STUDIES ON PYRAZOLONE DERIVATIVES

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قالوا

سُبْحَانَكَ لَا عِلْمَ لَنَا إِلَّا مَا عَلَّمْتَنَا
إِنَّكَ أَنْتَ الْعَلِيمُ الْحَكِيمُ

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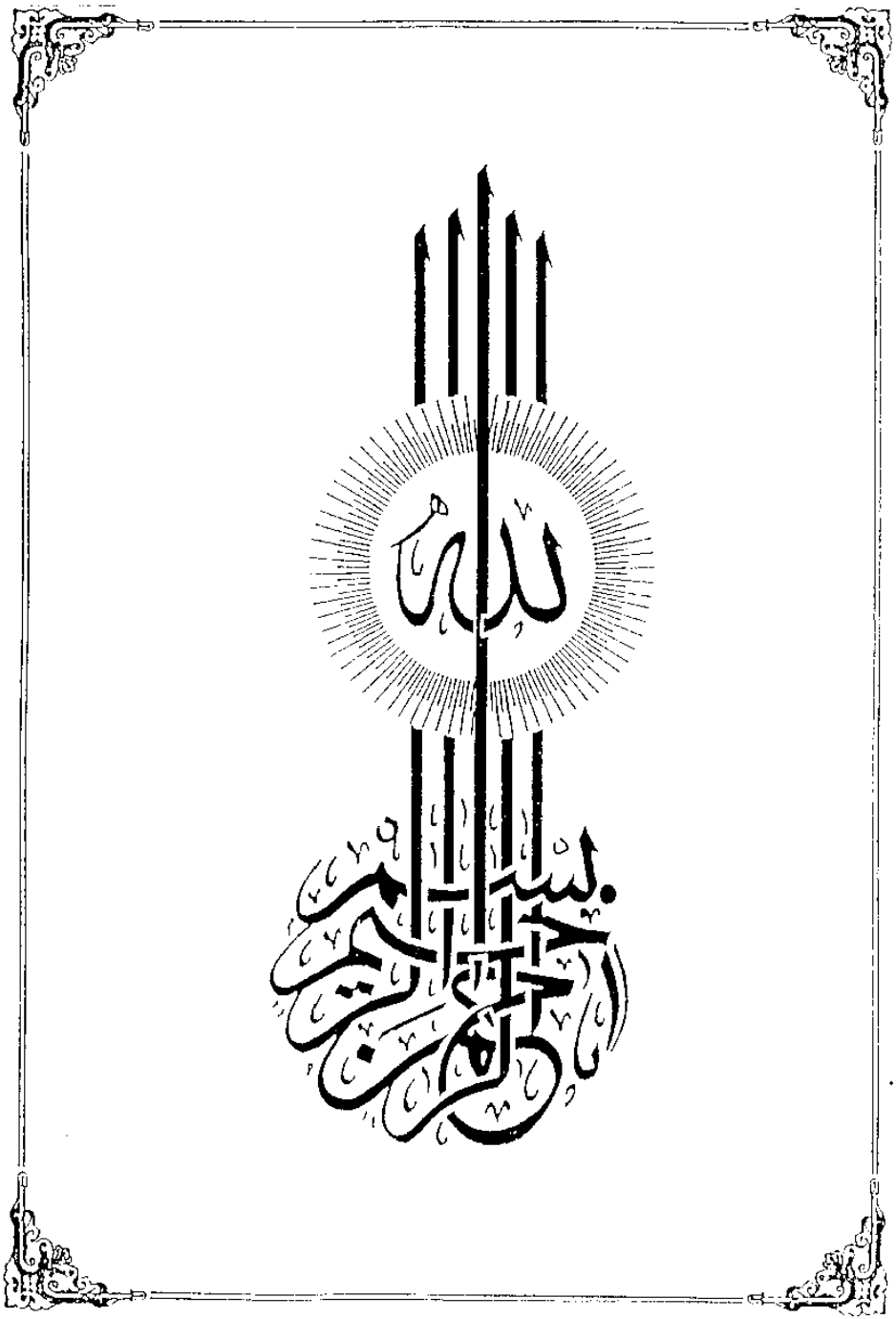

