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NOVEL β -LACTUM-1,2,3-TRIAZOLES- THEIR SYNTHESIS AND ANTIBACTERIAL ACTIVITY

Vijay V. Dabholkar*, S. N. Gandhale and N.B. Shinde

Organic Research Laboratory, Department of Chemistry,
Mumbai University, K.C. College, Churchgate, Mumbai-400 020, India
E-mail: vijaydabholkar@gmail.com
sopan_gandhale@rediffmail.com

Abstract:
Schiff's bases **(3)** were prepared by reacting aromatic amines **(1)** with ketones **(2)** in the presence of catalyst. Cyclocondensation of the Schiff's bases with chloroacetyl chloride in the presence of triethylamine followed by sodium azide in dry dimethylformamide forms azide derivatives of azetidinones **(5)**. Compounds **(5)** were further treated with malononitrile, diethyl malonate, ethyl acetoacetate, acetyl acetone and ethylcyanoacetete afforded the respective triazole derivatives **(6-10)**. The structures of the newly synthesized compounds were confirmed by IR, ^{1}H NMR and mass spectroscopic analysis. The antibacterial and antifungal activities of the synthesized compounds were evaluated by the agar disc method.

Keywords: 1,2,3-triazole, β- lactam, Schiff's bases, antibacterial, antifungal.

Introduction:

Heterocyclic compounds are rich sources of diverse physical, chemical and biological properties[1]. They are commonly used as templates to design biologically active agents[2-3] in medicinal chemistry. The chemistry of triazoles and their fused heterocyclic derivatives have received considerable attention owing to their synthetic and effective biological importance.
In particular, 1,2,3-triazoles serving as a potential pharmacophore, which were found to be potent antineoplasic[4] , insecticidal[5], antibacterial[6] , antifungal[7], antitubercular[8] and anti-HIV agents[9-10] .

In addition, many investigations demonstrated that biological activity of azoles derivatives were significantly influenced by the introduction of variable aromatic substituents. The β- lactam skeleton is the key synthons for many biologically important classes of compounds in organic synthesis[11-16]. In particular the most common method for the synthesis of namely 2-azetidinone is *classical* Staudinger ketene-imine cycloaddition reaction[17-22,] which involves the reaction of imine with acid chloride in presence of tertiary base[23-24]. To access the pharmacological activities of these classes of molecules, it was thought worthwhile to synthesize new derivatives of β-lactam 1, 2, 3-Triazole heterocyclic compounds.

Results and Discussion

In the present study , a series of novel β- lactams 1,2,4-Triazole derivatives were designed and synthesized , it involves the four steps , the compounds **3a-c** were synthesized in high yields ranging from 74% to 85% by reacting the aromatic amines **(1)** with ketones **(2)** in the presence of Conc. H_2SO_4 as a catalyst and toluene as a solvent. Water formed during the reaction was removed azeotropically. Further, the various imine derivatives **(3a-c)** were treated with ketenes generated in situ from chloroacetyl chloride in the presence of triethylamine to yield desired β-lactams derivatives **(4a-c)**. The azide derivatives **(5a-c)** were synthesized by stirring equimolar amounts of chloro azetidinones **(4a-c)** and sodium azide in dry dimethylformamide. Compound **(5)** was further treated with malononitrile, diethyl malonate, ethyl acetoacetate, acetyl acetone and ethylcyanoacetete afforded the respective triazole derivatives **(6-10)**. The representative compounds were evaluated for their antifungal and antibacterial activity, which showed promising activity. The structures of all the synthesized compounds were characterized on the basis of the chemical and spectral techniques such as IR, ^{1}H NMR, GC MS and LCMS and elemental analysis techniques.

2. Experimental

Chemicals and Instrumentation:

All air- and moisture sensitive reactions were carried out in flame dried, N_2-flushed, double-neck round bottom flask sealed with rubber septa. The reagents were injected with a syringe. Melting points of all synthesized compounds were determined in open capillary tubes on an electro thermal apparatus and are uncorrected. The progress of reaction was monitored by thin layer chromatography on silica gel coated aluminum plates (Merck) as adsorbent and UV light as visualizing agent. ^{1}H NMR spectra were recorded on Varian 500 MHz NMR spectrophotometer using $CDCl_3$/DMSO-d_6 as solvent and TMS as an internal standard (chemical shifts in δ ppm). Mass spectra were recorded on Shimadzo GCMS. C, H, N estimation was recorded on Carlo Erba 1108 (CHN) Elemental Analyzer.

