

COMMISSIONER'S DECISION

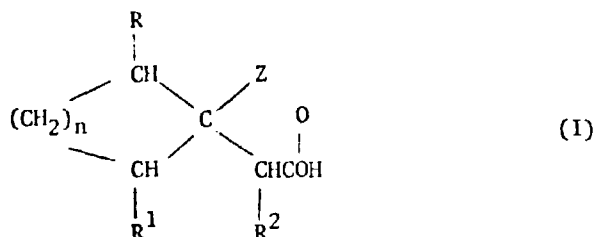
Adequacy of Disclosure - Alkanoic Acids

The examiner rejected certain claims as being too broad not supported adequately by the disclosure. It was found that amino protecting groups were well known in the art, and it would be obvious to those skilled in the art what protecting groups might be employed.

Rejection: reversed

Patent application 159027 (Cl. 260-244.6) was filed on December 11, 1972, for an invention entitled "1-Aminocycloalkanealkanoic Acids". The inventors are William H. McGregor et al, assignors to American Home Products Corporation. The Examiner in charge of the application took a Final Action on March 20, 1978, refusing to allow it to proceed to patent.

The application describes acids of the formula:



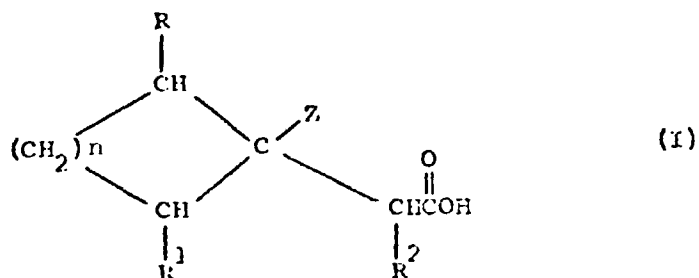
their salts and their derivatives which are useful as acylating agents, where the R groups are hydrogen or lower alkyl groups, n is an integer from 1 to 5, and Z is an amino group or amino group protected with a removable blocking group. The preparation of these compounds by hydrolysis of a corresponding β -lactam is also discussed. These compounds are useful as intermediates in the synthesis of penicillin derivatives.

In the Final Action the Examiner rejected some of the claims, and considered the remainder allowable. Broadly, his objections were supported by the following argument extracted from his report:

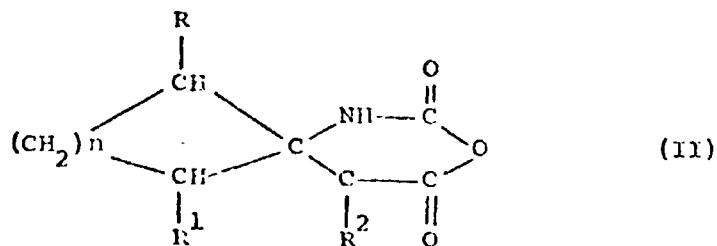
The disclosure is merely directed to the specific knowledge about the specific compounds (within generally known class of α -amino acids) prepared by generally known method (hydrolysis of lactams).

In particular, his reasons for rejecting specific claims are summarized as follows. Firstly, the examiner contended that the expression "removable protecting group" used in claims 1, 3, 21 and 23 broadly included subject matter not disclosed, i.e. these claims were contrary to S36(2) of the Patent Act; and moreover, the Applicant was specifically directed to restrict the claimed compounds of formula I to those where R^2 is hydrogen and $n = 1$ to 3. This rejection relates to the Examiner's allegation that the disclosure did not provide sufficient examples to support the broad expression used, and the attendant large number of compounds claimed. Claim 1 of the application, reproduced below, illustrates what is involved.

A process for the preparation of a compound of the general formula

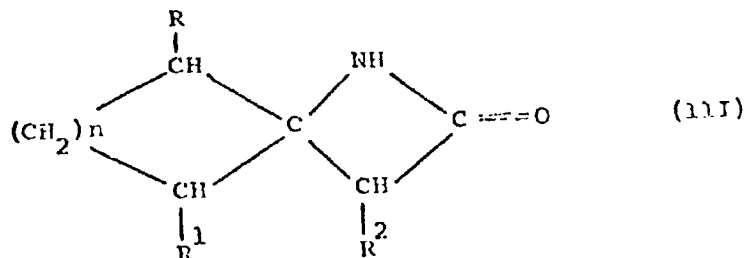


wherein R and R^1 are independently hydrogen or lower alkyl; R^2 is hydrogen or methyl; Z is an amino group or an amino group protected with a removable protecting group, and n is an integer from 1 to 5; provided that when n is 3, R^2 is hydrogen; or a salt or acyl halide thereof, or an N-carboxyanhydride of the formula



wherein R, R¹, R² and n are as defined above wherein:

(a) a β -lactam of the general formula (III)



wherein R, R¹, R² and n are as defined above, is hydrolysed; or

(b) a γ -lactam of the general formula III is hydrolysed and the acid obtained is reacted to introduce a removable protecting group to protect the amino group;

or c) step (a) or step (b) as set forth above is carried out and the acid obtained is reacted with a halogenating agent to form the acyl halide; or

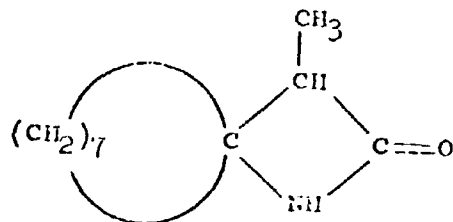
(d) a β -lactam of the general formula III is hydrolysed and the acid so formed is reacted with phosgene to form the N-carboxyanhydride of the general formula II.

