(CH_2)_2-O-(CH_2)_2$ and form a quaternary spirocyclic ring with the N atom, wherein q is 3-to-6; $-CO_2R^{1a}$ or $-CONR^{1a}R^{1b}$ wherein R^{1a} and R^{1b} are as defined above; C_3-C_8-cycloalkyl; $-CONHSO_2$-aryl, wherein aryl is as defined above; or unsubstituted or mono- or disubstituted C_1-C_4-alkyl, wherein the substituent(s) is/are independently selected from the group consisting of C_3-C_7-cycloalkyl; Het, as defined above; aryl, as defined above; $-CO_2R^{1a}$ or $-CONR^{1a}R^{1b}$, where R^{1a} and R^{1b} are as defined above; $-CONHSO_2$-aryl, where aryl is as defined above, $R^{1c}R^{1d}N-$, where R^{1c} and R^{1d} are independently hydrogen; unsubstituted or monosubstituted C_1-C_4-alkyl, where the substituent is CO_2R^{1a} or $CONR^{1a}R^{1b}$, wherein R^{1a} and R^{1b} are as defined above;

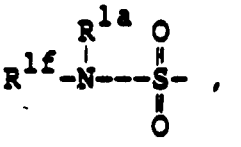
aryl-C_1-C_4-alkyl, wherein aryl is as defined above; $-CONR^5R^6$, where R^5 and R^6 are as defined above; or unsubstituted or monosubstituted C_2-C_4-alkyl, wherein the substituent is on the terminal carbon atom and is -OH or $-NR^{1a}R^{1b}$, where R^{1a} and R^{1b} are as defined above; -OH; $-SO_3H$; quanidino, $-CO_2H$; $-CO_2$-C_1-C_4-alkyl; and -CO-NH-SO_2-aryl;



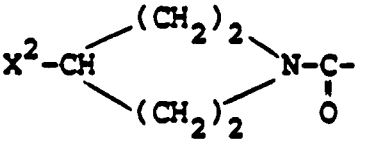
where
R^{1a}, R^{1b}, R^{1c}, R^{1d} and R^{1e} are as defined above;



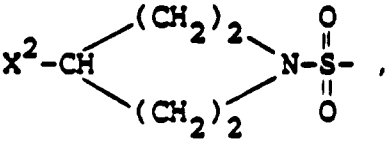
where
R^{1f} is aryl, as defined above, or Het, as defined above; and R^{1a} is as defined above;



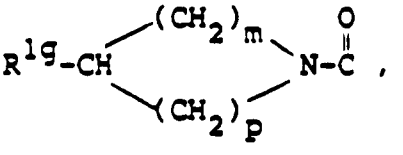
where
R^{1f} and R^{1a} are as defined above;



where
X^2 is O, S, SO, SO_2, N-R^{1c}, wherein R^{1c} is as defined above;



where
X^2 is as defined above;



where
m and p are independently 1 or 2; and

Figure 7.

as the PCT application[4] that Jim Sibley mentioned,[2] is no search report issued.

Dr. Sibley spoke also about the fact that the European Patent Office is perhaps a little bit more understanding than that USPTO as evidenced by the EPO President's having established a committee to study the problem. The group reached some preliminary conclusions and made the suggestion that the most useful tool for dealing with very broad Markush claims is the nonunity objection, as my colleague John Brennan has explained. That could perhaps have an impact. But will this be sufficient if there is no change in the law and there is no change in jurisprudence?

I believe we have here a forum which is not really able to come to solutions. We all are only pointing out the difficulties. The real solutions can only be provided by those responsible for law and jurisprudence and by those in companies who establish corporate policy. You are documentation specialists; you must speak to your contacts. Only if you can convince them to come to seminars like this to hear about the difficulties can we expect to see any changes in legislation or jurisprudence.

We have always tried to do a good job. We want to continue to do a good job. If the necessary changes are not made, everyone will have to live with a product which will be of less value in the future than it was in the past. Thank you.

Dixon: Thank you very much, William. Dr. Ginsburg has a response, and then Dr. Stone.

Ginsburg: I would like to respond to the earlier comment on the subject of intellectual honesty. My remarks are going to be based essentially on U.S. patent practice because, as I am sure you are aware, the requirements for what a patent application should contain differ widely around the world. But for at least the majority of U.S. companies, what usually happens is that there is a U.S. application which subsequently serves as a basis for the foreign text. So, at least as far as U.S. companies are concerned, essentially all of their patent applications worldwide will be written in substantially the same way.

First of all, based on requirements in the U.S. Patent Law, one cannot do something with respect to a U.S. patent application that is fradulent. Because if you do that, that would make the patent unenforceable. Given that, the vast majority and when I say that, there may have been just a very few exceptions over the years, the vast majority of United States patent attorneys are particularly scrupulous not to do anything that is misleading with respect to a patent application.

For example, in writing the examples of a patent application, the general practice is to use the past tense only for examples that have actually been performed. If one wants to write what we refer to as a "paper example" or a "graphite cellulose example", that example is usually written in recipe fashion, such as "mix A and B" or "A and B are mixed". But one would never say "A and B *were* mixed" and then provide a melting point if that example was not actually done.

It is our view that the applicant is free to speculate as to what he believes will work. When he sets forth what he wants to claim, he has a belief that everything or substantially everything within the scope of that claim is operative. If data were available that clearly indicated that what the applicant was claiming was not operative, the applicant would in that case be taking a substantial risk. Because in the United States, that data could be turned up later in the course of discovery during litigation.

I hope that my comments have clarified the problem with intellectual honesty; if you look at it from that point of view, there is nothing dishonest. Another way where I might differ with some of the comments that have been made is what is the appropriate extent of a broad claim? I don't want to be repetitious, but I would just refer to the comments I and others have made about the importance of broad claiming to adequately protect the inventor and to foster industrial research. Thank you.

