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# *In Vitro* anti-tuberculosis of novel 4-(benzylideneamino)-*N*-(5- methyl-1*H*-pyrazol-3-yl) benzamide derivatives

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**Abstract:**

Herein, we describe the synthesized 4-(benzylideneamino)-*N*-(5-methyl-1*H*-pyrazol-3-yl) benzamide derivatives **KI (3a-3j)** were tested for their *in vitro* anti-tuberculosis activity against *Mycobacterium tuberculosis* $H_{37}$Rv strain. Isoniazid and rifampicin were used as the standard drugs. The experimental MIC values of these molecules are described in Table V. Among the screened molecules, **KI (3g)** showed the good activity. Rest of the compounds showed moderate anti-tubercular efficiency.

**Keywords:** MIC, *Mycobacterium tuberculosis,* pyrazole-benzamide.

## 1. INTRODUCTION

Medicinal chemistry is the application of chemical research techniques to the synthesis of pharmaceutical compounds. During the early stages of medicinal chemistry development, scientists were primarily concerned with the isolation of medicinal agents found in plants. Today, scientists in this field are also equally concerned with the creation of new synthetic drug compounds. Medicinal chemistry is almost always geared toward drug discovery and development [1].

Heterocyclic compounds are a class of organic compounds whose molecules contain one or more ring of atoms with at least one heteroatom being an element other than carbon, most frequently oxygen, nitrogen or sulphur. Heterocyclic compounds probably constitute the largest and most varied family of organic compounds [2-3].

They exhibit wide variety of biological and pharmaceutical activities. Therefore, they play important role in medicinal chemistry. The pyrazole and its

fused molecules has been reported to possess a wide spectrum of biological properties such as anti- inflammatory, antibacterial, analgesic, antifungal, antiviral, antibacterial, CNS depressant, antitumor, potent local anaesthetics etc. [4-7].

Herein, we describe the anti-tuberculosis of various 6- substituted)-1*H*-indazol-3-ols depicted **KI (3a-3j).**

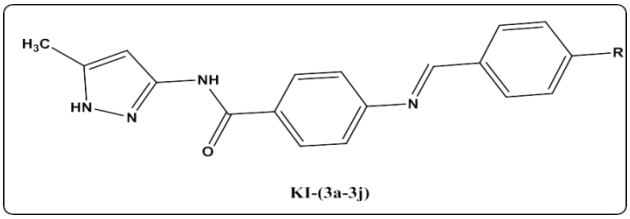


**Figure 1.** Target Compounds**-KI (3a-3j)**

## 2. EXPERIMENTAL SECTION

### Anti-tuberculosis activity

MIC of the test compounds against M. tuberculosis $H_{37}Rv$ was determined by L. J. agar (MIC) method[8,9] where primary 1,000, 500 and 250 µg/mL and secondary 200, 100, 50, 25, 12.5, 6.250 and 3.125 µg/mL dilutions of each test compound were added to liquid L.J medium and then media were sterilized by inspissation method. A culture of *M. tuberculosis* $H_{37}Rv$ growing on L.J. medium was harvested in0.85% saline in bijou bottles. For all test compounds, first a stock solution of 2,000 µg/mL concentration was prepared in DMSO.

These tubes were then incubated at 37°C for 24 h followed by streaking of *M. tuberculosis* $H_{37}Rv$ ($5\times10^4$ bacilli per mL). These tubes were then incubated at 37±1°C. Growth of bacilli was seen after 12, 22 and finally 28 days of incubation. Tubes having the compounds were compared with control tubes where medium alone was incubated with *M. tuberculosis* H37Rv. The concentration at which no development of colonies occurred or less than 20 colonies was taken as MIC of test compound. The standard strain *M. tuberculosis* $H_{37}Rv$ was tested with known drug rifampicin.

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## 3. RESULTS AND DISCUSSIONS

***In vitro* anti-tuberculosis activity**

All synthesized derivatives **KI (3a-3j)** were tested for their *in vitro* anti-tuberculosis activity against Mycobacterium tuberculosis $H_{37}$Rv strain. Isoniazid and rifampicin were used as the standard drugs. The experimental MIC values of these molecules are described in Table V. Among the screened molecules, **KI (3g)** showed the good activity (50 mg/mL). Rest of the compounds showed moderate anti-tubercular efficiency.

**Table.1: Representation of Compounds-KI (3a-3j)**

| Entry | R | Mean IC50 values (µg/mL) |
|---|---|---|
| KI (3a) | **R** | 100 |
| KI (3b) | H | 500 |
| KI (3d) | 4-Cl | 100 |
| KI (3d) | 4-$CH_3$ | 500 |
| KI (3e) | 4-$NO_2$ | 500 |
| KI( 3f0 | 4-$OCH_3$ | 100 |
| KI (3g) | 4-OH | 50 |
| KI (3h) | 3,4- $(OCH_3)_2$ | 100 |
| KI (3i) | 3-Cl | 500 |
| KI (3j) | 3-OH | 250 |
| Isoniazid | 3-$NO_2$ | 0.20 |
| Rifampicin | - | 0.25 |

## 4. CONCLUSION

In summary, 4-(benzylideneamino)-*N*-(5-methyl-1*H*-pyrazol-3-yl) benzamide derivatives *in vitro* anti-tuberculosis activity against *Mycobacterium tuberculosis* $H_{37}$Rv strain. Isoniazid and rifampicin were positive control. Compound KI (3g) shown potent activity.

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