Support for Claims, Secs. 41 & 36 - Benzenesulfonyl-ureas

Applicant claimed several processes to prepare new medicines. It was held that several of the processes had not sufficiently adequately met the requirements of Sections 41 & 36. Rejection affirmed.

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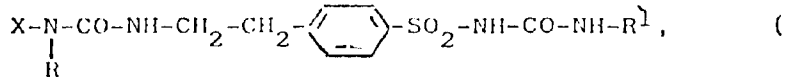
A hearing was held on June 18, 1980 to review the final rejection of patent application 178,117 Class 260-235.95. The applicant is Farbwerke Hoechst AG, assignee of R. Weyer, W. Aumuller, V. Hitzel and F.H. Schmidt. Mr. D.M. Rogers represented the applicant at the hearing. The application is directed to the preparation of certain benzene sulfonyl urea derivatives which are said to possess hypoglycemic properties, and to be useful in pharmaceutical preparations for lowering blood sugar levels.

To illustrate the scope of the subject matter claimed, claim 1 is reproduced below:

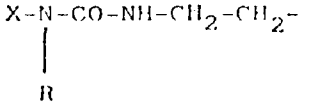
1. A process for preparing a benzenesulfonyl-urea of the formula I



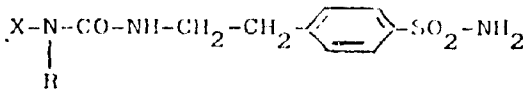
(I)

wherein X represents a pyridyl, a pyrimidinyl, a quinolyl, a benzthiazolyl or a benzoxazolyl group which groups may be substituted by one or two methyl groups and which in vicinal position to the nitrogen atom are linked to the rest of the molecule,

R represents alkyl having 1 to 3 carbon atoms, R^1 represents alkyl having 3 to 6 carbon atoms, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, cycloalkenyl, alkylcycloalkenyl having each 5 to 9 carbon atoms, cyclohexenylmethyl, chlorocyclohexyl, bicycloheptenylmethyl, bicycloheptylmethyl, bicycloheptenyl, bicycloheptyl, nortricyclyl, adamantyl and benzyl,
in which
(a) a benzenesulfonyl-isocyanate, benzenesulfonyl-carbamic acid ester, -thiolcarbamic acid ester, sulfonyl-urea, sulfonyl-semicarbazide or - semicarbazone substituted in the 4-position by the group

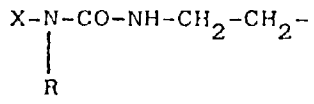


is reacted with an amine R^1-NH_2 or a salt thereof or a sulfonamide of the formula

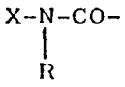


or a salt thereof is reacted with a R^1-substituted isocyanate, carbamic acid ester, thiolcarbamic acid ester, carbamoyl halide or urea,

(b) a correspondingly substituted benzenesulfonylisourea ether, -thiourea ether, - parabanic acid or haloformic acid amidine is split,
(c) the sulfur atom in a benzenesulfonyl-thiourea substituted by the group



is replaced by an oxygen atom,
(d) a correspondingly substituted benzenesulfinyl- or sulfenyl-urea is oxidized,
(e) the radical



is introduced into a benzenesulfonyl-urea of the formula

in one or more steps,
(f) a correspondingly substituted benzene-sulfonyl halide is reacted with a R^1-substituted urea or an alkali salt thereof, or a correspondingly substituted benzenesulfinic acid halide or, in the presence of an acid condensation agent, a correspondingly substituted sulfinic acid or an alkali salt thereof is reacted with a $N-R^1-N'$-hydroxy-urea.

It may be noted that this process claim is directed to producing over 140,000 different compounds and encompasses hundreds of thousands of separate processes for preparing them.

The application also includes product-by-process claims corresponding to the alternative processes (a) - (f) claimed.

The examiner rejected the following parts of the process claims:

(i) in claim 1(a) references to sulfonyl urea, semi carbazide and semicarbazone
(ii) in claim 1(b) references to parabanic acid, and halo formic acid amidine
(iii) in claim 1(c) the phrase "and in which water... intermediate"
(iv) in claim 1 process variants (d), (e) and (f)
(v) the corresponding portions as they appear in

(vi) claims 9, 11 and 13

His reasons for rejecting these processes may be summarized as follows:

> These claims are rejected for lack of support in the disclosure in that the several process variations are not sufficiently described.
>
> The basis for the objection is found in Section 41(1) and Section 36(1) of the Patent Act.

