
BETWEEN:

C. H. BOEHRINGER SOHN .....PLAINTIFF;

AND

BELL-CRAIG LIMITED .....DEFENDANT.

1961

Oct. 30, 31, Nov. 1, 2, 3, 6, 7, 8, 9, 10, 15, 16, 17, 21, 22, 23, 27, 28, 29, 30, Dec. 1, 4, 5, 6, 7, 8, 11, 12, 13, 14, 15, 18, 19, 20

1962

Mar. 21

Patents—Infringement—Claims for substances prepared or produced by chemical process and intended for food or medicine—Substance claim must be limited to that substance when produced by process for its preparation claimed and particularly described or an obvious chemical equivalent—To validate product claim process claim must be valid—The Patent Act, R.S.C. 1952, c. 203, ss. 2(d), 28(1), 35, 36, 41(1) and (2).

The plaintiff sued for infringement of its patent for an invention entitled "process for the production of substituted morpholines" alleging that the defendant by selling phenmetrazine hydrochloride tablets had infringed claim 8 of the patent, a claim for "2-phenyl-3-methylmorpholine when prepared by the process of claim 1, 2 or 3 or an obvious chemical equivalent". (Phenmetrazine is the generic name for 2-phenyl-3-methylmorpholine.) The defendant admitted the sale but denied infringement and attacked the validity of claims 1, 2, 3, and 8.

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

The specification describes in general terms certain processes for the production of a class of substituted morpholines large enough to include many billions of them but nowhere until claim 8 refers to 2-phenyl-3-methylmorpholine except by way of an example of the class. The defendant contended that the specification should be construed as disclosing but a single invention of processes for making the whole class of substances claimed and on the basis of this construction raised a number of objections to the patent. The plaintiff submitted that as a matter of construction the specification disclosed two inventions, one relating to the class of substituted morpholines, the other to the single substance 2-phenyl-3-methylmorpholine.

Held: That to give meaning to the specification as a whole it must be read as disclosing two inventions, one relating to the class of substituted morpholines and the other relating to the single substance 2-phenyl-3-methylmorpholine included in claim 8.

2. That as claim 1 is a claim for a process for the making of the whole class of substances referred to in the specification and does not state the starting material from which 2-phenyl-3-methylmorpholine may be made, it does not state the essential feature of a process for making 2-phenyl-3-methylmorpholine, and it cannot be regarded as a claim of the kind required by s. 41(1) of the *Patent Act* as interpreted in the *Winthrop case*. The substance claim of claim 8 therefore is not limited, as it should be to comply with s. 41(1), to that substance when produced by a process for its preparation which is claimed and claim 8 is accordingly contrary to s. 41(1).

3. That under s. 41(1) of the *Patent Act* a claim for a new substance to which the subsection applies must be limited not only to that substance when prepared by methods or processes which have been claimed but also to that substance when prepared by the methods or processes which have been particularly described or their obvious chemical equivalents, and since the claim to 2-phenyl-3-methylmorpholine in claim 8 is not limited to that substance when prepared by the methods or processes which are particularly described or their obvious chemical equivalents. Claim 8 is broader than s. 41(1) permits and is accordingly invalid.

4. That in a patent to which s. 41(1) of the *Patent Act* applies, the process claim which must accompany a product claim for a new substance must itself be a valid claim. A claim to an exclusive property to which the inventor is not entitled and which is therefore not authorized by the statute will not serve the purpose.

5. That a claim for processes which produce products which are not useful in the patent sense lacks utility and is therefore invalid. On the evidence it is improbable that all or the majority or even a substantial number of the conceivable substances comprised within the class defined in claim 1 have the utility referred to in the specification, claim 1 is accordingly invalid and because it is invalid, claim 8 is invalid as well.

6. That for the purpose of obtaining the pharmacological results obtained by oral administration, phenmetrazine hydrochloride is an equivalent of phenmetrazine and if made by one of the processes mentioned in claim 8, its sale would constitute an infringement of claim 8.

7. That on the facts the process by which the allegedly offending material was made did not involve as one of its steps the process of claim 1 as applied to the production of 2-phenyl-3-methylmorpholine from a particular diethanolamine of the class but did involve a process which was an equivalent of the process of that claim when applied to the production of 2-phenyl-3-methylmorpholine from that diethanolamine. It was not however an obvious chemical equivalent of the process of claim 1 within the meaning of s. 41(1) of the *Patent Act* and the claim of infringement accordingly fails.

Re May & Baker Ltd. et al. 65 R.P.C. 255; 66 R.P.C. 8; 67 R.P.C. 23; *Winthrop Chemical Co. Inc. v. Commissioner of Patents* [1948] S.C.R. 46; *Commissioner of Patents v. Ciba* [1959] S.C.R. 378 at 383; *McPhar Engineering Co. of Canada Ltd. v. Sharp Instruments Ltd.* 21 Fox P.C. 1 at 55, referred to.

ACTION for infringement of patent.

The action was tried before the Honourable Mr. Justice Thurlow at Ottawa.

Christopher Robinson, Q.C. and *R. S. Smart* for plaintiff.

I. Goldsmith and *R. S. Caswell* for defendant.

THURLOW J. now (March 21, 1962) delivered the following judgment:

In this action, the plaintiff claims an injunction and other relief in respect of alleged infringement by the defendant of claim 8 of Canadian patent No. 543559, which was granted to the plaintiff on July 15, 1957. The invention referred to in the patent is entitled "Process for the production of Substituted Morpholines" and claim 8 is a claim for "2-phenyl-3-methylmorpholine, when prepared by the process of claim 1, 2 or 3 or an obvious chemical equivalent".

The plaintiff's complaint is that the defendant has infringed claim 8 of the patent by selling in Canada phenmetrazine hydrochloride tablets. Phenmetrazine is a trivial or generic name for 2-phenyl-3-methylmorpholine. The defence, while admitting that the defendant sold tablets designated as phenmetrazine hydrochloride—which the evidence shows they were—denies infringement and also raises a number of objections to the validity of claims 1, 2, 3 and 8.

The importance of phenmetrazine lies in its usefulness for certain pharmacological purposes. The particular pharmacological field is that involving the use of substances known as sympathomimetic amines which have effects resembling in some one or more ways the effects of adrenalin. These substances generally are classed as stimulants.

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

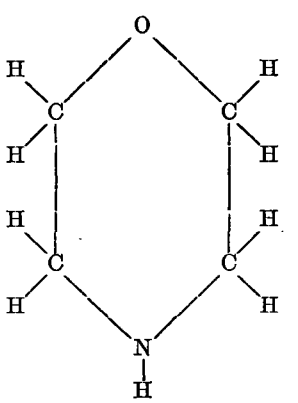
Thurlow J.

One of the best known substances of this class is amphetamine or benzedrine, the principal effects of which are to produce stimulation and defer tiring, to depress the appetite, and to increase the blood pressure and pulse rate. For a considerable time it was thought that it would be impossible to find a stimulant without having these three effects more or less associated, but eventually it was discovered that other substances resembling amphetamine in chemical structure could be made which would retain selectively the stimulating effect without exhibiting too much cardiovascular effect or anti-appetite effect and the reverse was also true. In general, it was desirable to have drugs which as far as possible would produce one effect without the others. Thus in the treatment of obesity, for example, it frequently happens that the patient has high blood pressure and it is therefore desirable to make use of a substance which, while deferring tiring and depressing the appetite, will not further raise the blood pressure. It has also been discovered that while all of these substances operate through the brain rather than upon the muscles, the type of stimulation produced by such substances may vary with the substance used, the effect in some cases being to stimulate mental activity more than or rather than locomotor activity. At the time of the invention of the patent in suit, at least four such substances, viz. benzedrine, norephedrine, pervitine and ephedrine, each having the three effects in similar though varying degrees, were known and in use but it is admitted that phenmetrazine was not known or used by anyone before that date. Phenmetrazine, according to the patent specification, is superior to benzedrine (pervitine) "inasmuch as it causes the particularly desired effect of deferring the tiring whilst being less poisonous and less stimulating". It can, however, be used in larger doses to "produce stimulation which however will not be accompanied by a corresponding increase in blood pressure". While the evidence does not make plain just how far these assertions of the specification are supportable in fact, the evidence of Dr. Belleau as to the use to which this substance is put, coupled with the evidence of commercial production and sale of it and the prolonged efforts which Industria Chimica Profarmaco, S.p.A., the Italian company which manufactured the allegedly infringing material, put

forth to find a way to make it satisfies me that phenmetrazine in fact has advantages for some purposes over the four previously known drugs having similar effects, and that the discovery of its activity represented an advance on what had previously been known.

Before turning to the specification, I shall endeavour to explain in the hope of making what follows more intelligible what I think the evidence indicates as to certain chemical terms and concepts pertaining to substituted morpholines and the diethanolamines from which they are prepared.

Morpholine is a single substance having in its molecular structure four atoms of carbon, one atom of oxygen, one atom of nitrogen, and nine atoms of hydrogen. Each carbon atom has four bonds or valencies by which it may be linked to other atoms in the molecule of a substance. The oxygen atom has two such valencies, the nitrogen atom three, and each hydrogen atom one. In the morpholine molecule, the carbon, oxygen and nitrogen atoms are arranged in a hexagonal ring formation with the oxygen and nitrogen atoms at opposite corners of the hexagon. Two of the hydrogen atoms are linked to each of the carbon atoms, and the remaining hydrogen atom is linked to the nitrogen atom. The structural formula of the molecule so formed may be represented as follows:



This is the single substance, morpholine. Substances are known, however, wherein the position of one or more of the hydrogen atoms linked to carbon atoms in this structure may be occupied by some other atom or group of

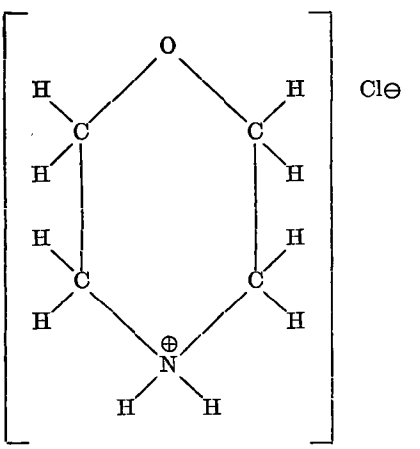
1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

atoms. Such substances are referred to as substituted morpholines, the common characteristic being the singly-bonded hexagonal ring structure composed of four carbon atoms, one oxygen atom, and one nitrogen atom, with the latter two opposite to each other or separated from each other by two carbon atoms on either side.

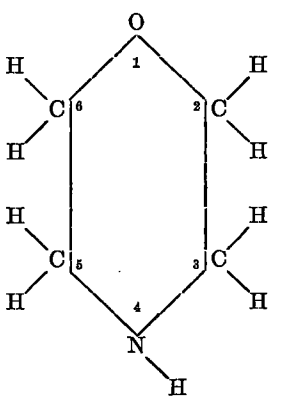
Morpholine is a base and, when put in an acid, it reacts to form a salt. Using the hydrochloride as an example, the structural formula of such a salt may be represented thus:



In this representation it will be observed that, in addition to the single hydrogen and two carbon atoms, which are linked to the nitrogen atom in the morpholine base, the nitrogen atom also carries or has linked to it an additional hydrogen proton which is considered to be a hydrogen atom without the negative electron which normally forms part of such an atom. The negative electron is shown in association with the chlorine atom which is represented as associated with the ring structure as a whole. This, however, is only a way of portraying the molecular structure and no matter how it may be portrayed the morpholine hydrochloride molecule differs from the morpholine molecule in that it includes in addition to the atomic components of morpholine an additional atom of hydrogen and an atom of chlorine. As there are several thousand known acids there can be several thousand different salts of morpholine. The same applies to each substituted morpholine. It may not be amiss to mention as well at this stage that

hydrochloric acid is normally present in the stomach fluid of human beings and because this acid may be expected to react immediately with a morpholine—whether substituted or unsubstituted—to form the hydrochloride salt of the morpholine, the result of taking a small quantity of the morpholine into the stomach can be expected to be precisely the same as if the hydrochloride salt of the morpholine were taken instead. It does not, however, follow that the result would be the same if any other salt of the morpholine were taken.

For reference purposes, the corners of the characteristic morpholine ring are numbered from 1 to 6, that occupied by the oxygen atom being numbered 1 (thus



)

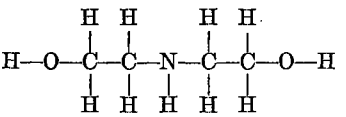
and the numbers 2, 3, 5 and 6 appearing in the name of a substituted morpholine refer to the positions on the ring of substituents occupying the positions of hydrogen atoms linked to the corresponding carbon atoms in morpholine. Accordingly, a substance having, for example, a phenyl (C_6H_5) group linked to a carbon atom in number 2 position in place of one of its hydrogen atoms would be known as 2-phenyl morpholine, and if the molecule also had a methyl ($C H_3$) group linked to the carbon atom in number 3 position instead of one of its hydrogen atoms the substance would be known as 2-phenyl 3-methylmorpholine. Examples could be multiplied indefinitely, using other substituents and the other positions.

