Supercritical micronization as an important technique to produce pharmaceutical microparticles

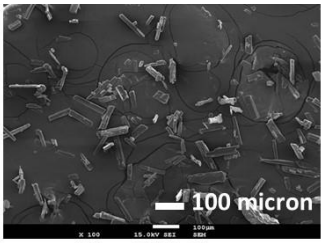
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Particle size of pharmaceuticals compounds can play a significant role in the amount of the active principle absorbed by the human body and with many compounds it is possible to provide dosages well below the toxicity threshold.

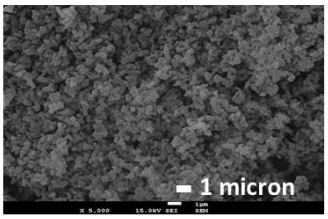
Supercritical fluid anti-solvent processes (SAS) were recently proposed as alternative to liquid anti-solvent ones. The SAS process works similarly, but instead of the use of a liquid solvent, in which the compound to be micronized is insoluble, it is used a supercritical fluid. The combination of the high solvent power of supercritical fluids to dissolve the organic solvent and the low solubility of the pharmaceutical compounds in the supercritical fluids makes this technique the most suitable for the precipitation of pharmaceutical compounds. On the other hand, it is possible to recover the supercritical anti-solvent by simple decompression, avoiding complex treatments typical of the liquid process.

Supercritical CO_2 is the most used antisolvent in SAS processes. In addition to the advantage of replacing toxic solvents, CO_2 has also the capability of producing pure particles with special morphologies. Moreover, a wide range of compounds can be processed using this solvent.

SAS micronization of pharmaceuticals and bioactives, such as sodium fusidate (figure 1), fusidic acid and astaxanthin, has been carried out in our laboratories. Nano and micro particles with morphology and particle size suitable for its use in pharmaceutic formulations were obtained. The most interesting results of these studies will be discussed, with special focus on the effect of SAS operation parameters in the particle size and particle size distribution.



A- Unprocessed sodium fusidate - before micronization



B – Supercritical antisolvent micronized sodium fusidate

Figure 1 – SEM images of sodium fusidate: unprocessed (A) and micronized by supercritical antisolvent process (B).



Beatriz P. Nobre has expertise in supercritical fluids, namely on supercritical fluid extraction of bioactive compounds from microalgae and vegetable matrices and on micronization of pharmaceutical compounds.. She is a researcher at the Centro de Química Estrutural of Lisbon University and also at the Bioenergy Unit of the National Laboratory of Energy and Geology. She has participated in several national and international research projects in the biotechnology and chemical engineering fields.

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Notes/Comments: