2014 International Conference of The Korean Society of Pharmaceutical Sciences and Technology

Frontier Pharmaceutical Sciences and Technology for Drug Development

Physical pharmacy & Formulation Design
Biopharmaceutics, Pharmacokinetics & Metabolism
Polymer Science & Materials
Biotechnology & Drug Delivery
Pharmaceutical Quality & Analysis
Policy Science & Policy

November 27 (Thu) - 28 (Fri), 2014
The-K Hotel, Seoul, Korea

- **Plenary Lecture**
  Samir Mitragotri (University of California, Santa Barbara)
- **PFD (Physical pharmacy & Formulation Design)**
  Jaehwi Lee (Chung-Ang University)
  Liang Fang (Shenyang Pharmaceutical University)
  Sangkil Lee (Keimyung University)
  Kanjiro Miyata (The University of Tokyo)
  Keon-Hyoung Sang (Soonchunhyang University)
  Ju-Young Kim (Woosuk University)
  Soo Jeong Lim (Sejong University)
- **RSP (Regulatory Science & Policy)**
  Hyun-Jung Park (Ministry of Food and Drug Safety)
  Kwang-Moon Lee (Ministry of Food and Drug Safety)
  Young-Geun Shin (Chungnam National University)
  Jongpil Lee (Ministry of Food and Drug Safety)
- **BDD (Biotechnology & Drug Delivery)**
  Myung Joo Kang (Dankook University)
  Yongzhuo Huang (Chinese Academy of Sciences)
  Yuhong Xu (Shanghai Jiao Tong University)
  Phuong Ha-Lien Tran (Vietnam National University)
  Jin Woo Park (Mokpo National University)
  Seung Rim Hwang (Chosun University)
- **BPM (Biopharmaceutics, Pharmacokinetics & Metabolism)**
  Yong-Bok Lee (Chonnam National University)
  Ikumi Tamai (Kanazawa University)
  Chiung-Tong Chen (National Health Research Institutes)
  Hye Hyun Yoo (Hanyang University)
  Wooin Lee (Seoul National University)
  Jong Oh Kim (Yeungnam University)
- **PSM (Polymer Science & Materials)**
  Dal-Hee Min (Seoul National University)
  Pilhan Kim (Korea Advanced Institute of Science & Technology)
  Young-Tae Chang (National University of Singapore)
  Minhyung Lee (Hanyang University)
  Tzu-Wei Wang (National Tsing Hua University)
  Norased Nasongkla (Mahidol University)
- **PQA (Pharmaceutical Quality & Analysis)**
  Sung-Joo Hwang (Yonsei University)
  Sangyoung Shim (Handok Inc.)
  Kwon-Yeon Weon (Catholic University of Daegu)

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[pPFD-001][27/11/2014 (Thu) 15:30-18:00 / Lobby]

FORMULATION AND CHARACTERIZATION OF TACROLIMUS LOADED PLGA MICROSPHERES USING ELECTROSPRAY METHOD

Shiva Pathak[1], Chul Soon Yong[2], Jong-Oh Kim[3], and Jee-Heon Jeong[4]

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ABSTRACT

Purpose. The purpose of our study is to optimize the formulation parameters of electro spraying method and prepare tacrolimus loaded PLGA microspheres. The tacrolimus loaded PLGA microspheres can be used for the long term survival of transplanted organs owing to the immunosuppressive activity of tacrolimus which inhibits the T-cell activation after transplantation. Low dose of immunosuppressive drug along with the graft may be the promising method to achieve successful transplantation.

Method. Tacrolimus loaded PLGA microspheres were prepared by using electrospraying method. Then in-vitro characterization of the microspheres was carried out. First of all, the parameters of electrospray machine viz. solute concentration, voltage, flow rate and collection distance were optimized in blank formulations. Then, tacrolimus was loaded in the microspheres at the optimized condition at different ratios of drug and the polymer. Scanning electron microscopy, drug loading and encapsulation efficiency, differential scanning calorimetry, X-ray powder diffraction, and Fourier transform infra-red spectroscopy were performed.

