

Synthesis of Some New Tetrazole and 1,3-Thiazolidin-4-One Derived from Schiff Base

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A series of heterocyclic compounds tetrazole (3a-d) and 1,3-thiazolidin-4-one (4a-d) derivatives were synthesized by the reaction of Schiff base derivative (2a-d) with sodium azide, 2-mercptoactic acid respectively. The IR and ¹HNMR spectral data of the synthesized compounds were also discussed.

Keywords: compounds, sodium azide, Schiff base.

INTRODUCTION

Thiazolidine-4-one and its derivatives have versatile applications in biological fields such as antibacterial [1-4], antifungal [5-6], anti-inflammatory [7-8], anticancer [9-10], and antimicrobial [11-12]. The thiazolidinone derivatives with isonicotine amide moiety are known to possess potential anti-inflammatory, analgesic, ulcerogenic and lipid peroxidation activities [13]. Tetrazole and its derivatives have attracted much attention because of their applications as antimicrobial agents [14-15], anticancer [16], antifungal [17-18]. A series of triazole containing tetrazole evaluated for their activity as anti-nociceptive and anti-inflammatory agents [19], anticonvulsant activity [20], antidiabetic activity [21], antihypertensive activity [22], COX-2 (cyclooxygenase-2) inhibitors [23], hypoglycemic activity [24], and antiproliferative activity [25]. Accordingly, we wish to report herein the synthesis of compounds, which possesses a chemically important nitrogen heterocyclic nucleus thiazolidine-4-one and tetrazole.

EXPERIMENTAL

Uncorrected melting points were determined by using bibby scientific limited stone, staffordshire, ST 15 OSA, UK., IR spectra were recorded as KBr disc in the (400-4000 cm⁻¹) range by using (spectrum one B FT-IR spectrometer). ¹HNMR spectra were measured with NMRReady-60e from Nanalysis Company Made in USA. Schiff bases (2a-d) were prepared according to the reported procedure. [26]

Synthesis of Tetrazole derivatives (3a-d) [27]

A mixture of (0.01 mole) of Schiff bases [2a-d], tetrahydrofuran (THF) (50ml) and sodium azide (0.02 mole) was heated on

water bath, the temperature of the water bath was controlled between (50-55)°C. The end of the reaction was checked by (TLC) which showed the disappearance of starting material.

Synthesis of thiazolidin-4-one derivatives (4a-c) [28]

A (0.01mole) of 2-mercptoactic acid was added drop wise to (0.01mole) of Schiff bases [2a-d], in (50ml) of dry benzene, the mixture was refluxed for (24) hours then the solvent was evaporated and the formed precipitate was recrystallized from ethanol.

RESULT AND DISCUSSION

In the present work the synthesis of tetrazole and thiazolidinone were achieved from imine compounds derivatives (scheme). The starting materials Schiff bases (2a-d) were prepared from 2-hydroxy-1-naphthaldehyde/ 2-hydroxy benzaldehyde with aromaticdiamine/ aliphatic-diamine in refluxing ethanol. The tetrazole compounds (3a-d) were synthesized by the reaction of compounds (2a-d) with sodium azide; these compounds were characterized by their melting point, IR spectra and checked by TLC. The mechanism of the reaction systematically investigated as [3+2] cyclo additions which christened as a 1,3 -dipolar cyclo additions [29]. In the IR spectra, the band due to -N=N- and N-H group, which is present in all studies compounds were observed at about (1492.2-1529.4) cm⁻¹ and (3374.5-3420) cm⁻¹, respectively. The bands at about (1280.8-1243) cm⁻¹ and (959-1081.3) cm⁻¹ were characteristic for the (tetrazole ring). In addition, the absent of the band at(1612 cm⁻¹), attributed to (C=N) (imine group) stretching frequency is good evidence for the success

of this step of reaction. It also, the IR spectra for these compounds were devoid of a strong band at (2120– 2160) cm^{-1} attributed stretching frequency of azide group. The ^1H NMR spectra indicate the presence of tetrazole ring resonating at 3.52, 6.94, and 7.1 for NH protons together with benzene ring protons. The compounds thiadiazol-4-one (4a-d) were synthesized by the reaction of imine compounds (2a-d) with 2-mercaptoacetic acid in dry benzene, the products were verified

using IR spectra, which showed the appearance of the stretching of the C=O of thiazolidinone at (1621-1622) cm^{-1} and the disappearance of (C=N) band stretching vibration at (1612 cm^{-1}). The ^1H NMR spectra for compound (4a) appeared the following signals 2.48 (s,4H) 2CH₂; 7.41-7.75 (m,12H) Ar-H; 7.82 (s,2H) 2OH.

