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# Synthesis, Spectral Characterization and Bio-activity of Novel Iminophosphorane derivatives of piperazine via Staudinger reaction

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## ABSTRACT

*Synthesis of a series of novel iminophosphorane derivatives of piperazine was accomplished through Staudinger reaction in high yields (70-80%). In the first step, 1-(4-fluorophenyl) piperazine **(1)** and 1-(2-pyrimidyl) piperazine **(6)** were reacted with chlorodiphenylphosphine **(2)** in the presence of triethylamine (TEA) in dry THF at low temperature under $N_2$ atmosphere to form the compounds **(3)** and **(8)**. These on further reaction with a series of alkyl azides **(4a-k)** gave the corresponding iminophosphorane derivatives **(5a-k)**. Their chemical structures were established by IR, $^1H$, $^{13}C$, $^{31}P$-NMR, mass spectral studies and elemental analyses. All the titled compounds **(5a-k)** showed promising anti-microbial activity.*

**Keywords:** 1-(4-Fluorophenyl) piperazine, 1-(2-pyrimidyl) piperazine, iminophosphoranes, Staudinger reaction, antimicrobial activity.

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## INTRODUCTION

The reaction of a tertiary phosphine with organic azides to produce iminophosphoranes [1] (phosphinimines) after nitrogen evolution is known as Staudinger reaction [2, 3] and it is a versatile tool in organic synthesis [4, 5]. Nitrogen mustard derivative of cyclic organophosphorus compound, such as cyclophosphamide is known to exhibit antitumor activity [6]. In the primary imination process, phosphazides are important intermediates that have been isolated [7] or trapped via an intramolecular reaction [8]. But in most cases such phosphazides lose nitrogen at room temperature to give the corresponding iminophosphoranes in practically quantitative yields. Stable and isolable phosphazides [9] were formed in the case of sterically hindered components or the electronic effects of substituents which increase the electron density on phosphorus atom or decrease it on the *N*-atom of the azides [10-13]. Iminophosphoranes play an important role in

heterocyclic synthesis [14-16]. The use of phosphinimine method in carbohydrate field provides an easy access to various *N*-containing sugars (carbodiimides, cyclic carbamates, epimines, ureido, guanidine derivatives, etc) [13]. In course of the studies on the synthesis and transformation of sugar phosphinimines, recently, a particular interest has been aimed at the Staudinger reaction of glycosyl azides bearing an additional functional group at the anomeric carbon. Iminophosphoranes were found to be excellent reagents in bridging the main group elements with transition elements [17-18]. The versatility of phosphinimines in the synthesis of heterocyles embedded with high valent transition metals is well documented [19]. Hydrolysis of the Staudinger iminophosphorane is a convenient method for the synthesis of amines [20]. Iminophosphoranes have wide range of applications, including modification of cell surfaces, protein engineering, and specific labeling of nucleic acids, proteomic studies and as a general tool for bioconjugation [21].

In view of the above reports, we herein report the synthesis of novel iminophosphoranes by Staudinger reaction and their antimicrobial activities. Their structures were established by IR, NMR ($^{1}$H, $^{13}$C and $^{31}$P NMR) and mass spectral data.

## MATERIALS AND METHODS

Sigma-Aldrich, Merck and Lancaster Chemicals were used as such. Solvents used for spectroscopic and other physical studies were reagent grade and were further purified by standard procedures and techniques. The IR spectra (KBr pellets) were recorded on a Thermo Nicolet 380 double beam spectrophotometer. $^{1}$H and $^{13}$C NMR spectra were recorded on a Bruker ACF NMR spectrometer (400 MHz for $^{1}$H and 100 MHz for $^{13}$C) with TMS as an internal standard. $^{31}$P NMR spectra were measured using 85% $H_3PO_4$ (*ortho* phosphoric acid) as external reference on a 300 MHz. Mass spectra were recorded on LCMS-2010A, SHIMADZU spectrometer. Melting points were determined in an open capillary tube on Mel-temp apparatus, Tempo instruments, India and were uncorrected.