Dixon: I think Bob Stone was next.

Stone: Thank you. Lawyer bashing has been a popular sport since Shakespeare's time and probably before, so we are used to it. The function of a broad claim is inherently at the heart of the inventive system. John Terapane explained earlier how the Patent Office, over the years, has sought to deal with the problems presented by claims that could be read in a very broad manner, frequently of a Markush type.

Each time the Patent Office attempted to deal with this in a regulatory and administrative manner, ultimately when the issue went to the court, the courts held that under the statute the rights of the inventor took precedence over the administrative efforts to limit it. The statutes are there to promote the progress of the useful arts by providing the inventor with the limited term exclusivity for his invention.

Now, I have a different sort of problem with Markush terminology than those which have been broached here. I find that Markush terminology tends to be too narrow very frequently, quite in contrast to the exhibits that you have been given. Because any time you say that X is selected from the group consisting of, say, A through Z, three or four times over, you are still leaving something out.

I have observed in practice before the USPTO during the last couple of years that the Office seems to becoming more liberal, responding I think, to the Court of Appeals for the Federal Circuit, in allowing claims with functional terminology. Markush practice, dating back to Eugene Markush,[5] was employed in order to define chemically something which was difficult to establish in otherwise generic terminology. But, as the USPTO is beginning to accept an additive, let's say, as a retentive polymer to a specific surface, the need for a Markush group actually diminishes.

Therefore, the Markush group can be put into claims 2 or 3 and the attorney who is writing the claims no longer needs to try to define a Markush group such as has been put on the slides that you have seen today. This is because he is able to establish in good meaningful functional terminology a generic claim that can then be backed up with a somewhat narrower meaningful Markush group and then ultimately with the claims that have the full commercial significance for the inventor.

Dixon: Kathie Shenton.

Shenton: Kathie Shenton, Derwent Publications. I have thought about the problem of nasties for quite a long time. As a matter of fact, I think about nasties during the day, on the drive home, in the evening when I go to bed, and when I dream. However, a number of things have come out today that I think require clarification.

The first is that the six nasties that were represented as the things that Derwent finds hard to handle are those six that come out of Sections B and C (pharmaceutical and agricultural) each week, on average, and they are actually the supernasties or, as BASF would say, the hypernasties. We have already figured out ways of covering simple nasties, which are more numerous, but the overall problem is a lot larger than the six per week.

The six supernasties per week are those that are really horrendous. We also follow the 90/10 rule as far as these patents in which 90% of the patents take 10% of the time and the other 10% take the other 90% of the time. So somehow 90% of the patentees have found a way to protect themselves while maintaining reasonable patents, while the other 5–10% feel it necessary to issue what I would call atrocities.

I believe John Brennan of the EPO said thank you for not hitting the Patent Offices because most of the nasties are unexamined. John Terapane of the USPTO said there is a problem. And there is a problem. The three highest countries

on our nasties list are (1) PCT (unexamined), (2) European (unexamined), and (3) United States of America (examined).

I wonder why an examined country should be the third highest on the list. Perhaps it is, as one of our speakers said, because there is no problem. Perhaps it is because the judges in the Court of Appeals are not all Ph.D. chemists and they are as confused about these patents as the rest of the population. So, I would submit that if it takes an indexer that is trained in the art of discerning what is inventive in patent information, hours and hours to figure out what is going on, it is perhaps reasonable to assume that not all the Court of Appeals of the United States can figure out what is going on.

The final thing that I would like to say is that during this session, I have a new product for Derwent. I think we should stop worrying about indexing the supernasties and we should issue the weekly CD-ROM searchable in full text and say okay guys, you will retrieve this for whatever search of a chemical structure you do. Please look at it.

Dixon: Peter Norton has a correction.

Norton: It is just a slight correction on numbers. The U.S., in fact, is number two on the list. The EPO and the PCT patents are 46% of the total nasties, as is shown in Figure 4. Just to put it in context, the U.S. accounts for 20% of the total nasties. Believe it or not, in third place are the Japanese, who are catching up rapidly.

Dixon: Edlyn, would like to make a response?

Simmons: Something that Kathie said. Kathie was concerned that courts were upholding patents with nasties in them. I think that that possibility is quite beside the point. The courts are not actually upholding very many cases where undue breadth is a problem. The courts get very few cases. A great many patents are filed as barbed wire around inventions, with claims to peripheral things that no one ever infringes and no one ever challenges. What goes to court is the good stuff. In many cases, some of the claims in a litigated patent are invalidated while the core, important, part of the patent is upheld. But most nasties, even when they are granted, will never be looked at by those courts. One wonders how they might have gotten through the Patent Office and past the Board of Appeals, if they went that far. On the other hand, some of these claims are so mind boggling, patent examiners simply don't have the opportunity to do a thorough search. And when they don't find anything in the places they have looked, they give up without having looked everywhere, where they might have found some prior art to reject them on. These may not be enforceable valid patents and the courts might not enforce them if a lawsuit were ever filed. But nobody cares about those individual compounds because nobody has ever made most of them.

They are really just the barbed wire, the extra compounds that are claimed so that the patentee's real invention is deep enough in the middle of the barbed wire that nobody doing research around the outside gets to them. If, in fact, all of these nasties were in danger of being litigated, of being invalidated, I believe that people would stop writing almost all of them. Because the penalty would be high enough not to make it worthwhile. As it is now, the disincentives are never practiced. So, nasties just slide on through and because they have no economic value, they sit there unchallenged and because they didn't get caught, the people who wrote them do it some more. I am not questioning the ethics of the people who write these cases. Sometimes the scientist gives a description of an invention to the patent attorney and, before he gives it to him, the scientist expands in his mind on what would work in the invention. The patent attory expands a little more and by the time it is finished, maybe no one has given it the kind of thought that they are supposed to have given it ethically. But they are not trying to steal anything. They are simply trying to get as much as they possibly can without ever realizing when they have passed the bounds of reality.