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

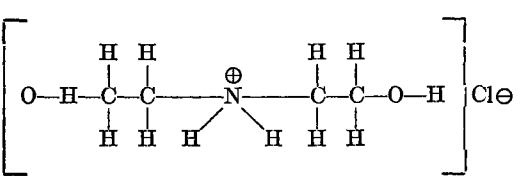
Thurlow J.

I turn now to the substance known as diethanolamine, which, like morpholine, is also a single substance. Its empirical formula is $C_4H_{11}O_2N$, and its structural formula may be shown thus



While the structure is shown in line or as a chain, the molecule is considered to be U-shaped, the nitrogen atom being at the base of the U. It will readily be perceived that, if this structure were to release two atoms of hydrogen and one of oxygen from the hydroxyl (OH) groups at the two ends, the remaining oxygen atom and the carbon atom on the opposite end would each have one bonding position available for the formation of a linkage between them, and that if such a linkage were formed the resulting substance would be morpholine.

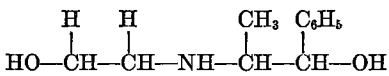
Diethanolamine, too, is a base which, when put into an acid, will react to form a salt which, using the hydrochloride as an example, may be represented thus:



The salts of diethanolamine are of course different substances from diethanolamine itself. As in the case of morpholines, there may also be substances in which the position of a hydrogen atom attached to a carbon atom in diethanolamine is occupied by some other atom or group of atoms. Such substances are known as substituted diethanolamines.

For reference purposes, the carbon atoms on one side of the nitrogen atom are referred to as α and B, the α carbon atom being that linked directly to the nitrogen atom, and those on the other side of the nitrogen as α^1 and B^1, α^1 being the one linked directly to the nitrogen atom.

It is admitted by the parties that ring closure of diethanolamine to form morpholine has been known since at least 1889 and that, before the date of the invention of the patent in suit, the formation of morpholines generally by ring closure of the corresponding diethanolamines was common knowledge in the art. It is also admitted that the diethanolamine of the formula



known as B-phenyl - α - methyl - B,B1 - dihydroxy-diethylamine which if ring closed would give 2-phenyl-3-methyl morpholine, has been known since at least 1929. The following further facts pertaining to prior knowledge are stated in the specification.

> Processes for the production of morpholine derivatives are already known, whereby diethanolamines were treated e.g. by heating to temperatures to 160-180°C with 70% sulphuric acid, in order to acquire the morpholine ring closure.
>
> However, it is particularly necessary when producing substituted morpholines, to find specially mild reaction conditions for the ring closure. In this case there exists namely, the danger of undesired side reactions, which can be brought about by the influence of the temperature or the acids employed for the ring closure.
>
> In U.S. Patent Letters 2,566,097 a process is described according to which when the substituted diethanolamine is allowed to stand in solution, ring closure already takes place. However, such an easy ring closure is only limited to very definite individual cases, whereas generally vigorous conditions are necessary.

It was also common knowledge to a chemist that a diethanolamine, on being put into an acid, would not remain a base but would react at once with the acid to form a salt, and that the ring closure would take place thereafter. By the same token it was also known that, on treating a diethanolamine with an acid to obtain the ring closure, what is produced in the reaction is the morpholine salt of the acid used and that, in order to obtain the morpholine, a further process of treating the salt with an alkaline substance such as sodium hydroxide or ammonium hydroxide would be required.

I turn now to the specification. This, it may be noted, does not purport to relate to the invention of 2-phenyl-3-methyl morpholine alone. On the contrary, it describes in general terms certain processes for the production of a

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

class of substituted morpholines large enough to include many billions of them most of which have never been made or tested by anyone, and nowhere in it until one reaches claim 8 is there any reference to 2-phenyl-3-methyl morpholine except as an example cited to describe advantages which all members of this very large class of substances or possible substances are claimed to have and except in two of the examples of how the processes for making the class of substances may be carried out. In the course of the argument, a number of attacks were directed against the specification as a whole, these being predicated on a construction of the specification as purporting to disclose a single invention of processes for the making of the whole class of substances all, or substantially all, of which must, if the patent is to be supported, possess novelty and utility. The plaintiff, however, submitted that as a matter of construction the specification discloses two inventions, one relating to the class of substituted morpholines and the other relating to the single substance 2-phenyl-3-methylmorpholine, and it will, I think, be desirable to determine this question before approaching the question of construction of the specification in detail.

The present specification is in many respects similar to the unamended specification considered in *Re May & Baker Ltd. et al.*[1], but unlike the unamended specification in that case, it does not end with the claims to processes for the making of the whole class of substances and the substances when produced by such processes, but contains in addition a claim to 2-phenyl-3-methylmorpholine (which is one of the members of the class) when made by the processes of claims 1, 2 or 3 or an obvious chemical equivalent. In *Re May & Baker Ltd. et al.*, the specification described an invention relating to a large class of substances and contained claims for processes for their manufacture and for the substances when produced by such processes. The activities of two members of the class were described in the specification as examples of what the substances of the class would accomplish. The specification having been attacked, an application was made for leave to amend it by eliminating the claims as stated in it and substituting therefor a single claim for the two particular substances

[1] (1948) 65 R.P.C. 255.

and by revising the disclosure so as to make it relate only to the two particular substances. This application was refused on the ground that the proposed amendments would make the specification one for a substantially different invention from that claimed in the unamended specification. It is to be observed that neither the unamended specification nor the specification if amended as proposed would have been precisely similar to that of the patent here in suit. However, in support of his argument that the proposed amendment would not make the specification claim an invention substantially different from that claimed in the unamended specification counsel for the patentee in *Re May & Baker Ltd. et al.* in all three courts urged that without changing a single word in it the unamended specification might have included an additional claim for the two particular substances and that if the specification had included such a claim there would be no serious question as to his client's right to disclaim the broad claims and retain the claim for the two substances only. Such a specification would have been almost precisely similar in principle to that in the present case. Referring to the argument so put forth, Jenkins J. said[1] at p. 294, line 40:

> Mr. Drewe strongly contended that the amendments would not make the invention claimed substantially different. He placed great reliance on the fact that the two specific substances to which the amended specifications is reduced are the two given as examples in the unamended specification. These he said (in effect) were the pith or kernel of the invention claimed by the unamended specification and were proved substances of great therapeutic utility, and in retaining them as the sole subject of claim the specification as amended could not be said to claim a substantially different invention merely because it excluded the rest of the numerically very large range of substances falling within the scope of the invention as originally claimed.
>
> According to his argument it was merely a question of restricting the area of application of the invention to the two proved substances and making it what he called "gilt edged"; and he pointed out with force that the two proved substances could actually have been made the subject of a separate claim in the unamended specification without altering a word in the body of that document.

At p. 298, line 8, he continued:

> The amendments alter, as it were, the whole centre of gravity by making the characteristics peculiar to the two specific bodies, which for the purposes of the invention as originally claimed were merely incidental matters, become the very pith and essence—the be-all and end-all—of the invention itself.

[1] (1948) 65 R.P.C. 255.

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

Mr. Drewe's argument that the two specific bodies might have been made the subject of a separate claim is, I think, met by the short answer that if they had been it might have been contended that the specification claimed, as in effect a distinct invention, the two specific bodies on the strength of their own exclusive and peculiar characteristics and virtues.

Dealing with the same argument in the Court of Appeal[1], Lord Greene, M.R., said at p. 15, line 19:

> It is said by Mr. Drewe on behalf of the Appellants that the fact that the two specific compounds to which it is proposed to limit the invention are in fact mentioned in the original specification makes all the difference, and that it would have been possible in the original specification to have made them the subject matter of a separate claim.
>
> With regard to this last argument, *I am not by any means satisfied that the suggested separate claims would have been permissible.* This was a Convention patent, and it may well be that the inclusion of such additional claims would have made the patent vulnerable on the ground of disconformity; but, apart from this, as the learned judge points out, *the question would still have arisen whether the inclusion of the two separate claims would not have been in respect of inventions different from the invention which was in fact claimed in the original specification.* That invention relates to a whole genus, each member of which was described as having important therapeutic qualities. The inventive step consisted in the discovery of this common characteristic in the genus. The inventor is telling the public: Make any one of these new substances that you choose: you will find that in every case the promised therapeutic result will follow. This was what was asserted. For the purpose of comparing the invention claimed with that claimed by the amended specification it is immaterial that (as the fact was) the assertion could not be supported. It formed the basis of the invention claimed. The supposed discovery was, however, no discovery at all. It was at best an unproved hypothesis. No such common characteristic existed in all members of the genus. The inventor, however, proceeds to refer to two compounds, namely, those to which the proposed amendment is confined. He refers to these two compounds not as being what in fact they were, discoveries quite independent of the correctness or otherwise of the major proposition, that is, the proposition that all the "new" compounds possessed the alleged characteristics. He describes them as both examples and proofs of the major proposition. He is not saying: "I have discovered by using the experimental method that two compounds have important therapeutic qualities." He is saying: "My discovery is that the whole genus has the stated characteristics and I have proved that this discovery is what I say it is by experimenting with two of the large range of compounds included in the genus." *In other words, the two compounds and the discovery of their therapeutic qualities are not claimed as the invention in the original specification.* They are given merely as examples or proofs of the results said to be obtainable from every member of the genus. *Once the two named compounds, which in the context of the original specification are given a role of a strictly limited character, are taken from their context and converted into a separate independent and self-sufficient invention, they assume, as it appears to me, a quite different character. They are no longer examples or proofs of anything but themselves. They become an invention arrived at by a different*

[1] (1948) 66 R.P.C. 8.

mental process; and the inventive step required to discover their characteristics is entirely divorced from the discovery of the characteristics of the genus from which, according to the original specification, their characteristics are derived. The elimination of the major proposition, and the elevation of the two named substances to an independent status in no way dependent upon or connected with the comprehensive discovery previously alleged, namely the discovery of a quality common to every member of the genus, appears to me to make the amendment proposed something qualitatively different from a mere disclaimer, and the invention which it claims substantially different from that claimed by the original specification.

Mr. Drewe's argument is really based on what he says would have been the result if separate claims for the two named compounds had been included in the original specification. *The addition of such claims, if indeed it would have been permissible, would not, I think, have led to the result which he asserts, having regard to the description of the inventive step contained in the original specification;* but no such claims were in fact included, and we have to construe the specification as it stands, not as it would have stood if it had been cast in a different form. The nature of the invention, and of the relationship to it of the experimental results obtained from the two named compounds being, as I find, what I have stated them to be, *I cannot allow my conclusions to be affected by an* imaginary addition to the original specification *which might have led to a different construction. The document falling to be construed would have been a different document.*

In the House of Lords[1], Lord Simmonds also referred to the same argument at p. 34, line 1 of R.P.C., as follows:

My Lords, I do not think that the Appellants get any help from this somewhat tentative observation. In the first place, as I have already pointed out, no claim was made for the two specific drugs and no explanation was offered why a patentee, who was by no means *inops consilii,* did not make it. In the second place it is a sheer begging of the question to say that in this case "the claims could originally have been separated up without difficulty", if by that is meant that the *Comptroller,* having the knowledge of this art and of the facts which this case has disclosed, *ought to have treated the invention of a group having a general therapeutic value as the same thing as the invention of a specific drug having a particular therapeutic value, and ought accordingly to have granted one patent to cover them both. I am clearly of opinion that he ought to have done no such thing.* I do not ignore that the *Comptroller,* not knowing what was now known, might have granted such a patent, and that in that case there might be the specific as well as the general claim, and, further, that in that case Sec. 32A of the Act might in the event of an infringement action, create a position of peculiar difficulty. But it is not a hypothetical difficulty that has to be faced, and I decline to test the validity of the Appellants' case by creating it.

Lord Normand said at p. 37, line 36:

It was said for the Appellants that this was "mere draftsmanship", an error of omission which could be rectified by supposing that such a claim had been made, and that the specification might be construed as if it contained the claim. *Specifications like other documents must be*

[1] (1950) 67 R.P.C. 23.

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

construed as they are, not as they might have been. The absence of a claim of this particular kind, which is almost a matter of style where it is appropriate, cannot be dismissed as a negligible inadvertence. *The addition of a claim for the two specific substances would involve the recasting of the specification, for the claim would not fit the character of the invention asserted in it as it stands.* That invention is a generic invention in which the utility is a generic property invariably associated with the chemical characteristics of the genus. It is really not possible to read the specification as a compendious manner of claiming a vast number of substances, each of which has been found to have therapeutic virtue, and of claiming among them the two specific substances as especially satisfactory or effective examples. Such a claim if made would be rejected by the least sceptical of qualified addressees as a gross and palpable falsehood.

Lord MacDermott also referred to the argument at p. 52, line 21. He said:

> It was said that if the original specification has included a claim limited to the two named drugs the amendment now sought would necessarily have been within the power of the Court to grant under Sec. 22 for, as it was put, one could always "amend down" so as to shed all but a narrow claim to the preferred embodiment. If the views I have already expressed as to the nature of the inventive steps underlying the amended and original specifications are well founded this argument, in my opinion, really begs the question and can lead nowhere. The process of amending down to which reference is made does not, as I understand it, involve any change in the nature of the inventive step which remains intact and available to support the narrow claim. But that is not the position here, for *the amendment sought is based on a different inventive step,* and the issue of competence arises directly and must be settled according to the terms of Sec. 22.

