Internal distribution code:

(A) [-] Publication in OJ
(B) [-] To Chairmen and Members
(C) [-] To Chairmen
(D) [X] No distribution

Datasheet for the decision of 31 March 2025

Case Number: T 0278/23 - 3.3.02

Application Number: 17864813.5

Publication Number: 3533787

IPC: C07D213/00, C07D401/00, C07D401/14, C07D403/14, A61K31/505, A61K31/435, A61K31/4412, A61K31/444, A61P35/00, A61K31/506

Language of the proceedings: EN

Title of invention:
PYRIDONE COMPOUND AS C-MET INHIBITOR

Patent Proprietor:
Fujian Cosunter Pharmaceutical Co., Ltd.

Opponent:
Merck Patent GmbH

Headword:
FUJIAN / C-MET INHIBITORS

Relevant legal provisions:
EPC Art. 100(b), 56

Keyword:
Swiss-type claim after G 2/08 - therapeutic use (no) - sufficiency of disclosure (yes)
Inventive step - (yes)

Decisions cited:
G 0005/83, G 0002/08, T 0713/15

Catchword:

Europäisches Patentamt
European Patent Office
Office européen des brevets

Beschwerdekammern

Boards of Appeal

Chambres de recours

Boards of Appeal of the
European Patent Office
Richard-Reitzner-Allee 8
85540 Haar
GERMANY
Tel. +49 (0)89 2399-0

Case Number: T 0278/23 - 3.3.02

D E C I S I O N
of Technical Board of Appeal 3.3.02
of 31 March 2025

Appellant: (Opponent)	Merck Patent GmbH Frankfurter Strasse 250 64293 Darmstadt (DE)
Representative:	Mewburn Ellis LLP Aurora Building Counterslip Bristol BS1 6BX (GB)
Respondent: (Patent Proprietor)	Fujian Cosunter Pharmaceutical Co., Ltd. Fuyuan Industrial Zone Dongyuan Town Zherong County Ningde, Fujian 355300 (CN)
Representative:	dompatent von Kreisler Selting Werner - Partnerschaft von Patent- und Rechtsanwälten mbB Deichmannhaus am Dom Bahnhofsvorplatz 1 50667 Köln (DE)
Decision under appeal:	**Decision of the Opposition Division of the European Patent Office posted on 25 November 2022 rejecting the opposition filed against European patent No. 3533787 pursuant to Article 101(2) EPC.**

Composition of the Board:

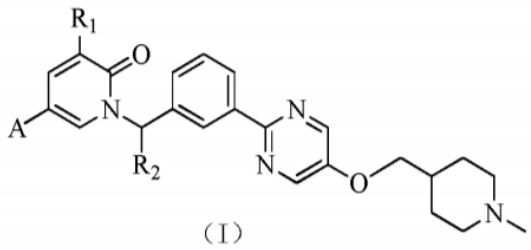
Chairman	M. O. Müller
Members:	M. Maremonti
	L. Bühler

Summary of Facts and Submissions

I. The appeal by the opponent ("appellant") lies from the decision of the opposition division to reject the opposition against European patent No. 3 533 787 ("the patent").

II. Claim 1 as granted reads as follows:

1. A compound represented by formula (I) or a pharmaceutically acceptable salt,



(I)

R_1 is selected from H or F;

R_2 is selected from H or CH_3;

while R_2 is not H, the configuration of the carbon atom bonded to R_2 is R or S;

A is selected from the group consisting of phenyl, pyridyl, pyrazolyl, isoxazolyl, isothiazolyl and thiazolyl, each of which is optionally substituted by 1, 2 or 3 R_3;

R_3 is selected from CN, halogen, $C(=O)NH_2$, or is selected from the group consisting of C_{1-6} alkyl, C_{1-6} heteroalkyl, and C_{3-6} cycloalkyl, each of which is optionally substituted by 1, 2 or 3 R_0;

R_0 is selected from F, Cl, Br, I, OH, CN, NH_2, $C(=O)NH_2$, or is selected from the group consisting of C_{1-3} alkyl and C_{1-3} heteroalkyl, each of which is optionally substituted by 1, 2 or 3 R';

R' is selected from F, Cl, Br, I, CN, OH, NH_2, CH_3, CH_3CH_2, CF_3, CHF_2 or CH_2F.

the "hetero" in the C_{1-3} heteroalkyl or C_{1-6} heteroalkyl is selected from the group consisting of -O-, - C(=O)NR'-, -C(=O)NH-, -NR'-, and -NH-;
in any of the above cases, the number of the heteroatom or the heteroatomic group is independently selected from 1, 2 or 3.

