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# Examination Matters 2017 Webinars

Selection inventions – the rules of the game



# Selection inventions – the rules of the game



## The presenter

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- Pharmacist with PhD in patho-biochemistry
- Patent examiner in Pharmaceuticals at the EPO in Munich



# Selection inventions – the rules of the game



## The agenda

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- **A real life scenario**
- The principles
- Example cases
- Conclusions
- Questions



# A real life scenario



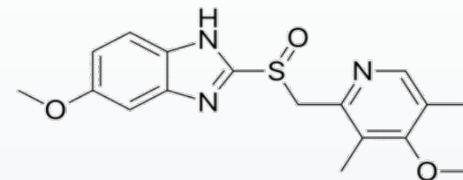
## The drug omeprazole

- discovered in 1979
- first of a new class of proton pump inhibitors (PPI)
- effective as anti-ulcer medicament
- launched in 1988 in Europe, and in 1990 in the U.S.
- on the WHO's List of Essential Medicines<sup>1</sup>
- best selling prescription medicine in 2000<sup>2</sup>

<sup>1</sup> WHO Model List of Essential Medicines 19th List (April 2015) World Health Organization.  
[http://www.who.int/medicines/publications/essentialmedicines/EML\\_2015\\_FINAL\\_amended\\_NOV2015.pdf?ua=1](http://www.who.int/medicines/publications/essentialmedicines/EML_2015_FINAL_amended_NOV2015.pdf?ua=1)

<sup>2</sup> Forbes (Jan 28 2013)

<http://www.forbes.com/sites/simonking/2013/01/28/the-best-selling-drugs-of-all-time-humira-joins-the-elite/#494d9ff56193>

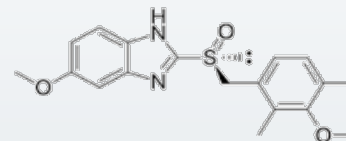
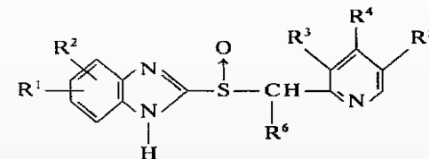


# A real life scenario



## The patents

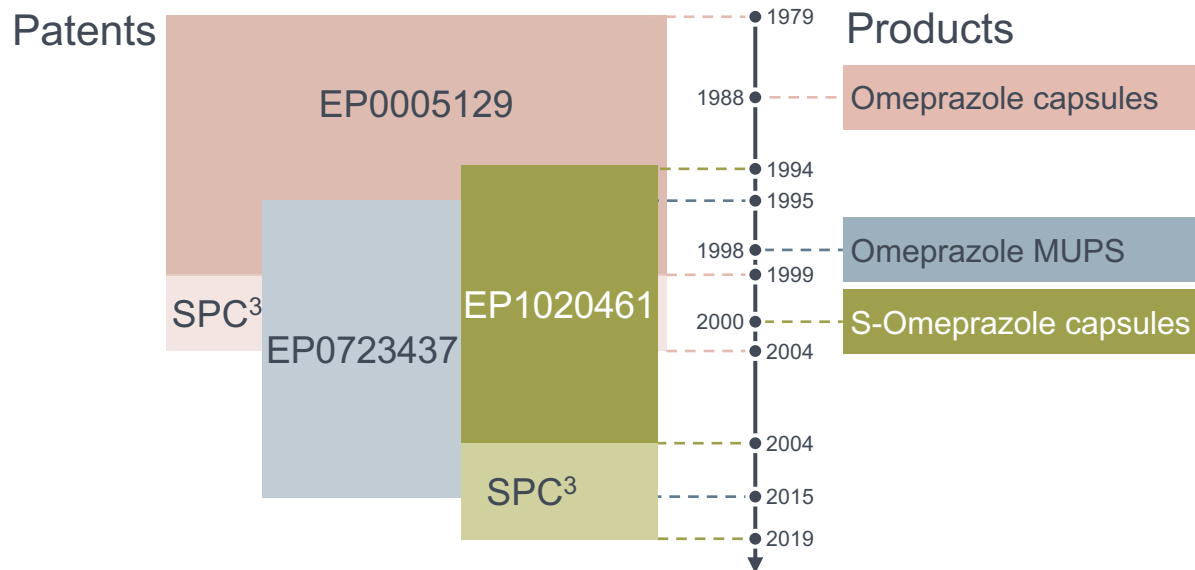
- EP0005129 (filed 03/04/1979)
  - Markush formula encompassing omeprazole
- EP0723437 (filed 07/06/1995)
  - multi unit particulate system (MUPS) tablet
- EP1020461 (filed 27/05/1994)
  - S-omeprazole Mg salt