There are really not that many people doing it. There were only 30 companies on the Derwent hit list (Figure 4). There are probably only twice that many attorneys who are arguing these cases, maybe five times that many, but not everybody does it. Those people continue to do it because they haven't been penalized for it.

Maybe in some cases the applications that are written without any real meaningful limits don't have to be indexed completely. Because in the broad Markush disclosure there is a core of compounds that are real and a lot of imprecise stuff that nobody will ever be able to use to reject a patent application and that nobody could ever defend if someone infringed the patent. So maybe databases should consider not bothering to index imprecise Markush structures completely.

Dixon: John Brennan. First of all our colleague from the European Patent Office and then Stu Kaback.

Brennan: It is really to follow on from what Edlyn is saying. That granted patents could cause the applicants problems if they became the subject of litigation. With the unexamined systems, people can use the process for publications which they never intend to be granted, which they may never even take through to the substantive examination procedure.

So, here again, I think we must find a way to attack at the point at which the broad claims are put into their published applications, which they do not intend to pursue beyond that stage. Their purpose in fact is to muddy the water. They are there perhaps to surround other applications which they do intend to pursue. So, although legal action on granted patents would be effective when the patents were granted, it wouldn't have any effect in stopping people from producing very large cumbersome patent applications which they ultimately have no intension of pursuing.

Dixon: Thank you. I have been promising to recognize Stu Kaback. Stu?

Kaback: Stu Kaback of Exxon. A couple of thoughts that I don't represent as being original because they are not. I know I lifted them from other individuals, but they do represent something of my thinking. My thinking is not, as in the case of some people here, the thinking of people who are patent attorneys or even patent agents, but rather the thinking of a chemist who happens to have spent his entire career in information work.

One of them, and Kathie Shenton may have articulated this at Montreux last year, was that we have gone from inventions being made in the laboratory to inventions being made in the word processor. I think there is a great deal of that going on where what appears in the patent document has not a damn thing or very, very little to do with what was really done in the laboratory program, what was really invented.

The other one was from Claus Suhr of BASF; I hope I am not misrepresenting your idea, Claus. But, in your talk at Montreux last year, you talked about requirements saying that there should be a requirement that there be some closer correspondence between the data in a patent and what is claimed. When we see these inane documents with hundreds and hundreds of pages and lunatic lists that end up with one exemplified compound (there were examples of that at Montreux), I look at that and I find this very much of a problem.

A final minor thought which may have a little relevance here, this one is perhaps my own, I don't know. But, we have had some talk about charging multiple fees for complicated searches. It seems to be that if some kind of system of charging by the search term could be worked out, maybe that would work.

Dixon: Jim Sibley would like to make a comment and then the gentlemen in the back row.

Sibley: Thank you Mike. I thought there was a considerable amount of common ground between those who spoke earlier, particularly between the people like Lucille and myself. I thought there was a great deal of common ground in what we

said and also, between what the patent office said with us. There is only one group of people who in fact fall outside this common ground and that is the patent attorneys who still insist that they want to maintain the status quo.

Now, I found to my surprise that in America you can actually publish fiction. You can patent fiction, pure works of fiction. This American patent, US 4715886, is such a work of fiction. It is 96 pages long. Every bit of that has been generated by computer. There are tables upon tables upon tables of compounds, none of which have ever been made. Over 70 pages are pure tables of fictitious compounds. Seven pages are devoted to the claims and to the reiteration of the claims in the specification.

Then, there is a method of preparation which is taken straight out of the textbooks. If you do *this*, you should end up with a product which will have this possibility. If you do *that*, you can actually use it as a herbicide and you can make up formulations rather in this manner. Now, I can see little difference between this and a cookery book. A cookery book sets out recipes which you can follow. The only difference is that they actually show that they have done it. They actually want you to do it. That is not what this is about. This is to stop you wanting to do it. But, it is a pure work of fiction.

I wonder if it would ever be possible to publish a Harlequin Romance as an American patent. I see no reason why you have to think of some sort of reason that you have it, some sort of benefit. But in case you do, I would suggest the soporific effect achieved by reading the specification. In fact, the Harlequin Romance could be published much more cheaply that you can get them now. Thank you.

Dixon: Thank you. The gentleman here.

Gerstl: (Examines US 4715886). Oh, my God, I allowed this patent! It is valid. I no longer have the art. I am Bob Gerstl in the USPTO. Obviously, anything I say cannot reflect the Patent Office. In defense, I will say that this is a divisional patent. This was probably to the diazine and the other one is to the triazine.

This is a very good pesticide. (Uses document to swat insect on table.) Unfortunately, it doesn't do anything to attorneys. Actually, one of the two points I was going to make was just covered by the last two speakers and that is if you have something like this—you know, it does not require a monstrous search, because it is in a very specific art area, the sulfonamides. There may be 20 relevant patent documents; you could see what goes before. So it wasn't difficult to search and find out there was nothing obvious or novel in the prior art. The problem is, of course, how do you document this? One way I would do it, put up on the ceiling what was made and only allow first derivatives to make it into Markush documents. Anything that is a second derivative is too far removed and should be taken out.

If you know the art, if the abstractor knows what is the accepted thing (here it would be the diazine, triazine; anything else has probably never been made), you limit it to that. But, the question I really came up here for is very much that facing the dog who chases the car. What do you do when you catch it? Why do you want to document it at all? What is it worth if you find something?

In other words, even in the United States patent practice, I think the attorneys can bear me out, if they get hit with something from here that is not in the actual combination list, but you know, if you chose one from column A and one from column B and one from column C, you can argue that it is just really not obvious in any event. So, if it is not a good reference and certainly the scientist wouldn't use it, why would you want to document it. Just put an etc. in there.