III. The opposition was based on the grounds under Article 100(a) and (b) EPC. Reference was made, *inter alia*, to the following documents:

D1: WO 2009/006959 A1

D2: Bioisosteres in Medicinal Chemistry, Nathan Brown, 2012, pages V-XIII, XV-XVIII, 1, pages 3-29

D3: Kubik S. and Böttcher D., Bioisosterie, RD-02-03672, 2012, Römpp, Thieme, found at https://roempp.thieme.de/lexicon/RD-02-03672

D4: Steinhilber *et al.*, Medizinische Chemie, 2000, Deutscher Apotheker Verlag, pages 12 and 13

D5: WO 2008/103277 A2

D11: Mo H.-N. and Liu P., "*Targeting METZ in cancer therapy*", Chronic Diseases and Translational Medicine, 3, 2017, pages 148-53

D12: Bladt *et al.*, "*The c-Met Inhibitor MSC2156119J Effectively Inhibits Tumor Growth in Liver Cancer Models*", Cancers, 6, 2014, pages 1736-52

IV. The opposition division came, *inter alia*, to the following conclusions:

- Documents D11 and D12 were admitted into the proceedings.
- None of the grounds for opposition invoked by the opponent prejudiced maintenance of the patent as granted.
- In particular, the subject-matter of claim 1 as granted involved an inventive step in view of D1 taken as the closest prior art.

V. In its appeal submissions, the appellant contested the opposition division's reasoning and argued, *inter alia*, that the subject-matter of claim 17 as granted was insufficiently disclosed. Additionally, the subject-matter of claim 1 as granted lacked inventive step.

VI. In its reply to the appeal, the patent proprietor ("respondent") rebutted the appellant's arguments, maintaining that none of the grounds for opposition invoked by the appellant prejudiced maintenance of the patent as granted. The respondent also contested the decision of the opposition division to admit documents D11 and D12. In a letter filed after its reply to the appeal, the respondent corroborated its arguments in support of inventive step by filing the following new item of evidence (labelled D20 by the respondent, new numeration by the board):

A20: Wang, K., Supplemental Experimental Report 20: binding activity of c-MET enzyme assay, 3 July 2024

The board came to its final decision (see below) without taking document A20 into account. Therefore this document will not be referred to in the following.

VII. The parties were summoned to oral proceedings as per their requests.

VIII. By letter dated 4 December 2024, the appellant announced that it would not be attending the oral proceedings.

IX. In preparation for the oral proceedings, the board issued a communication under Article 15(1) RPBA. In this communication, the board expressed the preliminary opinion, *inter alia*, that the subject-matter of claim 1 as granted involved an inventive step.

X. Oral proceedings before the board were held by videoconference on 31 March 2025 in the presence of the respondent. The oral proceedings were conducted in the appellant's absence pursuant to Rule 115(2) EPC.

XI. Final requests relevant to the decision

The appellant requested in writing that the appealed decision be set aside and that the patent be revoked in its entirety.

The respondent requested that the appeal be dismissed and that the patent be maintained as granted. It further requested that documents D11 and D12 not be admitted.

XII. As regards the parties' submissions that are relevant to the decision, reference is made to them in the reasons for the decision below.

Reasons for the Decision

Main request - patent as granted - claim 17 - ground for opposition under Article 100(b) EPC - sufficiency of disclosure

1. Claim 17 as granted reads as follows:

"*17. Use of the compound or the pharmaceutically acceptable salt thereof as defined in any one of claims 1-15, or the pharmaceutical composition as defined in claim 16 in manufacturing a medicament for treating tumor.*"

1.1 The appellant contested the opposition division's reasoning (appealed decision, page 4, point 8) that the feature "*for treating tumor*" in claim 17 as granted was not characterising. It submitted that even if the feature "*for treating tumor*" was read as "*suitable for treating tumor*" as proposed by the opposition division, this did not mean that the feature could be ignored when construing the claim. It was clear that a medicament suitable for treating tumor implied certain limitations to the medicament. None of the compounds mentioned in claim 17 as granted by means of its

reference to granted claim 1 could be used to manufacture a medicament suitable for treating any and all tumors.

