

## NOTE

**Antibacterial Studies of 3,5-Diaryl-4-Benzoyl-1-Pyridoyl Pyrazoles**

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3,5-Diaryl-4-benzoyl-1-pyridoyl pyrazoles (4a-j) had been synthesized from 3-aryl flavones and isoniazide in pyridine medium. Structures of these compounds have been confirmed by spectral analysis. These compounds were tested for their antibacterial activities against pathogenic bacteria and are found to have moderate activity.

The survey of literature reveals that 3,5-diaryl pyrazoles possess diverse biological activities<sup>1a-c</sup>. It has been reported that pyrazoles possess pharmacological, anticancer<sup>2</sup>, fungicidal<sup>3, 4</sup>, herbicidal<sup>5-10</sup>, and anti-bacterial activities<sup>11</sup>. They are also found to be antidiabetic<sup>12, 13</sup>, pesticides<sup>14, 15</sup>, anti-inflammatory<sup>16-18</sup> and hypolipidemic agents<sup>19, 20</sup>.

Pyrazoles have also been found to possess antiparasitic<sup>21, 22</sup> and effective insecticides<sup>23, 24</sup>.

The present work deals with the study of antibacterial activities 3,5-diaryl-4-benzoyl-1-pyridoyl pyrazoles. These compounds were tested against *P. aeruginosa*, *S. aureus*, *C. frundii*, *E. coli*, *P. mirabilis*, *B. megatherium* and *S. typhi*. Some of them were found to be highly active against these organisms.

Melting points were uncorrected. The structures of these compounds were established on the basis of their elemental analysis and spectral data. Preparation and characterization of 3,5-diaryl-4-benzoyl-1-pyridoyl pyrazoles (4a-j) are already reported<sup>25</sup>, (Physical data of pyrazoles (4a-j) is recorded in Table-1.)

**Antibacterial activity**

The titled compounds were tested against pathogenic bacteria for their antibacterial activity by paper disc method<sup>26</sup>. The organisms tested were *pseudomonas aeruginosa*, *Staphylococcus aureus*, *Citrobacter frundii*, *Escherichia coli*, *Proteus mirabilis*, *Bacillus megatherium* and *Salmonella typhi*. The solution of these compounds were prepared in DMF as a solvent at a concentration of 50 µg/2 mL. The culture medium used was nutrient agar medium. After 24 h of inhibition at 37°C, the zones of inhibition were measured in mm and are recorded in Table-2.

In case of antibacterial activity from Table-2 it has been observed that the compound 4a showed strong activities against *P. mirabilis* and *B. megatherium*, moderate activities against *C. frundii*, *E. coli* and *S. typhi* and was weakly active against *p. aeruginosa*.

TABLE-1  
PHYSICAL DATA OF PYRAZOLES (4a-j)

Compounds	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	m.p. (°C)	m.f.
4a	H	H	CH <sub>3</sub>	H	H	252	C <sub>29</sub> H <sub>21</sub> O <sub>3</sub> N <sub>3</sub>
4b	H	H	CH <sub>3</sub>	H	OCH <sub>3</sub>	232	C <sub>30</sub> H <sub>23</sub> O <sub>4</sub> N <sub>3</sub>
4c	Br	H	CH <sub>3</sub>	H	H	215	C <sub>29</sub> H <sub>20</sub> O <sub>3</sub> N <sub>3</sub> Br
4d	Br	H	CH <sub>3</sub>	H	OCH <sub>3</sub>	245	C <sub>30</sub> H <sub>22</sub> O <sub>4</sub> N <sub>3</sub> Br
4e	CH <sub>3</sub>	H	H	H	H	270	C <sub>29</sub> H <sub>21</sub> O <sub>3</sub> N <sub>3</sub>
4f	CH <sub>3</sub>	H	H	H	OCH <sub>3</sub>	231	C <sub>30</sub> H <sub>23</sub> O <sub>4</sub> N <sub>3</sub>
4g	H	CH <sub>3</sub>	H	H	H	240	C <sub>29</sub> H <sub>21</sub> O <sub>3</sub> N <sub>3</sub>
4h	H	CH <sub>3</sub>	H	H	OCH <sub>3</sub>	234	C <sub>30</sub> H <sub>23</sub> O <sub>4</sub> N <sub>3</sub>
4i	H	H	H	H	H	215	C <sub>28</sub> H <sub>19</sub> O <sub>3</sub> N <sub>3</sub>
4j	H	H	H	H	OCH <sub>3</sub>	210	C <sub>29</sub> H <sub>21</sub> O <sub>4</sub> N <sub>3</sub>

The compound 4b was strongly active against *B. megatherium*, moderately active against *E. coli* and *S. typhi* and showed weak activities against *S. aureus* and *C. frundii*.

TABLE-2  
ANTIBACTERIAL ACTIVITY OF COMPOUNDS NOS. (4a-j)  
Zone of inhibitions in mm

Organism	4a	4b	4c	4d	4e	4f	4g	4h	4i	4j
<i>P. aeruginosa</i>	7	—	—	6	—	—	—	—	—	—
<i>S. aureus</i>	—	6	6	6	—	—	—	—	—	—
<i>C. frundii</i>	8	7	6	7	7	7	—	—	7	6
<i>E. coli</i>	9	8	10	12	10	11	7	—	—	—
<i>P. mirabilis</i>	15	—	10	10	—	—	—	—	—	6
<i>B. megatherium</i>	15	15	13	12	9	—	7	—	—	7
<i>S. typhi</i>	10	12	18	9	9	—	—	—	—	8

strongly active range: > 12 mm, moderately active range: 8–12 mm, weakly active range: < 8 mm, Inactive —

The compound 4c showed strong activities against *S. typhi* and *B. megatherium*, moderate activity towards *E. coli* and *P. Mirabilis* and weak activity against *S. aureus* and *C. frundii*.

The compound 4d showed activities against all the organisms. It was moderately active against *E. coli*, *P. mirabilis*, *B. megatherium* and *S. typhi* and was weakly active against *P. aeruginosa*, *S. aureus* and *C. frundii*.

The compound 4e was moderately active against *C. frundii*, *E. coli*, *B. megatherium* and *S. typhi*.

The compound 4f showed moderate activities against *C. frundii* and *E. coli* only.

The compound 4g showed moderate activities towards *E. coli* and *B. megatherium*.

The compound 4h showed no activities against all the organisms.

The compound 4i was weakly active only against *C. frundii*.

The compound 4j was moderately active to *S. typhi* and showed weak activities towards *C. frundii*, *P. mirabilis* and *B. megatherium*.

Bromo-substituted pyrazole (4c) and (4d) are more active towards each micro-organism as compared to other pyrazoles. This may be due to the presence of bromine atom in the structure of pyrazoles.

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