

ICPC 2012

Rotterdam, The Netherlands

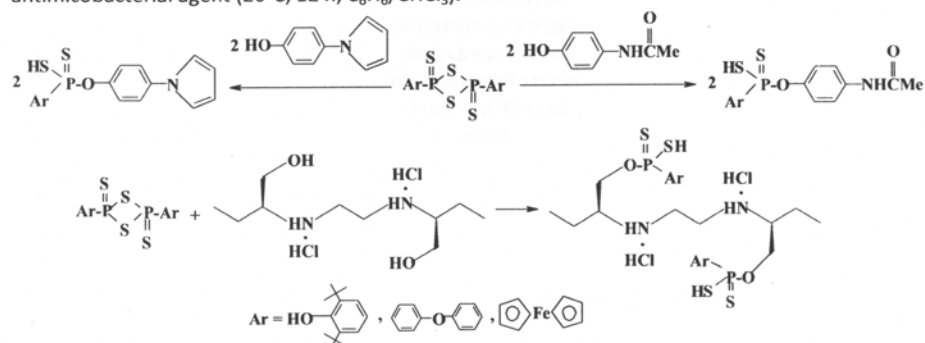
19th International Conference on Phosphorus Chemistry

Book of Abstracts

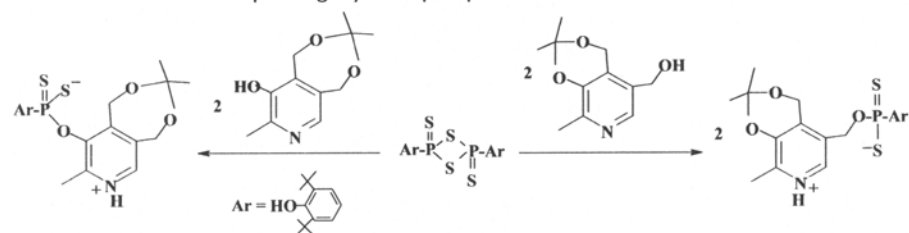
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Thiophosphorylation of pharmacophoric phenols, diols and triolsCherkasov, R.A.^a; Nizamov, I.S.^{a,b}; Martianov, Y.M.^a;Almetkina, L.A.^a; Nikitin, Y.N.^a; Shamilov, R.R.^a^aKazan (Volga region) Federal University, Kremlevskaya Str., 18, Kazan, Russia^bState Budgetary-Funded Institution of Science A. E. Arbuzov Institute of Organic and Physical Chemistry of Kazan Scientific Center of Russian Academy of Sciences, Arbuzov Str., 8, Kazan, Russia

Aryldithiophosphonic acids as well as their esters and salts contain the prochiral tetracoordinated phosphorus atom and pharmacophoric functionalities in organic substituents and possess biological activity. Paracetamol widely used as analgetic and antipyretic agent reacts with 2,4-diaryl 1,3,2,4-dithiadiphosphetane-2,4-disulfides (20-40°C, 1-2 h, C₆H₆/CHCl₃) with the formation of corresponding aryldithiophosphonic acids. The similar result was obtained in the case of 4-(1H-pyrrol-1-yl)phenol (20°C, 1 h, C₆H₆). The bis(aryldithiophosphonic) acids were prepared by the reactions of 2,4-diaryl 1,3,2,4-dithiadiphosphetane-2,4-disulfides with ethambutol dihydrochloride usually used as an antimicrobial agent (20°C, 12 h, C₆H₆/CHCl₃).



Tris(dithiophosphonic) acids were isolated from the reactions of vitamin B₆ with 2,4-diaryl 1,3,2,4-dithiadiphosphetane-2,4-disulfides (80°C, 2 h, C₆H₆). Two acetonide derivatives of vitamin B₆ react with 2,4-diaryl 1,3,2,4-dithiadiphosphetane-2,4-disulfides (20-30°C, 1 h, C₆H₆/CHCl₃) to form inner ammonium salts of corresponding aryldithiophosphonic acids.



Aryldithiophosphonic and bis(aryldithiophosphonic) acids possess appreciate antimicrobial activity. The study was performed with financial support of the Russian Foundation for Basic Researches (Grant No. 11-03-00264-a).