***General procedure for the synthesis of compounds* 3 a-c**

Aromatic amine **1**(0.05 mol) and ketones **2** (0.25 mol) were refluxed on a oil bath in toluene(100 ml) in presence of catalytic amount of conc. H_2SO_4 for 10-12 hr. The progress of the reaction was monitored by TLC and water formed during the reaction was removed azeotropically using Dean and Stark apparatus. After completion of the reaction, the solvent was removed under reduced pressure and the crude product was purified by fractional distillation using wire mesh column under 2-5 torr vacuum to yield purified product (**3a-c**).

***N*-[(1*Z*)-2-methoxy-1-methylethylidene]-2,6-dimethylaniline,3a:**

Yield: 79%; b.p.=240-243°C, IR (cm^{-1}): 1670(C=N), ^{1}H NMR($CDCl_3$-,δ/ ppm): 1.61 (s,3H, CH_3), 2.04 (s, 6H, 2 x Ar-CH_3), 3.48 (s, 3H,OCH_3), 4.22 (s, 2H, OCH_2), 6.81-7.22 (m, 3H, Ar-H); MS: m/z: 191 (8%), 146 (100%), 105 (70%), 76 (68%). Anal.Calcd for $C_{12}H_{17}N0$: C,75.35;H,8.96;N,7.32 %.Found: C,75.23;H,9.85,N,7.25 %.

***N*-(2-ethyl-6-methylphenyl)-*N*-[(1*Z*)-2-methoxy-1-methyl)ethylidene]amine , 3b:**

Yield: 82%; b.p.=258-261°C, IR (cm^{-1}): 1668(C=N), ^{1}H NMR($CDCl_3$-,δ/ ppm): 1.11 (t,3H, CH_3), 1.67 (s,3H, CH_3), 2.1 (s, 3H, Ar-CH_3), 2.35 (q, 2H, Ar-CH_2), 3.51 (s, 3H,OCH_3), 4.19 (s, 2H, OCH_2), 6.90-7.02 (m, 3H, Ar-H); MS: m/z: 205 (10%), 160 (100%), 145 (30%), 90.47

(50%), 76 (25%). Anal.Calcd for $C_{13}H_{19}N0$: C,76.05;H,9.33;N,6.82 %.Found: C,74.95; H,9.05, N,6.65 %.

***N*-(2,6-diethylphenyl)-*N*-[(1*Z*)-2-methoxy-1-methyl)ethylidene]amine, 3c**

Yield: 75%; b.p.=269-271°C, IR (cm^{-1}): 1672(C=N), ^1H NMR($CDCl_3$-,δ/ ppm): 1.18 (t,6H, 2 xCH_3), 1.70 (s,3H, CH_3), 2.32 (q, 4H, 2xAr-CH_2), 3.48 (s, 3H,OCH_3), 4.25 (s, 2H, OCH_2), 7.01-7.22 (m, 3H, Ar-H); MS: m/z: 219 (5%), 174 (100%), 105 (60%), 91 (45%), 78 (30%). Anal.Calcd for $C_{14}H_{21}N0$: C,76.67;H,9.65;N,6.39 %.Found: C,76.25;H,9.25,N,6.05 %.

***General procedure for the synthesis of compounds* 4a-c**

A mixture of (0.01 mol) of 3a-c and dry $CHCl_3$ (30 ml) stirred for 15 min. and triethylamine (0.012 mol) were added and reaction mass was refluxed for half an hour. The reaction mixture was then cooled to 0-5°C. A solution of chloroacetyl chloride (0.012 mol) in $CHCl_3$ was added dropwise. The reaction mixture was then stirred for further 8-10 hrs at room temperature. The completion of the reaction was monitored by TLC. The reaction mixture was cooled to 10 0c and cold water was added .The organic layer was separated and dried over anhydrous Na_2SO_4 and organic layer was evaporated under reduced pressure to obtain the crude product. The compound was then purified by column chromatography [n-Hexane: Ethyl-acetate = 90:10].