**General procedure for the preparation of azides: 4-nitrobenzylazide (4a):**
In a dry 100 mL round bottomed flask fitted with dropping funnel, calcium chloride tube, sodium azide (0.065 g, 0.001 mole) and 5 mL of dry THF were placed. The reaction mixture was stirred and 4-nitrobenzylbromide (0.21 g, 0.001 mole) in 100 mL of dry THF was added at room temperature, when the reaction started, the temperature was increased to 40-45$^{o}$C. The mixture was cooled to room temperature to get pure 4-nitrobenzylazide **(4a)**.

**General procedure for the preparation of title compounds 5a-k:**
**Synthesis of 1-(*N*-benzyl-4′-nitro-*P, P*-diphenylphosphorimidoyl)-4-(4-fluorophenyl) piperazine 5a:**
1-(4-Fluorophenyl) piperazine **(1)** (0.18 g, 0.001 mole) was treated with chlorodiphenylphosphine **(2)** (0.19 mL, 0.001 mole) in the presence of triethylamine(TEA) (0.001 mole) in dry tetrahydrofuran (THF) under $N_2$ atmosphere, stirred at low temperature (0-10 $^{o}$C) for 3 hours. The progress of the reaction was monitored by TLC analysis. The reaction mixture was filtered to remove triethylamine hydrochloride gave a solution of **(3).** This on further reaction with p-nitrobenzylazide **(4a)** (0.001 mole) in dry THF at 65 $^{o}$C under $N_2$ atmosphere for 5 hours yielded the compound **(5a)** with the evolution of nitrogen. After completion of the reaction, as indicated by TLC (silica gel) using hexane and ethyl acetate (3:1)

as a mobile phase, the solvent was removed in a rota-evaporator and the crude product obtained was purified by column chromatography on silica gel (60-120 mesh) using hexane and ethyl acetate (3:1) as an eluent to afford the analytically pure **5a.**

Similarly, the other compounds **5b-f** was synthesized by adopting the above experimental procedure and the compounds **5g-k** was synthesized by adopting the similar experimental procedure by taking 1-(2-pyrimidyl) piperazine **(6)** as a starting compound.

## Analytical data

**Synthesis of 1-(*N*-benzyl-4′-nitro-*P, P*-diphenylphosphorimidoyl)-4-(4-fluorophenyl) piperazine 5a**

Yield: 64 %, M.P:143-145$^{o}$C. FT-IR (KBr): 1385 (-P-N), 1234 (P=N), 1016 (C-N).$^{1}$H NMR ($CDCl_3$): δ 7.2 (dd, 8H, Ar-H), 3.3 (t, 8H, N-$CH_2$), 7.46-7.91 (m, 10H, Ar-H). $^{31}$P NMR ($CDCl_3$): δ 10.86.

**Synthesis of 2-({[4-(4-fluorophenyl) piperazin-1-yl](diphenyl)-λ$^5$-phosphanylidene}amino)pyridine-3-carbonitrile 5b**

Yield: 67 %, M.P: 150-152 $^{o}$C. FT-IR (KBr): 1382 (-P-N), 1224 (P=N), 1016 (C-N). $^{1}$H NMR ($CDCl_3$): δ 7.2 (dd, 8H, Ar-H), 3.4 (t, 8H, N-$CH_2$), 7.72-7.80 (m, 10H, Ar-H). ). $^{31}$P NMR ($CDCl_3$): δ -12.24.

**Synthesis of 5-({[4-(4-fluorophenyl) piperazin-1-yl] (diphenyl)-λ$^5$-phosphanylidene} amino) pyrimidine 5c**

Yield: 73 %, M.P: 147-149 $^{o}$C. FT-IR (KBr) 1384 (-P-N), 1230 (P=N), 1015 (C-N).$^{1}$H NMR ($CDCl_3$): δ 7.2 (dd, 8H, Ar-H), 3.49 (t, 8H, N-$CH_2$), 7.44-7.91 (m, 10H, Ar-H). $^{31}$P NMR ($CDCl_3$): δ 8.36.

