A NEW PROCEDURE FOR THE INTRODUCTION OF AN AMINO GROUP TO AZAHETEROCYCLES

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Amination of diazines (1, 2, and 3) by the Chichibabin's method is known to give an unsatisfactory result. Therefore, we planned to oxidize directly the intermediary σ-adducts (4, 5, and 6), which were generated with potassium amide in liq. ammonia. Among others, potassium permanganate was the best oxidizing agent. Thus, we succeeded in the high yield production of the amino diazines (7, 8, and 9). Similarly, quinazoline, quinoxaline, diphenyl-s-triazine, and a few derivatives of diazines (1 and 2) were easily aminated.

On the other hand, the σ-adduct (10 or 11), which were formed by dissolution of pteridine (12) in liq. ammonia or ethylamine without potassium amide, could be oxidized to give 4-amino (13)- or 4-ethylamino (14)-pteridine in a high yield. In the case of 2-chloropteridine (15), no replacement of chlorine atom with amino group was observed. Thus, 4-amino (16)- and 4-ethylamino (17)-2-chloropteridine were obtained from 15 by the same treatment as above.

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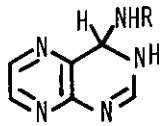
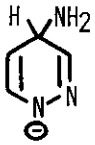
3



10 : R = H

11 : R = Et



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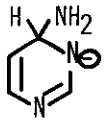

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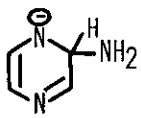

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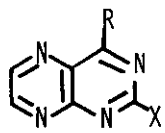


12 : R = X = H

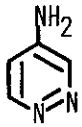
13 : R = NH_2, X = H

14 : R = EtNH, X = H

15 : R = H, X = Cl

16 : R = NH_2, X = Cl

17 : R = EtNH, X = Cl



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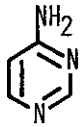

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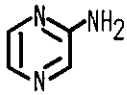

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