Dixon: Peter here wanted to make a comment.

Norton: I only wish I could put an etc. on a structural diagram. I think the main point that we are concerned about is the fact that during the 27 years with Derwent and the one year since, I have always tried to index the full disclosure in the patent because the indexing is for patent families. Therefore, the indexing for a U.S. Patent has to be the same for the corresponding European Patent. The only way you can do this is by basing the indexing on the disclosure.

Subscribers have always insisted that the full disclosure should be indexed. The full disclosure does in fact form part of the prior art. This is where the danger lies. Edlyn was saying earlier that there is no problem about this going to court. But they are going into the data base and this sort of thing could be used in court against something which is very good. The danger is that in the not-too-distant future, I can see the day when every patent which goes into the patent office will have prior art or overlap with prior art in one of these types of patents and this would bring the whole patent system into disrepute.

Dixon: Bob Stone wanted to comment further.

Stone: I would just like to comment that I am very glad that Examiner Gerstl came forward to speak. I was beginning to sense an "us against them" syndrome. I am not saying which side is "us" and which side is "them". We really should be seeking a "win-win" situation, something that is a win for the attorney/inventor and a win for the people who seek to put the inventor's technical information into a way in which it can be retrieved by computer scientists and for subsequent inventors.

I think with Examiner Gerstl's comments, we begin to approach that. As you point out, something even as horrific as what was on the screen can be searched in a patent office. You have shown there is a place, not only for the actual examiners who do this manual examination, but also for the professional searchers, the people who sit in the search rooms in The Hague and in Arlington and actually flip those patents to look for and find and evaluate prior art.

Certainly, there are means for us as attorneys to seek to get the broadest possible patent coverage for our clients. We also know that we can do a reasonable and understandable search to define the parameters needed in order to write the claims that will stand up in court. With this in mind, I think we can begin to approach really talking with each other rather than at each other.

Dixon: Norman Schmuff.

Schmuff: Norman Schmuff, with the FDA. I am wondering, perhaps this is a naive question, but to what extent does the doctrine of equivalents make broad Markush claims redundant in granted patents? If you can make a narrow claim and the doctrine of equivalents allows your coverage really to extend beyond your narrow particular claim to anything that is accomplished in substantially the same way, etc., etc., then isn't a narrow claim just as good as one of these broad Markush claims?

Ginsburg: Let met comment on that. It is certainly quite possible that if you look at what is in the core of your claim, so to speak, the doctrine of equivalents would protect a lot of what is in the Markush group that is around it. But, as an attorney, I wouldn't want to rely on that. I would still want to have the claim reasonably broad.

Another problem is that the doctrine of equivalents is very unpredictable when you are writing the patent application. The only time you really learn what the doctrine of equivalents covers and what it doesn't cover is when you are litigating and you would rather not wait until then to find out. The scope of the doctrine of equivalents depends upon what the prior art is and when you are writing the patent application, you may or may not have all the prior art that is ultimately going to become available.

Yet another problem is that the doctrine of equivalents has a countervailing doctrine of *file wrapper estoppel*. That is the statements that are made in the course of patent prosecution

to the examiner or amendments that are made in narrowing the scope of the claims can be used in court to restrict the operation of the doctrine of equivalents. Because of all of this uncertainty, one wouldn't want to rely on the doctrine of equivalents initially.

Simmons: There is another part to that answer, which is that the range of equivalents that the courts have upheld is a very narrow as well as unpredictable. If you made a 2-chloro compound for example, you probably depend on the doctrine of equivalents to stop somebody from making the 4-chloro isomer. But, you might not be able to stop them from making the trichloromethyl analogue because that is arguably not equivalent.

The other reason that people don't rely on it is that the doctrine of equivalents is a U.S. Patent Law Doctrine. Most people these days, especially people who write nasties, patent around the world. Most countries either have a different range of equivalents that they accept or, like the Japanese, have practically never heard of the concept and have to stretch to allow coverage of anything beyond the literal word in the claim.

Verhulst: First, in agreement with Mr. Stone, I feel that we have not to be on two sides of a boat. We have to have common opinions and to proceed to common solutions. Second, Kathie Shenton's idea that the content of all her nasties could be published on a CD-ROM does not seem to me to be a bad idea. In fact, something similar to this could be envisaged in the Patent Offices.

What do I mean by that? Well, a company such as Derwent has an obligation to gather and report the data in an exhaustive way and in a limited time because they have to make a product which can be sold. In a Patent Office, exhaustive searches must be possible at prices which are acceptable to the applicants. If we can no longer do this, what other options do we have? The job must still be done. Derwent can publish the nasties on CD-ROM and the Patent Offices could issue search reports with a supplemental note saying that the scope of the claims or even the scope of the application has only been searched as far as was economically feasible and indicate those parts which are effectively covered by the report. At the present time, there are in existence patents and patent applications for which a search has been executed and declared complete and exhaustive but for which everyone knows that a complete and exhaustive search is impossible.

Dixon: Thank you. John Terapane?

Terapane: There has been one proposal made by a member of the bar. One way to handle the Markush claim would be not to try to search every technical area that the claim might read on. One would search only the simplest compounds or a representative amount of what is claimed. The underlying principle here is that if you can't find the simplest part, it is unreasonable to expect that you are going to find anything else, even with much additional effort. This seems to go along with what someone else was saying. Unfortunately, I think these supernasties are so different in structure that this would not apply. Certainly, for some narrow Markush groups, this would hold. If you have a nitrogen with a couple of R groups on it and you have a big common core attached to the nitrogen, maybe that argument holds. However, it certainly doesn't hold for the supernasties.