**Synthesis of 1-[*P, P*-diphenyl-*N*-(3-nitropyridin-2-yl) phosphorimidoyl]-4-(4-fluorophenyl) piperazine 5d**

Yield: 74%, M.P:160-162 $^{o}$C. FT-IR (KBr):1382 (-P-N), 1216 (P=N), 1014 (C-N).$^{1}$H NMR ($CDCl_3$): δ 7.3 (dd, 8H, Ar-H), 3.34 (t, 8H, N-$CH_2$), 7.48-7.83 (m, 10H, Ar-H). $^{13}$C NMR (DMSO-$d_6$): δ 49.45. P$^{31}$ NMR ($CDCl_3$): δ 10.23. LC-MS (m/z): 502 (M+H) $^{+}$. *Anal*. Calcd for $C_{27}H_{25}FN_5O_2P$: C, 64.66; H, 5.02; N, 13.97. Found: C, 64.51; H, 5.08; N, 13.85.

**Synthesis of 1-[*P, P*-diphenyl-*N*-(5-nitropyridin-2-yl) phosphorimidoyl]-4-(4-fluorophenyl) piperazine 5e**

Yield: 64%, M.P:150-152 $^{o}$C. FT-IR (KBr): 1379 (-P-N), 1217 (P=N), 1032 (C-N).$^{1}$H NMR ($CDCl_3$): δ 7.2 (dd, 8H, Ar-H), 3.49 (t, 8H, N-$CH_2$), 7.51-7.93 (m, 10H, Ar-H). $^{13}$C NMR (DMSO-$d_6$): 50.01. P$^{31}$ NMR ($CDCl_3$): δ -12.58. LC-MS (m/z): 501 ($M^{+}$). *Anal*. Calcd for $C_{27}H_{25}FN_5O_2P$: C, 64.66; H, 5.02; N, 13.97. Found: C, 64.75; H, 4.98; N, 14.07.

**Synthesis of 1-[*N*-(4-bromobenzyl)-*P, P*-diphenylphosphorimidoyl]-4-(4-fluorophenyl) piperazine 5f**

Yield: 67%, M.P:143-145 $^{o}$C. FT-IR (KBr): 1374 (-P-N), 1218 (P=N), 1034 (C-N).$^{1}$H NMR ($CDCl_3$): δ 7.16 (dd, 8H, Ar-H), 3.31 (t, 8H, N-$CH_2$), 7.39-7.57 (m, 10H, Ar-H). ). $^{31}$P NMR ($CDCl_3$): δ 5.68.

**Synthesis of 2-{4-[*P, P*-diphenyl-*N*-(4-nitrobenzyl) phosphorimidoyl] piperazin-1-yl} pyrimidine 5g**
Yield: 71%, M.P:162-164 $^{o}$C. FT-IR (KBr): 1384 (-P-N), 1220 (P=N), 1014 (C-N).$^{1}$H NMR ($CDCl_3$): δ 8.36 (d, 2H, -CH), 3.41 (t, 8H, N-$CH_2$), 7.44-7.55 (m, 10H, Ar-H). $^{13}$C NMR (DMSO-$d_6$): 52.93. $P^{31}$ NMR ($CDCl_3$): δ 14.49. LC-MS (m/z): 499 $(M+H)^+$. *Anal*. Calcd for $C_{27}H_{27}N_6O_2P$: C, 65.05; H, 5.46; N, 16.86. Found: C, 65.01; H, 5.35; N, 16.45.

**Synthesis of 2-({diphenyl [4-(pyrimidin-2-yl) piperazin-1-yl] λ$^5$-phosphanylidene} amino) pyridine-3-carbonitrile 5h**
Yield: 68%, M.P: 140-142 $^{o}$C. FT-IR (KBr): 1374 (-P-N), 1216 (P=N), 1035 (C-N).$^{1}$H NMR ($CDCl_3$): δ 8.21 (d, 2H,-CH), 3.49 (t, 8H, N-$CH_2$), 7.35-7.91 (m, 10H, Ar-H). $^{13}$C NMR (DMSO-$d_6$): δ 49.83. $P^{31}$ NMR ($CDCl_3$): δ 8.23. LC-MS (m/z): 467 $(M+H)^+$. *Anal*. Calcd for $C_{26}H_{24}N_7P$: C, 67.09; H, 5.20; N, 21.06. Found: C, 67.21; H, 5.14; N, 21.19.