Table (1) Physical properties and spectral data of compounds (3a-d, 4a-c)

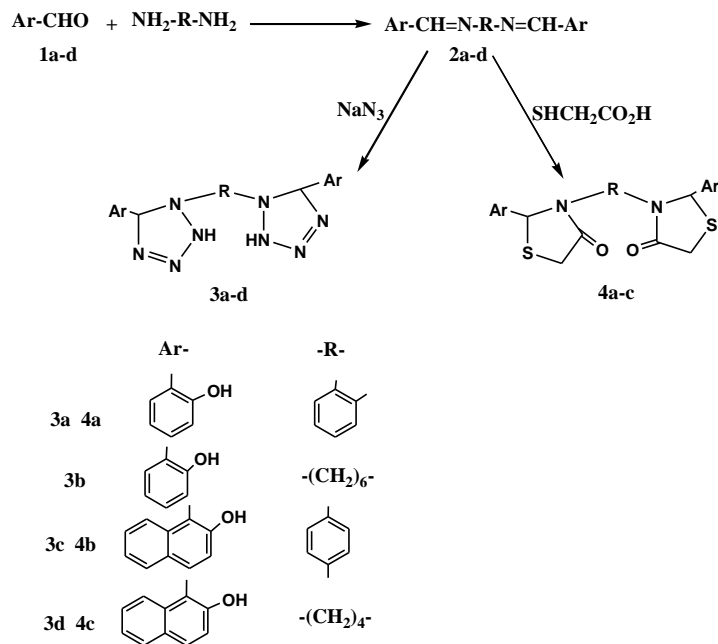


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


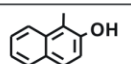

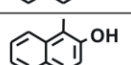


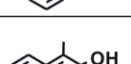

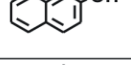


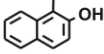

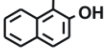


Comp.No.	Ar	R	M.P. °C	Yield %	IR (KBr) μcm^{-1}					
					C-S	N=N	C=O Amide	NH	Tetrazole ring	OH
3a			152-154	40	-	1492.2s	-	3374.5s	1081.3 1243	3472m
3b		-(CH ₂) ₆ -	78-80	78	-	1500.3s	-	3414.9b	1050.9 1280.8	3474b
3c			64-66	53	-	1505.1s	-	3390b	959 1247.2	3420b
3d		-(CH ₂) ₄ -	228-230	94	-	1529.4s	-	3420b	1036.5 1256.3	3460b
4a			114-116	50	745s	-	1622s	-	-	3413.8b
4b			52-54	87	747.9s	-	1622s	-	-	3413.4s
4c		-(CH ₂) ₄ -	208-210	52	745s	-	1621s	-	-	3414.8b

Table (2): ¹H NMR spectral data of compounds (3a,3c,3d,4

Comp. No.	Ar	R	¹ H NMR
3a			6.94 (b,2H) 2NH; 7.13-8.42 (m,12H) Ar-H; 9.58 (s,2H) 2OH
3c			3.52 (b,2H) 2NH; 7.1-7.8(m,16H) Ar-H; 9.5 (s,2H) 2OH
3d		-(CH ₂) ₄ -	2.36-2.46 (m,4H) CH ₂ CH ₂ , 3.43-3.54 (t,4H) 2NCH ₂ CH ₂ ; 7.1(s, 2H)2NH; 7.7-7.9 (m,12H) Ar-H; 9.1 (b, 2H) 2OH
4a			2.48 (s,4H) 2CH ₂ ; 7.41-7.75 (m,12H) Ar-H; 7.82 (s,2H) 2OH

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