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Design and optimization of ternary solid dispersions to improve diacerine dissolution profile

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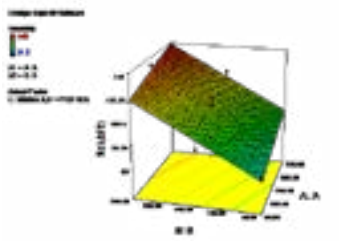
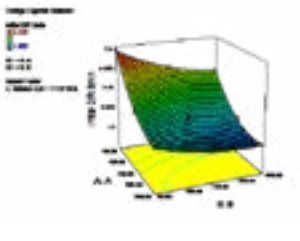
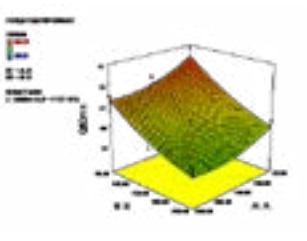
Statement of Problem: Diacerine (DCN) is a semi-synthetic anthraquinone anti-osteoarthritis drug; its poor solubility and limited dissolution profile lead to poor systemic bioavailability. In attempt to improve solubility and dissolution rate of DCN with response surface methodology, ternary solid dispersions were prepared with Pluronic F127 (PF127), Solutol HS 15 as surfactants and poly ethylene glycol 35K as carrier by ordinary fusion method. The interaction of DCN with PF127, Solutol HS 15 and PEG 35K has been evaluated by Differential Scanning Calorimetry (DSC), Fourier Transform Infrared Spectrometry (FTIR) and Scanning Electron Microscopy (SEM) in all solid ternary systems.

Methodology & Theoretical Orientation: A face centered central composite statistical design was employed for optimization of the three solid dispersion systems; DCN-PF127-PEG, DCN-Solutol HS15-PEG and DCN-PF127-Solutol HS15 with different ratio. Thirty-nine formulae were prepared and evaluated for the saturated solubility and initial dissolution rate in 5 min as responses using Design Expert Software (v7).

Results: The FTIR results demonstrated that there was no chemical interaction between drug and surfactants or carrier. The quantitative detection by DSC thermograms showed that DCN was amorphous during solid dispersion process. Furthermore, SEM photomicrographs showed a clear loss of the crystalline and irregular shape. All formulae showed marked significant improvement in the saturated solubility and dissolution rate of the drug in comparison to pure DCN. The optimized formula (DCN:PF127:Solutol HS 15 with ratio 1:1:1) showed the best initial dissolution rate with about 5.42 mg%/min of the drug released in the first 5 min, 78.3% of the drug being released in 60 minutes. In addition to that the saturated solubility of DCN increased by 6.74-fold more than pure DCN alone due to encapsulation of drug into self-assembled mixed micelles formed by polymeric surfactants.

Conclusion: It was obvious that the rate and extent of DCN release was strongly dependent on the proportion of PF127 and Solutol HS 15. So, this strategy appeared to be promising to increase the bioavailability of DCN.

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Biography

Sami Mohamed Awadalla is a PhD student at Cairo University. He is interested in drug delivery systems and optimization using different statistical design. His research project is focusing on smart delivery of Diacerine with increase bioavailability. He obtained his Master's Degree from University of Khartoum, Faculty of Pharmacy and attended the 6th Annual Conference – The Medical & Health Sciences Studies in February 2015 at Khartoum, Sudan under the title, evaluation of the suspending ability of the guar gum in comparison with Xanthan gum using Metronidazole as a model drug. He pursued postgraduate courses which include: Biostatistics using SPSS, Pharmaceutical Statistics using Computer Programs and Research Methodology.

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