**Synthesis of 2-{4-[*P, P*-diphenyl-*N*-(pyrimidin-5-yl)phosphorimidoyl] piperazin-1-yl}pyrimidine 5i**
Yield: 74%, M.P:151-153 $^{o}$C. FT-IR (KBr): 1382(-P-N), 1234 (P=N), 1030 (C-N).$^{1}$H NMR ($CDCl_3$): δ 8.32 (d, 2H,-CH), 3.40 (t, 8H, N-$CH_2$), 7.42-7.91 (m, 10H, Ar-H). ). $^{31}$P NMR ($CDCl_3$): δ 9.34.

**Synthesis of 2-[4-[*P, P*-diphenyl-*N*-(3-nitropyridin-2-yl) phosphorimidoyl] piperazine-1-yl} pyrimidine 5j**
Yield: 66%, M.P: 144-146 $^{o}$C. FT-IR (KBr) 1379 (-P-N), 1233 (P=N), 1017 (C-N). $^{1}$H NMR ($CDCl_3$): δ 8.23 (d, 2H,-CH), 3.54 (t, 8H, N-$CH_2$), 7.30-7.54 (m, 10H, Ar-H). ). $^{31}$P NMR ($CDCl_3$): δ -2.34.

**Synthesis of 6-chloro-2-({diphenyl [4-pyrimidin-2-yl) piperazin-1-yl]-λ$^5$-phosphanylidene} amino) pyrimidine-4-amine 5k**
Yield: 75%, M.P:161-163 $^{o}$C. FT-IR (KBr):1378 (-P-N), 1231 (P=N), 1035 (C-N).$^{1}$H NMR ($CDCl_3$): δ 8.31(d, 2H,-CH), 3.36 (t, 8H, N-$CH_2$), 7.44-7.91 (m, 10H, Ar-H). ). $^{31}$P NMR ($CDCl_3$): δ 10.93.

## Biological assay

### Antibacterial activity

A standard inoculum (1-2 × $10^7$ c.f.u/$cm^3$ 0.5 McFarland standards) was introduced on to the surface of sterile agar plates, and a sterile glass spreader was used for even distribution of the inoculum. The discs measuring 6.25 mm in diameter were prepared from Whatmann no.1 filter paper and sterilized by dry heat at 140 ˚C for 1 h. The sterile disc previously soaked in a known concentration of the test compounds were placed in nutrient agar medium. Solvent and growth controls were kept. The plates were inverted and incubated for 24 h at 37 ˚C. The inhibition zones were measured and compared with the controls. Minimum inhibitory concentration (MIC) was determined by broth dilution technique. The nutrient broth, which contained logarithmic serially two fold diluted amount of test compound and controls were inoculated with approximately 5 × $10^5$ c.f.u of actively dividing bacteria cells. The cultures were incubated for 24 h at 37 ˚C and the growth was monitored visually and spectrophotometrically. The lowest concentration (highest dilution) required to arrest the growth of bacteria was regarded as minimum inhibitory concentrations (*MIC*). Streptomycin was used as a standard drug. The diameter of the zone of inhibition and minimum inhibitory concentration (MIC) values are given in Table 1.

The newly synthesized compounds were screened for their antibacterial activity against *Escherichia coli, Bacellus subtilis and Streptococus bovis* (recultured) bacterial strains by disc diffusion method. The investigation of antibacterial screening data revealed that all the tested compounds showed moderate to good bacterial inhibition. The compounds **5a-k** showed very good activity against all the bacterial strains.

**Antifungal activity**

Sabourauds agar media was prepared by dissolving 1 g peptone, 4 g D-glucose, and 2 g agar in 100 $cm^3$ distilled water, and adjusting pH to 5.7 using buffer. Normal saline was used to make a suspension of spore of fungal strain for lawning. A loopful of particular fungal strain was transferred to 3 $cm^3$ saline to get a suspension of corresponding species. 20 $cm^3$ of agar media was poured in to each Petri dish. Excess of suspension was decanted and the plates were dried by placing in an incubator at 37 ˚C for 1 h. Using an agar punch, wells were made and each well was labeled. A control was also prepared in triplicate and maintained at 37 ˚C for 3-4 d. The inhibition zones in diameter were measured and compared with the controls. The Nutrient broth, which contained logarithmic serially two fold diluted amount of test compound and controls were inoculated with approximately $1.6 \times 10^4$-$6 \times 10^4$ c.f.u $cm^{-3}$. The cultures were incubated for 48 h at 35 ˚C and the growth was monitored. The lowest concentration (highest dilution) required to arrest the growth of fungus was regarded as minimum inhibitory concentrations (*MIC*). Bovastin was used as the standard drug. The diameter of zone of inhibition and minimum inhibitory concentration values are given in Table 2.

