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Patenting polymorphs at the European Patent Office – an insider's view

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A number of patent applications and opposition cases in sector HBC (Healthcare, Biotechnology and Chemistry, especially in the technical area of Heterocycles) of the EPO relate to solid state forms of chemical compounds, in particular of active pharmaceutical ingredients. Chemical compounds can exist in a variety of solid forms including:

- amorphous solids
- polymorphs
- solvates including hydrates
- salts
- co-crystals

Different solid forms of a compound display unique physicochemical properties which can influence the manufacturability, processing, handling and intended use. For active pharmaceutical ingredients, particularly important are the thermodynamic stability and the bioavailability for poorly water-soluble drugs. Other properties may also be important including chemical stability, filterability or hygroscopicity. In practice, solid state screening seeks to find a form with an optimal balance of desirable properties. Further interest in the subject stems from the fact that solid state form inventions create intellectual property opportunities for originator and generic companies alike.

The present presentation aims at offering some guidance for the way how the EPO is dealing with applications relating to solid state inventions.

It is particularly focused on polymorphs.

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From chemistry to crystallography or the joy of being crystallographer

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Coming back to the end of my studies of chemistry, in 1980 almost 40 years ago, the crystallographic panorama was really different from what we have now. Direct Methods were really showing its real power in solving organic crystal structures and the structure of biological macromolecules were the big challenge. Powder methods were oriented to phase analysis. The development and use of Databases were very limited.

In this environment a number of young students graduates in chemistry oriented his careers to crystallography, myself among them. In my particular case, I was quite autodidact, using computer cards in the scarce facilities in Spain, and making my first crystal structures with CRYSTAN [1]. Then in my postdoc, in Nijmegen 1985-1987 with Paul Beurskens, I really experienced the flavour of a crystallography laboratory while working in the development of DirDif[2]. Since I felt myself part of the crystallographic community, of the family of the International Union of Crystallography, actively participating in meetings, committees and assemblies.

The Rietveld method [3] was a real step on the study of materials and drugs. The new synchrotron, neutron and electron sources made possible to extend the limits further than imagination. The advances in computational methods allowed to investigate more complex systems in shorter time and let crystallographic computer programs be accessible to all crystallographers or users of crystallography.

Following the personal experience and the extraordinary expansion of crystallography in the last 40 years, I shall give an overview on the opportunities for young scientist interested in crystallography and crystallographic methods.

My involvement in the IUCr activities, including the regional associations and the extraordinary impact of the International Year of Crystallography with all the activities promoting Crystallography all around the world. The IYCr legacy fund and program hopefully will revitalize the crystallographic community of the XXI century. Doubtless, the new generations of crystallographers, men and women, will continue the job of the pioneers at the beginning of the twentieth century and the expansion and splendour of Crystallography along the century to formulate the new role of Crystallography in the twenty-one century and beyond.

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