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## Patenting Polymorphic Forms at the European Patent Office



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### Patentability Requirements

- Clarity (Article 84 EPC) & further requirements to the claims
- Disclosure (Article 83 EPC)
- Novelty (Article 54 EPC)
- Inventive Step (Article 56 EPC)
- Unity of invention (Article 82 EPC)

## Clarity, Support, Conciseness (Article 84 EPC)

"The claims shall define the matter for which protection is sought. They shall be clear and concise and be supported by the description."

## Clarity: definition of polymorphs

### ▪ SUITABLE

- *Physicochemical parameters*
  - *single crystal or powder XRD*
  - *IR or Raman spectroscopy*
  - *solid state <sup>13</sup>C-NMR*
  - *thermal methods: TGA, DTA, DSC*
- *Product by process*

### ▪ NOT SUITABLE

- *"Crystalline form  $\delta$  of compound X"*

### Clarity: practical points for using parameters

- The parameters shall be reliable
- The measurement conditions shall be included in the claims (there are only few exceptions to this rule)
- Unusual parameters or the use of a non-accessible apparatus for measurement are objectionable for lack of clarity, as no comparison can be made with the prior art

*(guidelines, F-IV, 4.11 and 4.18)*

### Clarity: sample claims of granted patents

- Crystalline form of compound X, characterised by main peaks in its powder X-ray diffraction pattern obtained using copper K-alpha<sub>1</sub> radiation at 9.0, 14.2, 23.9 and  $27.1 \pm 0.2$  degree 2-theta.
- Polymorph B of compound X, characterised by an infrared absorption spectrum in potassium bromide having absorption bands at 3412, 1713, 1250, 1238, 1150, 1091, 751, 744, 704, and 693 reciprocal centimetres.

### Further requirements to the claims

- Multiple independent claims directed to the same product do not comply with Rule 43(2) EPC
- References to figures in the drawings do not comply with Rule 43(6) EPC "except where absolutely necessary"
- According to Rule 49(9) EPC, the claims shall not contain drawings

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## Sufficiency of disclosure (Article 83 EPC)

"The European patent application must disclose the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art."

## Disclosure and solid state inventions

Lack of sufficient disclosure may arise if:

- the application does not clearly describe the method used to **determine the parameters** of the claimed solid state form
- the preparation process in the application is **identical** to those of the prior art, but a **different** solid state form is allegedly obtained
- **all** preparation processes described involve seeding, but **preparation of the seed crystals is not described (T 1066/03)**

*(guidelines 2013, F-III.3-4)*

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## Novelty (Article 54 EPC)

“An invention shall be considered to be new if it does not form part of the state of the art.”

“The state of the art shall be held to comprise everything made available to the public by means of a written or oral description, by use, or in any other way, before the date of filing of the European patent application.”

### Novelty: parameters and decision T 296/87

- Polymorphic forms are usually defined in a claim by parameters
- A chemical substance is considered novel if it differs from a known substance in a reliable parameter

*(decision T 296/87)*

### Novelty: enabling disclosure

- To challenge novelty a prior art document must be enabling, i.e. the information in the document together with the common general knowledge must enable the skilled person to prepare the compound in question
- A document disclosing the parameters of a crystal form but neither its source nor its preparation is not enabling and cannot challenge novelty (**T 605/02**)

## Novelty: implicit disclosure and parameters

- The prior art is enabling and discloses the same compound as the claimed crystal form also in crystalline form but with no or different parametric definitions
- Guidelines, G-VI.6.  
*“[...] It may happen that in the relevant prior art a different parameter, or no parameter at all, is mentioned. If the known and the claimed products are identical in all other respects then in the first place an objection of lack of novelty arises [...]”*

*(decision T 1753/06)*

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## Inventive step (Article 56 EPC)

“An invention shall be considered as involving an inventive step if, having regard to the state of the art, it is not obvious to a person skilled in the art.”

At the EPO, inventive step is assessed according to the **problem-and-solution approach**, based on the principle that an invention is the solution of a technical problem

## Inventive step: problem-and-solution approach

1. The **closest prior art** is determined
2. Starting from the closest prior art, the **objective technical problem** to be solved is established
3. An assessment is made of whether, in the light of the prior art, it would have been **obvious to solve** the objective technical problem in the way claimed

## Inventive step: problem-and-solution approach

- **Closest prior art**

A document disclosing the same compound in (different) crystalline, non-crystalline or unspecified form

- **Objective technical problem**

1. Provision of an alternative form of a known compound to achieve the same technical effect as the prior art
2. Provision of a further form of a known compound with a different (unexpected) property or effect

## Inventive step: technical problem 1

- Problem to be solved: **same technical effect** as the closest prior art form (e.g. same pharmaceutical activity)
- In the pharmaceutical industry the skilled person would **routinely** investigate an API for polymorphs
- If such routine leads to an alternative crystal form, i.e. another polymorph, the **mere provision of this alternative** would likely be considered as an obvious solution of the technical problem
- Inventive step would then be **denied**

## Inventive step: technical problem 2

- Problem to be solved: **different property or effect** than the closest prior art (e.g. improved bioavailability, lower hygroscopicity, etc.)
- **If** the skilled person has no reasons to expect said different property or effect, it would **not** be obvious to provide the claimed crystalline form in order to solve the technical problem
- Inventive step would then be **acknowledged**
- The presence of the different property or effect must be shown vis-à-vis the compound of the closest prior art

## Inventive step: example

**(T 777/08)**

- Claimed: a crystalline form of compound X
- Closest prior art: compound X in amorphous form
- Test showing a better filterability and drying characteristics
- Objective technical problem: the provision of an alternative form with improved filterability and drying characteristics
- Additional prior art cited (common knowledge): in pharmaceutical industry crystalline products are generally easier to isolate, purify, dry, etc.
- Decision: in the absence of any unexpected property the mere provision of a crystalline form of a known API cannot be regarded as inventive

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## Unity of invention (Article 82 EPC)

"The European patent application shall relate to one invention only or to a group of inventions so linked as to form a single general inventive concept."

"...the requirement of unity of invention ... shall be fulfilled only where there is a technical relationship among those inventions involving one or more of the same or corresponding special technical features. The expression "special technical features" shall mean those features which define a contribution which each of the claimed inventions considered as a whole makes over the prior art." (*Rule 44(1) EPC*)

### Unity of invention: example

- Claimed: crystalline forms  $\beta$ ,  $\gamma$  and  $\delta$  of compound X
- Closest prior art: crystalline form  $\alpha$  of compound X
- Each of the polymorphic forms  $\beta$ ,  $\gamma$  and  $\delta$  differs from the known form  $\alpha$  through its specific crystalline form. However, the specific crystalline form of each polymorph is unique to it and not shared by any other polymorph
- The application relates to three separate inventions, i.e.
  - 1) polymorph  $\beta$
  - 2) polymorph  $\gamma$
  - 3) polymorph  $\delta$

Köszönöm a figyelmet!

Van kérdés?

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