

LETTERS
TO THE EDITOR

New Amidoesters of P(III) Acids
on the Basis of 2-Amino-4-phenylthiazole

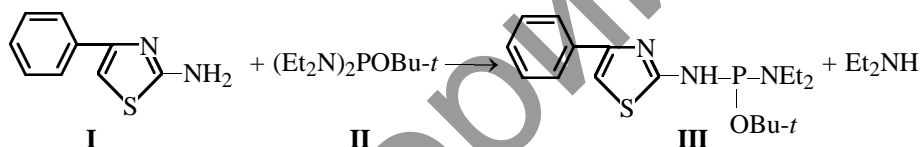
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Thiazole and its derivatives are the most important synthons for preparing various biologically active compounds. In detail, heterocyclic sulfanylamide derivatives include norsulfazol (2-sulfanylamidothiazole), a widely known antimicrobial sulfanylamide drug. With the purpose of searching for and synthesizing new medicines, we carried out purposeful chemical modification of 2-amino-4-phenylthiazole (I) to

obtain new P(III) amidoesters. Starting thiazole I was prepared by the procedure in [1]. The reaction was carried out by heating equimolar amounts of thiazole I and *tert*-butyl tetraethylphosphorodiamidite (II) in ethyl acetate with simultaneous distillation of the evolving diethylamine (identified as hydrochloride). Reaction progress was controlled by TLC. Completion of the reaction was judged about by the amount of the hydrochloride obtained.



tert-Butyl *N,N*-diethyl-*N'*-[(4-phenyl)thiazol-2-yl]phosphorodiamidite (III) was purified by crystallization from benzene. It is a white crystalline substance readily soluble in water and polar organic solvents.

During transamidation of phosphite II with 2 mol of thiazole under the same conditions, only 1 mol of diethylamine evolved. Upon addition of one more mole of phosphite II, phosphorodiamidite III was isolated and identified. Hence, we failed to introduce two 2-amino-4-phenylthiazole residues to the P(III) atom, probably, by steric reasons. The phosphoramidite obtained presents interest, since it provides wide possibilities for chemical modification.

tert-Butyl *N,N*-diethyl-*N'*-[(4-phenyl)thiazol-2-yl]phosphorodiamidite (III). Yield 85%, mp 141–142°C. IR spectrum, ν , cm⁻¹: 1475, 1620 (C=C), 1685 (C=N), 3435 (NH), 1020 (P–O–C). ¹H NMR

spectrum, δ , ppm: 7.22–7.48 m (C₆H₅, CH), 1.21 s [9H, (CH₃)₃C], 1.07 t (6H, CH₃, ³J_{HH} 7 Hz), 2.59 m (4H, CH₂), 4.0 s (1H, NH). Found, %: C 58.45; H 7.22; N 11.78; P 8.69; S 8.98. C₁₇H₂₆N₃OPS. Calculated, %: C 58.21; H 7.40; N 11.96; P 8.83; S 9.12.

The IR spectra were measured on Specord IR-75 and Nicolet Avatar-360 spectrometers in thin layer in the range 3700–400 cm⁻¹. The ¹H NMR spectra were recorded on a Tesla BS-587 spectrometer (80 MHz) in C₆H₆ against internal HMDS.

REFERENCES

1. Komarov, A.V., Yakovlev, I.P., Novikov, D.V., and Zakhs, V.E., *Zh. Obshch. Khim.*, 2003, vol. 73, no. 12, p. 2043.