Ester **10** showed principal ir bands at 3.36 s, 5.78 s, 6.23 w, 7.32 m, 8.06 s, 8.50 m, 9.44 m, 10.15 m, 11.56 s, 11.98 s, 13.56 m, 13.75 m, and 14.50 μ m; NMR ($CDCl_3$) δ 0.06 (18 H, s) 1.56 (3 H, s), 2.02 (3 H, s), 5.73 and 6.12 (4 H, AB pattern, J = 19 Hz).

Anal. Calcd for $C_{14}H_{28}O_2Si_2$: C, 59.10; H, 9.92. Found: C, 58.86; H, 9.81.

Registry No.—**1a**, 17146-54-2; **1b**, 58207-97-9; **2**, 55339-31-6; **3**, 18178-60-4; **4**, 41309-43-7; **5**, 54731-58-7; **6**, 58207-98-0; **8**, 49750-09-6; **9**, 58207-99-1; **10**, 58208-00-7; phenyllithium, 591-51-5; chlorotrimethylsilane, 75-77-4; bromobenzene, 108-86-1; tetraphenyltin, 595-90-4; phenyltrimethylsilane, 768-32-1; tri-*n*-butyltin hydride, 688-73-3; ethynyltrimethylsilane, 1066-54-2; *n*-butyllithium, 109-72-8; *n*-butyltrimethylsilane, 1000-49-3; ethylene bromide, 106-93-4; *n*-butyl bromide, 109-65-9; carbon dioxide, 124-38-9; tetrabutyltin, 1461-25-2; *n*-pentanoic acid, 109-52-4; acetic anhydride, 108-24-7.

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A Novel Synthesis of Pyrrolo[1,2-*c*]pyrimidine-3-carboxylic Acid Esters[1]

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Pyrrolo[1,2-*c*]pyrimidine compounds are pharmaceutically interesting compounds[2] and several synthetic methods of the compounds have been reported.[3]

In the course of our studies on the reaction of isocyano compounds with various electrophiles,[4] we wish now to report the reaction of methyl isocyanoacetate (**1**) with pyrrole-2-carboxaldehydes (**2**) to afford pyrrolo[1,2-*c*]pyrimidine-3-carboxylic acid esters (**4**) as shown in Scheme I.

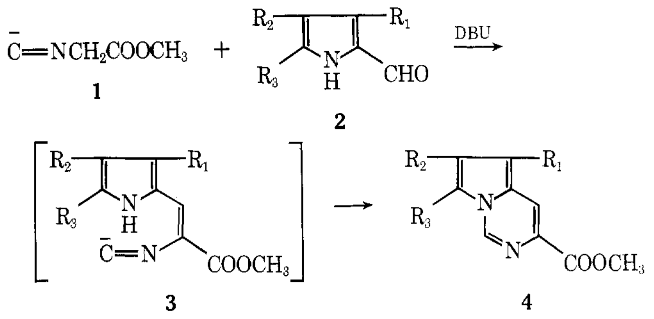
Condensation of the aldehydes (**2**) with isocyano compound **1** was carried out in THF solution, using 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) as a base (Table I). The structure of the resulting products (**4**) was confirmed by spectral and analytical data. The formation of compounds **4** probably proceeds via intramolecular cycloaddition of the intermediates **3**.

Table I. Preparation of Methyl Pyrrolo[1,2-*c*]pyrimidine-3-carboxylates (4)

Registry no.	R_1	R_2	R_3	Mp, °C^{a,b}	Yield, %
58298-71-8	H	H	H	78–80	69
58298-72-9	$COOC_2H_5$	CH_3	$COOC_2H_5$	144–145	59
58298-73-0	CH_3	$COOCH_3$	CH_3	215–219	55
58298-74-1	3,4-Methylenedioxy Ph	H	H	199–200	57
58298-75-2	3-Methoxy Ph	H	H	162–164	50

^{a} Recrystallization from ethyl acetate or methanol. ^{b} Satisfactory analytical values (±0.3% for C, H, N) for all compounds were submitted. Ed.

Scheme I



Experimental Section

Typical Procedure. To a solution of DBU (19.8 g, 0.13 mol) in THF (200 ml) was added dropwise a mixture of pyrrole-2-carboxaldehyde (12.4 g, 0.13 mol) and methyl isocyanoacetate (12.9 g, 0.13 mol) dissolved in THF (90 ml) at 40–45 °C for a period of 30 min with stirring. After stirring for 2 h at the same temperature, 10% acetic acid (70 ml) was added to the mixture and then the solvent was removed under reduced pressure. The resulting residue was extracted with ethyl acetate and the extract was further extracted with 5% hydrochloric acid (300 ml). The acidic solution was neutralized with sodium bicarbonate and the resulting products were sufficiently extracted with ethyl acetate. The extract was washed with saturated sodium chloride solution, dried, and then evaporated in vacuo. Methyl pyrrolo[1,2-*c*]pyrimidine-3-carboxylate (15.7 g), recrystallized from ethyl acetate, showed mp 78–80 °C; ir (Nujol) 3100 (CH), 1710 cm^{-1} ($COOCH_3$); NMR (Me_2SO-d_6) δ 9.20 (s, 1, C-1 H), 8.25 (s, 1, C-4 H), 7.90 (d, 1, C-7 H), 7.05 (double d, 1, C-6 H), 6.87 (d, 1, C-5 H), 3.87 (s, 3, OCH_3).

Registry No.—**1**, 39687-95-1; **2** ($R_1 = R_2 = R_3$ = H), 1003-29-8; **2** ($R_1 = R_3 = COOC_2H_5$; $R_2 = CH_3$), 2199-60-2; **2** ($R_1 = R_3$ = Me; $R_2 = COOCH_3$), 58298-68-3; **2** (R_1 = 3,4-methylenedioxy Ph; $R_2 = R_3$ = H), 58298-69-4; **2** (R_1 = 3-methoxy Ph; $R_2 = R_3$ = H), 58298-70-7.

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