The examiner discussed the requirements of Section 41(1) of the Act by saying:

> The provisions of Section 41(1) of the Act convey the importance of the process since the enactment prohibits claims to 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."
>
> The use of the phrase "particularly described and claimed" immediately suggests a greater emphasis on the description necessary in the disclosure for the process. In fact in Boehringer and Sohn v. Bell-Craig 1962 Ex. C.R. 201 at page 237 the judge felt that
>
> "The only processes for the preparation of ... which, in my opinion, can be said to be particularly described anywhere in the specification are those described in examples 2 and 9".
>
> In the same decision on appeal to the Supreme Court 1963 S.C.R. 410 the court said at page 414
>
> "The subsection (41(1)) was intended to place strict limitations upon claims for substances produced by a chemical process intended for food or medicine. Such a substance cannot be claimed by itself. It can only be claimed when produced by a particular process of manufacture. Not only that, the claimant must claim, not only the substance, but that very process by which it is manufactured ..." (emphasis by examiner).

The examiner then turned his attention to Section 36(1) of the Act, saying:

> In discussing Section 36 (then Section 35) in R.C.A. v. Raytheon (1956 - 1960) Ex. C.R. 98 at 109 the court indicated that the onus on the disclosure placed by the Section was both heavy and exacting. Thus at page 108
>
> "It is a cardinal principle of patent law that an inventor may not validly claim what he has not described. In patent law jargon it is said that the disclosures of the specification must support the claims. If they do not, the claims are invalid. Moreover, there is a statutory duty of disclosure and description that must be complied with if a claim for an invention is to stand ...".
>
> The court thereafter approved several passages, found in Mineral Separation v. Noranda Mines 1947 Ex. C.R. 306, at page 316
>
> "The purpose underlying this requirement is that when the period of monopoly has expired the public will be able, having only the specification, to make the same successful use of the invention as the inventor could at the time of his application."
>
> and, also at page 316
>
> "It must not for example direct the use of alternative methods of putting (the invention) into effect if only one is practicable, even if persons skilled in the art would be likely to choose the practicable method"
>
> and at page 317
>
> "The description must also give all information that is necessary for successful operation or use of the invention without leaving such result to the chance of successful experiment, and if warnings are required in order to avert failures such warnings must be given".
>
> Another case of importance in assessing the sufficiency of description required to validly claim a process is the Sandoz v. Gilcross 1974 S.C.R. 1336 decision. In this case the Supreme Court upheld the Patent Office view that exemplification of a condensation involving a chloro ethane derivative together with a general description using the bromo-ethane derivative was sufficient to claim both bromo and chloro in the same process. Thus at page 1338 the court said
>
> "Claims 2 and 3 cover the same process using the chloro-ethane and bromo ethane amide respectively." (emphasis by examiner).

In applying the above criteria to the process of claim 1, the examiner made the following comments, inter alia:

