Synthesis and Antibactrial Study of New 2-Amino-5-Aryl-1,3-Thiazole-4-Carboxylic Acid Derivatives

Zina K. Al- Dulaimy
Aoras A. Kadhim
Dept. of Medical Chemistry / College of Medicine / University of Wassit
Abduljabbar Kh. Attia
Mohammed Z. Thani
Dept. of Chemistry/College of Science / Al-Mustansiriya University

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Abstract

2-Amino-5-aryl- 1,3-thiazole-4-carboxylic acid (A_1-A_3) were synthesized from the reaction of various aromatic aldehyde with dichloro acetic acid and thiourea. The synthesis of 2-[[(S-aminosulfinim-idoyl)(aryl)methyl](benzoyl)amino]-5-aryl-1,3-thiazole-4-carboxylic acid (A_{22}-A_{30}) was perfomed starting from (A_1-A_3) by two steps using Schiff's base (A_4-A_{12}) prepared from the reactant compounds (A_1-A_3) with different aromatic aldehyde. Finally two types of imide derivatives were obtained from reactant compounds (A_1-A_3) with malic anhydride (A_{31}-A_{33}) and phthalic anhydride (A_{34}-A_{36}) in the presence of glacial acetic acid. All proposed structures were supported by FT-IR and UV-Visible spectroscopic data.

Key word: 1,3-thiazole-4-carboxylic acid, imide derivatives, Schiff's base.

Introduction

Thiazole derivatives have attracted a great deal of interest owing to their antibacterial, antifungal, anti-inflammatory, CNS depressant, antitubercular, anti-tumor, anthelmintic, sedative hypnotic and anti-retroviral properties. In addition to being used in the pharmaceutical industry, thiazoles also found wide application in the dye and photographic industries.[1-5]

There has been considerable attention to the chemistry of Schiff's bases because of their wide range of applications in many fields including biological, organic, inorganic and analytical chemistry. They are used as pigments, dyes, and catalysts, intermediates in organic and inorganic synthesis and polymer stabilizers.1-4 Schiff bases containing heterocyclic rings are known to show cytotoxic, anticonvulsant, antimicrobial, anticancer, antifungal, antimalarial, antiviral, antidepressant and enzyme inhibitor activities.5-11 Furthermore, some Schiff bases are used in ion sensors and electrochemical sensors to empower detection with enhanced selectivity and sensitivity.[6-8]

Moreover, thioureas and their derivatives show strong antibacterial activity and are versatile reagents in organic synthesis. [9]

The aim of this work was synthesize some Substituted of 1,3-thiazoles that can be used for farther study as therapeutic agents.

Experimental

All melting points were recorded on an electrothermal Gallen Kamp melting point apparatus and are uncorrected. The FTIR-spectra was recorded on Shimad ZN- FTIR 8400S Spectrometer in form of KBr disc. UV spectrophotometer using absolute ethanol as solvent. Characterization of the products is given in Table (1).

Synthesis of compounds 2-Amino-5-aryl- 1,3-thiazole-4-carboxylic acid (A_1-A_3) [10]

To a mixture of appropriate aldehydes (0.01 mole) and sodium hydroxide (0.01 mole) in absolute ethanol (15 ml) dichloroacetic acied (0.01 mole) and thiourea (0.01 mole) was added, the mixture was refluxed for (4hrs.) and cooled to room temperature. The precipitate was filtered and recrystallized from ethanol.

Synthesis of compounds 5-Aryl-2-{[(1E)-arylmethylene]amino}-1,3-thiazole-4-carboxylic acid (A_4-A_{12})[11]

General procedure

To stirring solution of compounds (A_1-A_3) (0.01 mole) in absolute ethanol (15 ml) appropriate aldehydes (0.01 mole) was added, the mixture was refluxed for (6hrs.) and cooled to room temperature. The precipitate was filtered and recrystallized from ethanol and water (3:7).