3-chloro-1-(2,6-dimethylphenyl)-4-(methoxymethyl)-4-methylazetidin-2-one,4a

Yield: 53%; b.p.=178-180°C, IR (cm^{-1}): 1685(C=O), ^1H NMR($CDCl_3$-,δ/ ppm): 1.65 (s,3H, CH_3), 2.10 (s, 6H, 2 x Ar-CH_3), 3.45 (s, 3H,OCH_3), 3.60 (s, 2H, OCH_2), 4.12 (s, 1H, CH), 7.02-7.38 (m, 3H, Ar-H); LCMS: m/z: 267; Anal.Calcd for $C_{14}H_{18}ClNO_2$: C,62.80;H,6.78;Cl, 13.24,N,5.23 %.Found: C,62.10;H,6.55,Cl, 13.05,N,5.02 %.

3-chloro-1-(2-ethyl-6-methylphenyl)-4-(methoxymethyl)-4-methylazetidin-2-one,4b

Yield: 57%; b.p.=187-189°C, IR (cm^{-1}): 1677(C=O), ^1H NMR($CDCl_3$-,δ/ ppm): 1.21 (t, 3H, CH_3)**,** 1.62(s,3H, CH_3), 2.13 (s, 3H, Ar-CH_3), 2.51 (q, 2H, CH_2), 3.41 (s, 3H,OCH_3), 3.58 (s, 2H, OCH_2), 4.26 (s, 1H, CH), 6.94-7.16 (m, 3H, Ar-H); LCMS: m/z: 281; Anal.Calcd for $C_{15}H_{20}ClNO_2$: C,63.94;H,7.15;Cl, 12.58,N,4.97 %.Found: C,63.30;H,6.95,Cl, 12.15,N,4.72 %.

3-chloro-1-(2,6-diethylphenyl)-4-(methoxymethyl)-4-methylazetidin-2-one, 4c

Yield: 45%; b.p.=205-207°C, IR (cm^{-1}): 1677(C=O), ^1H NMR($CDCl_3$-,δ/ ppm): 1.15 (t, 6H, 2 x CH_3), 1.75 (s,3H, CH_3), 2.35 (q,4H, 2x CH_2), 3.50 (s, 3H,OCH_3), 3.70 (s, 2H, OCH_2), 4.12 (s, 1H, CH), 6.99-7.24 (m, 3H, Ar-H); LCMS: m/z: 295; Anal.Calcd for $C_{16}H_{22}ClNO_2$: C,64.97;H,7.50;Cl, 11.99,N,4.74%.Found: C,64.30;H,7.15,Cl, 11.25,N,4.25%.

***General procedure for the synthesis of compounds* 5a-c**

A suspension of (0.01 mol) 4(a-c**)** and (0.015 mol) sodium azide in dry dimethylformamide (30ml) was stirred at 20°C for 4-5 hours. The progress of the reaction was monitored by TLC. Upon Completion, the reaction mixture was poured into ice-cold water (500ml). The product was extracted by ethyl acetate, dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. The crude product was further purified by column chromatography (n-Hexane: Ethyl acetate =85:15)

3-azido-1-(2,6-dimethylphenyl)-4-(methoxymethyl)-4-methylazetidin-2-one, 5a :
Yield: 68%; b.p.=150-153°c(With decomposition), IR (cm^{-1}): 1676(C=O), 2109 (N_3), ^1H NMR($CDCl_3$-,δ/ ppm): 1.63 (s,3H, CH_3), 2.13 (s, 6H, 2 x Ar-CH_3), 3.48 (s, 3H,OCH_3), 3.68 (s, 2H, OCH_2), 4.38 (s, 1H, CH), 7.16-7.46 (m, 3H, Ar-H); LCMS: m/z: 274; Anal.Calcd for $C_{14}H_{18}N_4O_2$: C,61.30; H,6.65, N,20.42%. Found: C,61.00;H,6.35 ,N,20.02%.

3-azido-1-(2-ethyl-6-methylphenyl)-4-(methoxymethyl)-4-methylazetidin-2-one,5b :
Yield: 61%; b.p.=162-163°c(With decomposition) IR (cm^{-1}): 1686(C=O), 2116 (N_3), ^1H NMR($CDCl_3$-,δ/ ppm): 1.25 (t, 3H, CH_3), 1.58(s,3H, CH_3), 2.08 (s, 3H, Ar-CH_3), 2.41 (q, 2H, CH_2), 3.38 (s, 3H,OCH_3), 3.49 (s, 2H, OCH_2), 4.48 (s, 1H, CH), 6.99-7.24 (m, 3H, Ar-H); LCMS: m/z: 288; Anal.Calcd for $C_{15}H_{20}N_4O_2$: C,62.48;H,6.99,N,19.43%.Found: C,62.07;H,6.35 ,N,19.02 %.