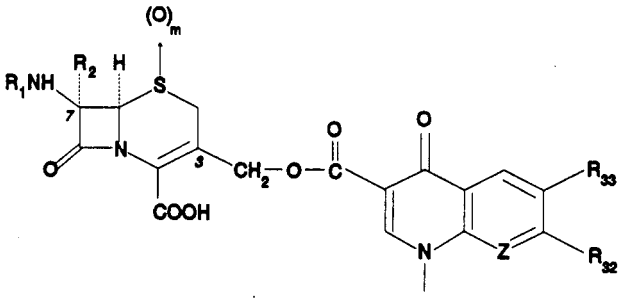
Dixon: Yes, please, Peter Norton.

Norton: The one comment I would like to make is that there should be some relationship between the breadth of a patent allowed and the actual number of examples made. If you look at Figure 8, you will find an enormous Beecham patent there, WO 8905808. This goes on for definition after definition after definition, but contains only three examples.

In Figure 6, the Rorer patent (US 4714707) was in fact the one that Kathie mentioned at Montreux. If we make two of the variables into the most specific embodiment, that covers two billion compounds. They actually made one compound for the whole thing. That particular patent, in fact, covers eight separate ring systems. Obviously, because they made only one compound, they can only have made one of those. I think there should be some correlation between the compounds actually made and the way that the patent can be genericized.

Dixon: Two gentlemen are now waiting to speak. John Brennan is nearer.

Brennan: I think the obvious thing to raise is that there are, of course, patents of infinite scope. In such cases, you can never have a numerical relationship between the number of examples and the infinite number of possible embodiments. In some cases, that may be unreasonable, but I think that in other cases it may be perfectly reasonable. An example, which I believe Kathie gave at Montreux last year, was a side chain at position 3 of a cephalosporin (**I**) in which the applicant



(I)

completely generalized the 7-acylamino group by declaring R_1 to be "H or acyl".

Now, here it seemed to me to be reasonable to completely generalize the 7-acylamino side chain. The applicants were saying, we have made this for the 3 position. If you want to put this in your cephalosporin, then you are going to have to pay us royalties. You can use any of the other alternatives and you don't need to. I think it is fair to go on and to say that if, in the future, somebody makes a cephalosporin with a 7-acylamino side chain which is not presently known and they want to use the 3 side chain of this earlier patent, then still, even though we can't anticipate it at the moment, they should pay a royalty for its use.

I think in chemistry, we will become rather confined by this idea that everything can be nicely defined. What we are talking about really is inventions. If somebody invents an air filter for automobile engine, and if someone else develops a new type of automobile engine in 5 years time, then using that air filter in something which hadn't been conceived at the moment of its invention is still something on which royalties should be paid. I think equally in chemical patenting, if somebody makes a contribution to a part of the art, then a lot of generalization, if not infinite generalization, should be allowed elsewhere if that contribution is clearly defined.

Simmons: I think that you are right about where the problem comes from. It is that people are trying, by writing supernasty Markush structures, to protect exactly what you describe: a tiny little core invention where almost anything else can vary. All they want is to protect their contribution—that small piece of the molecule. But the Markush format, the custom of using it in patent law, and probably the fact that most examiners are going to demand a well-defined scope causes them to write unintelligible Markush structures in an attempt to accomplish something else. Now, if they were allowed to do it another way, that wouldn't make it easier to index the compounds. But it would solve the problem that we have now and replace it with a different one.

Dixon: Thank you. I am not sure we want that. Peter?

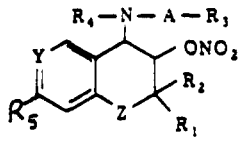
Norton: The point is that a very wide invention is being gained by creating very small numbers of examples. I can foresee that in the future, we will sack all the research chemists because all these patents can be generated off a microcomputer

89-206581/28 B05 BEEC 23.12.87
BEECHAM GROUP PLC *WO 8905-808-A
23.12.87-GB-030051 (29.06.89) A61k-31/35 C07d-311/68
C07d-405/04

New smooth muscle relaxant nitric acid ester(s) - e.g. trans-6-cyano-3,4-dihydro- 2,2-dimethyl-4- 2-oxopyrrolidin-1-yl) -1-benzopyran nitrate ester

C89-091740 R(AT BE CH DE FR GB IT LU NL SE) N(JP US)

Nitric acid esters of formula (I) and their salts and solvates are new:



(I)

either:
A = C=X; and
R_3 = H, alkyl (opt. substd. by 1 or more halo, OH (or its ester), alkoxy, alkoxycarbonyl, carboxy (or its ester or amide), NH_2 or mono- or di-alkylamino); alkenyl; amino (opt. substd. by alkyl, alkenyl, alkanoyl (opt. substd. by up to 3 halo) or phenyl (opt. substd. by alkyl, alkoxy or halo)); opt. substd. aryl; or opt. substd. heteroaryl;
R_4 = H or alkyl;
or $R_3 \bullet R_4$ = $-A^1-A^2-$;
or
A = a bond; and
NR_3R_4 = a 5-7C ring membered heterocyclyl opt. contg. 1 or 2 further N atoms and 1C being substd. with oxo or thioxo, the remaining ring atoms being substd. or unsubstd.
X = O or S;
Y = N, NO or CR_6;
Z = O, CH_2 or $S(O)_p$;
p = 0 or 2;
R_1, R_2 = H or alkyl;
or $R_1 \bullet R_2$ = 2-7C polymethylene;
A^1 = opt. substd. methylene and is attached to the N of N-A;
A^2 = 2 or 3 linking members, one of which opt. represents O, S or NR and the other representing opt. substd. methylene;

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R = H, alkyl, alkanoyl, phenyl-1-4C alkyl, arylcarbonyl (opt. ring substd.) or mono or bicyclic heteroarylcarbonyl;
R_5, R_6 = H, opt. substd. alkyl, alkoxy, 3-8C cycloalkyl, OH (or its esters), NO_2, CN, halo, CHO, carboxy, RaT_1, $RbRcNT$, RaT_2NH, RdCOO, RdCSO, Rd(OH) Rd(OH)CH, Rd(SH)CH, RdC(=NOH)-, $RdC(=NNH_2)$- or alkenyl (opt. substd. by alkylcarbonyl, NO_2 or CN);
provided that when Y = CR_6 then R_5 and R_6 are not both H;
Ra = Rd or RdO;
Rd = alkyl, aryl or heteroaryl, all opt. substd. by up to 3 alkyl, alkoxy, halo, haloalkyl, NO_2 or CN;
T = a bond or T_1;
T_1 = -CS- or T_2;
T_2 = CO, SO or SO_2; Rb, Rc = H, alkyl or alkylcarbonyl.