> Firstly, process variants (d), (e) and (f) of claim 1 will be considered. These processes are not exemplified but merely set down in general terms. As such they fail to meet the principles or tests discussed above. The disclosure fails to indicate the particular way in which these variations must be adapted to produce the desired result and therefore does not show their utility in the preparation of the compounds claimed. Further the disclosure does not show the practicability of such methods. There is no indication of the specific reaction conditions which are necessary to successfully carry out the processes. In fact the disclosure invites a man skilled in the art to carry out experiments to ascertain the reaction parameters to enable him to make use of these processes.
>
> The correctness of this analysis of the disclosure regarding the above stated process variants is aptly shown when the actual description in the disclosure is examined. The description is replete with what "may" be done e.g. "the reaction conditions ... may ... be modified ... with ... solvents or without solvents", "Depending on the nature ... a small yield ... may ..." , "the expert will have no difficulty in synthesizing ...". Thus the disclosure is not a description of how to carry out the process but more of an invitation to try.
>
> Secondly, process variant 1(a) and 1(b) will be considered.
>
> Claim 1(a) encompasses the reactions between a benzene sulfonyl-isocyanate
> -carbamic acid ester
> -thiolcarbamic acid ester
> -sulfonyl urea
> -sulfonyl semicarbazide
> or -semicarbazone
> with the other half of the molecule R_1-NH_2 and the reverse procedure i.e.
> benzene sulfonyl amide with R_1-isocyanate
> -carbamic acid ester
> etc.
> Example 1 describes the process benzene sulfonamide with the isocyanante.
>
> Example 2 describes the process benzene sulfonamide-carbamic acid ester with the amine.
>
> Thus a complete description via the isocyanate and carbamic acid ester is provided. From the Sandoz v. Gilcross supra decision the thiol carbamic acid ester can be considered as the same process involving the thio analoque, no objection is made to them. However such an extension cannot be made to the other groups i.e. sulfonyl urea, semi-carbazide and semicarbazone. These cannot be considered to be the same process since in effect they are a transamination i.e. the R_1NH_2 replaces the urea NH_2.

In like vein he found fault with process claims 1(b) and 1(c).

The examiner rebutted arguments presented by applicant in previous amendments in the following manner:

> In its response the applicant relied heavily on the decision Ciba v. Commissioner 1959 S.C.R. 378. In essence this case extends patentability to a "classical" chemical process if the resulting product is novel, useful and unobvious. The applicant extends this principle to state that all "classical" routes for preparing its new, unobvious drug are patentable as long as the disclosure makes a reference to them.
>
> It is accepted that all the processes claimed in claim 1 are "classical" i.e. chemical synthetic routes which in themselves are known. However it is not accepted that the Ciba decision overrides the statutory requirements of Section 36 as enunciated above. In fact in the Ciba decision the "classical" process was fully described in the application so that sufficiency of description was not the problem litigated. Consequently the Ciba decision cannot be construed as an invitation to ignore Section 41(1) and Section 36 of the Patent Act.
>
> The applicant also drew attention to the Commissioner's decision which resulted in Canadian Patent 1,011,738, June 7, 1977. The penultimate paragraph of that decision clearly distinguishes the disclosure of that application/ patent from the present one
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> > "It is also important in our view that it be clearly indicated in the original disclosure that the process has been carried out and is operative. A reference,to a "possible" process for preparing the products would we think be speculation, and not meet that test. In this disclosure, however we find clear indications that the process has been tried and operates. For example in describing process (e) on page 7 of the specification the solvents used, the temperatures employed, and information about the reaction are given in some detail".
>
> If one applies these sentiments to the present disclosure, it is clear that there is insufficient description. The processes are speculative i.e. repeated references to what "may" be done, no details regarding solvent, temperatures or reaction conditions.

> Finally, the applicant refers to various patents, texts and articles where reference is made to some of the claimed processes. Since the applicant has to go elsewhere for presumably adequate descriptions of its processes this would indicate that the objections are truly well founded, i.e. that the present disclosure is insufficient to support the claims. If on the other hand the texts are cited to show that the processes are "classical" i.e. known in themselves, but not applied to the instant reactants this point is accepted. However, this does not aid the applicant because as stated above this disclosure does not indicate how the applicant has reacted the particular reactants required in each instance to make the products of the alleged invention.

Responding to the Final Action, applicant deleted the objectionable oxpression in claim 1(c) and gave his assessment of what is required under Section 41(1) and Section 36(1) of the Patent Act. In his response he argued as follows:

> It should be remembered that the invention in question here is the discovery that the compounds have a particular utility and not in the process by which these compounds are produced. As in Ciba v. Commissioner of Patents (1959) S.C.R. 378, the process is patentable because of the utility of the products. The Examiner relies on section 41(1) of the Patent Act and points out that this section requires that products coming within the section may be claimed only when prepared or produced by the methods or processes of manufacture particularly described and claimed or by their obvious chemical equivalents. It is pointed out that this section does not set forth a requirement for disclosure, but merely defines the type of claims which must be made in the case of compounds coming within section 41(1). As indicated in the decision of the Supreme Court of Canada, in Boehringer v. Bell-Craig, (1963) S.C.R. 410, referred to by the Examiner, in the case of such products, the applicant must claim "that very process by which it is manufactured". However, it has been made clear by the Supreme Court of Canada in Sandoz v. Gilcross, (1974) S.C.R. 1336, that there is no necessity under Section 41(1) to describe each process claimed in full detail.