Synthesis of compounds 2-{benzoyl[chloro(aryl)methyl]amino}-5-aryl-1,3-thiazole-4-carboxylic acid (A_{13}-A_{21})[12]

To a stirring solution of a compounds (A_4-A_{12}) (0.005 mole) in dry benzene (10 ml), benzoyl chloride (0.005 mole) in dry benzene (10 ml) was added dropwise, the mixture was refluxed for (1hr.), after cooling, the precipitate was filtered and recrystallized from benzene.

Synthesis of compounds2-[[(S-aminosulfinimidoyl)(aryl)methyl] (benz-oyl) amino]-5-aryl-1,3-thiazole-4-carboxylic acid (A_{22}-A_{30})[13]

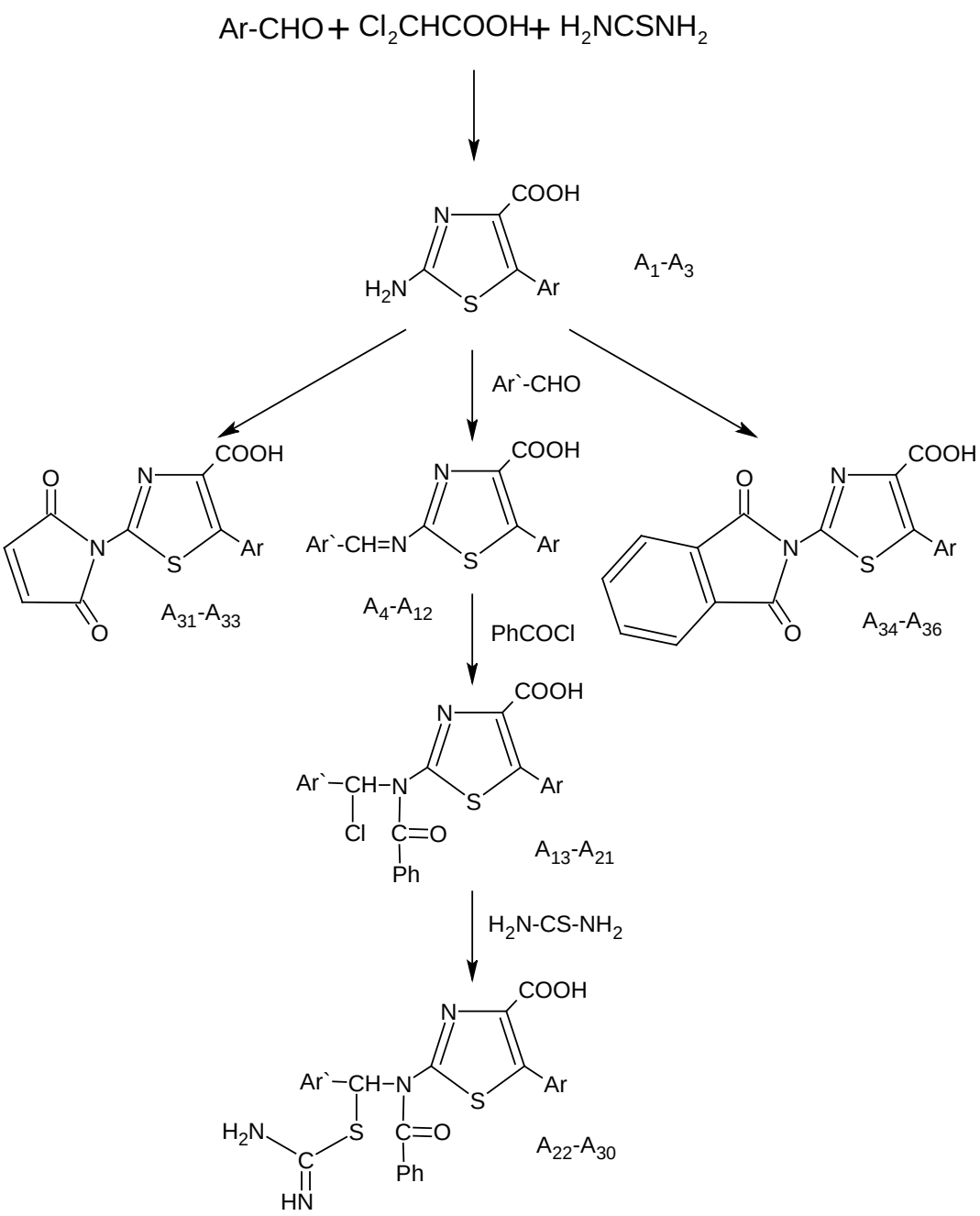
To stirring solution of compounds (A_{13}-A_{21}) (0.01 mol) in absolute ethanol (20 ml), thiourea (0.01 mol) was added, the mixture was refluxed for (5hrs.) and cooled to room temperature. The solid product was filtered and recrystallized from ethanol.

Synthesis of compounds2-(substituted-1H-pyrrol-1-yl)-5-aryl-1,3-thiazole-4-carboxylic acid (A_{31}-A_{36})[14]

To solution of compounds (A_1-A_3) (0.01 mol) in acetic acid (15 ml),) appropriate anhydride (0.01 mol) was added, the mixture was refluxed for (8hrs.) and cooled to room temperature. The precipitate was filtered and recrystallized from aqueous ethanol (7:3) .

Antibacterial Activity Tests

The antibacterial activity tests were performed according to agar diffusion method [14] using Cefotaxime, Penicillin and Ceftazidime as the reference compounds. The sterile cotton swabs were separately dipped into each of the adjusted organism cultures and excess inoculum was removed by pressing and rotating the swab firmly several times against the wall of the tube above the level of the liquid. The swab was streaked all over the surface of the nutrient agar in three dimensions at an angle of 60° to obtain an even distribution of the inoculum. The plates were then left to dry at room temperature for few minutes. A sterile cork porer (8 mm in diameter) is used to make wells in the solid nutrient agar plates, so that the distance between the edges of each two wells is not less than 24 mm. Fill each well with 75 µL of the test compound and another well with same volume of DMF as a vehicle control. Allow a period of free diffusion for 2 h, then incubate at 37 °C for 18–24 h.



Ar = o-NO2C_6H_4-, p-$(CH_3)_2NC_6H_4$-, p-BrC_6H_4-

Ar` = o-NO2C_6H_4-, p-$(CH_3)_2NC_6H_4$-, p-BrC_6H_4-

Result and Discussion

The compounds (A_1-A_3) were prepared by the reaction of dichloro acetic acid with thiourea that give a good yield. The IR spectra showed the (C=O) stretching band at (1697 cm^{-1}) and (C=N) in (1646 cm^{-1}).

The reaction between compounds (A_1-A_3) and some aldehyde afforded the Schiff bases (A_4-A_{12}) which showed (O–H) stretching absorption near (3330 cm^{-1}) and (C=O) stretching band at (1660 cm^{-1}). UV spectrum of compounds (A_4-A_{12}) mostly showed intense maxima at (204 nm) and (339 nm) refering to $\pi\rightarrow\pi^*$ and $n\rightarrow\pi^*$ electronic transition respectively.