STUDIES ON PYRAZOL-ONE DERIVATIVES

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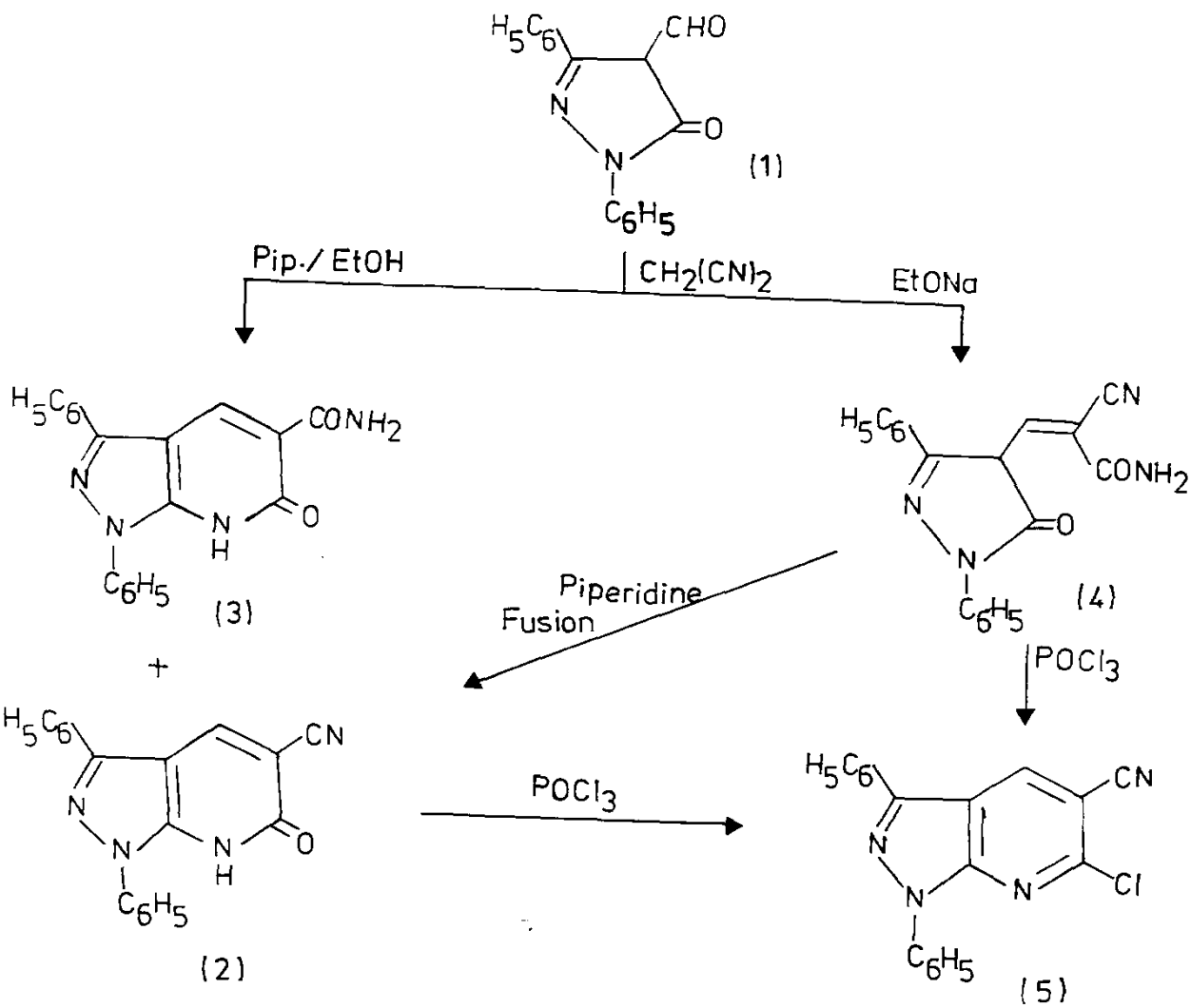
SUMMARY