> It is submitted that section 41(1) merely sets forth requirements as to how products coming within the section must be claimed, and if the claims are in accordance with the requirements of the section, the sufficiency of the disclosure necessary to support such claims is the same as for any other invention. The requirements for disclosure are set forth in section 36(1) of the Patent Act, and it is submitted that this section merely requires that the disclosure be sufficient to enable one skilled in the art to carry out the invention claimed. This has been held to be the case consistently in a large number of court decisions. For example, in B.V.D. v. Canadian Celanese, (1936) Ex. C.R. 140, Mr. Justice MacLean held the following:
>
> > "Where a specification describes an invention sufficiently clearly to enable a reasonably skilled workman to make use of it, even though some experiments are necessary, the patent will be good so long as those experiments do not require any exercise of the inventive faculty".

Dealing specifically with processes (a) to (f) of claim 1, applicant asserted that the specification contained a general description of these processes and that, further, the processes to which objection was made are well known to those skilled in art. For the purpose of demonstrating the latter he made reference to the patent literature such as Canadian Patent 849,015. The basis of his argument is:

> It is submitted that the disclosure in the present case is sufficient to enable one skilled in the art to carry out each of the processes, and while all details of the actual method of applying the process to the particular starting materials may not be given, this would not require the exercise of any inventive faculty.

Prior to the hearing, applicant submitted copies of certain affidavits which were alleged to support his contention that the disclosure was sufficient for a man skilled in the art to use processes (d) and (f) to prepare the benzenesulfonyl ureas claimed.

We have considered the arguments made at the hearing by Mr. Rogers as well as those raised during the prosecution of the application.

It is clear from the preceding discussion that the issue to be resolved is whether applicant has satisfied Section 36 of the Act and Section 41.

Applicant has argued that there is no necessity under Section 41(1) to describe each process claimed in full detail. This view is difficult to rationalize with the words used in Section 41, viz; "particularly described". In our view, this means that the process must have been described in the disclosure sufficiently so that there is clear indication that it has been carried out. A mere reference to a process is not evidence that the process has in fact been attempted.

The basis for applicant's conclusion appears to be Sandoz v. Gilcross (supra), but the factual situation there is different from that now before us. In Sandoz the same process using a chloro-or a bromo-ethane derivative was claimed with only the chloro-ethane process specifically described. It was decided that the claim for the process using the bromo-ethane derivative was valid. However, we are dealing here with different processes to make the same compound. These processes differ in part by virtue of the different reactants used in processes (a) to (f) of the claim and also by the necessity for the different reaction conditions in each of the processes. It is relatively easy to predict the behaviour of other members of an homologous series, such as the halogen ethane derivatives, from the behaviour of one member of that series, whereas it is quite a different matter to make predictions about the behaviour of the carbamic acid derivatives from non-homologues. For example, it is known that the simple carbamic or thiolcarbamic acid esters are generally insoluble in water, whereas water is a useful solvent for urea and carbazide.

Applicants processes are neither "specifically described" or "specifically referred to". A mere recitation that A is reacted with B does.not come within the framework of the expression "specifically referred to" (see Commissioner v Winthrop Chemical Co 7 C.P.R. 59 S.C. 1948).

The words "particulary described" have been considered in Commissioner v Winthrop supra, at page 64 as follows:

> "According to the Oxford Dictionary "describe" means, inter alia, "to give a detailed or graphic account of" (which is said to be the ordinary current sense); "to set forth in delineation"; "to delineate". "Particular", by the same authority, means, inter alia, "relating to or dealing with the separate parts, elements, or details of a whole; detailed, minute, circumstantial"; "a minute account, description or enumeration". (emphasis added).

We find that the description in the disclosure of the rejected processes does not come within the purview of the above passage.