Treatment of above Schiff bases with benzoyl chloride in benzene led to open double bond and gives compounds (A_{13}-A_{21}). The IR spectra of these derivatives showed the (C=O) stretching band at (1699 cm^{-1}). UV spectrum of these compounds (A_{13}-A_{21}) showed intense at (232 -236 nm) and (303 - 322 nm) due to π→π* and n→π* electronic transitions.
Also the compounds (A_{22}-A_{30}) were prepared by the reaction of compounds (A_{13}-A_{21}) with thiourea and a good yields were achieved. The spectram showed (NH_2) stretching band near (3171-3108 cm^{-1}) and (C=O) stretching band at (1685 cm^{-1}). UV spectrum of these derivatives showed intense maxima at (205 -221 nm) and (309 - 382 nm) which belonged to π→π* and n→π* transitions.
The imide compounds (A_{31}-A_{36}) were synthesized by the reaction of 2-Amino-5-aryl- 1,3-thiazole-4-carboxylic acid (A_1-A_3) with maleic anhydride and phthalic anhydride respectively. The IR spectra of these derivatives showed disappearing of (N–H) band and the (C=O) stretching band at (1856, 1778 cm^{-1}). UV spectrum of these derivatives showed intense maxima at (324 -239 nm) and (221 - 203 nm) which belonged to π→π* and n→π* transitions. Spectral data were listed in (table 3).

Biological screening: antimicrobial activity tests
The antibacterial activities of compounds (A_1-A_{36}) were tested against the micro-organisms. After incubation, the diameters of inhibition zones around the wells were measured, to the nearest mm, in three different directions using a ruler and the average diameter was recorded and compared to that of the control. From the data presented in Table 3 it is clear that compounds A_{10} and A_{24} were moderately active against Pseudomonas aeruginosa, while A_{12} was also moderately active but against Proteus vulgaris microorganisms. Generally, other derivatives were slightly active against Staphylococcus epidermidis, Proteus vulgaris, and Pseudomonas aeruginosa. Finally, some compounds were found to be inactive.

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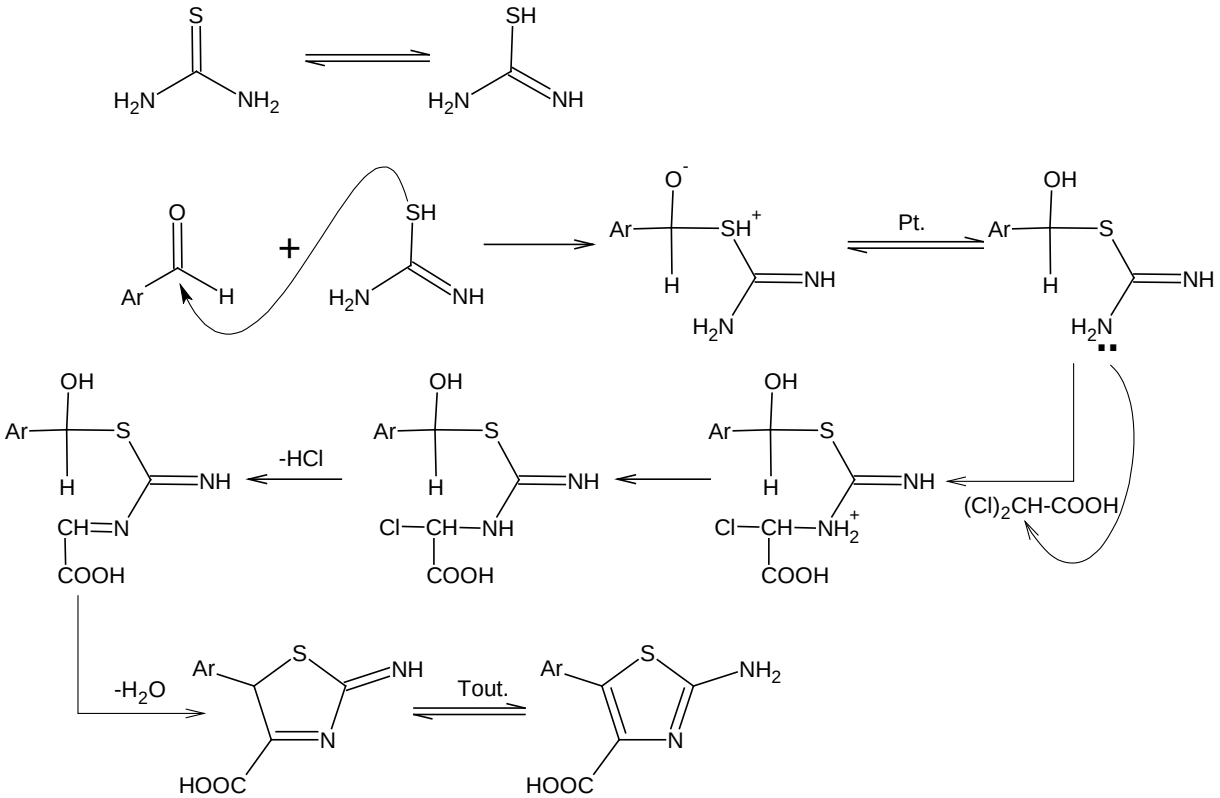
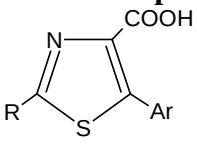