MORE SPECIFICALLY
A = C=X;
Y = N, NO, or CR_6;
R_1, R_2 = 1-6C alkyl;
or $R_1 \bullet R_2$ = 2-7 polymethylene;
R_3 = H, 1-6C alkyl (opt. substd. by halo, OH, 1-6 alkoxy, 1-6C alkoxycarbonyl, carboxy, or amino (opt. substd. by 1 or 2 1-6C alkyl)); 2-6C alkenyl; amino (opt. substd. by 1-6C alkyl, 2-6C alkenyl, 1-6C alkanoyl (opt. substd. by up to 3 halo); or phenyl (opt. substd. by 1-6C alkyl, 1-6C alkoxy or halo); or aryl or heteroaryl (both opt. substd. by one or more 1-6C alkyl, 1-6C alkoxy, OH, halo, CF_3, NO_2, CN, 1-12C carboxylic acyl, or amino or aminocarbonyl opt. substd. by 1 or 2 1-6C alkyl);
R_4 = 1-6C alkyl; or
$R_3 \bullet R_4$ = $CH_2(CH_2)_n$-Z_1-$(CH_2)_m$-
m, n = 0-2;
m + n = 1 or 2;
Z_1 = CH_2, O, S, NR;
R = H, 1-9C alkyl, 2-7C alkanoyl; or phenyl-1-4C alkyl, napthylcarbonyl, phenylcarbonyl or benzylcarbonyl (all opt. ring substd. by 1 or 2 of 1-6C alkyl, 1-6C alkoxy or halo) or mono or bicyclic heteroarylcarbonyl;
when Y = N or NO, R_5 = H; or
when Y = CR_6;
one of R_5, R_6 = H; and
the other = 1-6C alkylcarbonyl, 1-6C alkoxycarbonyl, 1-6C alkylcarbonyloxy, 1-6C alkylhydroxymethyl, NO_2, CN, Cl, CF_3, 1-6C alkylsulphinyl, 1-6C alkylsulphonyl, 1-6C alkoxysulphinyl, 1-6C alkoxysulphonyl, 1-6C alkylcarbonylamino, 1-6C alkoxycarbonylamino, 1-6C alkylthiocarbonyl, 1-6C

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alkylthiocarbonyloxy, 1-6C alkyl-thiomethyl, formyl; or aminosulphinyl, aminosulphonyl or aminocarbonyl (each opt. amino substd. by 1 or 2 1-6C alkyl gps.); 1-6C alkylsulphinylamino, 1-6C alkylsulphonylamino; 1-6C alkoxysulphinylamino, 1-6C alkoxysulphonylamino; ethenyl (terminally substd. by 1-6C alkylcarbonyl, NO_2 or CN); -C (1-6C alkyl) NOH or $-C(1\text{-}6C\ alkyl)NNH_2$;
or one of R_5, R_6 = NO_2, CN or 1-3C alkylcarbonyl; and
the other = MeO or amino (opt. substd. by one or two 1-6C alkyl or 2-7C alkanoyl);
or R_5 = H and R_6 = 1-6C alkyl or 3-8C cycloalkyl.

Esp. R_4NAR_3 = 2-oxopyrrolidinyl.

USE
(I) have smooth muscle relaxant activity and are useful as bronchodilators in the treatment of disorders of the respiratory tract, such as reversible airways obstruction and asthma, and also in the treatment of hypertension.

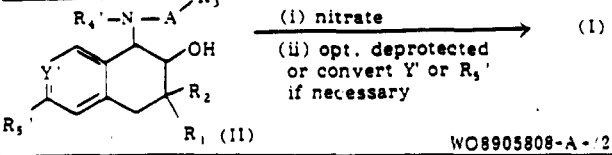
(I) are also indicated for the treatment of disorders associated with smooth muscle contraction of the gastrointestinal tract, uterus or the urinary tract including the ureter including irritable bowel syndrome and diverticular disease, premature labour, incontinence and cholic and disorders associated with the passage of kidney stones.

(I) are also indicated as of potential use in the treatment of cardiomuscular disorders other than hypertension, such as congestive heart failure, angina, peripheral vascular disease and cerebral vascular disease, as well as in the treatment and/or prophylaxis of disorders associated with pulmonary hypertension and of disorders associated with right heart failure.

Dosage is 0.02-200 (pref. 0.05-100) mg/adult/day.

SPECIFICALLY CLAIMED
Trans-6-cyano-3,4-dihydro-2,2-dimethyl-4-(2-oxopyrrolidin-1-yl)-2H-1-benzopyran-3-ol nitrate ester (Ia) and trans-6-cyano-3,4-dihydro-4-hydroxyacetylamino-2,2-dimethyl-2H-1-benzopyran-3-ol dinitrate ester.

PREPARATION



WO8905808-A+/2

Figure 8.

in the attorney's office. Because they don't need any invention at all, it can be made up in somebody's head. The danger is that we are getting to the stage where there is no actual invention except in somebody's mind.

Dixon: Paul Ginsburg was next, I think.