Newly prepared compounds were screened for their antifungal activity against *Fusarium oxyporum*, *Aspergillus flavus*, *Aspergillus niger* (recultured) in DMSO by serial plate dilution method. The antifungal screening data showed moderate to good activity. Compounds **5a-k** emerged as very active against all the fungal strains.

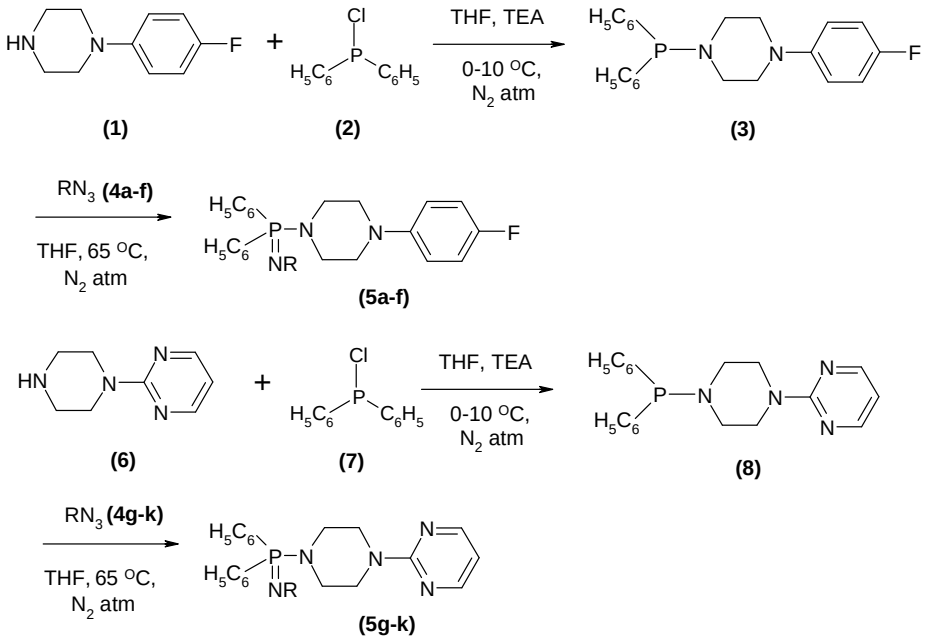
## RESULTS AND DISCUSSION

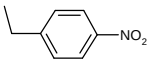
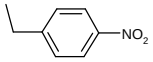
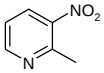
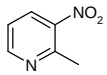
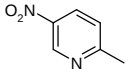
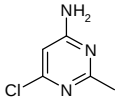
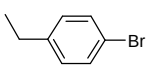
Synthesis of the title compounds **(5a-k)** was accomplished by the reaction of 1-(4-fluorophenyl) piperazine **(1)** and 1-(2-pyrimidyl) piperazine **(6)** were reacted with chlorodiphenylphosphine **(2)** in the presence of triethylamine (TEA) in dry THF at low temperature under $N_2$ atmosphere to form the compounds (**3)** and **(8)**. This on further reaction with different alkyl azides **(4a-k)** in dry THF at 65 $^oC$ under $N_2$ atmosphere formed the corresponding iminophosphorane derivatives **(5a-k)** with the evolution of nitrogen in 70-80% yield and melted in the range of 144-165 $^oC$. The progress of the reaction was monitored by thin layer chromatography (TLC) analysis and the products were purified by column chromatography using hexane: ethyl acetate (3:1) as eluent.
The structures of the title compounds **(5a-k)** were established by their spectroscopic data. All the compounds **(5a-k)** exhibited infrared absorption bands for P-N, P=N and N-C in the regions 1385-1375, 1240-1212 and 1033-1019 $cm^{-1}$ respectively.