3-azido-1-(2,6-diethylphenyl)-4-(methoxymethyl)-4-methylazetidin-2-one, 5c
Yield: 62%; b.p.=171-175°C°(With decomposition), IR (cm^{-1}): 1680(C=O), 2106 (N_3),^1H NMR($CDCl_3$-,δ/ ppm): 1.24 (t, 6H, 2 x CH_3), 1.79 (s,3H, CH_3), 2.38 (q,4H, 2x CH_2), 3.54 (s, 3H,OCH_3), 3.79 (s, 2H, OCH_2), 4.50 (s, 1H, CH), 6.89-7.18 (m, 3H, Ar-H); LCMS: m/z: 302; Anal.Calcd for $C_{16}H_{22}N_4O_2$: C,63.55;H,7.33,N,18.53%.Found: C,63.05;H,7.05 ,N,18.12 %.

General procedure for the synthesis of compounds 6-10
In a cold solution of active Methylene compounds (0.02 mol) (malanonitrile,ethyl cyanoacetate, ethyl acetoacetate , acetyl acetone and diethyl malonate) in dry ethanol were added sodium ethoxide (0.025 mo**l**,) powder in lots under dry nitrogen atmosphere at 0-5 ^{0}c temperature and stirred for 30 minute , then compound (5**)** (0.02 mol) in ethanol was added dropwise within one hour at 0-5°C. The reaction mixture was stirred at R.T. for 10-12 hr. The progress of the reaction was monitored by TLC. Upon Completion of the reaction mixture was concentrated under vacuum and poured into ice-cold water. The product was extracted by ethyl acetate. On evaporation under reduced pressure the crude product further purified by column chromatography using n-Hexane and Ethyl acetate (70:30) to yield the desired product **(6-10).**

5-amino-1-(1-(2-ethyl-6-methylphenyl)-2(methoxymethyl)-2-methyl-4-oxoazetidin-3-yl)-1H-1,2,3-triazole-4-carbonitrile (6)
Yield: 60%; m.p.=116-118°C,IR (cm^{-1}): 1685 (C=O), 2250 (CN),^1H NMR(DMSO-d_6,δ/ ppm): 1.40 (t, 3H, CH_3), 1.63 (s, 3H, CH_3), 2.10 (s, 3H, Ar-CH_3), 2.49 (q, 2H, CH_2), 3.66 (s, 3H, OCH_3), 4.19 (s, 2H, NH_2), 4.23 (s, 1H, CH), 4.53 (s, 2H, OCH_2), 7.09-7.48 (m,3H, Ar-H), LCMS; m/z: 354; Anal.Calcd for $C_{18}H_{22}N_6O_2$: C,61.00;H,6.26,N,23.72%.Found: C,60.70;H,6.05 ,N,23.02 %.

Ethyl-1-(1-(2-ethyl-6-methylphenyl)-2-(methoxymethyl)-2-methyl-4-oxoazetidin-3-yl)-4,5-dihydro-5-oxo-1H-1,2,3-triazole-4-carboxylate (7)
Yield: 57%; m.p.=127-130°C:.,IR (cm^{-1}): 1672(C=O), 1716 (ester),^1H NMR(DMSO-d_6,δ/ ppm): 1.54 (t, 3H, CH_3), 1.63 (s, 3H, CH_3), 1.35 (t, 3H, CH_3), 2.48 (q, 2H, CH_2), 2.25 (s, 3H, Ar-CH_3), 3.68 (s, 3H, OCH_3), 4.35 (s, 2H, OCH_2), 4.20 (s,2H, CH_2), 5.43 (s, 1H, CH), 6.84-7.19 (m,3H, Ar-H), LCMS; m/z: 402; Anal.Calcd for $C_{20}H_{26}N_40_5$: C,59.69;H,6.51;N,13.92%.Found: C,59.22; H,6.18,N,13.35%.