Mechanisem of synthesis supstrate (A_1-A_3)

Table (1): Physical properties for compounds which have structure:



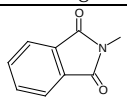
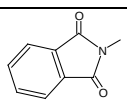
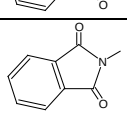
No. of Comp	Ar	R	Molec.Formula	Y. %	Pur.Solv.	MP.°C
A_1	o-$NO_2C_6H_4$–	–NH_2	$C_{10}H_7N_3O_4S$	80	Ethanol	218-222
A_2	p-$(CH_3)_2NC_6H_4$–	–NH_2	$C_{12}H_{13}N_3O_2S$	85	Ethanol	208-211
A_3	p-BrC_6H_4–	–NH_2	$C_{10}BrH_7N_2O_2S$	83	Ethanol	172-175
A_4	o-$NO_2C_6H_4$–	o-$NO_2C_6H_4CH$=N–	$C_{17}H_{10}N_4O_6S$	75	EtOH+Dw	140-145
A_5	o-$NO_2C_6H_4$–	p-BrC_6H_4CH=N–	$C_{17}BrH_{10}N_4O_6S$	77	EtOH+Dw	155-159
A_6	o-$NO_2C_6H_4$–	p-$(CH_3)_2NC_6H_4CH$=N–	$C_{19}H_{16}N_4O_4S$	82	EtOH+Dw	211-214
A_7	p-$(CH_3)_2NC_6H_4$–	o-$NO_2C_6H_4CH$=N–	$C_{19}H_{16}N_4O_4S$	85	EtOH+Dw	190-193
A_8	p-$(CH_3)_2NC_6H_4$–	p-BrC_6H_4CH=N–	$C_{19}BrH_{16}N_3O_2S$	87	EtOH+Dw	157-161
A_9	p-$(CH_3)_2NC_6H_4$–	p-$(CH_3)_2NC_6H_4CH$=N–	$C_{21}H_{22}N_4O_2S$	88	EtOH+Dw	172-176
A_{10}	p-BrC_6H_4–	o-$NO_2C_6H_4CH$=N–	$C_{17}BrH_{10}N_4O_6S$	78	EtOH+Dw	240-243
A_{11}	p-BrC_6H_4–	p-BrC_6H_4CH=N–	$C_{17}Br_2H_{10}N_2O_2S$	72	EtOH+Dw	195-198
A_{12}	p-BrC_6H_4–	p-$(CH_3)_2NC_6H_4CH$=N–	$C_{19}BrH_{16}N_3O_2S$	86	EtOH+Dw	185-188
A_{13}	o-$NO_2C_6H_4$–	o-$NO_2C_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{24}ClH_{15}N_5O_6S$	58	Benzene	170-172
A_{14}	o-$NO_2C_6H_4$–	p-$BrC_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{24}BrClH_{15}N_5O_6S$	56	Benzene	131-134
A_{15}	o-$NO_2C_6H_4$–	p-$(CH_3)_2NC_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{26}ClH_{21}N_4O_5S$	66	Benzene	167-170
A_{16}	p-$(CH_3)_2NC_6H_4$–	o-$NO_2C_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{26}ClH_{21}N_4O_5S$	65	Benzene	144-147
A_{17}	p-$(CH_3)_2NC_6H_4$–	p-$BrC_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{26}BrClH_{21}N_3O_3S$	62	Benzene	58-61
A_{18}	p-$(CH_3)_2NC_6H_4$–	p-$(CH_3)_2NC_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{28}ClH_{27}N_4O_3S$	69	Benzene	247-250
