Oriental Journal of Chemistry Vol. **24**(3), 1147-1148 (2008)

Synthesis and antimicrobial activity of Azo compounds containing drug moiety

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(Received: April 12, 2008; Accepted: June 04, 2008)

ABSTRACT

Several azo compounds were synthesized by using simple diazotization reaction pathway. The synthesized compounds contains drug moiety of aspirin which shows excellent antimicrobial activity. Structure of all compounds was confirmed by ^{1}H NMR and IR spectral data.

Key words: Aspirin, diazotization, antimicrobial activity.

We have seen the development of a synthetic dye industries and its removal from different countries by accident or by empirical chemistry, a number of pure organics had been synthesized and some had recently been found to be medicinal usefully. Several examples of such compounds are well known for example- thymol containing azo compounds, azo compounds containing alkaloid moiety. The earliest relation of dyes and drugs occur in primitive societies because of similar nature of source material and the simple technology involved in their preparation This literature survey prompted us to undertake the synthesis of azo compounds containing aspirin moiety.

All chemical use for synthesis was of synthetic grade. The products were characterized by ^{1}H NMR and IR. The melting points were determined by open capillary method.

Synthesis of diazo compounds (general procedure)

Preparation of diazonium salt solution

Substituted amines (a-j), (0.01m) was mixed with 2.5ml conc. HCl. To the resultant solution, 2.5ml (4N) cold solution of $NaNO_2$ was added with stirring. Temperature of reaction mixture was maintained up to 0-5°C.

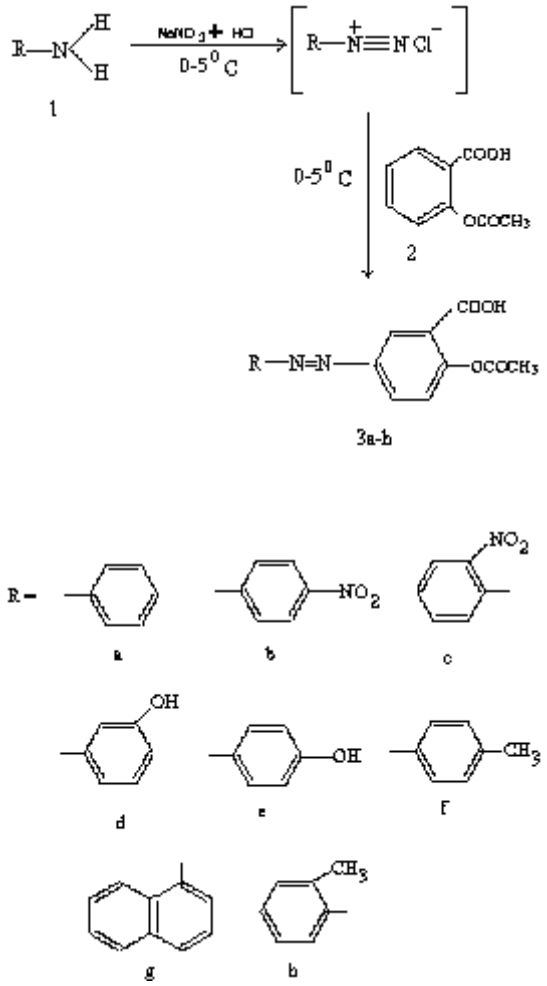
Preparation of diazotized compounds

Diazonium salt solution prepared above was added drop wise to the alkaline solution of aspirin. The reaction mixture was stirred for 20-30 minutes maintaining the temperature 0-5° C. Colored products obtained, filter and wash with water. Dry the product and recrystalized from proper solvent.

Spectral data

^{1}H NMR (δ ppm)

- 2.4, s, (3H), (-CO- CH_3); 7.0-7.2, m, (3H), (Ar-H); 7.4-7.6, m, (5H), (Ar-H); 11.03, s, (1H), (-COOH).
- 2.2, s, (3H), (-CO-CH_3); 7.8, d, (2H), (Ar-H), J=7.20 Hz; 7.4, d, (2H), (Ar-H), J=7.20 Hz; 6.9-7.2, m, (3H), (Ar-H); 10.4, s, (1H), (-COOH).
- 2.4, s, (3H), (-CO-CH_3); 2.28, s, (3H),(Ar-CH_3); 7.6, d, (2H), (Ar-H), J=7.40 Hz; 7.3, d, (2H), (Ar-H), J=7.40 Hz; 7.1-7.3, m, (3H), (Ar-H); 10.6, s, (1H), (-COOH).



Scheme 1

Table 1: Microbial evaluation

Entries	Microbial Species (Zone of inhibition in mm)				
	1	*2*	*3*	*4*	*5*
a)	6	12	8	-	-
b)	14	8	6	10	-
c)	-	14	-	8	6
d)	10	-	8	12	2
e)	-	6	-	-	12
f)	-	-	-	-	-
g)	10	14	9	6	12
h)	8	4	2	-	-

10mm = active, <10mm = moderately active, - = Not effective
1. Escherichia coli *2. Salmonella typhi*
3. Staphlyococcus aureus *4.Staphlyococcus albus*
5. Shigella dysentery

IR (KBr) (cm^{-1})

- 1710 (-C=O carboxylic acid), 1740 (-C=O ester), 1150(C-O ester).
- 1714 (-C=O carboxylic acid), 1750 (-C=O ester), 1145(C-O ester), 1304 (NO_2).
- 1711 (-C=O carboxylic acid), 1738 (-C=O ester), 1137 (C-O ester).

ACKNOWLEDGEMENTS

The authors are thankful to Dr. J. D. Dhake Tech. Director Anuradha Engineering College Chikhli for providing necessary laboratory facilities. And also thanks to Kailash Ghaywat, Mukanda Waghmare, S.P. Bhanuse, and S.U. Kale for their kind support.

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