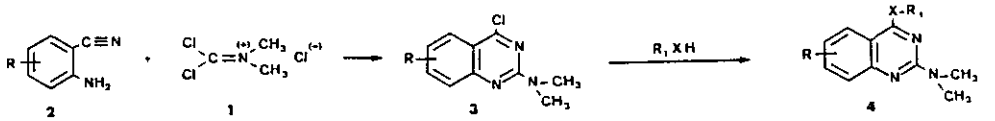
A convenient novel "one pot" synthesis of quinazoline and benzoxazine derivatives from corresponding 2-amino and 2-hydroxybenzonitriles via phosgeniminium salt condensation

Bruno KOKEL - Institut Curie - Section de Biologie
26, rue d'Ulm - 75231 PARIS Cedex 05

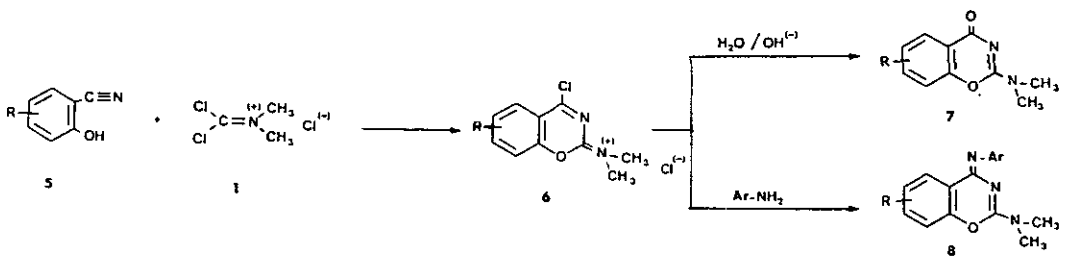
Phosgeniminium chloride 1 (Viehe's salt) which has been found to be extremely useful in heterocyclic synthesis, reacts smoothly with 2-aminobenzonitriles 2 and 2-hydroxybenzonitriles 5 producing the corresponding fonctionalized heterocyclic systems 3 and 6.

Thus, 2-aminobenzonitriles 2 lead to the 4-chloro 2-N,N dimethylamino quinazolines 3 which are easily transformed to their related derivatives 4 by means of hydrolysis, alcoolysis and aminolysis reactions.



R = H, 6-Cl, 7-CH_3
X = NH ; R_1 = R , Aryl, Alkyl
X = O ; R_1 = H, CH_3

In a similar way, 2-hydroxybenzonitriles 5 give the salts 6 and then, after easy work up the benzoxazine derivatives such as 7 and 8.



R = 4-OCH_3, 5-OCH_3, 6-OCH_3
6-Cl, 6-Br, 6-NO_2