# A real life scenario



## The results



► **S-Omeprazole N°17**  
**best-selling drug in 2014**  
(4.4 bn \$/year)<sup>4</sup>

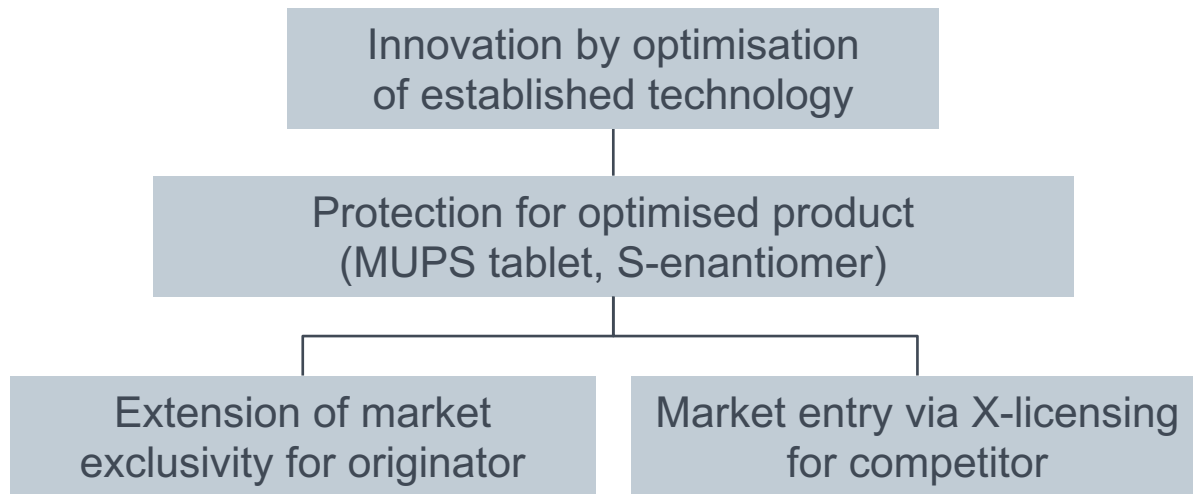
<sup>3</sup> Supplementary Protection Certificate

<sup>4</sup> EvaluatePharma® World Preview 2015, Outlook to 2020 (June 2015) <http://info.evaluategroup.com/rs/607-YGS-364/images/wp15.pdf>

# A real life scenario



## The conclusion



- ▶ Selection inventions strategically highly relevant
- ▶ Important to be aware to the rules of the game

# Selection inventions – the rules of the game



## The agenda

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- ✓ A real life scenario
- **The principles**
- Example cases
- Conclusions
- Questions



# The principles

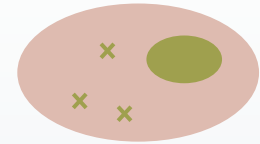


## Selection inventions – definition

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*"Selection inventions deal with the selection of individual elements, sub-sets, or sub-ranges [...] within a larger known set or range."*

GL G-VI-8



# The principles



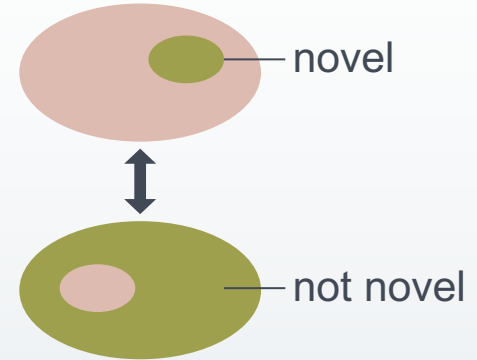
## Novelty – concept of individualisation

"[...] a *generic disclosure* does not usually take away the novelty of any *specific example*"



but [...] a *specific disclosure* does take away the novelty of a *generic claim*"

GL G-VI.5 (photographic novelty approach)



# The principles



## Novelty – the 2-list principle

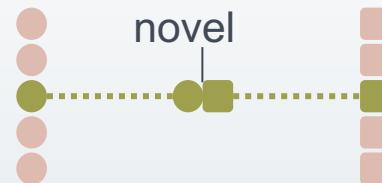
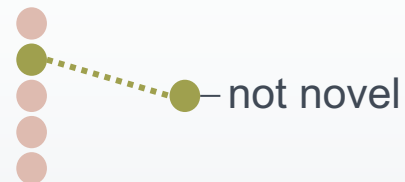
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*"A selection...*