1.2 In this respect, the appellant referred to the example reported in the Guidelines, F-IV 4.13.1, of a claim directed to "*a plastic ice cube tray mould for molten steel*". Even though the "for" in that claim would be interpreted as "suitable for", such a claim *prima facie* lacked sufficiency due to the impossibility of performing the invention because no known plastic has a melting point above that of molten steel. In the same way, it was impossible for the claimed compounds to treat nearly all forms of tumor.

1.3 In an alternative line of argument, the appellant submitted that the Swiss-type formulation of claim 17 as granted unequivocally implied that the claimed subject-matter was to some extent limited by the stated therapeutic use. The patent disclosed that the claimed compounds were only for treating high c-MET-expressing HCC cancer. The patent did not provide suitable evidence for the claimed therapeutic effect of treating any tumor. In particular, there was no evidence for treating non-cancerous tumors and there was very limited evidence of *in vitro* activity against one high-c-MET HCC cell line. Additionally, there was no evidence for treating any other kind of cancerous tumor, regardless of its c-MET expression.

1.4 According to the appellant, document D11 raised serious doubts in relation to the possibility for the claimed compounds to treat gastrointestinal cancers. There were also serious doubts that the claimed compounds had a therapeutic effect against zero- or low-c-MET-expressing tumors, especially in view of the teaching reported in document D12.

1.5 The appellant further referred to the case dealt with in T 713/15, which, in its opinion, was analogous to the case at hand: sufficiency of disclosure of the claimed therapeutic use had been denied.

2. The appellant's arguments are not convincing, for the following reasons.

2.1 It is undisputed that claim 17 as granted is drafted in the so-called Swiss-type format. According to decision G 5/83 (OJ EPO 1985, 64; Order) issued under EPC 1973, a patent may be granted with claims directed to the use of a substance or composition for the manufacture of a medicament for a specified new and inventive therapeutic application. This created the legal fiction that such a claim can derive its novelty and inventive step from the novelty and inventive step of the therapeutic use defined in the claim, which use in itself is not patentable. More specifically, if the prior art disclosed the substance or composition defined in the Swiss-type claim in question, but not the therapeutic use cited therein, the claim was considered novel over the prior art, even if the substance or composition was inherently suitable for the claimed therapeutic use.

2.2 As explained by the Enlarged Board of Appeal in decision G 2/08 (OJ EPO 2010, 456, points 5.10.1 and 5.10.2 of the reasons), this format had been introduced by decision G 5/83 to fill a gap in the legal provisions then in place so as to allow claims directed to a second therapeutic indication of a known product.

2.3 However, this lacuna in the legal provisions was closed with the introduction of Article 54(5) EPC under EPC 2000. The Enlarged Board in decision G 2/08 issued under EPC 2000 thus ruled that, when protection is sought for any further specific use of a known

medicament in a method of therapy, the respective claim can no longer have the Swiss-type format (G 2/08, points 7.1.2 and 7.1.3 of the reasons). The Enlarged Board set a time limit of three months after publication of decision G 2/08 in the Official Journal of the EPO for future applications to comply with this ruling.

2.4 The above-mentioned time limit set in G 2/08 ended on 28 January 2011, i.e. well before the priority date of the patent (27 October 2016). This means that the legal fiction created by G 5/83 for Swiss-type claims does not apply to claim 17 as granted.

2.5 It follows that the subject-matter of claim 17 as granted has to be construed as the use of the compounds defined in granted claim 1 in manufacturing a medicament. In other words, the claimed use is not for a therapeutic purpose but merely for the manufacture of a medicament suitable for that therapeutic purpose.

2.6 The board concurs with the appellant's view that this does not mean that the expression "for treating tumor" in claim 17 as granted can be completely ignored. Rather, this expression requires the *suitability* of the medicament to be manufactured for treating tumor. But, contrary to the appellant's view, the board concurs with the respondent that this *suitability* does not mean that the medicament has to be capable of treating any kind of tumor, but only that the medicament has to be manufactured, i.e. formulated, so as to allow administration to patients affected by tumors.