There is no indication in the disclosure that the rejected processes have in fact been carried out. Such processes are merely "possible" processes to prepare the compounds. There is no description whatsoever of any reaction conditions, such as temperature, solvents, pH etc. There is even a hedging statement in the disclosure that some processes will not work (see page 6, line 18). Applicant has argued that a person skilled in the art would know what to do in those processes which are not "specifically described" but then suggests, in the disclosure, that such a person would not know whether a given process would produce the desired product. However, this person is directed to try the other processes with the assurance that at least one of them will work. This shows that applicant is claiming processes which have not been tried, since he doesn't know which processes are operable to produce a given product.

The affidavits submitted by applicant about process variants (d), (e) and (f) are alleged to demonstrate that the disclosure is sufficient to enable a chemist skilled in the art to carry out these processes. However, the issue is not whether those skilled in the art could carry out the invention by 1978 or 1980, when the affidavits were filed, but by the date of filing the application i.e. August 7, 1972 given the present disclosure and the knowledge of those skilled in the art at that date. It is noted that the affiants are employees of the applicant, who would undoubtedly be aware of this development in their firm by 1978 and 1980. Thus it may take no ingenuity on their part and at that date to carry out these processes. We do not believe that information which is privileged to an applicant and his employees is satisfactory criteria to show what those skilled in the art generally would comprehend by the invention. We note that the affidavits do not comply with the requirements of the Canada Evidence Act and consequently are of dubious value (cf Kemanord v P.P.G. Industries, F.C.C. April 2, 1980).

We referred at the start to the large number of compounds and individual processes covered by the claims. By virtue of that very number it is inconceivable that applicant prepared a substantial proportion of the compounds, or indeed that a substantial proportion would be useful medicaments. It is well known that slight variations in molecular structure can produce devastating changes in the medicinal activity of a chemical compound. In the present case the core of the invention is the product or products produced. The processes in themselves are conventional, as applicant has demonstrated. Claim 1 covers all the conceivable ways of making the product and for that reason comes close to excluding anyone else from making the compounds.

It is a principle of patent law that an applicant may not claim anything not properly and adequately disclosed (see R.C.A. v Raytheon (1956 - 60) Ex. C.R. 98 at 108 & 109; Noranda Mines v. Mineral Separation (1949) Ex. C.R. 306 @ 316; French's Complex Ore v. Electrolytic Zinc 1930 S.C.R. 462 at 470; B.V.P. v Canadian Celanese 1936 Ex. C.R. 137 and 1937 S.C.R. 22; Smith Incubator v Seiling 1937 S.C.R. 251; Gilbert v Sandoz (1971) 64 C.P.R. 7 at 42 - 45; and Rhône-Poulenc CIBA v Gilbert 1966 Ex. C.R. 59 & 1967 S.C.R. 45.

In Hoechst Pharmaceuticals v Gilbert (1965) 1966 S.C.R. 187 at 731 the Court held the claims invalid for "preposterous overclaiming because it could not be said that "all, or substantially all members of the class of sulphonyl ureas defined in them possess some previously unknown usefulness".

We believe a process claim is bad if it claims so broadly as to encompass the production of inoperative species, or so broadly that it is improbable that a substantial number of the substances made by it do not possess the utility claimed for them. It should not be speculative, nor encompass large number of compounds which have never been prepared.

In Boehringer Sohn v Bell Craig, 1962 Ex. C.R. 201 we find:

> ... a patent purporting to give an exclusive property in more than the inventor has invented is also contrary to what the statute authorizes ...(p. 239)

and

> ... 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 ... 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 (p. 241).

Mr. Justice Thurlow found the claim in suit to be too broad bec it covered a large number of substances of which only a limited number had been prepared. The Supreme Court (1963 S.C.R. 410 at 412) supported his findings The Boehringer Sohn caseinvolved pharmacological substances whose properties may be less predictable than other chemical substances, and the group of compounds claimed was extremely large. Similar conclusions in comparable circumstances were reached in Hoechst v. Gilbert (1964) vol. 1, Ex. C.R. 710 and 1966 S.C.R. 189, and in Re May and Baker (1948) 65 R.P.C. 255, (1949) 66 RPC 8 and (1950) 67 R.P.C. 23. The Supreme Court, in the Hoechst decision, adopted the view that "no one could obtain a valid patent for an unproved and untested hypothesis in an unchartered field." The dangers of speculative claiming were also explored in Société Rhône-Poulenc v Ciba (1967) 35 F.P.C. 174 at 201-205 and 1968 S.C.R. 950 in which a broad claim was found invalid because the majority of the substances of the class had never been made or tested by anyone.