*... from a single list does not confer novelty"*

*... from  $\geq 2$  lists of a certain length confers novelty"*

### GL G-VI-8 (i)



# The principles



## Novelty – medical use

A54(4),(5): 1<sup>st</sup> and 2<sup>nd</sup> medical use

Substance A

↑ novel ✓

... for use in medicine (1<sup>st</sup> medical use)

↑ novel ✓

... for a **specific** use in medicine (2<sup>nd</sup> medical use)

A54(4),(5)

purpose limited product claim

# The principles



## Novelty – medical use

Substance A for use in medicine

Substance A for use in a method of treating disease B comprising administering substance A...

... at a dose of X mg...

... over Y days

... via route C

... to patient group D

**G2/08:** meaning of "*specific use*"

- not only a new indication
- but also other features characterising the therapeutic use

# The principles



## Amendments and priority

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### Criteria for assessing novelty of selection inventions

- concept of individualisation
- 2-list principle
- further medical use

also applicable – to validity of priority (A87 EPC) and

– to basis for amendments (A123(2) EPC)

### G1/03 2.2.2:

Concept of disclosure same for the purposes of A54, 87, 123(2) EPC

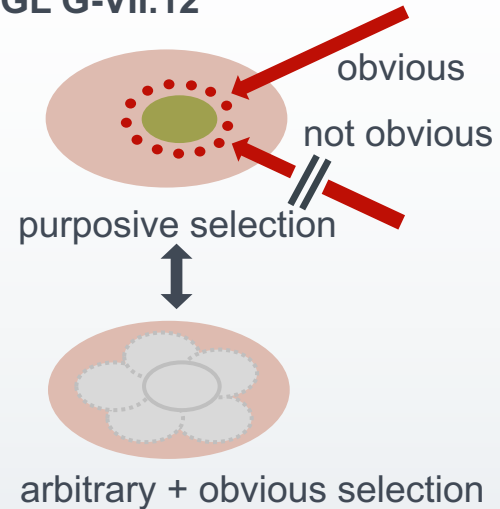
# The principles



## Inventive step – effect

- Inventive step accepted,
  - if claimed selection linked to a particular technical effect
    - ➔ purposive selection
  - and if selection not suggested by prior art
    - ➔ non obvious selection
- Technical effect
  - may be identical to the effect of the broader known range,
  - but then must be present to an unexpected degree
    - ➔ quantitative difference sufficient

GL G-VII.12



# Selection inventions – the rules of the game



## The agenda

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- ✓ A real life scenario
- ✓ The principles
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# Example cases



## Selection from sub-list – novelty

Claim	dialkylcarbonates of formula I + esterase inhibitors
Description	esterase inhibitors > ..., esters of hydroxycarboxylic acids, ...
Prior Art	dialkylcarbonates of formula I + further <b>auxiliaries</b> <p>The diagram illustrates the relationship between different terms in the prior art. It features three main text elements: 'auxiliaries' at the top right, 'waxes' in the middle left, and '..., esters of hydroxycarboxylic acids, ...' at the bottom left. A vertical column of four black circles is positioned between 'auxiliaries' and 'waxes'. A horizontal red arrow points from 'auxiliaries' to 'waxes'. A vertical red arrow points from 'auxiliaries' down to the first circle. A horizontal red arrow points from the first circle to 'waxes'. A vertical red arrow points from 'waxes' down to the second circle. A horizontal red arrow points from the second circle to '..., esters of hydroxycarboxylic acids, ...'. A vertical red arrow points from '..., esters of hydroxycarboxylic acids, ...' down to the third circle. A horizontal red arrow points from the third circle to 'auxiliaries'. A vertical red arrow points from the third circle to the fourth circle. A horizontal red arrow points from the fourth circle to 'auxiliaries'. A vertical red arrow points from the fourth circle to the text '..., waxes, ...' located to the right of 'waxes'. A horizontal red arrow points from '..., waxes, ...' to 'auxiliaries'.</p>

► Selection of specific esterase inhibitor novel?

yes  no

# Example cases



## Selection from sub-list – novelty

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- Claimed esterase inhibitors (esters of hydroxycarboxylic acids) derivable from prior art
  - 1<sup>st</sup> by selecting waxes from a list of auxiliaries followed
  - 2<sup>nd</sup> by selecting hydroxycarboxylic acid esters from a sublist of waxes
- Choice of an explicitly mentioned member of a class
  - **not** a selection from 2 lists, i.e. 1<sup>st</sup> of the class, 2<sup>nd</sup> of the class member,
  - but only a single selection from one list