In my opinion, the passages I have quoted support the view that a claim for a single substance appended to a disclosure purporting to relate only to the invention of a genus or class of substances should not have been allowed in view of s. 38(1) of the *Patent Act* because two different inventions or alleged inventions would be involved. But whether or not claim 8 should have been allowed in the patent here in question, as issued, the same subsection provides that no objection merely on the ground that the patent has been granted for more than one invention can succeed. Accordingly, as I view the matter, it becomes necessary because of the presence of claim 8 to read the specification not only to see what it says that refers to and describes an alleged invention of processes for the preparation of the class of substances but also to see what, if anything, it says that refers to and describes an invention of 2-phenyl-3-methyl morpholine and processes for its production. For, if the

requirements of s. 36 of the *Patent Act* in respect of the description, etc., of the invention of 2-phenyl-3-methylmorpholine are complied with, the mere fact that the required information is mixed with and included as part of the description of another alleged invention will not by itself render claim 8 invalid. The problem of so reading the specification is embarrassing for by its context the disclosure throughout suggests one and only one invention. But, as a matter of construction of the specification, this suggestion of the specification must, I think, give way in order to give meaning to the specification as a whole which includes claim 8 and thus indicates that besides the invention of the class an invention of the single substance, 2-phenyl-3-methylmorpholine is involved in the disclosure.

The specification commences as follows—omitting immaterial details:

BE IT KNOWN THAT OTTO THOMÄ HAVING MADE AN INVENTION ENTITLED:

PROCESS FOR THE PRODUCTION OF SUBSTITUTED MORPHOLINES

THE FOLLOWING DISCLOSURE CONTAINS A CORRECT AND FULL DESCRIPTION OF THE INVENTION AND OF THE BEST MODE KNOWN TO THE INVENTOR OF TAKING ADVANTAGE OF THE SAME.

THE PRESENT INVENTION RELATES TO A PROCESS FOR THE PRODUCTION OF SUBSTITUTED MORPHOLINES.

Next, after stating that such processes involving the treatment of diethanolamines, e.g. with 70 per cent. sulphuric acid at 160-180°C, are already known but that it is particularly necessary, when producing substituted morpholines, to find specially mild reaction conditions for the ring closure and that there is danger of undesired side reactions which can be brought about by the influence of temperature or the acids employed for the ring closure, it proceeds to say:

THE OBJECT OF THE PRESENT INVENTION IS THEREFORE A PROCESS, ACCORDING TO WHICH THE RING CLOSURE LEADING TO MORPHOLINE DERIVATIVES CAN BE CARRIED OUT UNDER PARTICULARLY MILD REACTION CONDITIONS, e.g. WITHOUT ADDITIONAL HEATING OR WITH ONLY SLIGHT HEATING.

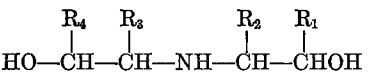
1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

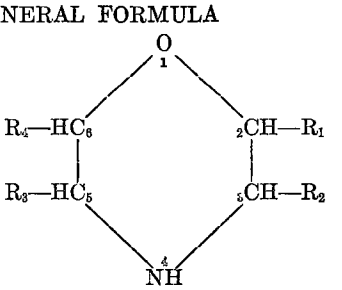
It next contains the statements already referred to about U.S. Letters Patent 2,566,097 but that generally vigorous conditions are necessary and continues:

IT HAS NOW SURPRISINGLY BEEN FOUND THAT A CERTAIN GROUP OF SUBSTITUTED DIETHANOLAMINES OF THE GENERAL FORMULA

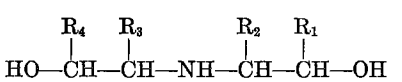


WHEREIN R_1 IS A PHENYL RESIDUE, WHICH IF DESIRED CAN BE SUBSTITUTED BY A HYDROXYL GROUP OR A LOW MOLECULAR ALKYL- OR ALKOXY RESIDUE, R_2 AND R_3 ARE HYDROGEN ATOMS OR PHENYL- OR ALKYL RESIDUES AND R_4 IS A HYDROGEN ATOM OR A PHENYL RESIDUE, CAN BE SUBJECTED TO THE MORPHOLINE RING CLOSURE UNDER PARTICULARLY MILD CONDITIONS AND WITHOUT DISTURBING SIDE-REACTIONS.

THEREFORE, THE PRESENT INVENTION RELATES TO A PROCESS FOR THE PRODUCTION OF SUBSTITUTED MORPHOLINES OF THE GENERAL FORMULA



WHEREIN R_1 TO R_4 HAVE THE ABOVE-NAMED MEANINGS. ACCORDING TO THE INVENTION THE SUBSTITUTED MORPHOLINES OF THE SAID GENERAL FORMULA ARE PRODUCED BY INTRODUCING SUBSTITUTED DIETHANOLAMINES OF THE GENERAL FORMULA



WHEREIN R_1 TO R_4 HAVE THE ABOVE DEFINITIONS, WITHOUT HEATING INTO CONCENTRATED (96%) SULPHURIC ACID OR BY TREATING THEM WITH DILUTED ACIDS AT MODERATE TEMPERATURES.

It will be observed that, up to this point, there has been no indication beyond that contained in the title and in the clause stating the object of the invention as to what the alleged invention is. It has, however, been stated that the object of the invention is a process according to which the morpholine ring closure can be carried out under particularly mild reaction conditions, *e.g.* without heating or with only slight heating (cooling is also mentioned later) and that,

according to the invention, the substituted morpholines are produced by introducing substituted diethanolamines of the general formula already mentioned without heating into concentrated (96 per cent.) sulphuric acid or by treating them with diluted acids at moderate temperatures. As I read the specification, moderate reaction temperatures are thus a characterizing feature in what is being described and a second feature of what is being described is that the morpholine ring closure is brought about by the treatment of the substituted diethanolamine with acid. Nor is this impression dispelled by what follows wherein for the first time salts of the diethanolamines, as well as the bases, are mentioned. The disclosure proceeds:

IF THE RING CLOSURE IS PRODUCED WITH CONCENTRATED SULPHURIC ACID WITHOUT HEATING, THEN, USING THE FREE BASE AS STARTING MATERIAL IT WILL BE CONVENIENT TO WORK UNDER GOOD COOLING CONDITIONS ON ACCOUNT OF THE HEAT OF NEUTRALIZATION. HOWEVER, ONE CAN ALSO START FROM A SALT OF THE BASE, WHICH CAN BE INTRODUCED INTO THE CONCENTRATED SULPHURIC ACID WITHOUT SPECIAL COOLING. THE DESIRED MORPHOLINE DERIVATIVE HAS FORMED AFTER SEVERAL HOURS STANDING AND CAN BE WORKED UP IN THE USUAL MANNER, e.g. BY POURING ON ICE, MAKING ALKALINE AND EXTRACTING WITH ETHER AND PURIFYING THE MORPHOLINE BY CRYSTALLIZATION OR DISTILLATION.

WHEN WORKING WITH DILUTED ACIDS THE REACTION RESULTS, AS ALREADY MENTIONED ABOVE, LIKEWISE UNDER RELATIVELY MILD CONDITIONS. IN MANY CASES IT IS SUFFICIENT TO OPERATE AT ROOM TEMPERATURE. WITH OTHER DERIVATIVES GENTLE WARMING OR HEATING TO WATERBATH TEMPERATURE WITH AN AQUEOUS OR ALCOHOLIC ACID IS NECESSARY. THIS PROBABLY DEPENDS ON THE TYPE OF SUBSTITUTES. THE ACTUAL REACTION CONDITIONS CAN EASILY BE ASCERTAINED BY SIMPLE PRELIMINARY TESTS. AS DILUTE ACIDS, WHICH MAY BE USED IN THE PROCESS ACCORDING TO THE INVENTION CAN BE MENTIONED BY WAY OF EXAMPLE: SULPHURIC ACID, HYDROBROMIC ACID, HYDROCHLORIC ACID, ETC.

It should be observed that the expression "the desired morpholine" refers in the same sentence to a salt and to the base, for it is a salt of the morpholine which has formed after several hours but what is worked up by making alkaline is the base. In the context, however, and having regard to the general formula of the class of morpholine the reference to the fact that the desired morpholine can be worked up

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

by making alkaline, in my opinion, indicates that the purpose of the process which is being described is to produce the base rather than any of the numerous salts.

The specification next contains a paragraph suggesting a preferred way of preparing the diethanolamine starting material which, it should be noted, is a method of preparing the base rather than any salt, and then proceeds to say:

THE MORPHOLINES PRODUCED ACCORDING TO THE INVENTION ARE VALUABLE PHARMACEUTICALS OR INTERMEDIATE PRODUCTS FOR THE PRODUCTION OF PHARMACEUTICALS. (I pause to observe that this suggests that the invention—whatever it may be—is not the morpholines, since they are something produced "according to the invention" and are not even referred to as being new substances). THE PHARMACOLOGICAL BEHAVIOR OF THE COMPOUNDS OBTAINED ACCORDING TO THE PRESENT INVENTION, WILL BE MORE FULLY DESCRIBED BY THE EXAMPLE OF ONE OF THE COMPOUNDS OF THIS CLASS, THE 2-PHENYL-3-METHYLMORPHOLINE. THE MOST IMPORTANT EFFECT OF SAID SUBSTANCE APPEARS WHEN COMPARED WITH BENZEDRINE (PERVITINE) TO WHICH IT IS SUPERIOR INASMUCH AS IT CAUSES THE PARTICULARLY DESIRED EFFECT OF DEFERRING THE TIRING WHILST BEING LESS POISONOUS AND LESS STIMULATING.

This is followed by comparative data respecting the toxicity, the stimulating effect of the substance and its effect on blood pressure and a paragraph of information as to its effects and advantages when administered to humans. The paragraph ends with the sentence:

THE OTHER COMPOUNDS OF THIS CLASS WILL PRODUCE SIMILAR EFFECTS.

Next in order come ten examples which are introduced by the sentence:

THE FOLLOWING EXAMPLES WILL MORE CLEARLY EXPLAIN THE INVENTION, WITHOUT LIMITING IT.

Of the examples, numbers 1, 2, 3, 4 and 10 are all carried out with concentrated sulphuric acid at room temperature. In 1, 2, 3 and 4, the starting materials are all diethanolamine hydrochloride salts, while in number 10 the starting material is a base. Number 5 is also an example of the use of concentrated sulphuric acid with a base. In it, the temperature is said to rise to 40° because of the heat generated by the neutralization, and it is then left to react at room temperature. Examples 6, 7, 8 and 9 are the only examples of the use of dilute acids. Of these, number 6 relates to the use of 30 per cent. sulphuric acid at water bath temperature, number 7 to 5 per cent. hydrochloric acid at boiling temperature, number 8 to hydrogenation in methanol at room temperature, and number 9 to 10 per cent. hydrochloric acid

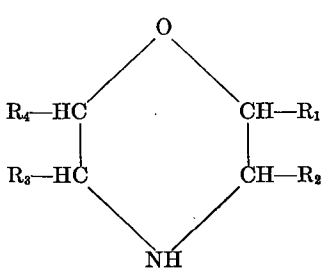
at water bath temperature. Examples 2 and 9 relate to the preparation of 2-phenyl-3-methyl morpholine, the starting material in each case being the hydrochloride salt of B-phenyl-α-methyl-B,B[1]-dihydroxy-diethylamine. In all examples except number 8, a method of neutralizing the product of the reaction to form the substituted morpholine bases is referred to, and in all but 5 and 10 preparation of the hydrochloride salt from the base is also described or referred to.

To recapitulate, the facts descriptive of the invention which have been made to appear thus far are that it is entitled a process for the production of substituted morpholines, that it relates to a process for the production of substituted morpholines, that its object is a process according to which the ring closure of diethanolamines to form morpholine derivatives can be carried out under particularly mild reaction conditions, that since it has been found that a certain large group of substituted diethanolamines can be subjected to the ring closure under particularly mild reaction conditions without disturbing side reactions it (the invention) relates to a process for the production of substituted morpholines of that class, that according to it (the invention) such substituted morpholines are produced by introducing substituted diethanolamines of a certain class without heating into concentrated sulphuric acid or by treating them with diluted acids at moderate temperatures and that the morpholines produced according to the invention are valuable pharmaceuticals or intermediate products for the production of pharmaceuticals and all of them will produce effects similar to those described as the effects of 2-phenyl-3-methylmorpholine.

The remainder of the specification is as follows:

THE EMBODIMENTS OF THE INVENTION IN WHICH AN EXCLUSIVE PROPERTY OR PRIVILEGE IS CLAIMED ARE DEFINED AS FOLLOWS:

1. PROCESS FOR THE PRODUCTION OF SUBSTITUTED MORPHOLINES OF THE GENERAL FORMULA

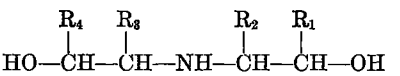


1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

WHEREIN R_1 IS A PHENYL RESIDUE, OR A PHENYL RESIDUE SUBSTITUTED BY HYDROXYL, LOWER ALKYL, OR LOWER ALKOXY, R_2 AND R_3 ARE HYDROGEN ATOMS OR PHENYL OR ALKYL RESIDUES AND R_4 IS A HYDROGEN ATOM OR A PHENYL RESIDUE, CHARACTERIZED IN THAT DIETHANOLAMINES OF THE GENERAL FORMULA



WHEREIN R_1 TO R_4 HAVE THE ABOVE MEANING, ARE TREATED IN THE PRESENCE OF ACIDS.