Objections of this nature are not, however, limited to pharmaceutical inventions, or even to chemical inventions. In the Matter of Abraham Isau et al (1936) 49 R.P.C. 85, it was said of an electrical apparatus that

> I think that it is most desirable that patentees in such circumstances should realize that it is not the practice of the Patent Office to allow broad and indeterminate claims of a speculative character, and that if they put such claims into their complete specification, they must expect to find them disallowed unless they are able to give a sufficiently detailed and full description to support them.

In the Matter of Shell Development, (1947) 64 R.P.C. 151 the application involved a process for separating organic mixtures with sulfolane solvents. The ten detailed examples dealt with separations where the organic mixtures were all hydrocarbons, and while there was no detailed description of processes involving other organic mixtures, the specification listed some forty mixtures other than hydrocarbons. In finding the claim too broad, the Patent Tribunal stated:

> It is, I think, sufficient to say that from the specification it appears, first, that the prior art consists in the separation of organic mixtures by the use of well known solvents; secondly that the extent to which the field, namely, the separation of organic mixtures by the use of solvents has been explored does not appear on the face of the specification, but, upon a fair reading of the document, I am satisfied that it does not assert, putting the matter at its highest, that anything like the whole of that field has been explored; thirdly, that the Applicants' claim that the employment of their sulfolane solvents, of which they give in the specification a list of over one hundred, give results which compare advantageously with other solvents hitherto used; fourthly, that the Applicants make clear that the methods of employing their sulfolane solvents are those which are already well known in relation to the prior art; fifthly, that the Applicants in their specification give particulars of ten experiments, all, of which deal with hydrocarbons. It is further, in my view, a fair reading of the specification that the solvent effect of the sulfolanes has been explored by the Applicants primarily in regard to hydrocarbons. It is true that on page 4 of the specification other examples of organic compounds are referred to which, it is stated, "may be separated by the selective solvents of this invention"; but, even so, with the addition of those substances, only the fringe of the field in question is touched.

See also Rohm & Haas v. Commissioner of Patents, (1959) Ex. C.R. 153 where claims were refused for being too broad and going beyond the invention made, Vidal Dyes v. Levenstein (1912) 29 R.P.C. 245, and Eastman Kodak's Application (1970) R.P.C. 548 at 561-563.

The problem before us is not peculiar to Canadian or British jurisprudence. It has also been considered in the United States, for example, in In re Stokal et al, 113 USPQ 283 (1957).

When we turn to the specification now before us, we find that many of the processes are merely proposed processes for making the desired compounds, and such processes are described as possible ways to make the products. Indeed the whole disclosure in so far as it relates to the processes is so rife with indications of what might possibly be done, and so replete with various alternatives and suggestions for modifications that it is quite apparent the draftsman could only have been speculating and casting his net far beyond what had really been done. It is only when we turn to the examples themselves that we can perceive any concrete statements about processes really used. In our view it would be completely inappropriate under such circumstances to allow the applicant to claim as widely as he proposes. To do so would be to condone "arm-chair inventioneering" and "paper chemistry" of the type censured in the decisions discussed above.

We are of the opinion that in claim 1 processes (a) where it refers to sulfonyl urea, semicarbazide and semicarbazone, (b), where it refers to parabanic acid, and haloformic acid amidine, and (d), (e), (f) and process claims 3, 5, 7, 9, 11, 13 lack sufficient support in the disclosure in view of the requirements of both Section 36(1) and Section 41(1) of the Patent Act. We therefore recommend that these claims be refused, affirming the rejection made by the examiner. We note that product claims dependent on the refused process claims would also fall with the process claims under the authority of the Commissioner v Winthrop supra.

Gordon Asher,
Chairman,
Patent Appeal Board, Canada

I concur with the reasoning and findings of the Board, and reject claims 1, 3, 5, 7, 9, 11 and 18.

J. A. Brown
Acting Commissioner of Patents

Dated at Hull, Quebec

this 30th. day of December, 1980

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