A_{19}	p-BrC_6H_4–	o-$NO_2C_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{24}BrClH_{15}N_4O_7S$	57	Benzene	228-230
A_{20}	p-BrC_6H_4–	$C_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{24}Br_2ClH_{15}N_2O_3S$	35	Benzene	68-71
A_{21}	p-BrC_6H_4–	p-$(CH_3)_2NC_6H_4CH(Cl)N(C(O)C_6H_5)$-	$C_{26}BrClH_{21}N_3O_3S$	61	Benzene	163-165
A_{22}	o-$NO_2C_6H_4$–	o-$NO_2C_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{25}H_{18}N_6O_7S_2$	70	Ethanol	96-98
A_{23}	o-$NO_2C_6H_4$–	p-$BrC_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{25}BrH_{18}N_6O_7S_2$	73	Ethanol	148-151
A_{24}	o-$NO_2C_6H_4$–	p-$(CH_3)_2NC_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{27}H_{24}N_6O_5S_2$	69	Ethanol	154-157
A_{25}	p-$(CH_3)_2NC_6H_4$–	o-$NO_2C_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{27}H_{24}N_6O_5S_2$	70	Ethanol	78-81
A_{26}	p-$(CH_3)_2NC_6H_4$–	p-$BrC_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{27}BrH_{24}N_5O_3S_2$	67	Ethanol	110-113
A_{27}	p-$(CH_3)_2NC_6H_4$–	p-$(CH_3)_2NC_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{29}H_{30}N_6O_3S_2$	62	Ethanol	205-208
A_{28}	p-BrC_6H_4–	o-$NO_2C_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{25}BrH_{18}N_6O_7S_2$	74	Ethanol	168-163
A_{29}	p-BrC_6H_4–	p-$BrC_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{25}Br_2H_{18}N_3O_3S_2$	71	Ethanol	168-171
A_{30}	p-BrC_6H_4–	p-$(CH_3)_2NC_6H_4CH(SC(NH)NH_2)N(C(O)C_6H_5)$-	$C_{27}BrH_{24}N_4O_3S_2$	68	Ethanol	199-202
A_{31}	p-$(CH_3)_2NC_6H_4$–		$C_{16}H_{13}N_3O_4S$	78	EtOH+Dw	174-176
A_{32}	p-BrC_6H_4–		$C_{14}BrH_7N_2O_4S$	75	EtOH+Dw	142-144
A_{33}	o-$NO_2C_6H_4$–		$C_{14}H_7N_3O_6S$	71	EtOH+Dw	131-133
A_{34}	p-$(CH_3)_2NC_6H_4$–		$C_{20}H_{15}N_3O_4S$	80	EtOH+Dw	166-168
A_{35}	p-BrC_6H_4–		$C_{18}BrH_9N_2O_4S$	79	EtOH+Dw	187-189
A_{36}	o-$NO_2C_6H_4$–		$C_{18}H_9N_3O_6S$	73	EtOH+Dw	234-236

Table (2): Result of antimicrobial activity tests (agar diffusion method) of compounds (A_1-A_{36})