2.7 As argued by the respondent, it is well known to the skilled person how to manufacture a medicament having this suitability since pharmaceutically acceptable carriers, delivery forms etc. belong to the common general knowledge of the person skilled in the art. As

an example, acceptable pharmaceutical ingredients are disclosed in paragraph [0038] of the patent.

2.8 Therefore, on the basis of the information contained in the patent and common general knowledge, no undue burden is placed on the skilled person when carrying out the use defined in claim 17 as granted.

2.9 In view of the above-mentioned construction of claim 17 as granted, the fact invoked by the appellant that neither the patent nor documents D11 and D12 may support the suitability of the compounds defined in granted claim 1 to treat all types of tumor is irrelevant as regards sufficiency of disclosure of the claimed use. In the same way, the example reported in the Guidelines and referred to by the appellant is also irrelevant.

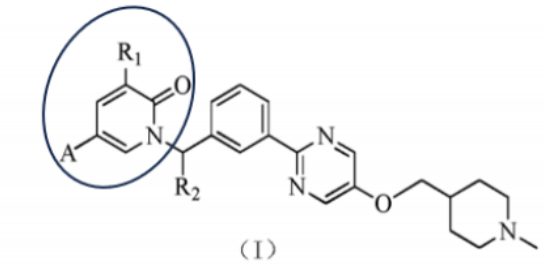
2.10 Nor can decision T 713/15 support the appellant's case. In fact, as observed by the respondent, the patent at issue in T 713/15 was based on an application filed on 17 December 2004, i.e. well before the time limit set by the Enlarged Board of Appeal in G 2/08, after which the Swiss-type format could no longer be used for protecting second medical uses of a product. Therefore the Swiss-type claim found in T 713/15 (points 4.1 to 4.7 of the reasons) to lack sufficiency of disclosure (claim 1) defined a therapeutic use and not, as in the current case, the mere manufacture, i.e. formulation, of a medicament. Hence the rationale developed in T 713/15 is not applicable to the case at hand.

2.11 For these reasons, the board concluded that the subject-matter of claim 17 as granted is sufficiently disclosed. Thus the ground for opposition under Article 100(b) EPC does not prejudice maintenance of the patent as granted.

2.12 Since the board arrived at this conclusion by taking the appellant's submissions based on documents D11 and D12 into account, the respondent's request that these documents not be admitted did not need to be addressed.

Main request - patent as granted - claim 1 - ground for opposition under Article 100(a) EPC - inventive step under Article 56 EPC

3. Claim 1 as granted (point II above) calls for compounds defined by formula (I) reproduced below. The circle around the pyridine ring has been added by the board:

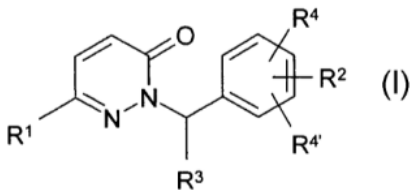


(I)

4. Closest prior art

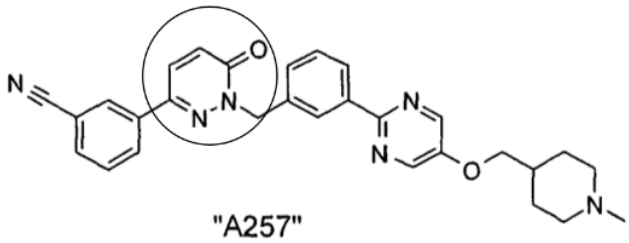
4.1 In agreement with the appealed decision (page 7, point 11), both parties indicated document D1 as the closest prior art.

4.2 Document D1 discloses pyridazinone derivates of the general formula (I)



(I)

stated to be c-Met inhibitors to be used for the treatment of tumors. Among the concrete compounds falling under formula (I), D1 discloses compound A257 (page 162) having the structure (circle inserted by the board)



"A257"

4.3 Both parties agreed that compound A257 of D1 can especially be regarded as the most promising starting point for assessing inventive step.