Further, the Examiner rejected claims 10 to 12, claims 14 to 19 and the corresponding product claims as "not specifically supported by the disclosure" and consequently contrary to S36(1) of the Patent Act and R25 of the Patent Rules. The framework for this rejection is provided by the Examiner's statement:

The compounds which have not been prepared or the compounds whose identifying characteristics have not been disclosed remain unknown for the person skilled in the art (underlining added)

Claim 10, reproduced here, illustrates the form of the claims rejected as above.

10. A process for the preparation of 2-(1-aminocyclooctyl)-2-methylacetic acid, or a salt thereof, wherein a γ -lactam of the formula



is hydrolysed.

Responding to the Final Action, Applicant proposed both to delete claims 10 to 12, 14 to 19 and the corresponding product claims and, also to restrict the claimed process (and compounds) to $R^2 = H$ and $n = 1$ to 3 as required by the Examiner in the Final Action.

The remaining issue, that of the alleged broadness of the expression "removable amino protecting group", was then taken up by the Applicant. The Applicant summarized the contents of previous exchanges on this issue as follows:

Specifically, the Examiner stated that the disclosure of amino hydrochloride does not support the claim to other substances.

His arguments supporting the use of this expression included the following:

This application is concerned with processes for preparing amino acids, and it is well known to protect amino groups of amino acids with amino-protecting groups. The products of the process of the invention are intermediates intended for production of penicillins and will often be required to be formed as protected amino compounds. Thus, at page 9, lines 20 to 29, there is discussion of protecting the amino groups, and of removing the protecting group after the penicillin is obtained. This is perfectly standard procedure. There are hundreds of known protecting compounds that can be used to introduce the protecting group. Six broad classes of protecting group are listed at page 10, lines 3 to 18, and a seventh class, namely protonation, is mentioned at lines 19 and 20. Example VI at page 15 discloses preparing the amino compound in the hydro-chloride form. This is using a proton as a removable amino-protecting group. Example VII at page 16 discloses preparing a compound with a nitrophenylsulphenyl group as a removable protecting group. These are, however, merely representative. There is ample support for other protecting groups in the application, as noted above with reference to page 10 of the disclosure.

It is noted that the examples recited above are the only specific examples using "removable amino protecting groups" and that p.10 is a mere listing of other such groups.

Further, Applicant submitted an affidavit from Dr. John P. Yardley which, he alleged, asserted that the expression "clearly defines the scope of the subject claims to one of ordinary skill in the art." A number of references were supplied along with the affidavit to support this contention.

Applicant summarized his understanding of the affidavit as follows:

In other words, the affiant has stated that the term "a removable protecting group" is a true generic term of art to the synthetic organic chemist and that, with respect to the peptide or penicillin chemistry here involved, the person of ordinary skill in such arts has sufficient knowledge to put an invention so defined into practice.

The issue of adequacy of disclosure for broadly claiming chemical compounds is a complex problem. In the course of prosecuting the application the Examiner has dealt with this problem in detail. While the objection presented by the Examiner has some merit, we are swayed by the evidence presented by Applicant supporting the use of the broad expression "removable amino protecting group" in the claims. In fact, case law supports such functional claiming when the subject matter is known in the art, as is clearly indicated by the references presented with the affidavit. (Burton Parsons v Hewlett Packard Fed. Ct. May 31, 1972, Fed. Ct. Appeal Dec. 19, 1974).

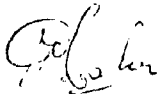
However, there is some doubt in our minds that compounds I with "removable amino protecting groups" will have the utility described in the application, namely as intermediates for a coupling reaction with 6-amino penicillin derivatives. The product of this reaction would be expected to have utility as a medicine, yet it appears that the expression "removable amino protecting groups" is so broad that it includes inoperable substances, namely those substances with groups which require reaction conditions to remove them, which are so severe as to destroy the medicinal utility of the final product. But, in the absence of any concrete evidence to support this hypothesis, we are prepared to recommend the allowance of claims containing the above expression, bearing in mind that Applicant is entitled to predict such utility and thereby accept the risk involved.

Notwithstanding the above reservation, we are satisfied that the claims submitted in response to the Final Action adequately define the scope of Applicant's invention since he has convincingly demonstrated that a worker

skilled in the art would know the meaning of the expression "removeable amino-protecting group" contained in the claims.

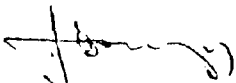
In a supplementary response, Applicant further argued the patentability of the rejected claims in view of the recent decision in Monsanto v. Commissioner, 42 C.P.R. (2d) 161. In particular, he asserted that this decision permitted broad claims including subject matter not specifically disclosed when the utility of such subject matter could be soundly predicted from the utility of the disclosed matter. We have dealt with the essence of this argument (see para supra) and are satisfied that Applicant is entitled to broad claims including the expression "removeable amino-protecting group."

In conclusion, we recommend that the Final Action objecting to the expression "a removeable protecting group" be withdrawn and that claims 1 to 22, containing the expression "removeable amino-protecting group", and submitted in response to the Final Action, be allowed. Applicant has requested a Hearing but in view of our findings it will not be necessary.



G.A. Asher
Chairman
Patent Appeal Board, Canada

Having considered the prosecution of this application and the recommendations of the Patent Appeal Board, I now direct that the rejection made by the Examiner be withdrawn. The prosecution of the application is to be resumed, taking into consideration the findings of the Board.



J.H.A. Gariepy
Commissioner of Patents

Dated at Hull, Quebec
this 12th. day of March, 1980

Agent for Applicant

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