Test microorganisms	S. albus	P. vulgaris	Ps
Test compounds	Average inhibition zone diameter in mms		
Cefotaxime	>23	>26	>16
Penicillin	>29	–	–
Ceftazidime	>18	15-17	>16
A_1	–	1.8	0.2
A_2	0.6	–	1.7
A_3	–	2.2	–
A_4	–	2.1	2.3
A_5	1.6	–	2
A_6	0.5	1.7	–
A_7	–	6.1	1.3
A_8	3.3	–	4
A_9	2.5	4.9	–
A_{10}	–	–	15.2
A_{11}	–	3.3	7.1
A_{12}	–	14	–
A_{13}	0.9	–	–
A_{14}	6	–	–
A_{15}	–	7	–
A_{16}	3.1	–	5.6
A_{17}	1.9	5.2	3
A_{18}	0.7	–	–
A_{19}	–	9	0.1
A_{20}	5.4	4	0.6
A_{21}	3.7	–	–
A_{22}	1	2.2	2
A_{23}	–	3.6	–
A_{24}	–	4.8	16
A_{25}	–	1.9	–
A_{26}	–	–	–
A_{27}	7.2	–	4.3
A_{28}	0.2	6	5.2
A_{29}	–	2	–
A_{30}	–	–	1
A_{31}	0.4	–	–
A_{32}	–	–	1.4
A_{33}	6	3.9	2.6
A_{34}	–	1.5	–
A_{35}	2.8	–	–
A_{36}	–	–	–
DMSO	17	20	13

S. albus: Staphylococcus epidermidis; P.vulgaris: Proteus vulgaris; Ps: Pseudomonas aeruginosa.

Table (3): IR and UV spectral data for compounds ($A_1 – A_{36}$)

No. of Comp	U.V/ λmax ($CHCl_3$)	Characteristic IR bands Cm^{-1}							
		C=O	C–H al.	C–H ar.	C=N	C=C	O–H	N–H	Other
A_1	227,369	1697	–	–	1646	1527	3308	3186-3108	1346(NO_2)
A_2	228,275,370	1660	2906-2820	3049	1599	1537	3421	3309	–
A_3	233,279,380	1672	–	3051	1627	1525	3375	3275-3173	667 (C–Br)
A_4	215,240,339	1660	2997	3049	1593,1602	1550	3200	–	1371(NO_2)
A_5	222,241,211,340	1660	2904	3080	1595,1600	1548	3220	–	1425(NO_2) 653 (C–Br)
A_6	211,215,240,339	1660	2906-2818	3090	1595,1610	1550	3330	–	1433(NO_2)
A_7	212,215,240,340	1687	2916-2820	3060	1597,1600	1533	3165	–	1373(NO_2)
A_8	222,226,244,340	1693	2926-2854	3090	1612,1587	1589	3301	–	639 (C–Br)
A_9	204,222,226,244,340	1693	2926-2854	3072	1612,1592	1527	3210	–	–
A_{10}	339, 240, 214 , 209	1688	2944	3056	1605,1599	1533	3170	–	1379(NO_2) 649 (C–Br)
A_{11}	320,289, 216, 210	1660	2713	3010	1626,1580	1527	3215	–	685 (C–Br)
A_{12}	359,235,223,207	1652	2800 2720	3002	1622,1592	1550	3230	–	643 (C–Br)
A_{13}	311,239	1664,1675	2890	3050	1597	1544	3300	–	1344(NO_2) 673 (C–Cl)
A_{14}	322,202	1716,1680	2875	3093	1591	1550	3380	–	1350(NO_2) 667 (C–Br) 700 (C–Cl)
A_{15}	305, 203	1707,1683	2820	3050	1606	1585	3377	–	1338(NO_2) 682 (C–Cl)
A_{16}	308,223	1662,1670	2821	3011	1599	1533	3270	–	1373(NO_2) 713 (C–Cl)
A_{17}	310,238	1790,1685	2827	3003	1599	1550	3265	–	667 (C–Br) 707 (C–Cl)
A_{18}	355,292	1705,1690	2827	3070	1599	1552	3398	–	707 (C–Cl)
A_{19}	309,222, 216	1743,1699	2854	3000	1604	1527	3380	–	1398(NO_2) 636 (C–Br)
A_{20}	254,238	1753,1681	2987	3000	1601	1514	3337	–	617(C–Br) 783 (C–Cl)
A_{21}	302,239	1783,1665	2959	3005	1644	1549	3299	–	659(C–Br) 732 (C–Cl)
A_{22}	314,238	1707,1689	2946	3058	1595	1506	3340	3253,3146	1445(NO_2)
A_{23}	277,213	1710,1691	2999	3095	1612	1527	3381	3275,3173	1413(NO_2) 516 (C–Br)
A_{24}	228,202	1744,1667	2938	3002	1585	1519	3310	3288,3186	1459(NO_2)
A_{25}	275,239	1759,1689	2946	3006	1572	1537	3279	3209,3172	1450(NO_2)
A_{26}	303,218	1700,1691	2800	3025	1610	1535	3371	3271,3161	634 (C–Br)
A_{27}	252,201	1708,1650	2998	3000	1608	1500	3358	2271,3161	–
A_{28}	298,214	1715,1697	2928	3034	1610	1525	3381	3267,3167	1473 (NO_2) 679 (C–Br)
A_{29}	331,218	1742,1694	2866	3090	1627	1523	3339	3279,3134	659 (C–Br)
A_{30}	254,204	1699,1685	2916	3007	1610	1546	3342	3333,3171	650 (C–Br)
A_{31}	304,212	1856,1778	2880	3080	1597	1513	3414	–	–
A_{32}	324,221	1866,1781	2950	3053	1600	1523	3420	–	665 (C–Br)
A_{33}	239,203	1873,1795	2926	3090	1612	1527	3350	–	1460 (NO_2)
A_{34}	281,217	1876,1734	–	3041	1630	1494	3338	–	–
A_{35}	299,205	1868,1748	–	3025	1627	1477	3394	–	655 (C–Br)
A_{36}	245,207	1881,1703	–	3036	1614	1460	3398	–	1359 (NO_2)