The aromatic protons of the title compounds **(5a-k)** resonated as a doublet at δ 7.18-7.48 (*J*=8.5-9.2 Hz) for (4-Fluoro) phenyl moiety and doublet at 8.36 for (2-pyrimidyl) moiety. The aromatic protons of the two phenyl moieties of **(5a-k)** appeared as a multiplet at 7.49-7.91. The remaining protons of the title compounds **(5a-k)** appeared in the expected region.

The $^{13}C$ NMR spectral data of **5d**, **5e**, **5g** and **5h** compounds showed characteristic chemical shifts for aromatic carbons. The chemical shifts of the methylene carbon atoms of the piperazine moiety appeared at δ 50.17-51.48. The data of other carbon signals are observed in the expected region.

$^{31}P$ NMR chemical shifts of these compounds **(5a-k)** appeared in the expected region -15.14 to 15.95 ppm. In the LC mass spectra, compounds **5d**, **5e**, **5g** and **5h** exhibited their respective molecular ion peaks with moderate intensity.




| Compound | R | Compound | R |
|---|---|---|---|
| 5a |  | 5g |  |
| 5b |  | 5h |  |
| 5c |  | 5i |  |
| 5d |  | 5j |  |
| 5e |  | 5k |  |
| 5f |  | | |

**Scheme 1**

**Table 1. Antibacterial activity of iminophosphoranes 5a-k against Gram positive and Gram negative bacteria**

| Compound | Zone of inhibition (mm) | | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|
| | *Escherichia coli* | | | *Bacellus subtilis* | | | *Streptocus bovis* | | |
| | 150µg | 250µg | 350µg | 150µg | 250µg | 350µg | 150µg | 250µg | 350µg |
| **5a** | 7 | 12 | 17 | 3 | 7 | 11 | 3 | 8 | 12 |
| MIC | 50 µg | | | 80 µg | | | 90 µg | | |
| **5b** | 5 | 9 | 14 | 11 | 12 | 15 | 7 | 12 | 18 |
| MIC | 75 µg | | | 40 µg | | | 45 µg | | |
| **5c** | 7 | 12 | 16 | -- | 7 | 11 | 2 | 5 | 8 |
| MIC | 70 µg | | | 180 µg | | | 130 µg | | |
| **5d** | 10 | 13 | 15 | 7 | 10 | 16 | 4 | 7 | 11 |
| MIC | 45 µg | | | 35 µg | | | 70 µg | | |
| **5e** | 6 | 11 | 14 | 8 | 12 | 15 | -- | -- | 7 |
| MIC | 60 µg | | | 20 µg | | | 280 µg | | |
| **5f** | 6 | 8 | 13 | 3 | 5 | 18 | -- | 7 | 12 |
| MIC | 45 µg | | | 80 µg | | | 200 µg | | |
| **5g** | 4 | 7 | 11 | -- | -- | 6 | 3 | 6 | 9 |
| MIC | 60 µg | | | 300 µg | | | 100 µg | | |
| **5h** | 6 | 9 | 12 | 5 | 9 | 12 | 7 | 11 | 13 |
| MIC | 45 µg | | | 55 µg | | | 35 µg | | |
| **5i** | -- | 8 | 12 | 8 | 9 | 12 | -- | 3 | 8 |
| MIC | 170 µg | | | 30 µg | | | 180 µg | | |
| **5j** | 2 | 7 | 16 | 7 | 12 | 14 | 8 | 13 | 17 |
| MIC | 130 µg | | | 60 µg | | | 25 µg | | |
| **5k** | -- | 10 | 14 | 3 | 5 | 9 | 5 | 8 | 13 |
| MIC | 160 µg | | | 120 µg | | | 65 µg | | |
| Streptomycin (Standard) | 21 | | | 20 | | | 22 | | |