2. PROCESS ACCORDING TO CLAIM 1, CHARACTERIZED IN THAT THE RING CLOSURE IS BROUGHT ABOUT WITH CONCENTRATED SULPHURIC ACID WITHOUT HEATING.

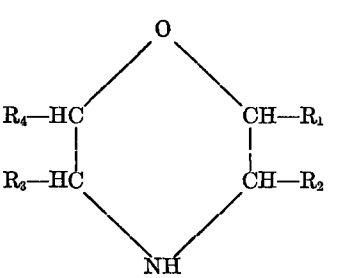
3. PROCESS ACCORDING TO CLAIM 2, CHARACTERIZED IN THAT USING THE FREE BASE AS STARTING MATERIAL ONE OPERATES WITH COOLING.

4. PROCESS ACCORDING TO CLAIM 2, CHARACTERIZED IN THAT WHEN USING A SALT OF THE SUBSTITUTED DIETHANOLAMINE AS STARTING MATERIAL ONE WORKS AT ROOM TEMPERATURE.

5. PROCESS ACCORDING TO CLAIM 1, CHARACTERIZED IN THAT THE RING CLOSURE IS BROUGHT ABOUT BY WORKING WITH DILUTED ACIDS AT TEMPERATURES BELOW 100°C.

6. PROCESS ACCORDING TO CLAIM 5, CHARACTERIZED IN THAT SULPHURIC ACID, HYDROBROMIC ACID OR HYDROCHLORIC ACID ARE USED AS DILUTED ACID.

7. MORPHOLINE DERIVATIVES OF THE GENERAL FORMULA



WHEREIN R_1 IS A PHENYL RESIDUE, WHICH MAY BE SUBSTITUTED BY A HYDROXYL GROUP OR A LOW MOLECULAR ALKYL OR ALKOXY RESIDUE, R_2 AND R_3 ARE HYDROGEN ATOMS OR PHENYL OR ALKYL RESIDUES AND R_4 IS A HYDROGEN ATOM OR A PHENYL RESIDUE, WHEN PREPARED BY THE PROCESS OF CLAIM 1, 2 OR 3, OR BY AN OBVIOUS CHEMICAL EQUIVALENT.

8. 2-PHENYL-3-METHYLMORPHOLINE, WHEN PREPARED BY THE PROCESS OF CLAIM 1, 2 OR 3, OR BY AN OBVIOUS CHEMICAL EQUIVALENT.

It will be noted that, while claims 2, 3, 4 and 5 are all process claims wherein temperature conditions—none of which exceed 100°C—are specified, claim 1 purports to embrace the process of treating any diethanolamine of the class therein defined in the presence of any acid, concentrated or dilute, with no limitation whatever on the temperature at which the reaction is to be carried out. This may be contrasted with the disclosure which says that "according to the invention the substituted morpholines of the said general formula are produced by introducing substituted diethanolamines of the general formula . . . without heating, into concentrated (96%) sulphuric acid or by treating them with diluted acids at moderate temperatures". The process claimed in claim 1 is thus broader than the process described in the disclosure in that, while according to the latter the diethanolamines are introduced without heating into concentrated sulphuric acid or treated with diluted acids at moderate temperatures, the former is a process wherein concentrated acids other than concentrated sulphuric acid may be used and which when using either concentrated or diluted acid may be carried out at temperatures which are other than moderate.

It should also be noted that while claims 2, 3 and 4, and probably 5 and 6 as well, are limited to processes in which the ring closure is produced by the action of the acid on the diethanolamine, the process of claim 1 is not so limited and a process of producing a ring closure by the reaction of any other substance on a diethanolamine of the class would fall within claim 1 if it were carried out in the presence of acid.

Finally, it should be noted that provided a substituted morpholine of the defined class is produced by the treatment of a substituted diethanolamine of the defined class in the presence of acid, claim 1 will cover the process even though the substituted morpholine so produced may not be that of the corresponding substituted diethanolamine because of re-arrangement of the positions of the substituents having occurred in the process.

Turning now more particularly to what the specification says about 2-phenyl-3-methylmorpholine, the first specific reference to this substance appears in the opening paragraph of p. 5 which reads:

> The morpholines produced according to the invention are valuable pharmaceuticals or intermediate products for the production of pharmaceuticals. The pharmacological behavior of the compounds obtained

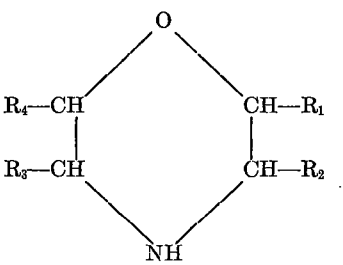
1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

according to the present invention, will be more fully described by the example of one of the compounds of this class, the 2-phenyl-3-methylmorpholine.

This is followed by data purporting to state the effects of "the said substance". It is to be noted, however, that the expression "the said substance" refers to 2-phenyl-3-methylmorpholine as "the example of one of the compounds of this class" which in turn refers to "the compounds obtained according to the present invention". "The present invention" thus far referred to related to a process for the preparation of substituted morpholines of the class represented by the general formula



and according to it, they were produced by introducing substituted diethanolamines of the general formula mentioned without heating into concentrated (95°) sulphuric acid or by treating them with diluted acid at moderate temperature, etc. It is thus only 2-phenyl-3-methylmorpholine when produced by these processes that is being described. Nor do I think that the 2-phenyl-3-methylmorpholine which is thus referred to is to be divorced from the process and conditions described. It is not to be assumed that the specification does not mean precisely what it says and it is to be borne in mind that the substance had not been previously made or used. The specification itself has already warned of the danger of undesired side reactions which may be brought about by the influence of the temperature and the acid used, and it appears from the evidence that there are two stereo isomeric forms of the 2-phenyl-3-methylmorpholine molecule, and that it is the formation of the trans isomer which is favoured in the reaction as described in the specification. The properties and pharmacological effects of the substance described in the specification are thus presumably ascribable to the trans isomer. As the substance had not previously been made, it may not have been predictable at the time

that more vigorous conditions would not result in formation of the products of undesired side reactions or of the cis isomer of 2-phenyl-3-methylmorpholine in greater proportion, either of which might contaminate the result so as to render the process under such conditions useless or less useful than the restricted process which was being described. Having regard to this as well as to the duty of the patentee to correctly and fully describe his invention, I would construe the reference to 2-phenyl-3-methylmorpholine produced according to the invention as a deliberate limiting of the description of the substance to that substance when produced under the moderate temperature conditions which had already been outlined.

The paragraph referred to is followed by those which give detailed data concerning the action or effects of the 2-phenyl-3-methylmorpholine so prepared and then in examples 2 and 9 two processes for producing it are described in some further detail. Example 2 is a process by which the 2-phenyl-3-methylmorpholine is prepared by dissolving B - phenyl-α-methyl-B,B[1]-dihydroxy-diethylamine-hydrochloride in concentrated sulphuric acid, allowing it to stand overnight at room temperature, subsequently making the reaction material alkaline with caustic soda and then extracting the 2-phenyl-3-methylmorpholine. The substance so obtained is said to be a liquid which boils at 138°C. It is then mentioned that the hydrochloride crystallizes from alcoholic hydrochloric acid and acetone and has a melting point of 182°C. Example 9 which is headed "2-phenyl-3-methylmorpholine" refers to a process of warming the same diethanolamine hydrochloride with 10 per cent. hydrochloric acid for six hours on a water bath and states that "after working up in the usual manner (which in my opinion means making basic and extracting), the hydrochloride of the 2-phenyl-3-methylmorpholine crystallizes out from methanolic hydrochloric acid and acetone". In my opinion, one possible reason for mentioning this salt is that if taken orally in small quantity it would have the same effect as the base. It is notable that the salt of no other acid is mentioned in the same way in this or any of the other examples. A second reason may be that in this example as well as in each other example when the hydrochloride salt is similarly mentioned, the salt is a solid with a melting point above 100°C which may be a desirable characteristic

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

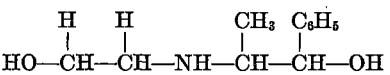
if the substance were to be stored for some time. But whether these are the reasons or not why the hydrochloride salts of these 9 substituted morpholines are so mentioned, I can see in the fact that they are mentioned in examples which are headed by the name of the substituted morpholine no sufficient reason for thinking that the author of the specification was using the names of these morpholines loosely to refer either to the morpholine itself and its hydrochloride salt or to the morpholine itself and all its salts. What follows in the specification with relation to 2-phenyl-3-methylmorpholine is simply the wording of claim 8 and claims 1, 2 and 3 to which claim 8 refers.

With respect to the product aspect of claim 8, it was contended on behalf of the plaintiff that the name 2-phenyl-3-methylmorpholine should be construed as embracing the substance 2-phenyl-3-methylmorpholine and all its salts when prepared by the processes mentioned. In this connection, it may be noted that the processes of claims 1, 2 and 3, so far as they are detailed in the claims, are confined to the treatment of diethalomines of the class in the presence of acids which initially would produce the morpholine salt of the acid used. But this consideration in my opinion is outweighted by other features of the specification. The whole tenor of the disclosure is to describe the making of the substituted morpholines and this term in its proper and common usage refers to the morpholine bases and not to their salts. Further, the salts of the morpholines are different substances from the morpholines themselves, having structural and empirical formulas which differ from those of the morpholines. The morpholine molecular structure is given in the disclosure and in the claims, but the structure of a morpholine salt is nowhere to be found in either the disclosure or the claims. Moreover, the information given in the disclosure regarding the pharmacological effects of the use of 2-phenyl-3-methylmorpholine are, as I read the specification in relation to this invention, the effects of that single substance. Its salts are not referred to as having such effects and to read claim 8 as including them would be to extend it to substances for which, as I read the specification, no pharmacological utility had been asserted and some if not most of which would be unlikely to have any useful pharmacological activity. Moreover, there is no indication in the evidence that any but a small number of these, out

of the thousands which would make up the class of such salts, has ever been made. I am accordingly of the opinion that as a matter of construction the name 2-phenyl-3-methylmorpholine in claim 8 refers to the base only having that name and does not include any salt of that base.

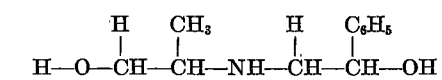
Turning now to the process aspect of the claim, it was contended on behalf of the plaintiff that for the purposes of this case, claim 8 should be read as saying

2-phenyl-3-methyl morpholine, when prepared by a process characterized in that a diethanolamine of the formula



is treated in the presence of acids or by an obvious chemical equivalent.

I am not satisfied that claim 8 is so limited for I do not see how it could, as stated in the patent, be said to exclude 2-phenyl-3-methylmorpholine when produced by treating other diethanolamines of the class in the presence of acids as, for example, if it could be produced by treating a diethanolamine of the formula



in the presence of acids. The fact of the matter is that claim 1 is a claim relating to the alleged invention of the class. It is not a claim in respect of the other invention, i.e. of 2-phenyl-3-methylmorpholine, and it does not fit that invention.

But even assuming that claim 8 can be read as narrowly as suggested by counsel for the plaintiff, it still claims the substance 2-phenyl-3-methylmorpholine whenever prepared by treating the particular diethanolamine in the presence of any acid, whether concentrated or dilute, and at any temperature, whether moderate or not. In these respects, the process aspect of claim 8 as so worded would be coextensive with that of claim 1 in so far as it relates to the treatment of the particular diethanolamine. It would, however, not be coextensive with, but broader in scope than the process for making the class of morpholines of which 2-phenyl-3-methylmorpholine is one, which is described in the disclosure

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

for, according to that process, the diethanolamine is introduced without heating into concentrated sulphuric acid or is treated with diluted acid at a moderate temperature. It would also be broader than the process as disclosed in that it would embrace the bringing about of the morpholine ring closure by the action of some other substance on the diethanolamine provided only that it were carried out in the presence of acid.

I turn now to the objections to validity raised in the course of argument on behalf of the defendant. These were put forward in three groups, the first group being directed against the patent as a whole, the second group against claim 8, and the third group, which is really a sub group of one of the objections in the second group, against claim 1. The objections raised in the first group were all based on the defendant's submission that the patent related to one invention only, that one being a process for the production of the whole enormous class of substances and on this basis three objections were urged. First, it was said that not all members of the class were useful and the invention as claimed lacked utility. Secondly, it was argued that the patent is a selection patent in that the inventor has selected as starting materials diethanolamines, having certain characteristics and particular reaction conditions and that the patent does not comply with the requirements for a patent for an invention of this kind because in such a case the starting materials must all be capable of producing useful products which is not the fact and because the reaction referred to can in fact be carried out under conditions other than those selected. The third of this group of objections was that with regard to the process as described wherein dilute acids are to be used, the patent leaves it to the public to experiment to find out how it works. As I have reached the conclusion that the specification purports to disclose more than one invention, it becomes unnecessary to deal with these particular objections. Some of them, however, were raised as well with respect to the invention of 2-phenyl-3-methylmorpholine and one of them is referred to in connection with the objections to claim 1.

