



# AMERICAN JOURNAL OF PHARMTECH RESEARCH

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## Synthesis, Characterization and biological evaluation of 9,10-b Bicyclo-3-substituted-pyrazine-2-(1H)-one

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### ABSTRACT

9,10-Bicyclo-3-substituted-pyrazine-2-(1H)-one was prepared by mixing substituted aromatic diamine and 2-oxo-2-substituted acetic acid in aq. HCl and then stirred at 40<sup>0</sup>C for 4 hrs. Progress of the reaction by the formation of thick solid & it was monitored by TLC (ethyl acetate/Hexane, 1:1). After the completion of the reaction, the solid product was filtered, washed with water and dried. The crude product was purified by dissolving in hot aqueous NaOH followed by neutralization with acetic acid. The isolated product was recrystallized from methyl isobutyl ketone. The compounds thus synthesized have been characterized by physical and spectral data. All of these titled synthesized compounds have been screened for antimicrobial study and are found to possess excellent antimicrobial activities.

**Keywords :** Synthesis, Characterization, biological evaluation, pyrazine

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Received 30 January 2017, Accepted 20 February 2017

Please cite this article as: Bhandarkar SE *et al.*, Synthesis, Characterization and biological evaluation of 9,10-b Bicyclo-3-substituted-pyrazine-2-(1H)-one. American Journal of PharmTech Research 2017.

## INTRODUCTION

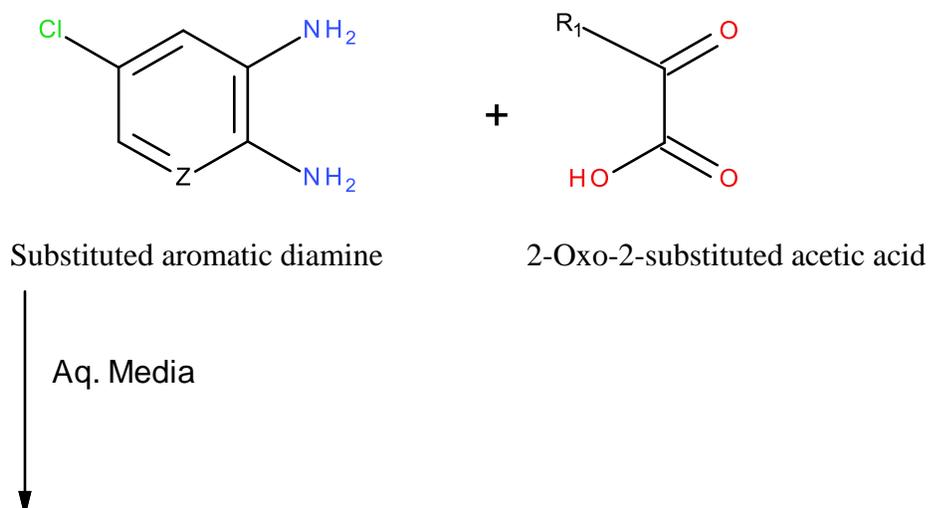
Heterocyclic compounds having excellent antimicrobial and antifungal activities<sup>1-4</sup>. A review on pyrazine shows that pyrazine ring is a part of many polycyclic compounds<sup>5</sup>. Pyrazine are vital class of heterocyclic compounds present in nature & are also synthesised in laboratory since 1876. Different laboratory techniques have been adopted for synthesis and due to biological importance of heterocyclic compounds. Substituted pyrazines possesses good antimicrobial<sup>6,7</sup>, antibacterial<sup>8</sup>, anti-inflammatory<sup>9</sup>, antidepressant<sup>10</sup>, antiproliferative<sup>11</sup>, anticonvulsant<sup>12</sup>, antifungal, cytotoxic, antihypertensive agents<sup>13</sup>, antifilarial agents<sup>14</sup>, antioxidant agents<sup>15</sup> & *in vitro* anticancer activity<sup>16</sup>. Synthesis, characterization and biological evaluation of titled compound becomes most important field for many investigator. Hence, Considering the scope of pyrazine derivatives we have synthesized novel 9,10-bicyclo-3-substituted-pyrazine-2-(1H)-one compounds and studied for their biological activities.