STUDIES ON PYRAZOLONE DERIVATIVES

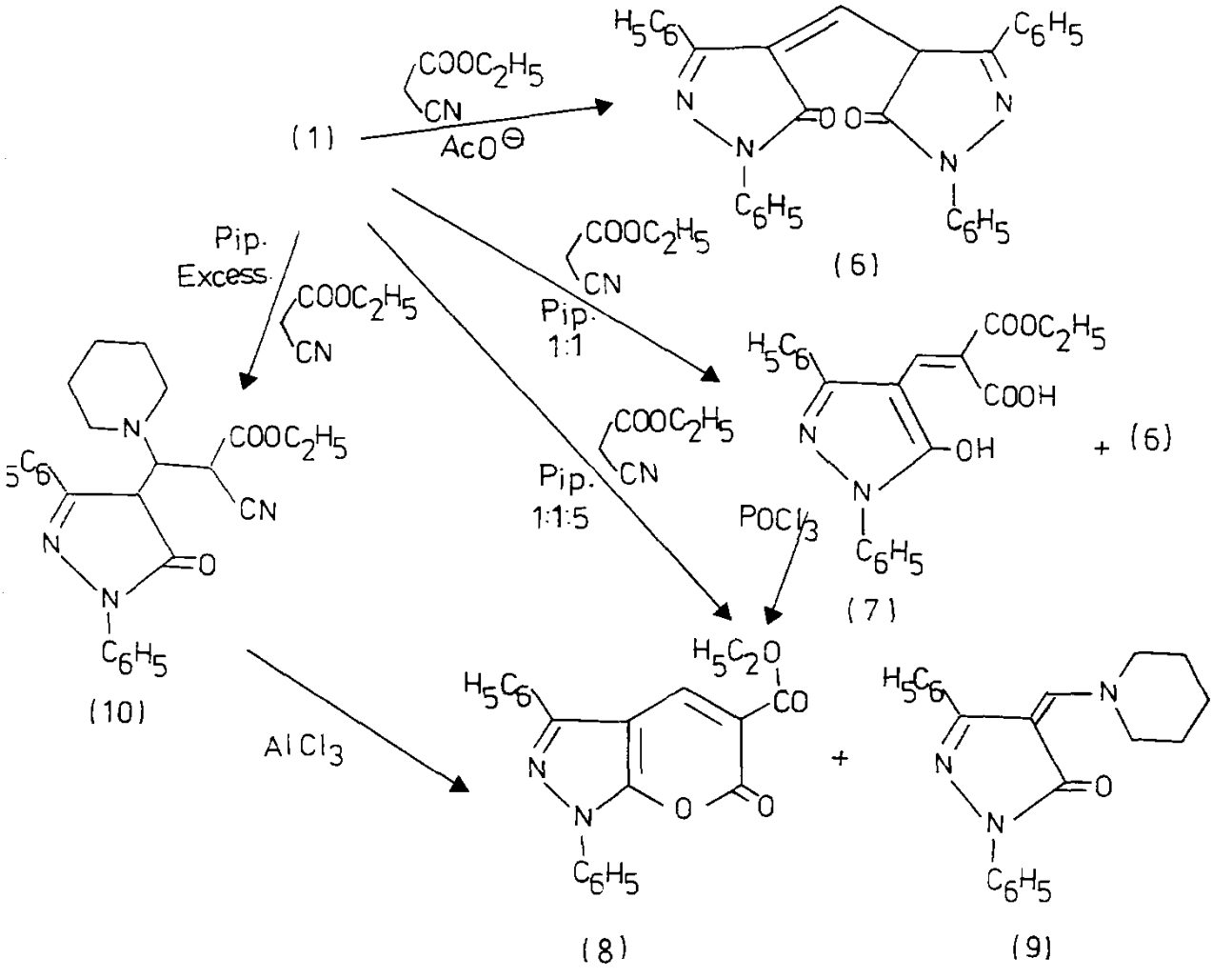
Base catalysed condensation of 1,3-diphenyl-5-oxo-1H-Pyrazole-4-carboxaldehyde (1) with malononitrile and/or ethyl cyanoacetate in different reaction conditions have been studied. Condensation of 1 with malononitrile in presence of piperidine afforded a mixture of pyrazolo [3,4-b] pyridone derivatives (2&3) whereas in the presence of sodium ethoxide gave the corresponding acrylamide derivative (4) which underwent cyclization in presence of piperidine to give 2. Treatment of 4 with phosphorous oxychloride gave 2-chloropyrazolo [3,4-b] pyridine (5), as shown in scheme (1).