Ethyl-1-(1-(2-ethyl-6-methylphenyl)-2-(methoxymethyl)-2-methyl-4-oxoazetidin-3-yl)-5-methyl-1H-1,2,3-triazole-4-carboxylate (8)

Yield: 53%; m.p.=121-125°C:.,IR (cm^{-1}): 1675(C=O), 1720 (ester),^{1}H NMR(DMSO-d_6,δ/ ppm): 1.52 (t, 3H, CH_3), 1.60 (s, 3H, CH3), 1.88 (q, 3H, CH3), 2.30 (s, 3H, Ar-CH_3), 2.69 (q, 2H, CH_2), 3.53(s,3H, CH_3), 3.76 (s, 3H, OCH_3), 4.58 (s, 2H, OCH_2), 5.42 (s,1H, CH), 7.01-7.30 (m,3H, Ar-H), LCMS; m/z: 400; Anal.Calcd for $C_{21}H_{28}N_40_4$: C,62.98;H,7.05;N,13.99%.Found: C,62.13.;H,6.82,N,13.45%.

3-(4-acetyl-5-methyl-1H-1,2,3-triazol-1-yl)-1-(2-ethyl-6-methylphenyl)-4-(methoxymethyl)-4-methylazetidin-2-one (9)

Yield: 55%; b.p.=136-140°C,IR (cm^{-1}): 1680 (C=O), 1720 (C=O),^{1}H NMR(DMSO-d_6,δ/ ppm): 1.25 (t, 3H, CH_3), 1.66 (s, 3H, CH_3), 2.18 (s, 3H, Ar-CH_3), 2.40 (s, 3H, $COCH_3$), 2.69 (q, 2H, CH_2), 3.58 (s,3H, CH_3), 3.78 (s, 3H, OCH_3), 4.41 (s, 1H, CH), 4.51 (s, 2H, OCH_2), 7.10-7.56 (m,3H, Ar-H), LCMS; m/z: 370; Anal.Calcd for $C_{20}H_{26}N_40_3$: C,64.84;H,7.07;N,15.12%.Found: C,64.23.;H,6.72,N,14.75%.

Ethyl-5-amino-1-(1-(2-ethyl-6-methylphenyl)-2-(methoxymethyl)-2-methyl-4-oxoazetidin-3yl)-1H-1,2,3-triazole-4-carboxylate (10)

Yield: 51%; m.p.=141-144°C:.,IR (cm^{-1}): 1679 (C=O), 1725 (ester), 3322 (NH_2),^{1}H NMR(DMSO-d_6,δ/ ppm): 1.33 (t, 3H, CH_3), 1.51 (t, 3H, CH_3), 1.60 (s, 3H, CH_3), 2.52(q, 2H, CH_2), 2.31 (s, 3H, Ar-CH_3), 3.76 (s, 3H, OCH_3), 4.02 (s, 2H, NH_2), 4.35 (s, 2H, OCH_2), 4.68 (s,2H, CH_2), 5.31 (s, 1H, CH), 7.12-7.59 (m,3H, Ar-H), LCMS; m/z: 401; Anal.Calcd for $C_{20}H_{27}N_50_4$: C,59.84;H,6.78;N,17.44%.Found: C,59.35.;H,6.32,N,17.05%.

Antibacterial Evaluation

The newly synthesized representative compounds were tested for their antimicrobial activity against the following microorganisms: (a) Gram-negative: Escherichia coli, Pseudomonas putide; (b) Gram-positive: Bacillus subtilis, Streptococcus lactis. The preliminary screening of the investigated compounds was performed using the filter paper disc-diffusion method. The compounds were tested at a concentration of 100 µg/mL. The zone of inhibition was measured in mm and compared with reference standard ampicillin trihydrate (100 µg/mL). The compounds tested displayed good activity towards Gram positive bacteria, but were less active against Gram-negative bacteria. The results of antibacterial screening studies are reported in **Table I**.