The second group of objections—all to claim 8—consisted in substance of four separate objections and it will be convenient to deal with these in turn as they are stated though not necessarily in the order in which they were presented.

The first of these objections was that even if claim 8 is for a second invention, 2-phenyl-3-methylmorpholine was not shown to have greater pharmacological value to a sufficient extent over known drugs to support a claim to an invention and that any advantage it may have over these was within the realm of what could be expected of this substance when made. In the defendant's submission, in order to support the claim, it would be necessary to obtain affirmative answers to two questions, the first of which counsel referred to as the pre-Cripps question and the second as the Cripps question. In suggesting these questions, counsel referred to the judgment of Jenkins J. in *Re May & Baker Ltd. et al.*[1], and by way of explanation of the submission, it may be useful to quote at this point some passages from the judgment in that case. At p. 281, line 14, Jenkins J. said:

> Before referring to this evidence, I should, I think, endeavour to state the principles on which, and limits within which, an invention consisting of the production of new substances by known methods from known materials can be supported from the point of view of subject-matter. I understand them to be these:—
>
> (i) An invention consisting of the production of new substances from known materials by known methods cannot be held to possess subject-matter merely on the ground that the substances produced are new, for the substances produced may serve no useful purpose, in which case the inventor will have contributed nothing to the common stock of useful knowledge (the methods and materials employed being already known) or of useful materials (the substances produced being, *ex hypothesi,* useless).
>
> (ii) Such an invention *may,* however, be held to possess subject-matter provided the substances produced are not only new but useful, though this is subject to the qualification that the substances produced must be truly new, as opposed to being merely additional members of a known series (such as the homologues) and that their useful qualities must be the inventor's own discovery as opposed to mere verification by him of previous predictions.
>
> (iii) Even where an invention consists of the production of further members of a known series whose useful attributes have already been described or predicted, it may possess sufficient subject-matter to support a valid patent provided the somewhat stringent conditions prescribed by *Maughham,* J., as he then was, in *I. G. Farbenindustrie A-G's Patents* (47 R.P.C., 289) as essential to the validity of a selection patent are satisfied, i.e. the patent must be based on some substantial advantage to be gained from the use of the selected members of the known series or family of substances, the whole (or substantially the whole) of the selected members must possess this advantage, and this advantage must be peculiar (or substantially peculiar) to the selected group.

[1] 65 R.P.C. 255.

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

And at p. 282, line 24:

Applying these principles to the present case, I conclude that the invention as claimed by the unamended specification can be held to possess subject-matter if (but not unless) (*a*) the products of the invention are useful, and (*b*) the utility of the products can (having regard to the state of chemical and chemo-therapeutic knowledge on the relevant date, viz. 31st January, 1938) fairly be described as the inventor's own discovery as opposed to a mere verification of, or obvious corollary to, something previously known. In other words, if the products of the invention as claimed are useful, then there may be subject-matter if an affirmative answer can properly be given to the question put by Mr. *Cripps*, as he then was, in *Sharpe & Dohme v. Boots Pure Drug Coy. Ld.* (*supra*), which in its application to the present case may be paraphrased as follows: "Was it for all practical purposes obvious to any skilled chemist, in the state of chemical and chemo-therapeutical knowledge existing on the 31st January, 1938, that he could produce substances possessing greater chemotherapeutic utility than sulphanilamide by applying to the materials described in the specification (and admittedly known to him either as existing or as theoretically possible bodies) the methods described in the specification (and also admittedly known to him as reactions of general application) so as to produce the new substances claimed?" If, on the other hand, the products of the invention as claimed are not useful, then *cadit quaestio* and the further question does not arise.

As to utility, it is of course obvious that chemotherapeutic utility is the only field of usefulness here in question. Further, as appears from my paraphrase of what was referred to in argument as "the Cripps question", I think that utility here must be considered as a relative term. The starting point is sulphanilamide (para-amino-benzene-sulphonamide), and while the range of products embraced by the invention as claimed is very wide owing to the large variety of further substitutions (both on the sulphanilamide side and on the thiazole side of the synthesis) which is invited or permitted by the terms of the specification, all such products are, broadly speaking, some form or other of thiazole-substituted sulphanilamides. I think it follows that the utility of the products of the invention as claimed in the unamended specification must be measured by reference to the chemotherapeutic value of the simple sulphanilamide and that they cannot be classed as useful for the present purpose except in so far as they may be of greater chemotherapeutic utility (for instance, of greater or more general anti-bacterial activity and/or of less toxicity) than the simple sulphanilamide itself. I apprehend that chemotherapeutic utility could hardly be claimed for an invention comprising the manufacture of a sulphanilamide derivative which for chemotherapeutic purposes possessed no advantage whatever over the parent substance. The question as to utility which must be answered affirmatively before the "Cripps question" arises, can, therefore, I think, be stated as follows:—"Can it be predicated as a general proposition of all the products of the invention as claimed—or of substantially all of such products (for I do not think that a few exceptions would necessarily affect the result)—that they are of greater chemotherapeutic value than the simple sulphanilamide?" In considering the evidence bearing on this question it is important to distinguish between the utility of the products of the invention as claimed by the unamended specification, and the utility of the two specific products (sulphathiazole and sulpha-methyl-thiazole)

given as examples of the invention in the unamended specification, but now sought to be made the whole of the invention by the proposed amendments.

Since in the present case the alleged invention of phenmetrazine (2-phenyl-3-methylmorpholine) was one of a new substance by the application of a known method to a known substance, it was submitted that to determine whether the alleged invention possessed subject-matter it would be necessary to answer first the question, "Can it be predicated that phenmetrazine is of greater pharmacological value than the other four known drugs, viz. amphetamine (also known as benzedrine), nor-ephedrine (also known as propadrine), pervitine and ephedrine?" and then if, but only if, the answer to this question were in the affirmative, a further question would arise similar in substance to the "Cripps question" the form of which was the subject of some argument but which I think would be substantially as suggested by Mr. Robinson, who put it thus, "Was it for all practical purposes obvious to any skilled chemist in the state of chemical and chemotherapeutical knowledge existing on the 30th of June, 1953, that he could produce a substance possessing greater pharmacological utility than the common drugs (amphetamine, norephedrine, pervitine and ephedrine) by applying to the diethanolamine (B-phenyl-α-methyl-B,B1 dihydroxy-diethylamine) (admittedly known to him as an existing body) the method of treating in the presence of acids (admittedly known to him as a reaction of general application) so as to produce the new substance claimed?"

In view of the *prima facie* presumption in favour of the validity of the patent, I think it must be assumed at the outset that the answer to the first of these questions is "yes" and to the second of them is "no" and that these answers must remain the answers at the end of the proceedings unless by a preponderance of evidence it has been established that either of them is not true.

On the first of these questions, there is first the evidence of Dr. Bernard Belleau, a highly qualified professor of chemistry who has had experience in chemical research and in teaching organic chemistry, biochemistry and various aspects of medicinal chemistry. According to his evidence the four known drugs, amphetamine, nor-ephedrine, pervitine and ephedrine, while all useful to about the same extent

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

to produce stimulation and depress appetite also had, to about the same extent, the undesirable effect of raising blood pressure. There were, however, some variations in the extent of the effects produced by these drugs. Comparing the four drugs mentioned with phenmetrazine, the witness said, in cross-examination, p. 646, line 20 to p. 648, line 8:

Q. You mentioned in connection with the activity of these—would it be correct to say that these five compounds fall into a category of drugs that have a similar activity?

A. These five—yes, qualitatively they share many pharmacological properties.

Q. And I think you have three groups which you have indicated in your evidence-in-chief, of effects, and one, I think, was the stimulating effect.

A. The cardiovascular effect.

Q. Yes, the blood pressure effect, and I think you said something which had to do with the effect of eating less?

A. Yes, this has been noted also.

Q. Can you indicate Dr. Belleau, with regard to these five compounds —first of all, would you say all of them have some of these three effects?

A. Yes.

Q. All of them have some of them?

A. I believe so, yes, to varying degrees.

Q. Yes, to varying degrees. I will be coming to that in a minute. I want to try to classify these as to which of the three varying effects is most pronounced in each of them.

A. They vary from each other.

Q. I know they vary from each other, but which of these five, for instance, in your opinion, would you think has the strongest stimulating effect?

A. This is based on my present knowledge, of course?

Q. I beg your pardon?

A. This is based on what I know about these compounds. I would say the four top ones—I believe they are approximately equally efficient as central stimulants, and they all also have approximately similar blood pressure effects. They cause a rise in blood pressure to roughly the same extent. I think these four top compounds do that. Now, it is known that the last one—it seems with respect to this last one that it also has this central stimulating activity but to a much smaller degree, and this blood pressure effect is also known to be—it has been reported to be appreciably less than in those other four.

Dr. Belleau also said that phenmetrazine is used in the treatment of obesity, the desired effect being to depress appetite without the disadvantage of an increase in blood pressure. In addition to the evidence of Dr. Belleau, there is evidence given by Professor Silvano Rossi of a concerted

and lengthy effort on the part of Industria Chimica Profarmaco S.p.A., an Italian corporation engaged in the manufacture of fine chemicals—to find a practical way to produce phenmetrazine as well as evidence of the commercial production and sale of it. To my mind, this evidence rather than indicating a negative answer to the first of the suggested questions weighs in favour of the conclusion that it is properly answered in the affirmative. Nor does the evidence satisfy me that phenmetrazine does not have the advantages which the specification claims for it. According to the specification, "The most important effect of said substance (phenmetrazine) appears when compared with benzedrine (pervitine), to which it is superior inasmuch as it causes the particularly desired effect of deferring the tiring whilst being less poisonous and less stimulating". The specification next proceeds to say that with white mice the LD/50 (lethal dose for 50 out of 100 mice) when subcutaneously injected is 200 milligrams per kilogram of body weight compared with 75 milligrams per kilogram of body weight for benzedrine. Perorally administered the corresponding figures with white mice are 475 mg/kg for phenmetrazine against 95 mg/kg for benzedrine. When injected intraperitoneally with white mice the LD/50 is 200 mg/kg for phenmetrazine compared with 50 mg/kg for benzedrine. The specification then says:

> The stimulating effect on mice and rats, measured by the increase in motility, is approximately 7 to 10 times lower than that of benzedrine.
>
> Effect on blood pressure is about 1000-1500 times lower than that of adrenaline.

Presumably the last sentence quoted would have some meaning to a pharmacologist, but there is no evidence upon which I can assess the extent to which superiority in this respect exists over benzedrine, nor-ephedrine, pervitine and ephedrine. There is thus nothing upon which a finding that phenmetrazine was not in this respect more useful than the other drugs could be founded.

In the specification, there follows a paragraph indicating that phenmetrazine has no effect on blood sugar level and then this paragraph:

> When administered to human beings, dosages up to 25 mg will not cause any disadvantageous effects, but will cause a notable deferring of tiring. Said dosages of the substance will not cause excitation, as does the pervitine, nor will cause abrupt mental processes; on the contrary, an

1962

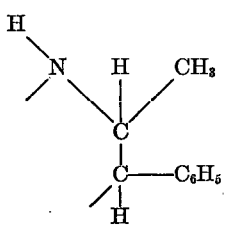
C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

excellent ability of mental concentration will be experienced after administration of the substance. When administrated in larger dosages and parenterally stimulation can be caused as after administration of pervitine; this stimulation however will not be accompanied by a corresponding increase in blood pressure.

An attempt was made to show that on the information so given phenmetrazine would have no advantage as far as toxicity was concerned over benzedrine if the effective dose of the latter substance were 5 mg as against 25 mg for phenmetrazine. This it seems would follow, but the evidence leaves me unsatisfied that 5 mg of benzedrine is the equivalent of a 25 mg dose of phenmetrazine and I would accordingly base no conclusion on the assumption that it was. On the same assumption, it was argued that the claimed advantage of the stimulating effect being 7-10 times lower for phenmetrazine would be reduced to a very small or trivial advantage, but while this may follow as well if the assumption is correct, I can base no finding on it for the reason already stated. Accordingly, while the specification claims for phenmetrazine advantages the extent of which I find it impossible to assess, the evidence does not in my opinion show that phenmetrazine does not possess such advantages in some measure, nor does it show that the measure in which such advantages is possessed is so small as to lead one to say that phenmetrazine is not of greater pharmacological value than the four similar known drugs. The answer to the first (or pre-Cripps) question is accordingly in the affirmative and this brings me to the second (or Cripps) question.