Scheme 1

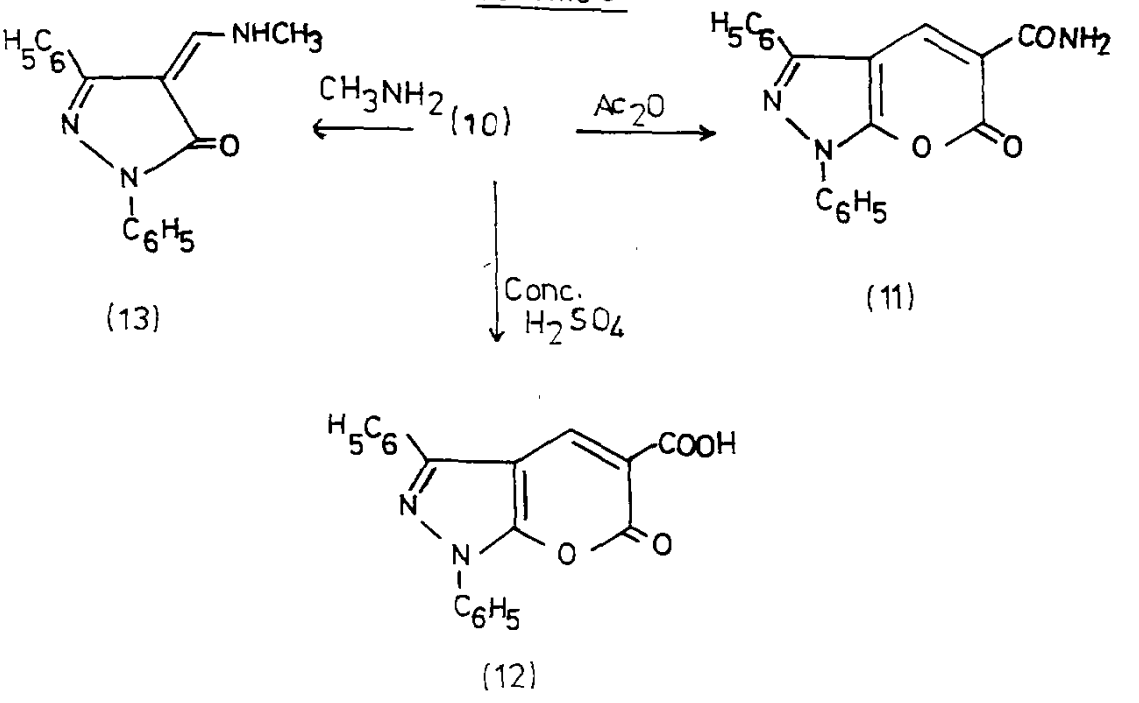


The condensation products 6-10 have been obtained on the reaction of pyrazole-4-carboxaldehyde (1) with ethyl cyanoacetate in the presence of piperidine depends on the molar ratio of piperidine. Cyclization of 7 or 10, in different conditions gave the corresponding pyrano [2,3-c] pyrazole derivatives (8), (11), and (12). Treatment of propionate (10) with methylamine afford the corresponding 4-(N-methyl) imino pyrazolone derivative (13) according to scheme (2) and (3).

Scheme 2



Scheme 3



Michael reaction of 1,3-diphenyl-6-oxo-pyrano [2,3-c] pyrazole (8) with active methylene compounds have been studied. Thus treatment of 8 with cyclohexanone, acetylacetone and ethyl acetoacetate afforded the pyrano [3,4-c] pyrano [2,3-c] pyrazole derivatives (15,16a,b) respectively.

Pyrano [2,3-c] pyrazole (8) underwent ring opening and re-cyclization with phenyl hydrazine to give the dipyrazolopyran (17), while with piperdine pyrano [2,3-c] pyrazole (18) was obtained. Reaction of 8 with sodium methoxide or sodium hydroxide afforded the β–pyrazolylacrylate derivatives (19,7) respectively, according to scheme (4).

Scheme 4