Ginsburg: I don't think there is anything necessarily wrong with that. I think it is a well-established principle of U.S. law that the value or originality of an invention does not depend upon how the invention was made. An invention can be made by a flash of genius or it can be made by spending 10 years in the laboratory. How it is done is irrelevant. How it should be evaluated as to whether or not it is a real invention is also irrelevant. Is it obvious in view of the prior art or isn't it?

I would like to give an example of a type of situation where

I feel a broad claim can be justified even though there might be just a few examples. Let's say that for the sake of discussion that someone discovers a new receptor in the brain and finds that a particular group, let's say that group might only have about 20 atoms in it, binds to that receptor. It would seem to be that therapeutic use could be made of that with a wide variety of different types of drugs. You could attach an antibiotic to the group in order to combat central nervous system infections. You could put a number of other different types of groups on it to treat various diseases of the brain, perhaps Alzheimer's to improve memory or to treat schizophrenia or what have you. It would seem to me that the invention that we have here is discovering this receptor. It shouldn't matter that you haven't exemplified all the different types of groups that can be attached to this 20-atom group that you have discovered.

Terapane: I would like to just respond to Peter's comment too. Terms are very important here. I think you are using "invention", Peter, a little differently than we would in the USPTO. The word "invention" in the Patent Office and in the patent law does not mean actual reduction to practice. There is absolutely no requirement for even one example in a patent application. You can write a perfectly valid application without any examples.

There is no need for actual reduction to practice. The execution of the patent application is constructive reduction to practice. This means that, in some instances, you don't even have to build your device or make your compound if you firmly believe that it is going to work and there is nobody who can argue against you. So, invention does not mean, as I think you are using it, actually making something, at least in the U.S. patent law.

Norton: This is the danger that I was complaining about. Because it inhibits somebody actually making it and it may well be that that compound has an entirely different activity which could be useful.

Dixon: There is a gentleman in the back there. Yes, in the white shirt.

Miller: I am Edward Miller, examiner in the USPTO also. There are two things to bear in mind, one of which was already said. If you have this gigantic infinitesimal group in a claim which we examiners have to process, we have to pick and choose five of those groups in order to come up with that compound. The only way that we can pick and choose those groups to come up with the compound that we are looking at is by hindsight—reconstruction in view of the current invention. Therefore, unless there is an example where the guy actually made it and set forth all of the specific variables, R groups, X groups, whatever kind of groups there are, the patent is essentially worthless to us as a basis for examination or as a means of wiping out a patent that was, in fact, granted. On the other hand, and I have personally seen this once or twice in my career of over 20 years, where an attorney takes a very large group and the examiner does his best—we are all humans, examiners, attorneys and all, and somewhere we are going to break down and we are going to reach the impossible limits. Where one examiner grants something that is very large and then maybe a use with this same thing comes to me and I find one of these compounds that the other examiner didn't find. So, the original inventor loses his patent to the use composition and if it gets out, which it probably will, he might even lose most of his original patent.

In other words, when the attorney claims a group that is very large and maybe has no real relationship to what the invention really is, the attorney is assuming a risk to his own patent, as has already been pointed out by Ms. Simmons. Who knows but if some really smart attorney somewhat was going to be vindictive and to say that to so expand as was done in one instance, amounted to gross misconduct in a court case, he might be able to win his triple damages and his attorney's fees and this could be very substantial.

Perhaps this would be one way to combat that perceived problem. But, maybe the problem is as was stated earlier by Examiner Gerstl. It maybe is not so bad as it seems as long as we can comprehend what we are searching for and then have a reasonable chance in some reasonable amount of time of hitting the best places for what we are looking for.

Dixon: Thank you Mr. Miller. Ms. Shenton.

Shenton: A few things. The first is that I don't think that anyone is saying that we are against, or anyone is against, having Markush or generic formulas per se. It is only at the extreme that there is a problem. As a matter of fact, many of us would not have such exciting careers without the existence of Markush formulations. So, that is one point because I think that there is a dividing line between Markush and what we are talking about here which are disclosures that are so broad that they begin to cover large areas of chemistry. I rather like the idea of being able to define what part of the compound is actually the invention and saying "Okay, the rest can be anything else". Because if that is the case, with a particular invention, you can hone in on what is the invention. It becomes relatively more simple to search I think. And Edlyn, it becomes very easy to index. We just put XX, it can be anything out there.

But, in the current situation where we don't have this sort of rule where you can put "Okay, I can cover anything that is in a side group", I would make a plea to both the Patent Offices and to the patent attorneys to at least make sure that a patent is internally consistent. Because, when trying to analyze something where we have recursive definitions or we have compounds that are not claimed but are covered in the examples, or haloalkyl-containing definitions in the disclosure, for example, where the invention has never seen a haloalkyl side chain in its life, it causes incredible problems. I think that is irresponsible.

Dixon: Bob Stone.

Stone: I think we are coming toward a reasonable approach to a solution as I indicated earlier, and I am hearing some of this being picked up that where the patent claims indicate the effect that is achieved and recites the nub of the compound that achieves this effect, as long as it is operative, the other substitutents could be theoretically almost anything. This is the direction that professionally I feel comfortable following.

I begin to see in the USPTO some acceptance of this principle. I don't know that all groups are comfortable with it at this point or that it will ultimately happen, but defining the effect achieved is a way of generic patent claiming that I myself and, I think, others in the patent bar could see themselves going.

Now, with that said, and although I am not a European patent attorney, my experience with practices in Great Britain and on the continent and with the European system is that perhaps functional terminology is accepted and occasionally even encouraged, especially in the U.K., while on the continent and probably in European practice it is not. So, that may be a difference of direction in which the U.S. and European systems are going.

Dixon: Thank you. Are there other comments, questions, observations? Yes, Edlyn?