▶  **no**

**Selection from a sub-list  
does not confer novelty**  
(T1221/07, rfd 2.2)

# Example cases



## 2-fold selection from 1 list – amendment

Claim	Bread improver ... .. made of at least fat and enzymes
Description as filed	... made of at least 2 different active ingredients ... ... can further comprise one or more ingredients selected from ... → ● ● ..., fat,... ← ● ..., enzymes,... ← ● ●

▶ Basis in the application as filed?

yes     no

# Example cases



## 2-fold selection from 1 list – amendment

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- Selection of 2 components from 1 list equivalent to a 2-fold selection from 2 identical lists
- Claimed combination of fat and enzymes novel vis-à-vis the original disclosure of the elements within 1 list

▶  **no**

Combination of features obtained by a 2-fold selection from a single list is **not derivable** from the application as filed **[confers novelty]** (T1374/07 *rd* 2.2)

# Example cases



## Patient subgroup – novelty

<b>Claim</b>	Rituximab... ...for treating rheumatoid arthritis (RA) ... ... a human who experiences an inadequate response to a TNF $\alpha$ -inhibitor
<b>Prior art</b>	<ul style="list-style-type: none"><li>✓ Rituximab for treating MTX refractory RA</li><li>✗ no reference to TNF <math>\alpha</math>-inhibitor inadequate responders</li></ul> <p>2<sup>nd</sup> line treatment RA after TNF <math>\alpha</math>-inhibitor failure</p> <p>1<sup>st</sup> line treatment RA</p> <p>2<sup>nd</sup> line treatment RA after MTX failure</p>

► Selection of patient group novel?

yes  no

# Example cases



## Patient subgroup – novelty

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- 30-40% of all RA patients TNF  $\alpha$ -inhibitor inadequate responders
- Inadequate responders distinguishable from the more generic patient group of the prior art by their physiological and pathological status (TNF  $\alpha$ -inhibitor induced side effects, altered degree of RA)

- ▶  **yes**  
Selection of a patient-group **suitable to confer novelty**, if the claimed group is distinguishable from the known group by its **physiological and pathological status** (*T734/12 rfd 24-26*)

# Example cases



## Degree of purity (I) – novelty

<b>Claim</b>	Tolperisone comprising less than about 7 ppm 4-MMPPO
<b>Prior art</b>	<ul style="list-style-type: none"><li>✓ Tolperisone and its HCl salt</li><li>✓ Manufacture by the same reaction scheme</li><li>✓ Recrystallisation using the same solvent system</li><li>✗ Single recrystallisation step only</li><li>✗ Lower purity level of less than 500 ppm 4-MMPPO</li></ul>

▶ Selection of higher more specific purity level conferring novelty?

yes  no


# Example cases



## Degree of purity (I) – novelty

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- Principles established by T990/96:
  - document disclosing a low molecular compound and its manufacture makes this compound available to the public in all grades of purity
  - unless there is evidence that hitherto all attempts at purification by conventional techniques have failed
- Claimed purity value has been achieved by repeated recrystallisation and, thus, by conventional methods

- ▶  **no**  
**Selected purity level**  
**does not confer novelty**  
(T455/13, rfd 3)



# Example cases



## Degree of purity (II) – novelty

### Claim 1

A composition... ..comprising at least one alkali soluble resin... ..dissolved in a solvent consisting of ethyl lactate distilled to a purity of greater than 99 percent

### Prior art

- ✓ Composition comprising the same ingredients
- ✗ Lower purity level of the solvent
- ✓ Ethyl lactate having a purity >99% available on the market

- ▶ Selection of ingredient with specific purity level conferring novelty?

yes  no

# Example cases



## Degree of purity (II) – novelty

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- T 990/96 concerns the purity of a low molecular chemical compound, when claimed as a **final** product, but is **not** applicable to **starting materials** and to **compounds comprised in a composition**
- Skilled person had to decide on the purity level of ethyl lactate
- No indication in the cited prior art that it was obligatory to make this choice