Here again, the *prima facie* answer in my opinion is supported rather than changed by the evidence. It appears that all four of the similar known drugs have as part of their molecular structure what may be referred to as the 1-phenyl-2-amino-propane skeleton which may be depicted thus



The differences in the molecular structure of the four drugs lie in what atoms or groups of atoms occupy the two bonding positions shown as unoccupied in the above structure. The molecule of 2-phenyl-3-methyl morpholine also includes this skeleton. Dr. George F. Wright, a professor of chemistry of outstanding qualifications and with a lifetime of experience in chemical research and teaching, who was called on behalf of the defendant, was able to put the position no higher than that if he had been familiar with the four known similar drugs and had been shown the formula or structure of phenmetrazine he would have expected it would be worthwhile to synthesize it—that the odds would be good "that it would have that activity", or "the odds would be sufficiently good that (he) would be willing to make the synthesis". It is, I think, fair to note that if the substance to be so synthesized were to exhibit the hoped for activity at all, the probability was that such activity would vary in some respects from those of the four known drugs. But this, to my mind, is far from suggesting that it was predictable that 2-phenyl-3-methylmorpholine would possess advantages over the four known drugs. The evidence shows that there are some 200 known substances which include the 1-phenyl-2-amino-propane skeleton in their molecular structures of which about 30 are known to have pharmacological activity while the rest do not, and a myriad of other conceivable substances embracing this skeleton which have never been made and of which the pharmacological activities are not predictable. I see no reason to think that what might have been hoped for with respect to phenmetrazine could not for the same reason have been hoped for from a large number of the compounds and conceivable compounds which embrace this skeleton and yet it appears that most of the known compounds having it do not have pharmacological value. Moreover, the opinion of Dr. Wright as so expressed assumes that for some reason, 2-phenyl-3-methylmorpholine has already been selected from the myriad of unknown but conceivable compounds as suitable for consideration which, I think, distorts the problem as it would have presented itself to one who knew about the four drugs and embarked on the task of making a new substance of greater value for pharmacological purposes. To such a person, it would no doubt occur to explore substances having the 1-phenyl-2-amino-propane skeleton and even within that class it might

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

be easy to eliminate sizable groups as being too difficult to prepare or too unlikely because of the size of the molecule to exhibit the desired activity, but after this was done there would still remain a large group of possible substances from which to choose those suggesting the best possibilities and it would only be at this stage, if 2-phenyl-3-methylmorpholine was within a group thought worthy of examination that the question as presented to Dr. Wright would have arisen. Moreover, Dr. Wright spoke from the point of view of a chemist rather than a pharmacologist and the evidence of Dr. Belleau makes it clear that since slight changes of molecular structure can bring about marked changes in pharmacological activity, the extent to which pharmacological activities of a new substance having molecular features in common with substances known to have certain pharmacological activity are predictable is very narrow and it is much more difficult to make an accurate prediction of pharmacological activities than to make a prediction of chemical activity. On the whole, therefore, I am of the opinion that the evidence does not show that a negative answer to the Cripps question would be wrong. The defendant's objection on this ground accordingly fails.

The next objection taken to claim 8 was that it includes both the trans and the cis isomers of 2-phenyl-3-methylmorpholine and is invalid because the cis isomer is not a useful substance. In my opinion, the evidence on this point goes to the point of suggesting that because the two isomers are different, it would not be unreasonable to expect that their effects might be different. One might be useless or harmful while the other was useful and beneficial. Or one might be useful while the other was more useful. But this falls short of establishing that the cis isomer lacks utility or that it is harmful. The onus of establishing the objection by showing the lack of utility of the cis isomer was on the defendant and as the fact, if it is the fact, of its inutility has not been established, this objection also fails.

The third objection of this group was that claim 8 does not comply with s. 41(1) of the *Patent Act*. This subsection provides that:

> 41. (1) In the case of inventions relating to substances prepared or produced by chemical processes and intended for food or medicine, the specification shall not include claims for the substance itself, except

when prepared or produced by the methods or processes of manufacture particularly described and claimed or by their obvious chemical equivalents.

Claim 8, it will be recalled, refers to the process of claims 1, 2 or 3 or an obvious chemical equivalent, but as claims 2 and 3 are narrower process claims embraced within claim 1, for the purposes of considering the objection they can be disregarded. Claim 1, however, is a claim for a process for the production of the whole class of substances referred to in the specification. It does not specify the starting material to be used to produce 2-phenyl-3-methylmorpholine and so it was said claim 8, referring as it does to the process of claim 1, does not comply with s. 41(1).

In my opinion, this submission is well founded.

When s. 41(1) applies, and there is no dispute as to its application to the invention of 2-phenyl-3-methylmorpholine, it requires that the claim to such substance be limited to that substance when prepared or produced by the methods or processes which have been (*a*) particularly described, and (*b*) claimed, or (*c*) by the obvious chemical equivalents of the methods or processes which have been particularly described and claimed.

Here, the only limitation expressed in claim 8 is contained in the words "when produced by the process of claim 1, 2 or 3, or by an obvious chemical equivalent". And when one turns to claim 1 to see what process for preparing or producing 2-phenyl-3-methylmorpholine is therein claimed, one finds that it is not a claim for a process for the preparation of that substance but a claim for a process for the preparation of an enormous class of substances of which this substance is but one. In my view, claim 1 is not a claim for a process for the production of 2-phenyl-3-methylmorpholine even though that substance is one of the class, because it is clear that not all the members of the class of starting materials can be used to make 2-phenyl-3-methylmorpholine and claim 1 does not say that they can be used for that purpose, and at the same time, claim 1 does not say what starting material or materials may be used to make 2-phenyl-3-methylmorpholine. It thus does not state distinctly or in explicit terms any process for the production of that substance and we are back to the comment made earlier, that claim 1 as expressed

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

does not fit the invention of 2-phenyl-3-methylmorpholine, but is a claim related solely to the alleged invention of the process for production of the class of substances. In *Winthrop Chemical Co. Inc. v. Commissioner of Patents*[1], the Supreme Court held that "a claim cannot be entertained for a substance falling within s-s. (1) of s. 41 unless a claim is also made in respect of the process by which it is produced", *vide* Martland J. in *Parke, Davis & Co. v. Fine Chemicals of Canada, Ltd.*[2]; "A process implies the application of a method to a material or materials", per Martland J. in *Commissioner of Patents v. Ciba Ltd.*[3]. In the same judgment, Martland J. quoted with approval the following from the judgment of Jenkins J. in *Re May & Baker Ltd. et al.*[4] at p. 295, line 17:

> . . . If I am right in the conclusions stated earlier in this judgment with regard to subject-matter, there is no inventive step, no element of discovery, merely in making new substances by known methods out of known materials.
>
> What is indispensably necessary in order to elevate a process of this description from a mere laboratory exercise to the status of a patentable invention is the presence of some previously undiscovered useful quality in the substances produced. Assuming that the substances produced do possess some previously undiscovered useful quality, for example some remarkable value as drugs, then although the methods are known and the materials are known yet the application of those methods to those materials to produce those new substances may amount to a true invention, because of the discovery that those particular known materials when combined by those methods not merely produce those new substances but produce, in the shape of those new substances, drugs of remarkable value.
>
> I think it necessarily follows that the identity of the materials chosen (by luck or good management) by the supposed inventor for the production of his new substances is of the essence of his invention.

Applying this to the invention of the process for the production of 2-phenyl-3-methylmorpholine, in my opinion it becomes plain that if there was anything "new and useful" within the meaning of s. 2(*d*) of the *Patent Act* about the process for the production of phenmetrazine capable of qualifying that process as an invention within the meaning of the definition, it was that by subjecting the particular known substance B-phenyl-α-methyl-B,B[1]-dihydroxy diethylamine to the morpholine ring closure by the known method of treating it with acid, a particular new and valuable drug could be produced. This, however, is not

[1] [1948] S.C.R. 46.
[2] [1959] S.C.R. 219 at 226.
[3] [1959] S.C.R. 378 at 383.
[4] 65 R.P.C. 255.

stated in claim 1 as the thing which the inventor regards as new and in which he claims an exclusive property for the identity of the starting material, which is of the essence of the invention of the process for the making of 2-phenyl-3-methylmorpholine, is not stated in the claim. It follows, in my opinion, that claim 1 cannot be regarded as a claim of the kind required by s. 41(1) as interpreted in the *Winthrop* case. The substance claim of claim 8 is therefore not limited, as it should be to comply with s. 41(1), to that substance when produced by a process for its preparation which is *claimed* and claim 8 is accordingly contrary to s. 41(1).

It was also urged in connection with the same submission that under s. 41(1) the claim for 2-phenyl-3-methylmorpholine must be limited not only to that substance when prepared by methods or processes which are *claimed* but also by methods or processes which have been *particularly described,* or their obvious chemical equivalents, and that the claim to that substance in claim 8 is not limited to the methods or processes which have been particularly described. This, in my opinion, raises a second fatal objection to the validity of claim 8. The only processes for the preparation of 2-phenyl-3-methylmorpholine which, in my opinion, can be said to be particularly described anywhere in the specification are those described in examples 2 and 9. Example 2 describes a process for production of 2-phenyl-3-methylmorpholine by dissolving B-phenyl-α-methyl-B,B^1-dihydroxydiethylamine-hydrochloride in concentrated sulphuric acid, allowing it to stand overnight at room temperature, then making alkaline and extracting. Example 9 describes a process by which the same diethanolamine hydrochloride is warmed with 10 per cent. hydrochloric acid for six hours on a water bath and the product then worked up "in the usual manner".

The claim to 2-phenyl-3-methylmorpholine in claim 8 is not stated to be limited to that substance when prepared or produced by these two processes or by their obvious chemical equivalents. It is not even stated to be limited to that substance when produced by the processes which were described generally, earlier in the specification or their obvious chemical equivalents, since the processes so described consist only in (*a*) introducing a diethanolamine

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

of the class without heating into concentrated (96%) sulphuric acid; or (*b*) by treating it with diluted acid at a moderate temperature. Thus, even if contrary to my opinion, the general description of these processes could be regarded as sufficiently particular to meet the requirements of the expression "particularly described" in s. 41(1), and, if also contrary to my opinion, claim 1 does claim a process for the preparation or production of 2-phenyl-3-methylmorpholine, claim 8 would still not comply with the subsection.

To limit the substance claim of claim 8 only by reference to the substance when prepared by the process of claim 1, or an obvious chemical equivalent, is to ignore the requirement of s. 41(1) that the claim be limited as well to the substance "when prepared or produced by the methods or processes of manufacture particularly described . . . or by their obvious chemical equivalents". For, as previously pointed out, claim 1 is not limited as is the description to the use of concentrated sulphuric acid at room temperature and to the use of dilute acid at moderate temperatures, nor to the production of the morpholine ring closure by the action of acid on the diethanolamine. Nor do I think that whatever is embraced in claim 1 is necessarily embraced either within the processses described in the specification, or their obvious chemical equivalents. Claim 8 is thus broader than s. 41(1) permits and is accordingly invalid.

I should add that I have been somewhat puzzled as to whether or not these particular objections based on s. 41(1) were properly open to the defendant on the state of the pleadings, but a review of the argument satisfies me that the submissions were made without exception being taken by the plaintiff on that account and were answered by the plaintiff's counsel in the course of his reply. In these circumstances, I think the objection must be regarded as properly raised.

The last objection of this group was that claim 1 is invalid and that because of s. 41(1) claim 8 falls with it. The grounds on which claim 1 was said to be invalid comprise the third group of objections, but, of course, they are of interest in the present case only if the defendant is right in contending that the validity of claim 8 is dependent upon the validity of claim 1. Mr. Goldsmith's submission on this

point was that it follows from the *Winthrop* case[1] which held that a claim for a new substance in a patent to which s. 41(1) applies must be supported by a process claim, that the process claim which the statute requires must be one for a process for production of the particular substance claimed and that for this purpose a process claim must be judged as it stands and cannot be severed so that a part of it can be good while another part of it is bad.

Mr. Robinson's answer to this was that the only points resolved in the *Winthrop* case were that it was necessary, by reason of the language of s. 41(1) that the patent should contain a separate claim for the process and that the claim for the new substance should refer to that process claim rather than have the process set out as a portion of the substance claim. He went on to submit that even if claim 1 is invalid, that does not invalidate claim 8, that the process referred to in claim 8 is necessarily the process of claim 1 as applied to the manufacture of phenmetrazine and that the attacks on claim 1 related only to the process as applied to the manufacture of other compounds and were unrelated to the process as applied to the manufacture of phenmetrazine. He did not discuss the defendant's several objections to claim 1 but submitted that they do not arise.

To resolve this question, it seems to me to be necessary to start with s. 28(1) of the *Patent Act*. This subsection provides that subject to certain limitations set out in the section, any inventor of an invention may on presentation to the Commissioner of a petition setting forth the facts and on compliance with all other requirements of the Act obtain a patent granting to him an exclusive property in such invention. The right given by this subsection is given only to one who has in fact made an invention and the patent which he may lawfully obtain pursuant to the enactment is limited to one granting him an exclusive property in the invention which he has made. A patent granted for something which is not an invention at all is thus not obtained pursuant to the authority of the statute and is invalid. Similarly, where the inventor has made an invention, a patent purporting to give an exclusive property in more than the inventor has invented is also contrary to what the statute authorizes and subject to the saving effect of s. 60

[1] [1948] S.C.R. 46.

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

may also be invalid. These are fundamental statutory limits on the validity of patents which may lawfully be obtained. But in addition to these limitations, the statute also imposes certain requirements on one who seeks to obtain a patent for an invention which he has made, and by the terms of s. 28(1) he is entitled to obtain a patent giving him an exclusive property in "such invention" only on compliance with these requirements. Requirements of this nature are found in ss. 35, 36(1), 36(2) and 41(1). By s. 35 the applicant is required to send in with his application for a patent a specification of the invention. Section 36(1) then prescribes what the specification must contain by way of description and explanation of the invention and s. 36(2) requires that

> The specification shall end with a claim or claims stating distinctly and in explicit terms the things or combinations that the applicant regards as new and in which he claims an exclusive property or privilege.

