The patent system

Drug synthesis II
Lääkeainesynteesit II

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What is a patent?

- ✓ The patent system aims at having inventions made available to the public. What has been invented already, improve inventions or direct the research to other fields. This is a means of using R&D resources more effectively as the system removes the risk of "inventing the wheel twice"
- ✓ Patents are territorial rights; obtain protection for an invention in a particular country
  - The duration of the patent right is 20 years from the filing date of the patent
  - For a patent to remain in force, its holder must pay annual maintenance fees, so called renewal fees, for it.
  - A patent may be sold or licensed. In compensation the licensee pays the patent holder for instance a specified percentage of the income produced by the invention (royalty).
- ✓ Drug discovery researchers need to be concerned with patents:
  - To see whether a compound or series of compounds have already been described and/or patented
  - To locate compound data and synthetic procedures of interest
  - To keep up-to-date of the latest developments in the field

Main criteria for patentability

- Novelty: the invention (as defined by the Claims) must be new over everything that has been made available to the public before the priority date of the patent application (that is, the PRIOR ART).
- Inventive step (unobviousness) : the invention (as defined by the Claims) must not be obvious to a person skilled in the relevant area of technology as of the priority date of the patent application.
- Utility (industrial applicability): the patent application must clearly describe how the invention can be put into practice by a person skilled in the relevant area of technology.
- Support: the breadth of the Claims must be justified by the description of the invention given in the patent application.

Why to Search for Patent Information

✓Patents are a rich resource that should not be overlooked.

- An estimated 80% of the technical information in patents is never disclosed or published elsewhere.
- Disclosure of the invention should be presented in a concise, detailed way so that anyone with average skill in the relevant field could reproduce the invention.

✓There are many practical applications of patent searching:

- **Find information on what has been invented before** by conducting a "novelty search". This can enable you to either improve on existing inventions or direct your research to other fields. It reduces the risk of "reinventing the wheel".
- **Identify key inventors from a competitor's company**.
- **Identify companies** in your research area that are potential buyers, competitors, or employers.
- **Identify collaborators** in your research area for joint research.

Anatomy of a patent

- ✓Title and abstract: Facilitates searching by the public and by Patent Offices.
- ✓Background description: Assists in the understanding of the invention.
- ✓Statements of invention: Basis for Claims/restrictions to Claims.
- ✓Examples/figures: How to put the invention into practice; support for the Claims.
- ✓Claims: Explicitly define the scope of the invention.

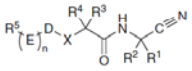
The main steps of patent application

The application procedure can be roughly divided into three phases:

1. Examination of formalities
   - all necessary documents
   - The **application fee** has been paid (in Finland 450 €)
2. Examination of the novelty and inventiveness of an invention
   - Examination whether the inventions disclosed in the applications are novel and contain an inventive step.
3. Grant of patent
   - When the examiner and the applicant have reached unanimity on the patent, the applicant has to pay a **publication fee** (in Finland 450 €)
   - After the patent is granted the patent will be included in the Espacenet database.

Markush structures

- Markush structures are general structures with multiple allowable substituents
- The idea is for them to be as broadly inclusive as possible.



wherein R^1 is hydrogen, C_{1-6} alkyl or C_{1-6} alkenyl wherein said alkyl and alkenyl groups are optionally substituted with halo;

R^2 is hydrogen, C_{1-6} alkyl or C_{1-6} alkenyl wherein said alkyl and alkenyl groups are optionally substituted with halo;

or R^1 and R^2 can be taken together with the carbon atom to which they are attached to form a C_{3-8} cycloalkyl ring wherein said ring system is optionally substituted with C_{1-6} alkyl, hydroxyalkyl or halo;

R^3 is hydrogen, C_{1-6} alkyl or C_{1-6} alkenyl wherein said alkyl and alkenyl groups are optionally substituted with C_{3-6} cycloalkyl or halo;

R^4 is hydrogen, C_{1-6} alkyl or C_{1-6} alkenyl wherein said alkyl and alkenyl groups are optionally substituted with C_{3-6} cycloalkyl or halo;

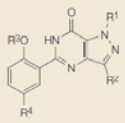
or R^3 and R^4 can be taken together with the carbon atom to which they are attached to form a C_{3-8} cycloallcyl ring,

Figure 3.2 ▸ Example of a Markush structure and part of the description thereof. (From Prasit, et al., US 7,012,075 (to Merck & Co. and Axys Pharmaceuticals).)

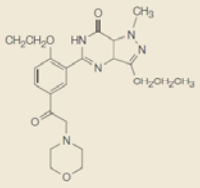
Example of patent claims

EP 0951908 A2 Viagra (Sildenafil)

1. The use of a compound for the manufacture of a medicament for treating sexual dysfunction in a female animal with an injured spinal cord, or in a male animal with an injured spinal cord wherein said male animal exhibits essentially no residual erectile function, wherein said compound is a compound of the formula at right, wherein:



- R^1 is H; C_1–C_3 alkyl; C_1–C_3 perfluoroalkyl; or C_3–C_5 cycloalkyl;
- R^2 is H; C_1–C_6 alkyl optionally substituted with C_3–C_6 cycloalkyl; C_1–C_3 perfluoroalkyl; or C_3–C_5 cycloalkyl;
- R^3 is C_1–C_6 alkyl optionally substituted with C_3–C_5 cycloalkyl; C_1–C_6 perfluoroalkyl; C_3–C5 cycloalkyl; C_3–C5 alkenyl; or C_3–C_6 alkynyl;
- R^4 is C_1–C_4 alkyl optionally substituted with OH, NR^5R^6, CN, $CONR^5R^6$ or CO_2R^7; C_2–C_4 alkenyl optionally substituted with CN, $CONR^5R^6$ or CO_2R^7; C_2–C_4 alkanoyl optionally substituted with NR^5R^6; (hydroxy)C_2–C_4 alkyl optionally substituted with NR^5R^6; (C_2–C_3 alkoxy)C_1–C_2 alkyl optionally substituted with OH or NR^5R^6; $CONR^5R^6$; CO_2R^7; halo; NR^5R^6; $NHSO_2NR^5R^6$; $NHSO_2R^8$; $SO_2NR^9R^{10}$; or phenyl, pyridyl, pyrimidinyl, imidazolyl, oxazolyl, thiazolyl, thienyl or triazolyl any of which is optionally substituted with methyl; wherein R^5 to R^{10} are…; or a pharmaceutically acceptable salt thereof.



2. A use as defined in claim 1, wherein said compound is selected from sildenafil, and pharmaceutically acceptable salts thereof, and the compound having the structure at right; and pharmaceutically acceptable salts thereof.

Some Key Points About Patents and Patent Applications

- Compared to journal articles, patents contain less theory, fewer citations, less extensive data, and may include "prophetic" procedures (described in present or future tense)
- Patent applications usually are published 18 months after filing
- Most patents and applications can be readily viewed online
- Composition of matter patents (product patents) are the most desirable type in drug discovery.
  - Finland was one of the last countries to accept product patent protection for pharmaceuticals. Before 1995 cheap generic medicines were commonly manufactured in Finland because **analogous process patent system**, that did not protect original product patents