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Scheme I

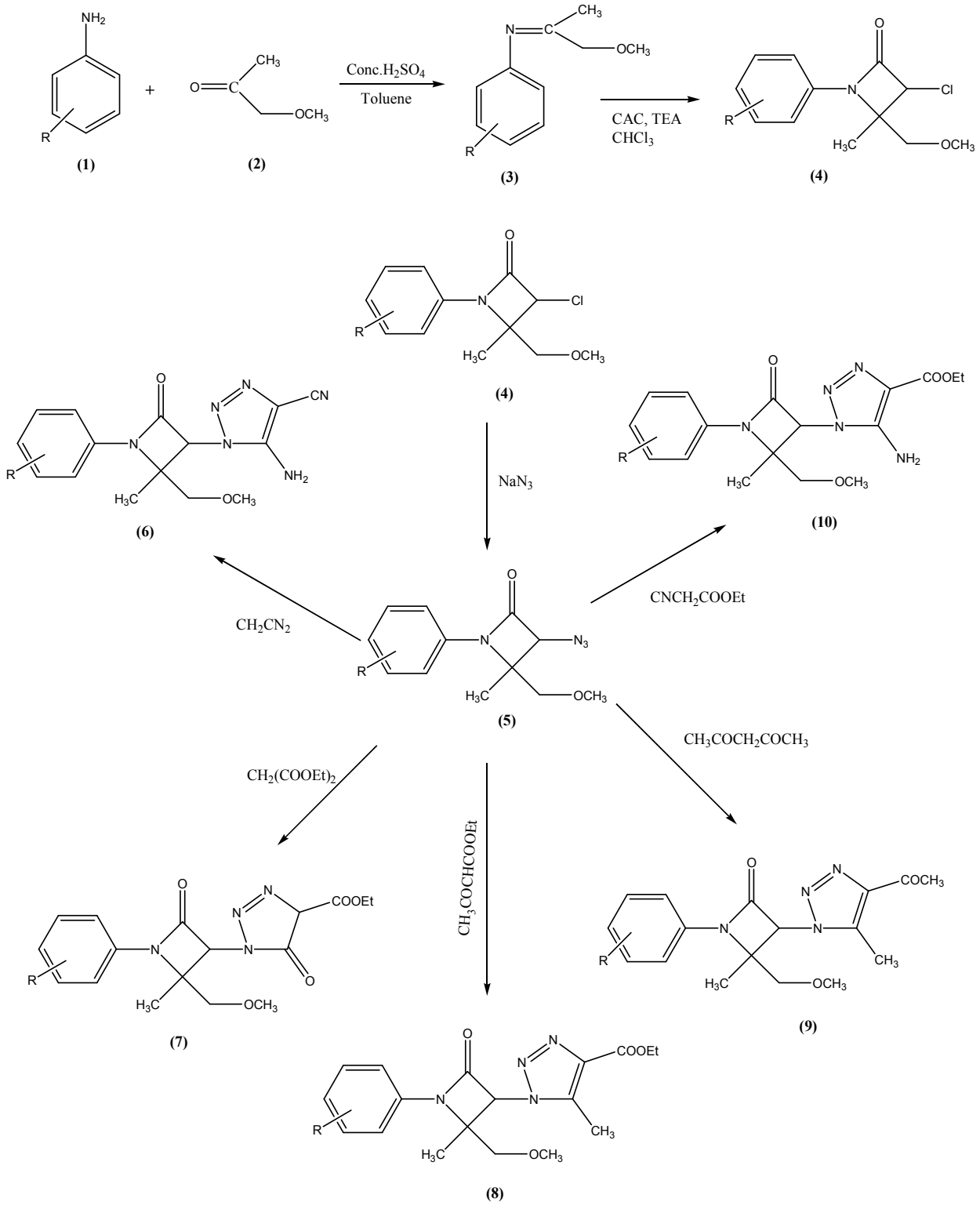
NH2
R
(1)
+
CH3
O
C
OCH3
(2)
Conc.H2SO4
Toluene
CH3
N
C
OCH3
R
(3)
CAC, TEA
CHCl3
O
N
Cl
R
H3C
OCH3
(4)
(4)
NaN3
CN
N
N
NH2
(6)
COOEt
(10)
CNCH2COOEt
CH2CN2
N3
(5)
CH3COCH2COCH3
CH2(COOEt)2
CH3COCHCOOEt
COOEt
O
(7)
COCH3
CH3
(9)
COOEt
CH3
(8)

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Table I — Antibacterial activity of compounds **3-10**				
Compounds	Zone of Inhibition (in mm)			
	Gram Positive		Gram Negative	
	S.aureus	*C.diphtheria*	*P.aeruginosa*	E.coli
4b	11	8	12	12
4c	9	10	10	11
5b	8	11	11	10
6	19	18	16	18
7	14	15	17	18
8	17	19	18	14
9	19	20	18	15
10	19	18	20	16
Ampicillin trihydrate	26	28	24	21
DMSO	00	00	00	00

* Diameter of the disc was 6 mm, concentration of the compounds taken was about 100 µg/ml.