International Journal of ChemTech Research
CODEN (USA): IJCRGG, ISSN: 0974-4290, ISSN(Online):2455-9555
Vol.11 No.10, pp 298-307, 2018

Synthesis and Development of Some Quinolone/Fluoroquinolone- Latentiated Drug Polypeptide Systems and Their Antimicrobial Evaluation

Shailendra K. Saraf[1]*, M. S. M. Rawat[2], Avinash C. Tripathi[1]

[1]Division of Pharmaceutical Chemistry, Faculty of Pharmacy, Babu Banarasi Das Northern India Institute of Technology, Lucknow-226028, U.P., India.
[2]Department of Pharmaceutical Sciences, H. N. B. Garhwal University, Srinagar-246174, Uttarakhand, India.

Abstract : The present study envisages to synthesize and evaluate some Quinolone/Flouroquinolone-polypeptide conjugates and to investigate whether, such drug latentiated systems possess any biological activity (antimicrobial) by themselves and to what extent the physical properties of these conjugates vary with different polypeptides. To accomplish this, four established antibiotics namely Nalidixic acid (NDA), Norfloxacin (NFC), Ciprofloxacin (CFC) and Ofloxacin (OFC) were conjugated with two different polypeptides, polyglutamic acid (PGA) and polyaspartic acids (PAA), respectively and evaluated for their physicochemical properties as well as antimicrobial action. The synthesized derivatives were characterized by various physicochemical and other methods. The partition coefficient of the NFC-PGA derivative was found to be highest amongst others. The rates of hydrolysis in simulated gastric and intestinal fluids showed that latentiated derivatives were resistant to hydrolysis in the gastric fluid but show hydrolysis in the intestinal fluid. Finally, the synthesized drug conjugates were screened for antibacterial activity, at concentrations of 10 and 50 µg/mL concentrations, against *P. morganii* and *S. aureus* bacterial strains using agar diffusion (filter paper disc) method. Almost all the drug-polymer conjugates showed good antibacterial potency but in particular, CFC and OFC conjugates of PGA exhibited maximum zone of inhibition against both the Gram positive as well as Gram negative microorganisms. The enhanced antibacterial potency activities observed for all the latentiated derivatives can be attributed to the synergistic effect of drug and polypeptides. Especially, improved activities of NDA-PGA and NDA-PAA against *S. aureus* was possible only due to conjugation with the polypeptides because NDA is not effective against Gram positive microorganisms.
Keywords : Drug-Polymer conjugates, Drug latentiation, Antibacterial, Quinolones, Fluoroquinolones, *In vitro hydrolysis*, Availability factor.

DOI= http://dx.doi.org/10.20902/IJCTR.2018.111037