A potentiometric titration method for the crystallization of drug-like organic molecules

ID 403646
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Year 2007
Title A potentiometric titration method for the crystallization of drug-like organic molecules
Journal International Journal of Pharmaceutics
Volume 342
Number 1-2
Pages / **Article-Number** 161-167
It is generally accepted, that crystalline solids representing a low energy polymorph should be selected for development of oral dosage forms. As a consequence, efficient and robust procedures are needed at an early stage during drug discovery to prepare crystals from drug-like organic molecules. In contrast to the use of supersaturated solutions, we present a potentiometric crystallization procedure where saturated solutions are prepared in a controlled manner by pH-titration. Crystallization is carried out under defined conditions using the sample concentration and experimental pK(a) values as input parameters. Crystals of high quality were obtained for 11 drugs selected to demonstrate the efficiency and applicability of the new method. Technical improvements are suggested to overcome practical limitations and to enhance the possibility of obtaining crystals from molecules in their uncharged form.
Publisher Elsevier
ISSN/ISBN 0378-5173 ; 1873-3476
edoc-URL http://edoc.unibas.ch/54623/
Full Text on edoc No;
Digital Object Identifier DOI 10.1016/j.ijpharm.2007.05.030
PubMed ID http://www.ncbi.nlm.nih.gov/pubmed/17587517
ISI-Number WOS:000249680400020
Document type (ISI) Article