The claims made pursuant to this requirement become the definition or measure of the invention in which an exclusive property is granted by the patent, for by s. 46 it is provided that every patent granted under the Act shall contain the name of the invention, with a reference to the specification, and shall grant to the patentee . . . the exclusive right, privilege and liberty of making, constructing and vending to others to be used *the said invention,* i.e., the invention of which the name is stated with a reference to the specification which in turn, as required by s. 36(2), must state in the claims what the inventor regards as new and in which he claims an exclusive property. That this is the effect of the claims is also supported by the opinion of Lord Russell of Killowen in *Electric and Music Industries v. Lissen Ltd.*[1], expressed at p. 41 as follows:

> A claim is a portion of the specification which fulfills a separate and distinct function. It, and it alone, defines the monopoly.

and by the opinion of Rinfret J. (as he then was) in *Smith Incubator Co. v. Seiling*[2], where he said at p. 259:

> In our view the rule is that the claims must be regarded as definitely determining the scope of the monopoly having regard to the due and proper construction of the expressions they contain.

[1] (1938) 56 R.P.C. 23. [2] [1937] S.C.R. 251.

It follows from the foregoing that a patent which includes in its specification a claim which claims more than the inventor has invented purports to grant an exclusive property in more than the inventor has invented and at least in so far as that claim is concerned the patent, in my opinion, is not granted under the authority of the statute and is therefore not lawfully obtained. I think it also follows (even allowing for full scope for the operation of s. 60) that no rights whatever can accrue to the patentee from the presence in the specification of such a claim, either for the purpose of enforcing the property rights thereby purported to be granted or for the purpose of fulfilling a statutory requirement such as that in s. 41(1) that a claim for a new substance in a patent to which that substance applies be limited to the substance when produced by a process which has been "claimed". For as I view it, a claim which is invalid because it claims more than the inventor invented is an outlaw and its existence as defining the grant of a property right is not to be recognized as having any validity or effect. Nor is there in the statute any provision for separating what may be good in such a claim, in the sense of what is in accordance with the statute, from what is bad in it, in the sense of what is contrary to or unauthorized by the statute.

Nor do I think the effect of the judgment in the *Winthrop* case is so limited as Mr. Robinson submits. The case holds that in a case to which s. 41(1) applies, a claim for a new substance must be accompanied by a claim for a process for producing it, but it is, I think, impossible to read the judgment as meaning that a claim for an exclusive property to which the inventor was not entitled and which was therefore illegal and invalid could serve the purpose.

Estey J., speaking for himself and Rinfret C.J., discussed the interpretation of the subsection thus at p. 48.

> The language of section 40(1) construed according to the grammatical and ordinary sense in which the words are used indicates that a patent for the substance separate and apart from the method or process by which it was produced could not be granted unless the word "claimed" is construed to have a meaning such as that suggested by the respondent.
>
> Sections 34 and 35 under the heading "Specifications and Claims" set forth the requisites which an applicant must include in his specification. In the main there are two parts to the specification under these sections. That under section 35(1) may be referred to as the description

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

and that under section 35(2) the claim. The description portion discloses the invention and its operation and use and such details as required in 35(1). Section 35(2) provides:

> "The specification shall end with a claim or claims stating distinctly and in explicit terms the things * * * in which he claims an exclusive property or privilege."

These sections 34 and 35 provide for and indicate the reason, purpose and meaning of both the description and the claim portions of the specification. The claim sets forth precisely the subject and the limits of the "exclusive property or privilege" or the protection desired in the patent. These provisions indicate the meaning and purpose of the claim, and the word so used and understood cannot mean merely as "defined in the claim so as to be made a constituent element of the claim" as the respondent submits.

In section 37(2) the phrase "describes and claims" appears, and again these words are used in the same sense as in section 35 and their separate significance is again apparent.

There appears no reason to conclude other than that Parliament intended that these words "claims" and "described and claimed" should have the same meaning and significance in section 40(1). So construed it appears that when Parliament adopted in section 40(1) the words

> "the specification shall not include claims for the substance itself, except when prepared or produced by the methods or processes of manufacture particularly described and claimed,"

it meant that the applicant's specification should describe the method or process and claim a patent therefor in the manner specified in section 35. Under this section 40(1) therefore a claim for "an exclusive property or privilege" with regard to the method or process by which the substance is produced may be accompanied by a claim for a patent with respect to that substance but a claim for a patent with respect to the substance alone cannot be entertained.

In this reasoning, the validity of the required claim for the process seems to me to be an underlying assumption and I think the same applies to the following passage from the judgment of Rand J. at p. 55:

Considering then the language of Section 40 ss. (1), I think it quite impossible to say that it has not a plain and ordinary meaning which is quite consistent with the remaining provisions of the Act and is wholly without incongruity or absurdity. It is in these words:

"40. (1) In the case of inventions relating to substances prepared or produced by chemical processes and intended for food or medicine, the specification shall not include claims for the substance itself, except when prepared or produced by the methods or processes of manufacture particularly described and claimed or by their obvious chemical equivalents."

I observe, first, as Mr. Robinson conceded, that the primary meaning of the word "claim" or "claimed" in the statute is the specific assertion of invention for which a patent is sought by the application. Then there is the word "include" in the fourth line, the sense of which is said to be that of "contain", but which in the first instance at least, I feel bound to take, in the particular context, as implying that the claim for the substance is one of a plurality of claims including that for the method

or process. So reading these words, the subsection clearly denies any right to a patent for a substance unless there is, in addition, a claim in its technical sense for the mode or process of producing it.

I am accordingly of the opinion that if claim 1 is invalid, it cannot serve to fill the requirement of s. 41(1) that a claim for a new substance in a patent to which that subsection applies be accompanied by a claim for the process of producing the substance and be limited to the substance when produced by that process or an obvious chemical equivalent. In this view, the defendant's objections to claim 1 are relevant to the issue of the validity of claim 8.

These objections make up the third group to which I have already referred. In this group there were eight objections raised, but in view of the conclusion which I have reached on one of them, it is unnecessary for me to deal with the others and undesirable as well that I should do so since no argument was presented by Mr. Robinson in reply to them. The particular objection with which I shall deal was that claim 1 is for a known process for the production of an almost infinite number of end products of which only one has been described from the point of view of pharmacology and the remainder are not useful and so the process as claimed lacks utility.

As previously mentioned, the specification expressly states that substituted morpholines of the defined class produced according to the invention are valuable pharmaceuticals or intermediate products for the production of pharmaceuticals and that the other compounds of the class will produce effects similar to those which have been described as the effects of 2-phenyl-3-methylmorpholine. This, together with the presence in the specification of the eight examples of methods of producing substances of the class other than 2-phenyl-3-methylmorpholine, leads me to conclude that as a matter of construction the specification claims the described methods whenever applied to the production of any of the morpholines which fall or would fall within the scope of claim 1 whether they are useful as stated or not. In *Ciba Ltd. v. Commissioner of Patents*[1], the reasoning of Jenkins J. in *Re May & Baker Ltd. et al.* was applied to the consideration of whether or not process claims consisting of the application of known methods to

[1] [1959] S.C.R. 378.

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

known materials to produce new and useful products disclosed an invention patentable under the Canadian statute, and Martland J. in delivering the judgment of the Supreme Court, after quoting from the judgment of Jenkins J., said at p. 383:

> In my view the reasoning is sound and should be applied in the present case. To constitute an invention within the definition in our Act the process must be new and useful. *There is no question as to the process here being useful, as it produces compounds which have been admitted to be both new and useful.*
>
> Is it a new process? Is the element of novelty precluded because it consists of a standard, classical reaction used to react known compounds? In my opinion the process in question here is novel because the conception of reacting those particular compounds to achieve a useful product was new. A process implies the application of a method to a material or materials. The method may be known and the materials may be known, but the idea of making the application of the one to the other to produce a new and useful compound may be new, and in this case I think it was.

A part of the passage which Martland J. quoted from the judgment of Jenkins J. was that already referred to and quoted in (*ante* p. 236) these reasons.

From what Martland J. and Jenkins J. said in the passages quoted, it appears that the utility of the processes in a case of this kind depends on the utility of the products produced by such processes and it would seem to follow that a claim for processes which produce products which are not useful in the patent sense lacks utility and is therefore invalid. Nor will the fact that some of the processes so claimed will produce useful products save the claim; *vide* Jenkins J.[1] at page 288, lines 5 to 11.

Now while the burden of proving that the process claimed in claim 1, as therein defined, would not produce a whole class of useful substances rested on the defendant, I think I should observe that the proposition that all of the myriad of substances which could be produced by the process of claim 1 have effects similar to those of phenmetrazine (which is the only utility described or disclosed), when it is apparent from the mere size of the class that most of its members could never have been made or tested, is so exorbitant as to require little in the way of evidence to dispel any presumption of its truth. But however that may be, it is clearly established by the evidence of Dr. Belleau that the pharmacological effects of new substances

[1] 65 R.P.C. 255.

are not predictable except within very narrow limits and lengthy testing of new substances on animals as well as humans is necessary to determine what the effects will be. There is also evidence that the number of known organic compounds does not exceed three millions which, when compared with the number of conceivable substances comprised within the class defined in claim 1 calculated as being far in excess of four billions, satisfies me that the great bulk of these substances have not in fact been produced or tested and that nothing is in fact known of what their pharmacological effects may be. Nine substances out of this enormous number are indeed mentioned in the examples, one of the nine being phenmetrazine, but Dr. Belleau knew of no pharmacological use for any of them except phenmetrazine, or for any of the others not included in the nine examples. Had there been any known pharmacological use for any of these products, I think Dr. Belleau would have known and been able to tell about it, and his inability to do so satisfies me that no such use is known. On balance, therefore, I think it improbable that all or the majority or even a substantial number of the members of this class have the utility referred to in the specification, and in my opinion claim 1 is accordingly invalid and because it is invalid, claim 8 is invalid as well.

In view of the conclusion which I have reached as to the validity of claim 8, it is not strictly necessary that I should deal with the question of infringement, but as this question was argued at length and is largely one of fact, I shall express my view on it as briefly as I can in case it may be of some importance in the event of an appeal. There are two aspects to this question, the product aspect and the process aspect and for the purpose of considering the question I shall assume that claim 8 is valid. I turn first to the product aspect. What is complained of is that the defendant sold phenmetrazine hydrochloride which is within the scope of the patent. I have already indicated that in my opinion as a matter of construction the expression "2-phenyl-3-methylmorpholine" in claim 8 refers to the base and not to any of the salts, which are in fact different substances from the base. The sale complained of will therefore be an infringement of claim 8 only if phenmetrazine hydrochloride is an equivalent of phenmetrazine itself. The question of equivalents was discussed at length in the judgment of the

1962
C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.
Thurlow J.

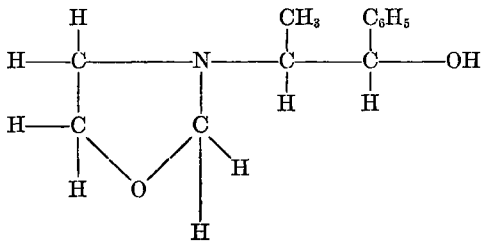
President of this Court in *McPhar Engineering Co. of Canada, Ltd. v. Sharp Instruments Ltd. et al.*[1], and having regard to the principles therein referred to, I think it is clear that for the purpose of obtaining the pharmacological results which may be obtained by oral administration, phenmetrazine hydrochloride is an equivalent of phenmetrazine itself for, as soon as it reaches the stomach, the phenmetrazine base is immediately converted to phenmetrazine hydrochloride and from that point onward the action is precisely the same whether the base or the hydrochloride has been taken. The same function can thus be achieved by taking either, the conversion of the base into the hydrochloride in the stomach being a completely immaterial feature of the use of the substance. When either substance has been taken the phenmetrazine hydrochloride salt is considered to be present in the gastric fluid as dissociated phenmetrazine cations and chloride anions. As these proceed through the intestine, some of the phenmetrazine cations are rendered basic again by the alkaline intestinal fluids and what ultimately reaches the body cells where the effects are produced are both the basic and the protonated forms. It is not known whether the effects are due to the basic or the protonated form or to both, but the forms which reach the cells and produce the results are the same whether the salt or the base has been taken. In the invention of phenmetrazine an essential feature, in my opinion, lay in the development of the substance by that name which when introduced into the stomach would operate to supply to the body cells the basic or protonated form of phenmetrazine capable of producing the desired effects without at the same time introducing into the body system anions that are not usually present or that it is otherwise undesirable to introduce. To fulfill this function by introducing into the stomach a hydrochloride salt of the substance instead of the base is to make use of this feature of the invention by a means which differs only in an immaterial and non-essential way. It involved no exercise of any ingenuity for a pharmacologist to realize that the hydrochloride salt of phenmetrazine would be equally convenient to administer for the purpose since he would have known that the phenmetrazine itself would be converted to that salt immediately on entering the stomach, and the method of preparing that salt from the base is a routine

[1] 21 Fox P.C. 1 at 55 *et seq.*

chemical procedure and is referred to in the specification. I am therefore of the opinion that the sale of phenmetrazine hydrochloride does in fact infringe claim 8 provided, of course, that it has been made by one of the processes therein mentioned.

This brings me to the second, or process, aspect of the question and in this connection a brief explanation of some further facts will be necessary.

The phenmetrazine hydrochloride sold by the defendant was made in Italy by Industria Chimica Profarmaco S.p.A. by a process developed by the chemical research staff of that company under Professor Rossi. The staff first sought and after a time found a cheaper and easier way to produce B-phenyl-α-methyl B,B1-dihydroxy-diethylamine for use as starting material for the production of phenmetrazine, but in this method the diethanolamine was produced in water solution from which it was difficult and impractical to extract it. After some months of experiment, in an effort to find a commercially satisfactory way to extract the diethanolamine, it was found that by adding formaldehyde (C H_2O) to the reaction mixture, an oily liquid separated out and could be removed and purified without difficulty. The oily liquid was identified as an oxazoladine with a structural formula which may be represented as follows for comparison with that of B-phenyl-α-methyl-B,B1-dihydroxy-diethylamine:



This was a new substance not previously known in chemistry. It was subsequently found that treatment of this substance with a 50 per cent. aqueous solution of sulphuric acid at 115° C in a pilot plant produced a 70 per cent. yield of phenmetrazine, but this was not a satisfactory process because in the process formaldehyde was formed as a by-product and it had a tendency to react with the morpholine. After several test runs of the process in the pilot plant,

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

urea was added to the starting materials in the hope of improving the yield of phenmetrazine by eliminating the formaldehyde as it formed. Urea was known to react with formaldehyde to form insoluble substances and the urea was added in the hope that such substances would be formed and would separate out. It was found that by adding urea to the reaction mixture the yield of phenmetrazine in the pilot plant was raised to 85 per cent. and it was by this process that the material sold by the defendant was produced. In this process, though the starting material is treated "in the presence of acid", the starting material itself is not a diethanolamine at all and on first impression it appears to be a widely different process from that referred to in claim 1. On the evidence, however, the matter is not so simple and it becomes necessary to look closely both at claim 1 to see what it embraces and at the Profarmaco process as well to see what happens in it.

Claim 1 refers to a process for the production of a class of substituted morpholines characterized in that diethanolamines of a certain class are treated in the presence of acid. As a matter of construction the claim in my opinion refers only to the treatment in the presence of acid of diethanolamine bases of the defined class for the structural formula given is only that of the bases, but because any chemist would observe at a glance that the treatment of such a diethanolamine in the presence of acid would involve initially the formation of the diethanolamine salt of that acid, I think that the treatment of such a diethanolamine salt in the presence of acid to form a substituted morpholine of the class would be a chemical equivalent of the process as defined and anyone who made such a substance in that way would have taken the essential feature of the process of claim 1 notwithstanding the omission of the immaterial initial step of the process of the claim in which the base is converted to the salt of the acid. The class of diethanolamine bases so defined includes B-phenyl-α-methyl-B,B^1-dihydroxy-diethylamine which in this discussion I shall refer to as "the diethanolamine". Now as I understand the evidence, the first stage of what occurs in the Profarmaco process is the formation of the oxazoladine salt of the sulphuric acid and the reaction then proceeds by way of the treatment of that salt in the presence of the acid and urea, the function of the urea being as already

mentioned to remove formaldehyde from the reaction mixture as it forms. The question then arises whether the reaction proceeds directly to the formation of the phenmetrazine salt from the oxazoladine salt by way of an opening of the oxazoladine ring at the bond between the oxygen atom and the carbon atom in B position from the nitrogen, and immediate formation of a linkage between that carbon atom and the oxygen atom shown on the right hand end of the structural formula or proceeds by way of hydrolysis of the oxazoladine to form a sulphate salt of the diethanolamine and formaldehyde and then to ring closure to form the phenmetrazine salt. If the latter is the correct view, the Profarmaco process involves as one of its steps or stages the treatment of the diethanolamine salt in the presence of the acid. On this question, the opinions of the experts were not in agreement. Dr. Wright was of the opinion that the reaction proceeded directly to the morpholine ring closure, while Dr. Belleau was equally firm in taking the other view. Professor Rossi on the other hand took the view that it is impossible to tell what course the reaction takes. To one so unlearned as I am in the niceties of chemical reactions, the view of Professor Rossi has its attractions, but on the evidence as a whole, I think I must resist the temptation to adopt it. All three experts agreed with a statement in a textbook on heterocyclic compounds edited by Robert C. Elderfield that "Hydrolysis of oxazolodines to a carbonyl compound and an ethanolamine can *usually* be effected by water alone and appears to be catalyzed by both acids and alkali hydroxides." With this may be taken the fact established in an experiment carried out by Dr. Wright that the diethanolamine is present in a pure state in a mixture of the oxazoladine and 50 per cent. sulphuric acid which has been allowed to stand at room temperature for 72 hours. This indicates that, under these conditions, the oxazoladine is hydrolized to form a sulphuric acid salt of the diethanolamine. In the opinion of Dr. Belleau, the conditions of the Profarmaco process, i.e., 50 per cent. aqueous solution of sulphuric acid and a temperature of 115°, are vigorous hydrolizing conditions and since hydrolysis of this oxazoladine to form the diethanolamine has been shown to occur at room temperature, I can see in the evidence no sufficient reason to think that it would not also occur to some extent in the course of raising

1962

C.H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

the temperature from room temperature to 115°C. Nor is there anything but theory, on which opinions are not in agreement, to the contrary. To my mind, neither Dr. Wright's experiment with the oxazoladine in concentrated sulphuric acid at room temperature in which after 60 hours phenmetrazine had formed, nor his subsequent experiments in hydrolizing the oxazoladine in aqueous solutions of ammonia, establish either that hydrolysis does not occur in the earlier of these experiments prior to the formation of phenmetrazine or that hydrolysis does not occur as a first step in the Profarmaco process. And whether or not either of Dr. Belleau's experiments can be regarded as paralleling the Profarmaco process closely enough to afford any support for the view that hydrolysis does occur, there is no indication from them that it does not occur. On the whole, therefore, and particularly having regard to the hydrolysis which occurred in Dr. Wright's experiment with the oxazoladine in 50 per cent. sulphuric acid at room temperature and to the fact that heating such a mixture to 115° would probably enhance and accelerate the hydrolizing process, I think that the balance of probabilities favours the view that hydrolysis of the oxazoladine to form the diethanolamine does in fact occur as a stage of the reaction of the Profarmaco process. Moreover, while there are theoretical possibilities of some of the oxazoladine molecules following a different course or courses or being involved in a different reaction or reactions to form phenmetrazine, in the view I take, there is no sufficient evidence to establish that any do in fact follow such other courses or that such reactions do in fact occur.

In this view, while the process of claim 1 as I have construed it is not involved in the Profarmaco process because at no stage is a diethanolamine base of the class set out in claim 1 involved, the Profarmaco process does involve a stage which is equivalent to the process of claim 1 in that it involves the production of phenmetrazine by the treatment in the presence of sulphuric acid of a sulphate salt of a diethanolamine of the class referred to in claim 1. The final question then arises whether the Profarmaco process was an obvious chemical equivalent of the process of claim 1 within the meaning of s.41(1).

In discussing a somewhat similar situation in *Actiengesellschaft fur anilin Fabrikation in Berlin v. Levinstein Ld.*[1], Warrington L.J., speaking for the Court of Appeal, said at p. 292:

> The difference really insisted on by the Defendants is in the process, not in the product. Shortly stated, it is that, whereas the Plaintiffs prescribe and claim the use of dinitrophenol, an acid substance, as the material to be operated upon, the Defendants use sodium dinitrophenolate, the corresponding sodium salt. The Plaintiffs contend that this is, in substance, no variation, or a merely colourable variation, of their process; whether they are right in this contention is the question for decision.

Then after discussing the facts, he proceeded at p. 293 as follows:

> On the whole, after following carefully the passages from the evidence which were read to us and the comments of Counsel thereon, we have come to the following conclusions: First, the Specification is in terms confined to a process of boiling, with the solution indicated, dinitrophenol, a definite chemical combination of which the formula is given; secondly, the dinitrophenolate of sodium is another and a different chemical combination having physical properties distinct from those possessed by dinitrophenol; thirdly, that a process of boiling sodium dinitrophenolate with the solution mentioned in the Plaintiffs' Specification would not be covered by the Claim, unless the Plaintiffs could show that it was part of the common knowledge at the date of the Patent, not only that, as a matter of chemical theory, the sodium dinitrophenolate would be formed in the course of the reaction, but that, in the practical application of the process on a commercial scale, the same result would be obtained by starting with the sodium dinitrophenolate as with the dinitrophenol; fourthly, that the Plaintiffs have not established that there was, at the date of the Patent, the necessary common knowledge, and that, therefore, the Defendants' process is not within the Claim and the charge of infringement fails. We come the more readily to the conclusion that the Plaintiffs and their advisers did not know that it was possible to obtain their dye by the substitution of the sodium dinitrophenolate for dinitrophenol, because, if they did know it, it is difficult to understand why such possibility was not pointed out in the Specification. We think it is clear on the evidence that, for commercial purposes, the substitution in question was economically an advantage, and, accordingly, by omitting to mention it, they were, on the assumption that they knew the facts, laying the Patent open to attack on the ground that the Patentees had not informed the public of the best way known to them of putting the invention in practice.

On the facts of the present case, the presumption of s. 41(2), if it arises at all, which I doubt in view of the fact that phenmetrazine hydrochloride is not the substance referred to in claim 8, is displaced by the evidence of Professor Rossi that the material sold by the defendant was produced by a process in which an oxazoladine not

[1] (1921) 38 R.P.C. 277.

1962

C. H. BOEHRINGER SOHN v. BELL-CRAIG LTD.

Thurlow J.

known at the time of the invention of the patent, rather than a diethanolamine of the class defined in claim 1 was treated in the presence of acid and to support the charge of infringement it would, in my opinion, be necessary for me to conclude not merely that in the reaction conditions the oxazoladine would be first hydrolyzed to form a diethanolamine of the class defined in claim 1 and a carbonyl compound but as well that it was within the common knowledge that this would occur. In my opinion, it would also be necessary to find that it was within the common knowledge that in the practical application of the process on a commercial scale, phenmetrazine could be obtained by starting with this oxazoladine as with the diethanolamine.

The evidence, however, in my view, indicates that it was not within the common knowledge that this particular previously unknown oxazoladine would hydrolyze to form a sulphate salt of the diethanolamine under the conditions of the Profarmaco process. If anyone had thought of such an oxazoladine it might well have been a fair prediction on the basis of what was then known of oxazoladines in general that this one would behave as the others and that hydrolysis would probably occur, but while I have reached the conclusion on what I regard as a preponderance of evidence—which includes evidence of recent experiments—that the probabilities are that hydrolysis does occur and that the Profarmaco reaction in fact follows that course, that such is the course of the reaction is not accepted as fact by either Professor Rossi or Dr. Wright, both of whom are exceedingly learned men in the chemical field, and it seems to me that when a point of this kind which depends to so great an extent on theories and inferences which may ultimately turn out on further examination to be erroneous, a conclusion reached as mine has been reached can hardly be characterized as one that was within the common knowledge of a substance which up to the material time had never been made or even thought of. I therefore think even assuming, as I have found, that the Profarmaco process involves a stage which is the chemical equivalent of the process of claim 1, that it was not an obvious chemical equivalent of that process within the meaning of s. 41(1) of the Act.

Moreover, with respect to what I think is the more important fact necessary to support the claim of infringement, the evidence in my view clearly shows that it was not within the common knowledge that in the practical application of the process on a commercial scale phenmetrazine would be obtained by starting with the oxazoladine as with the diethanolamine, for it took Professor Rossi and his staff some months of experiment and research before they discovered the oxazoladine and that phenmetrazine could be made in this way and even when this had been discovered, it took some time to devise by the addition of urea a way to make this method of producing phenmetrazine give a yield which would be satisfactory for the purpose of commercial production of the substance. The Profarmaco process as described by Professor Rossi appears to afford substantial practical advantages over the use of the diethanolamine as starting material and if, indeed, it was known that phenmetrazine could be made by starting with the oxazoladine one may wonder that the patentee did not think of it and disclose it in his specification. After such a discovery has been made, it may well appear to some to be more or less obvious, but I think the obviousness or otherwise of it must be judged in the light of what was known at the material time and of what was entailed in making the further discovery without regard to how it may appear after the further discovery has been made. I may add that for the purposes of the present case, I think it is immaterial whether the appropriate time is the date of the invention of the patent or the date when the later discovery was made for my opinion would be the same in either case. I am accordingly of the opinion that the Profarmaco process is not an obvious chemical equivalent of the process of claim 1 within the meaning of s. 41(1), and as I have also already expressed the view that the Profarmaco process is not within the scope of claim 1 as I have construed it, it follows that the claim of infringement fails.

Accordingly there will be judgment for the defendant dismissing the action with costs.

Judgment accordingly.