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Synthesis and Characterization of Some Azaquinozolines

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Abstract
A novel synthesis and characterization of some azaquinazolines have been reported. 4-Nitro anilines are reacted with chloroacetyl chloride in presence of acetone to give 2-chloro-*N*-(4-nitrophenyl)acetamide, which when reacted with 3-amino-3,4-dihydropyrazino[2,3-*b*]quinolin-2(1*H*)-one in presence of dry pyridine to give the final target. The structures of synthesized compounds are confirmed by their IR and ^{1}H-NMR spectral data. Melting point of the compound has been determined by open capillary tube and hence uncorrected. TLC of the compound has been carried out by using *n*-hex:EA (1:1) solvent.

Keywords: Azaquinozoline, IR, NMR, TLC

Introduction

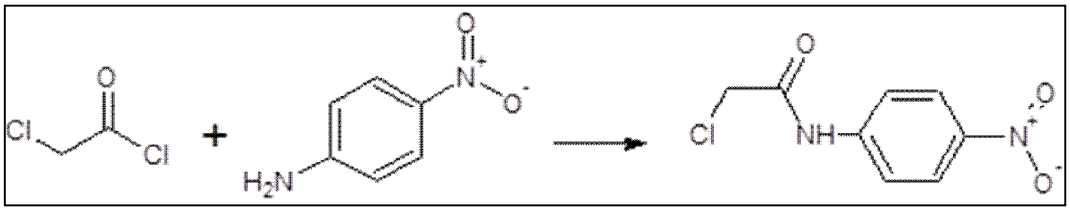
The azaquinozolines are the important class of diazene heterocyclic compounds. It has been reported that these have wide spectrum of biological properties such as antibacterial [1], analgesic [2], anti-inflammatory [3], antifungal [4], antimalarial [5], antihypertensive [6], CNS depressant [7], anticonvulsant [8], antihistaminic [9], local anesthetic [10], antiparkinsonism [11], anti-viral [12], antitubercular [13], anti-cancer [14] etc. activities.

In this paper a novel synthesis of 1-(4-nitrophenyl)-3-(2-oxo-1,2,3,4-tetrahydropyrazino[2,3-*b*]quinolin-3-yl)urea has been reported.

Synthesis of 2-chloro-*N*-(4-nitrophenyl)acetamide:

Procedure:

13.8 g (or 0.10 mol) of 4-nitroaniline was taken in a round bottom flask to which 50 ml of acetone was added and mixed thoroughly. The 11.2 g (or 0.10 mol) of chloroacetyl chloride was added drop wise to it with continuous shaking. After complete addition, the reaction mixture was refluxed for 3-4 h. The reaction was monitored by TLC. The reaction mixture was cooled and poured into ice-cold water with continuous stirring. Sodium bicarbonate was added to neutralize the hydrogen chloride liberated during the reaction. The product obtained was filtered, thoroughly washed with water, dried and recrystallised with ethanol.

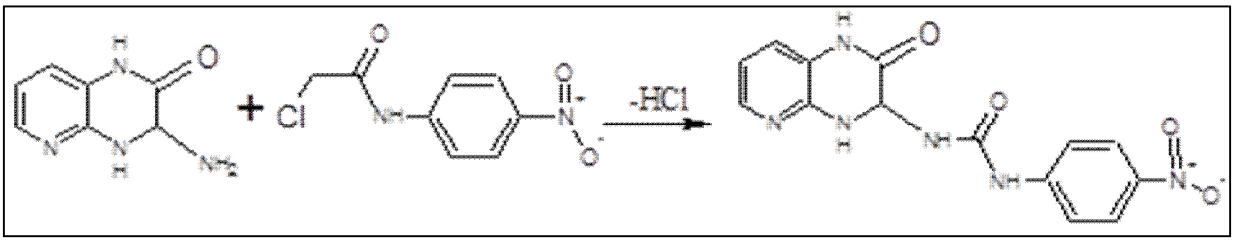


Mol. formula	Mol. mass	M.P (^{0}C)	Recrystallising solvent	% yield	TLC solvent	R_f value
$C_8H_7O_3N_2Cl$	214	115-120	Ethanol	36	*n*-hex:EA (1:1)	0.72

Synthesis of quinazoline of p-diazene derivative:

Procedure

0.0031 mol of 3-amino-3,4-dihydropyrido[2,3-*b*]pyrazin-2(1*H*)-one was taken in a round bottom flask and dissolved in 20 ml of dry pyridine then 0.80 g (0.0037 mol) of 2-chloro-*N*-(3-nitrophenyl)acetamide was added and refluxed for 6 h. The reaction was monitored by TLC. After the completion of reaction, the contents were cooled and poured into ice-cold water with continuous stirring and kept aside for 10 min, the crystalline solid obtained was filtered at pump, thoroughly washed with water, dried and recrystallised with ethanol.



Mol. Formula	Mol. Mass	M.P	Recrystallising Solvent	% Yield	TLC Solvent	R_f Value
$C_{14}H_{12}O_4N_6$	328.28	~175 ºC	Ethanol	68	*n*-hex:EA (1:1)	0.32

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