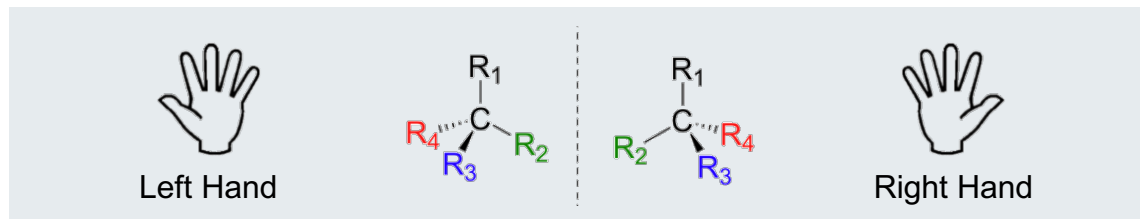
- ▶  **yes**  
**Selected purity level of starting materials confers novelty**  
(T112/00, rfd 2.3. - 2.6.)

# Example cases



## Selection of enantiomer – novelty

- Concept



- Stereoisomers in the form of mirror images
- Identical chemical properties
- Sometimes diverging biological properties

## Nomenclature:

- (+)/(-) or R-/S-enantiomer
- mixture of both = racemate

# Example cases

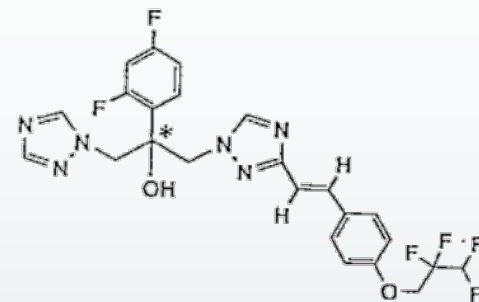


## Selection of enantiomer – novelty

<b>Claim</b>	(+)-2-(2,4-difluorophenyl)- ... (1H-1,2,4-triazol-1-yl) propan-2-ol
<b>Prior Art</b>	<ul style="list-style-type: none"><li>✓ Example 11 same compound as defined by claim 1,</li><li>✗ but without information about stereochemical configuration</li><li>✓ Compounds of the invention will exist in optically active forms</li><li>✓ Separation of enantiomers generally known</li></ul>

### ▶ Selection of enantiomer from racemate novel?

yes  no



# Example cases



## Selection of enantiomer – novelty

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- Example 11 disclosed in combination with general technical teaching relating to the optically active forms
- No information about any specific stereochemical form of example 11
- Undifferentiated disclosure not to be equated to an individualised disclosure of a specific enantiomer

- ▶  **yes**  
**Individualisation of an enantiomer confers novelty**  
(T1046/97 rfd 2.1.1.3 - 2.1.1.6)

# Example cases



## Selection of enantiomer – inventive step

<b>Claim 1</b>	Use of R-(+)-lipoic acid ... .. for treating type I diabetes
<b>Effect</b>	Identification of the active enantiomer
<b>Prior art</b>	<ul style="list-style-type: none"><li>✓ Anti type I diabetic effect of lipoic acid</li><li>✗ Use of racemate only disclosed</li><li>✓ Link between enantiomeric configuration and therapeutic activity suggested</li><li>✗ No hint to the active enantiomer</li></ul>

▶ Selection of active enantiomer from racemate inventive?

yes  no


# Example cases



## Selection of enantiomer – inventive step

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- Only 2 enantiomers of lipoic acid available
- Contribution in the selection of the active form from 2 alternatives
- Increase in therapeutic activity already suggested by the prior art

- ▶  **no**  
Selection of the active enantiomer from a racemate of stereochemical forms **not sufficient to establish inventive step**  
(T857/04 rfd 4.2 - 4.4)

# Selection inventions – the rules of the game



## The agenda

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- ✓ A real life scenario
- ✓ The principles
- ✓ Example cases
- **Conclusions**
- Questions



# Conclusions



## Novelty

- Photographic novelty approach and concept of individualization
- 2-list principle
- Specific further medical use



# Conclusions



## Novelty



**Yes**

- 2-fold selection from single list
- Patient sub-group, if distinguishable by its physiological / pathological status
- Purity of starting material or elements of a composition
- Enantiomer vs racemate



**No**

- Selection from a sub-list
- Purity of low molecular weight final product, when degree of purity obtainable by conventional methods

# Conclusions



## Inventive step

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- Particular effect required
- Effect not suggested by the prior art

### ▶ Egg of Columbus vs “Conventional egg”



# Selection inventions – the rules of the game



## The agenda

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- ✓ A real life scenario
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- ✓ Conclusions
- **Questions**

# Questions



## Questions

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now

via chat to “All participants”

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later

via mail → [academy@epo.org](mailto:academy@epo.org)