*MIC=Minimum inhibitory concentration*

**Table 2. Antifungal activity of iminophosphoranes 5a-k**

| Compound | Zone of inhibition (mm) | | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|
| | *Fusarium oxysporum* | | | *Aspergillus flavus* | | | *Aspergillus niger* | | |
| | 150µg | 250µg | 350µg | 150µg | 250µg | 350µg | 150µg | 250µg | 350µg |
| **5a** | 2 | 7 | 11 | 7 | 12 | 17 | 0 | 5 | 9 |
| MIC | 100 µg | | | 45 µg | | | 160 µg | | |
| **5b** | -- | 10 | 13 | 5 | 8 | 15 | 6 | 8 | 15 |
| MIC | 75 µg | | | 75 µg | | | 120 µg | | |
| **5c** | -- | 4 | 11 | 9 | 13 | 18 | -- | -- | 6 |
| MIC | 80 µg | | | 35 µg | | | 65 µg | | |
| **5d** | 6 | 8 | 12 | 8 | 10 | 16 | 5 | 8 | 11 |
| MIC | 42 µg | | | 30 µg | | | 85 µg | | |
| **5e** | -- | 7 | 10 | 4 | 9 | 14 | 6 | 8 | 13 |
| MIC | 70 µg | | | 120 µg | | | 110 µg | | |
| **5f** | -- | 6 | 13 | 3 | 5 | 8 | 8 | 12 | 16 |
| MIC | 80 µg | | | 135 µg | | | 132 µg | | |
| **5g** | 7 | 10 | 14 | 2 | 8 | 11 | 6 | 8 | 11 |
| MIC | 60 µg | | | ------- | | | 115 µg | | |
| **5h** | 8 | 11 | 15 | 3 | 5 | 7 | -- | 4 | 9 |
| MIC | 130 µg | | | 75 µg | | | 55 µg | | |
| **5i** | 8 | -- | 10 | 2 | 5 | 8 | 6 | 6 | 8 |
| MIC | 135 µg | | | 70 µg | | | 120 µg | | |
| **5j** | 13 | 10 | 10 | 15 | 7 | 10 | 4 | 7 | 10 |
| MIC | 120 µg | | | 90 µg | | | 70 µg | | |
| **5k** | 5 | 11 | 16 | 4 | 7 | 10 | 3 | 5 | 11 |
| MIC | 100 µg | | | 80 µg | | | 60 µg | | |
| Bovastin (Standard) | 19 | | | 21 | | | 18 | | |

*MIC=Minimum inhibitory concentration*

**Biological activity**

**Antibacterial activity**

All the synthesized compounds were screened against Gram positive bacteria and Gram negative bacteria by the disc diffusion method and the results were compared with the standard drug (Streptomycin). The results revealed that majority of the synthesized compounds showed varying degrees of inhibition against the tested microorganisms. In general, the compounds **5a, 5c** and **5g** were more effective towards *Eschrichia coli*, **5b, 5h, 5j** and **5k** were more effective towards *Streptococus bovis* and the compounds **5d, 5e, 5f** and **5i** were more effective towards *Bacellus subtilis.*

Minimum inhibitory concentration (MIC) was determined for the compounds **5a-k** by broth dilution technique. The lowest concentration (highest dilution) required to arrest the growth of bacteria was regarded as minimum inhibitory concentrations (MIC). Streptomycin was used as a standard drug. The diameter of the zone of inhibition and minimum inhibitory concentration values are given in Table 1.

**Antifungal activity**

All the titled compounds **5a-k** were tested for antifungal activity and the results were compared with the standard drug, Bovastin. Among them, the compounds **5a, 5b, 5c, 5d** and **5e** were more effective towards *Aspergillus flavus*, **5f** compound was more effective towards *Aspergillus niger* and the compounds **5g, 5h, 5i, 5j** and **5k** were more effective towards *Fusarium oxysporum.* The inhibition zones in diameter were measured and compared with the controls. The lowest concentration (highest dilution) required to arrest the growth of fungus was regarded as minimum inhibitory concentration (MIC). Bovastin was used as the standard drug. The diameter of zone of inhibition and minimum inhibitory concentration (MIC) values are given in Table 2.

## CONCLUSION

We have successfully synthesized a series of novel iminophosphoranes (phosphinimines) in higher yields by Staudinger reaction adopting a simple and straight forward procedure. The advantages are shorter reaction times, low cost of the starting chemicals and simple experimental procedure. All the compounds exhibited antibacterial and antifungal activities.

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