Outcomes. Tacrolimus loaded PLGA microspheres were prepared by using electro spraying method with particle size of about 5m. The surface of microspheres was somehow rough as revealed by scanning electron microscopy. The drug loading efficiency was found to be more than 85% in all the formulation ratios of drug and polymer. The ratios of drug and polymer were 5:95, 10:90, 15:85, and 20:80 in the drug loaded formulations. X-ray powder diffraction and differential scanning calorimetry studies suggest that the drug has been incorporated as amorphous state in the formulation, as no characteristic peaks were observed in the XRD pattern and no endothermic peak around the melting point of drug.

Conclusion. Our preliminary study suggests that the tacrolimus loaded microspheres were successfully prepared. Furthur works on this study are on progress.

[pPFD-002][27/11/2014 (Thu) 15:30-18:00 / Lobby]

Comparative study of solid self-emulsifying drug delivery system (solid SEDDS) and solid dispersion for enhanced stability and bioavailability of clopidogrel napadisilate

Dong Wuk Kim[1], Jong Hyuck Park[1], Abid Yousaf[1], Fakhar Din[1], Rehmana Rashid[1], Omer Mustapha[1], Dong

Shik Kim[1], Chul Soon Yong[2], Jong Oh Kim[2], and Han-Gon Choi[1]

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Purpose. The purpose of this study is to compare the physicochemical properties, stability and bioavailability of clopidogrel napadisilate (CN)-loaded solid dispersion (SD) and solid self-microemulsifying drug delivery system (solid SMEDDS).

Method. The SD was prepared by surface attached method using different ratios of Cremophor RH60 (surfactant) and HPMC (polymer), and optimized based on their drug solubility. The liquid SMEDDS was composed of oil (peceol), surfactant (Cremophor RH60) and co-surfactant (Transcutol HP). The optimized liquid SMEDDS was spray dried with inert solid carrier, silicon dioxide. The SD and solid SMEDDS were characterized by scanning electron microscopy (SEM), differential scanning calorimeter (DSC) and powder X-ray diffraction (PXRD). Moreover, their solubility, dissolution, stability and pharmacokinetic studies were performed compared to clopidogrel napadisilate (CN) and bisulfate (CB) powders.

Results. In solid SMEDDS, liquid SMEDDS is absorbed or coated inside the pores of silicon dioxide. In SD, hydrophilic polymer and surfactants were adhered onto the drug surface. The drug was in crystalline and molecularly dispersed form in SD and solid SMEDDS, respectively. Solid SMEDDS and SD greatly increased the solubility of CN but gave lower drug solubility compared to CB powder. They significantly improved the dissolution of CN, but the latter more increased compared to the former. The stability at the accelerated condition of 50˚C/75% RH for 4 weeks showed that they had higher stability compared to CB powder, and SD was more stable than solid SMEDDS. Solid SMEDDS and SD significantly increased the oral bioavailability of CN powder. Especially, SD gave more improved bioavailability compared to solid SMEDDS and CB powder.

Conclusion. Thus, SD system was more suitable for the poorly water-soluble clopidogrel napadisilate than SMEDDS system. Furthermore, SD with excellent stability and bioavailability was recommended as an alternative for the clopidogrel-based oral formulation.

[pPFD-003][27/11/2014 (Thu) 15:30-18:00 / Lobby]

Development of novel docetaxel-loaded thermosensitive nanomicelles using sodium taurocholate as an enhancer for rectal adminstration

Dong Wuk Kim[1], Thiruganesh Ramasamy[2], Fakhar Din[1], Abid Yousaf[1], Omer Mustapha[1], Rehmana Rashid[1], Jong Hyuck Park[1], Chul Soon Yong[2], Jong Oh Kim[2], and Han-Gon Choi[1]

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Purpose. The purpose of this work was to investigate the potential of bile salt, sodium taurocholate (NaTC), in improving the bioavailability and anti-tumor efficacy of docetaxel (DCT) upon rectal administration.