NEW PHOSPHORUS-CONTAINING ESTERS OF N-(β-HYDROXYETHYL)ANABASINE AND LUPININE

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In order to find new cholinolytics, we have synthesized phosphorus-containing esters of N-(β-hydroxyethyl)anabasine and lupinine.

The anabasine and lupinine were isolated from technical "anabasine sulfate" [1, 2], and the N-(β-hydroxyethyl)anabasine, diphenylphospinic chloride, and isoamyl methylphosphonochlorothioate were obtained by published methods [3, 4].

In order to obtain the amino esters, equimolecular amounts of the appropriate amino alcohol and acid chloride were stirred at 2-3°C for 2 h in the presence of triethylamine in absolute benzene. After the usual working up, product 1 was obtained in the pure state. Product 2 was purified by passing its ethereal solution through a column of Al_2O_3 (activity grade II), and compound 3 was recrystallized from light petroleum ether.

The methyl methosulfate (1a) was obtained by heating the calculated amount of dimethyl sulfate with the amino ester (1) in absolute benzene. The methiodide (3a) was obtained in absolute methanol. The physicochemical constants of the substances are given in Table 1. Their IR and NMR spectra have been recorded.

TABLE 1

Substance	Composition	Yield, % of theoretical	n_D^{20}	R_f^*	mp, °C
N-[β-(Diphenylphosphinyloxy)ethyl]anabasine (1)	$C_{24}H_{27}N_2O_2P$	Quantitative	1,5828	0,61	Viscous oil
N-[β-(Diphenylphosphinyloxy)ethyl]anabasine methyl methosulfate (1a)	$C_{26}H_{33}N_2O_2PS$	Quantitative	—	—	Hygroscopic
N-[β-(Isoamyloxymethylthiophosphinyloxy)ethyl]-anabasine (2)	$C_{18}H_{30}N_2O_2PS$	40%	1,5226	0,78	Viscous oil
Diphenylphosphinyllupinine (3)	$C_{22}H_{28}NO_2P$	67%	—	0,44	82
Diphenylphosphinyllupinine methiodide (3a)	$C_{23}H_{31}NO_2PI$	77%	—	—	229–330

*Benzene–ethanol–acetone (20 : 2 : 3) system.

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