Simmons: It has occurred to me that we are dealing with a problem here that is a relatively limited problem. There is a small group of patent attorneys who are writing outrageously broad claims. It is certainly true that the broader the disclosure and the more variables there are, the bigger chance there is to make mistakes and that much of the trouble people are having indexing is caused by people who lose track of what they are doing when they are writing the applications, and don't proofread, followed by printers who make mistakes when they print them, again failing to proofread.

So, we are talking about a relatively small problem, and it seems to me that the way to get it fixed up perhaps is to raise

the consciousness of those few people, a couple of hundred people in the world who are causing the trouble. How can we get a hold of them? Their names are on their patent application. Maybe we should send them the transcript of this discussion.

Ginsburg: I am not sure whether such an approach would convince patent attorneys to write narrower claims, but it may be possible to get people to improve the clarity of their claims if the problems that have been demonstrated are brought to their attention.

Brennan: Could I just return briefly to a disquiet which Peter was expressing, that perfectly good compounds in the future would be lost because of unduly broad Markush claims at present. I think it is almost impossible to imagine how that would happen. I think the normal practice is to make the compounds first and then see afterwards to what degree they are protected. Now, if the new compound has the same activity as what was claimed in the past and to the same level, then you are not making any great contribution to the prior art. It is just something that does the job as well. If it has greater activity, you can make an invention of selection. If it has got a different activity, you can also get around the problem to get a patent on it for the new activity. So, these very broad claims for millions or infinite numbers of compounds are not, in the end, going to damage a good invention which comes later on.

Norton: Okay, but the analogy that I was trying to make with the gold-mining episode was the fact that people are scared off of investigating in an area because somebody is already claiming that they have priority in that area.

Ginsburg: I think as a practical matter, I can tell you that it has been my experience that people are not scared off. If they see a very broad disclosure with no compounds actually made, I haven't found that people are reluctant to go into an area like that.

Norton: No, I am not talking about where they haven't been made but whether it is very broad.

Ginsburg: Let's say, theoretically, someone could write a computer program that would name every conceivable chemical compound and then print that out, I think, as a practical matter, that wouldn't discourage anyone from trying to make those compounds.

Norton: Let me just refer to Figure 7. There was a comment earlier on about finding the center, or the important feature of the molecule. Unfortunately, this is not always possible. We are getting too many cases like this where you have A, B, E, G, J, or whatever joined together where each one of those is an infinite set. It is very difficult to sort out what are the top priorities.

If you add to the fact that the definition for R^{1e} is almost unintelligible, and the fact that definitions for A continue over onto the next page. A is supposed to be a monovalent group, but two of the definitions for A, those queried in Figure 7, are divalent groups. This is an example of the sort of complete nonsense that is now going into patents. In those particular examples, you have got a single free bond but X^2 is in fact a divalent atom group.

Brennan: The position in which search examiners in patent offices find themselves lies somewhere between the extremes of that of the producers of structural databases and that of the patent attorneys. It appears that the former group would prefer the definition of a chemical invention to be restricted to a finite set of compounds (corresponding to the synthesized examples) whereas the latter group would prefer to surround the invention which they wish to protect with a wide and imprecisely delineated "no-man's land". These wishes relate in turn to the apparently incompatible needs of indexing on the one hand, and the perceived effective protection of industrial property on the other.

As searchers, examiners in patent offices are often capable of carrying out thorough searches on inventions described by Markush formulas of infinite scope, which could not be exhaustively indexed for inclusion in a database. Such cases are those where the inventive part is clearly defined and where the infinitely variable side chains only have meaning in the context of the inventive core. Even for classification purposes within their documentation systems, patent offices can often reliably locate a document relating to an infinite number of compounds at one place in the system.

When difficulties do arise for searchers in patent offices, these tend to result from extensive use of combinations of exclusions or from the introduction of variability into every component of the chemical structure. As has been outlined, systems of charging based on the number of compounds encompassed by a Markush formula or the amount of searching involved would generate their own problems; as an alternative in such cases, questioning the presence of a single invention would seem to be a fair and valid procedure. However, a rapid and significant reduction in the use of such broad Markush claims is clearly beyond the means of database producers, information scientists, and patent examiners acting alone.

Dixon: Well, I think on that point we ought to conclude. It should be my responsibility to sum up. But we seem to have gone down such diverse avenues here this afternoon that when I look at the title Very Broad Markush Claims, A Solution or a Problem?, I don't think there is an answer. It is not everything. The broad Markush claim cannot be everything to all men. Some people are very happy with it. Others are dissatisfied with it.

It seems to me that the people who are most dissatisfied seem to be the documentation people who have somehow got hold of the idea that because it is difficult for them to encode or retrieve, it is a problem. It may be of course that their systems are at fault. I would like to close by thanking our cosponsors.

I would like to thank our cosponsors, the Division of Chemistry and the Law and the Division of Chemical Information. I particularly would like to thank our two speakers, Lucille and Jim, all the panelists, and not least of all the audience for attending and participating in what I think has been a most interesting discussion. I look forward to repeating it again.

It could well be that partly because we are in Washington, DC and we have had some people from the patent office to be able to attend that it has been a bit of a success and maybe program committee chairman, perhaps when we are in Washington, in five out of the next six years, maybe we ought to fix another one. Thank you very much everybody. Enjoy your visits and have a safe journey home.

ACKNOWLEDGMENT

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REFERENCES AND NOTES

(1) For an explanation of this and other specialized terms used in this discussion of patents, please refer to the introduction on page 1 of this issue.
(2) Sibley, J. Too Broad Generic Disclosures: A Problem for All. *J. Chem. Inf. Comput. Sci.* **1991**, *31*, 5–9.
(3) Brown, L. The Markush Challenge. *J. Chem. Inf. Comput. Sci.* **1991**, *31*, 2–4.
(4) PCT Application Number 8704321.
(5) United States Patent 1 506 316, issued August 26, 1924.