تحضير ودراسة الفعالية ضد البكتريا لمركبات 2- أمينو-5- اريل-3,1- ثايوزول -4- كاربوكسيليك اسد الجديدة

زينه خضير الدليمي
أوراس أمين كاظم
فرع الكيمياء الطبية / كلية الطب / جامعة واسط
عبد الجبار خلف عطية
محمد زبون ثاني
قسم الكيمياء/ كلية العلوم/ الجامعة المستنصرية

استلم البحث في : 4 تشرين الأول 2012 ، قبل البحث في :30 كانون الأول 2012

الخلاصة

حُضّر 2- أمينو-5- اريل-3,1- ثايوزول -4- كاربوكسيليك اسد (A_1-A_3) من تفاعل الديهايدات اروماتية مختلفة مع ثنائي كلورو حامض الخليك و الثايويوريا. كما حُضّرت المركبات (A_{22}-A_{30}) مبتدئا من المركبات الاساس (A_1-A_3) وبخطوتين باستخدام قواعد شف (A_4-A_{12}) المحضرة من تفاعل المركبات (A_1-A_3) مع الديهايدات اروماتية مختلفة. واخيرا حُضّرنوعان من الايمايدات من تفاعل (A_1-A_3) مع انهيدريد الماليك (A_{31}-A_{33}) وانهيدريد الفثاليك (A_{34}-A_{36}) بوجود حامض الخليك الثلجي. شُخّصت المركبات المحضرة باستخدام طيف الاشعة تحت الحمراء (FT-IR) والاشعة فوق البنفسجية (UV-Visible).

الكلمات المفتاحية: 3,1- ثايوزول -4- كاربوكسيليك اسد، مشتقات الأيمايدات، قواعد شف.