5. Distinguishing features

It is common ground that the compounds defined in claim 1 as granted differ from compound A257 of D1 at least in that a pyridine ring (see encircled ring in granted claim 1 above) replaces the pyridazine ring of compound A257 encircled in the figure above.

6. Objective technical problem

6.1 In line with the opposition division's reasoning (appealed decision, point 11.3 on page 9), the appellant submitted that no technical effect was associated with the above-mentioned distinguishing feature, namely the replacement of the pyridazine ring of compound A257 of D1 with a pyridine ring. The objective technical problem was thus the provision of an alternative c-Met inhibitor.

6.2 The board accepts this formulation of the objective technical problem as proposed by the appellant.

7. Obviousness of the claimed solution

7.1 The appellant argued that the skilled person was aware of the concept of bio-isosterism as disclosed for example in D2 (page 16), D3 (page 1) and D4 (see text above figure 1.13): chemical substituents or groups with similar physical or chemical properties produced

broadly similar biological properties in another chemical compound.

7.2 According to the appellant, with this common general knowledge in mind, the skilled person would have consulted document D5 disclosing c-Met inhibitors. At least twelve different compound pairs disclosed in D5 differed only in that they had a pyridazine ring replaced by a pyridine ring at the relevant position. In this respect, the appellant referred to examples 5 vs. 78 as well as 17 vs. 79, 43 vs. 80, 2 vs. 81, 26 vs. 82, 16 vs. 83, 23 vs. 84, 7 vs. 85, 13 vs. 86, 6 vs. 88, 9 vs. 89 and 3 vs. 96 of D5.

7.3 Moreover, contrary to what was stated by the opposition division, D5 was not of mere prophetic nature but provided on page 202 concrete results in terms of c-Met inhibitory activity of the disclosed compounds. Therefore the skilled person was taught by D5 that exchanging a pyridazine ring for a pyridine ring in a c-Met inhibitor compound could reasonably be expected not to destroy the desired inhibitory activity. In other terms, the specific pyridazine/pyridine ring exchange was not critical for the c-Met inhibitory activity. Given the generality of the teaching in D5, the skilled person would have had a reasonable expectation that replacing the pyridazine ring in compound A257 of D1 with a pyridine ring would have maintained the c-Met inhibitory activity. The appellant also observed that D1 did not explicitly state that the pyridazine ring was essential. Hence the subject-matter of granted claim 1 was obvious.

7.4 These arguments are not convincing.

7.4.1 Documents D2 to D4, while illustrating the concept of bio-isosterism, do not refer to a pyridazine/pyridine

ring exchange, let alone with regard to c-Met inhibitors.

7.4.2 As regards D5, the board concurs with the respondent's view that this document concerns c-Met inhibitors, whose structure substantially differs from that of compound A257 of D1, see claim 1 and examples 1 to 149 of D5.

7.4.3 Moreover, contrary to the appellant's view, the c-Met inhibitory activity of the disclosed compounds is not reported in D5, which merely states on page 202 that the "*compounds exemplified herein have been tested in pharmacological assays and exhibit inhibition of c-Met kinase at doses less than 20 μM*". This very general statement does not allow the skilled person to draw any conclusion as regards possible modifications in the c-Met inhibitory activity deriving from the pyridazine/ pyridine ring exchange in the compounds of D5 concerned by such an exchange.

7.4.4 Therefore the skilled person facing the above-mentioned objective technical problem would not have been prompted by D5 to replace the pyridazine ring in compound A257 of D1 with a pyridine ring. They would not have had a reasonable expectation that the c-Met inhibitory activity would have been maintained.

7.5 Hence the board concluded that the subject-matter of claim 1 as granted involves an inventive step when starting from D1 as the closest prior art (Article 56 EPC). Thus the ground for opposition under Article 100(a) EPC in combination with Article 56 EPC does not prejudice maintenance of the patent as granted.

Conclusion

8. None of the grounds for opposition invoked by the appellant prejudice maintenance of the patent as

granted. Therefore the appeal against the opposition division's decision rejecting the opposition is not allowable and must be dismissed, implying that the patent is maintained as granted.

Order

For these reasons it is decided that:

The appeal is dismissed.

The Registrar: The Chairman:

U. Bultmann M. O. Müller

Decision electronically authenticated