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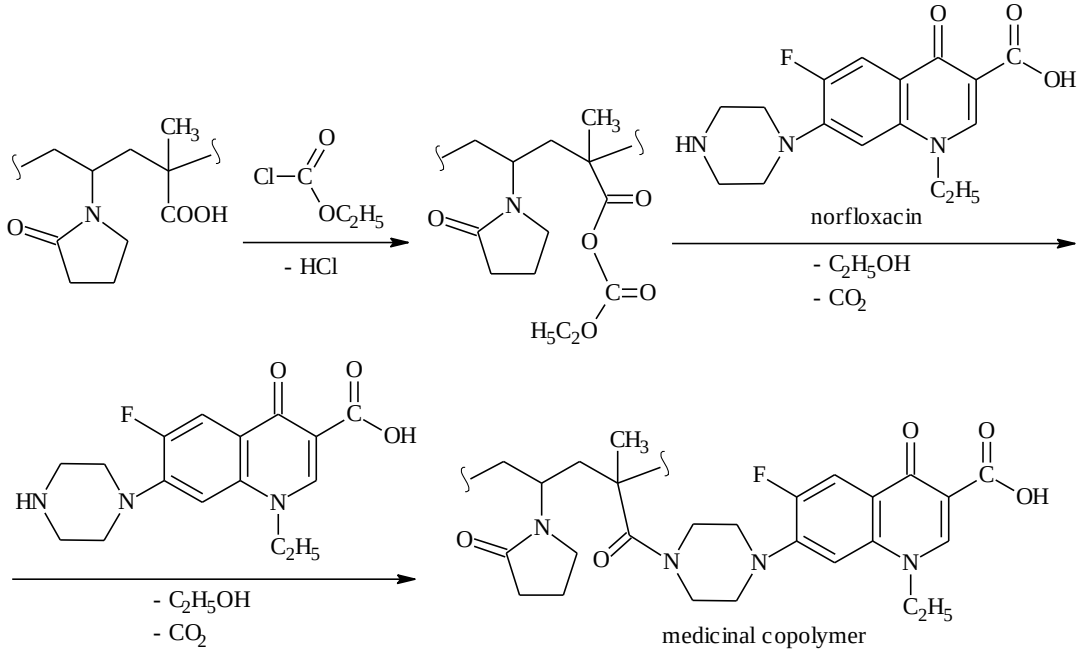
PROBLEM OF GRAFTING OF ANTITUBERCULOSIS DRUGS TO THE HIGH MOLECULAR COPOLYMERS BASED ON N-VINYLPYRROLIDONE

Albert Ivancic[1], Gherciu Irina[1], Robu Ştefan[1]

[1]*State University of Moldova, Industrial and Ecological Chemistry Department, 60, Mateevici str., Chisinau, Republic of Moldova*

Nowadays the problem of pharmaceutical preparations with prolonged effect, which would release in the human body a sufficient amount of bioactive compound over an extended period of time, is the current one. In this work we set purpose to graft antituberculosis (anti-TB) drugs such as norfloxacin and others to N-vinylpyrrolidone with methacrylic acid copolymer. [1,2]

Medicinal copolymer was synthesised based on N-vinylpyrrolidone with methacrylic acid copolymer (50:50 mol%) obtained after block copolymerization with characteristic viscosity ≈ 0,15Dl/g. Norfloxacin and its analogs grafting was performed according to the scheme:



Obtained copolymers were purified by recrystallization from hexane, then diethyl ether. Chemical structures of copolymers were determined by IR spectroscopy: the occurrence of new absorption bands at wave numbers: 3200 cm^{-1} (group -NH-CO-), 1720 cm^{-1} (= C=O from norfloxacin) and 750 cm^{-1} (≡C-F) demonstrates norfloxacin grafting.

Preventive tests for antimicrobial activity of obtained copolymer, showed an activity comparable to that of norfloxacin.

Studies of the prolongation effect of medicinal copolymer, by dialysis method, showed that the drug traverses the semipermiable membrane for 4-5 h, compared to 0,5-1 h for the control experiment (only norfloxacin).

In conclusion we can mention that a macromolecular medicinal compound with noticiable antibiotic and potential anti-TB properties was obtained.

References

1. Plate N.A., Vasilev A.E. „Physiologically active polymers", Moscow, Khimiya, 1986
2. Kirsh Y.E., „Water soluble poly-N-vinylamides**:** Synthesis and physicochemical properties", Chichester, Wiley, 1998, p. 233