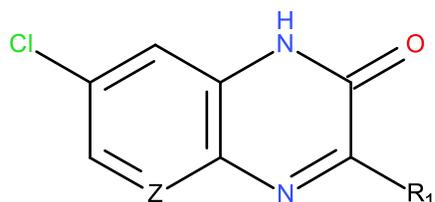
## MATERIALS AND METHOD

The melting points (°C) were recorded by open capillary method and are uncorrected. IR spectra ( $\nu_{\max}$  in  $\text{cm}^{-1}$ ) were recorded on a Shimadzu FTIR 8300 spectrophotometer using KBr pellets. The <sup>1</sup>H NMR spectra were recorded on DRX-300 (300 MHz) instrument using CDCl<sub>3</sub> as solvent (chemical shift in  $\delta$ ppm) and TMS as internal standard. Thin Layer Chromatography on silica gel-G, was used to check the purity of the compounds.

### Synthesis of 9,10-bicyclo-3-substituted-pyrazine-2-(1H)-one

#### SCHEME





9,10-Bicyclo-3-substituted-pyrazine-2-(1H)-one

## RESULT AND DISCUSSION:

**Table 1: Physical Data of Synthesized Compounds**

Sr.No.	Compound No	R <sub>1</sub>	Z	Molecular formula	Melting Point °C	% Yield	% Nitrogen		R.F. Value
							Found	Calculated	
1	1	C <sub>6</sub> H <sub>5</sub>	N	C <sub>13</sub> H <sub>8</sub> ClN <sub>3</sub> O	315	55	16.28	16.31	0.54
2	2	CH <sub>3</sub>	N	C <sub>8</sub> H <sub>6</sub> ClN <sub>3</sub> O	311	57	21.46	21.48	0.52
3	3	C <sub>2</sub> H <sub>5</sub>	N	C <sub>9</sub> H <sub>8</sub> ClN <sub>3</sub> O	313	53	20.01	20.05	0.57
4	4	Cl	N	C <sub>7</sub> H <sub>3</sub> Cl <sub>2</sub> N <sub>3</sub> O	308	54	19.41	19.44	0.54
5	5	C <sub>6</sub> H <sub>5</sub>	C	C <sub>14</sub> H <sub>9</sub> ClN <sub>2</sub> O	261	47	10.90	10.92	0.52
6	6	CH <sub>3</sub>	C	C <sub>9</sub> H <sub>7</sub> ClN <sub>2</sub> O	258	45	14.39	14.40	0.60
7	7	C <sub>2</sub> H <sub>5</sub>	C	C <sub>10</sub> H <sub>9</sub> ClN <sub>2</sub> O	259	43	13.42	13.43	0.56
8	8	Cl	C	C <sub>8</sub> H <sub>4</sub> Cl <sub>2</sub> N <sub>2</sub> O	257	44	13.00	13.02	0.62

### Spectral Analysis : Spectral interpretation of ( 5 )

**IR** ( $\nu_{\max}$ ) (cm<sup>-1</sup>): 1705 (C=O, str), 3290 (NH, str), 1570 (NH, bend), 740 (C-Cl, str), 3085 (Ar-H), 1325 (C-N-C, str), 1670 (C=N, str)

**NMR** ( $\delta$  ppm): 5.6 (s, 1H, NH exchangeable with D<sub>2</sub>O), 7.1 – 8.9 (m, 9H, Ar-H)

### Antimicrobial Studies:

Above synthesized 9,10-Bicyclo-3-substituted-pyrazine-2-(1H)-one have been studied for their antimicrobial activity against *Escherichia coli*, *Proteus mirabilis*, *Staphylococcus aureus*, *Pseudomonas aeruginosa*. The culture of each species was incubated at 37°C and the zone of inhibition was measured after 24 hr. Most of these compounds were found active.

### Biological Evaluation of synthesized compounds:

Sr. No.	Compound Number	Antimicrobial activity			
		E-coli	Proteus mirabilis	Staphylococcus aureus	Pseudomonas aeruginosa
1	1	10	08	13	13
2	2	12	09	16	12
3	3	11	08	14	13
4	4	11	06	13	14
5	5	10	05	16	12
6	6	12	07	15	14
7	7	11	08	13	13

8	8	10	06	15	16
Strongly active , range 13-16		Weakly active, range 5-9 mm			Moderately active, range 10-12mm
		Inactive, -			

Thus from above results it was observed that these heterocyclic compounds were found effective against *Escherichia coli*, *Proteus mirabilis*, *Staphylococcus aureas*, *Pseudomonas aeruginosa*. So those compounds can be easily be used for the treatment of diseases caused by test pathogens, only when they does not have toxic and other side effects.

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