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Synthesis and biological screening of picric acid & *p*-amino phenol derivatives for anti-microbial activity

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Abstract

Certain picric acid and paracetamol derivatives have been synthesized in good yields and tested against *E. Coli, S.areus, B.subtillis, S.citrus* for anti-microbial activity and tested against *A.niger, C.albicans for* anti-fungal activity. Characterization of new compounds has been done by means of spectral data and elemental analysis.

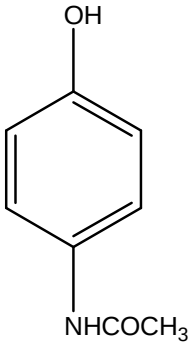
Key Words: Picric acid and paracetamol derivatives, anti-microbial and anti-fungal activity.

Introduction

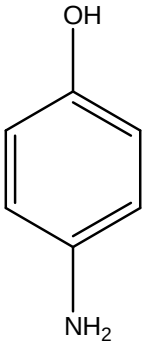
The treatment of infectious diseases still remains an important and challenging problem because of a combination of factors including emerging infectious diseases and the increasing number of multi-drug resistant microbial pathogens with particular relevance for Gram positive bacteria [1]. Bacteria are among the oldest living organisms on earth and are very small. Because the bacteria structure is so minute, it can only be seen through a microscope [2]. Bacteria are commonly found in the ground, water and in other living organisms. While some types of bacteria can cause diseases and become harmful to the environment, animals and humans, others offer benefits that we likely could not live without**.** Some types of bacteria can attack plants, causing diseases like leaf spot and fire blight.

Picric acid is the chemical compound formally called 2, 4, 6-trinitrophenol (TNP). This, a yellow crystalline solid, is one of the most acidic phenols. Like other highly nitrated compounds such as

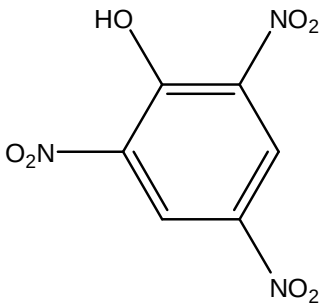
TNT, picric acid is an explosive. Its name comes from Greek πικρος (*pik' ros*), meaning "bitter", reflecting the bitter taste of picric acid [3-4].



N-(4-hydroxyphenyl)acetamide



P-aminophenol



picric acid

Paracetamol or acetaminophen is a widely used over-the-counter analgesic (pain reliever) and antipyretic (fever reducer). It is commonly used for the relief of fever, headaches, and other minor aches and pains, and is a major ingredient in numerous cold and flu remedies. Biological activity of picric acid like Anti-Fungal [5], Anti- microbial[6]. Biological activity of paracetamol Anti-inflammatory, Anti-pyretic [7], Analgesic and slightly Anti-microbial and Anti-fungal activity[7]. The structure of synthesized compounds were elucidated on the basis of their IR, MASS, 1H NMR spectroscopic data. These compounds also screened for their anti-microbial Anti-fungal activity [11-12].

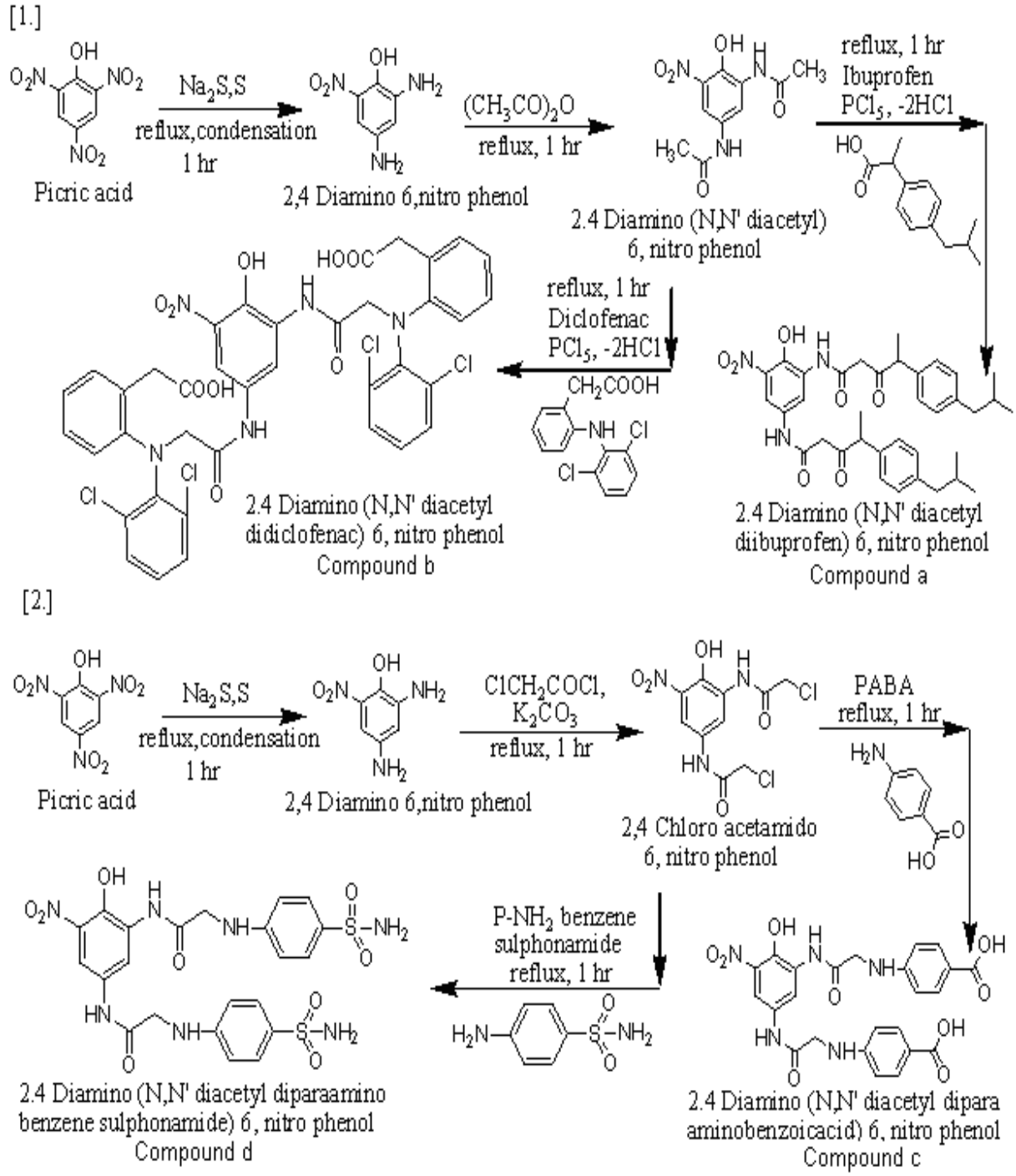
Materials and Methods

Experimental

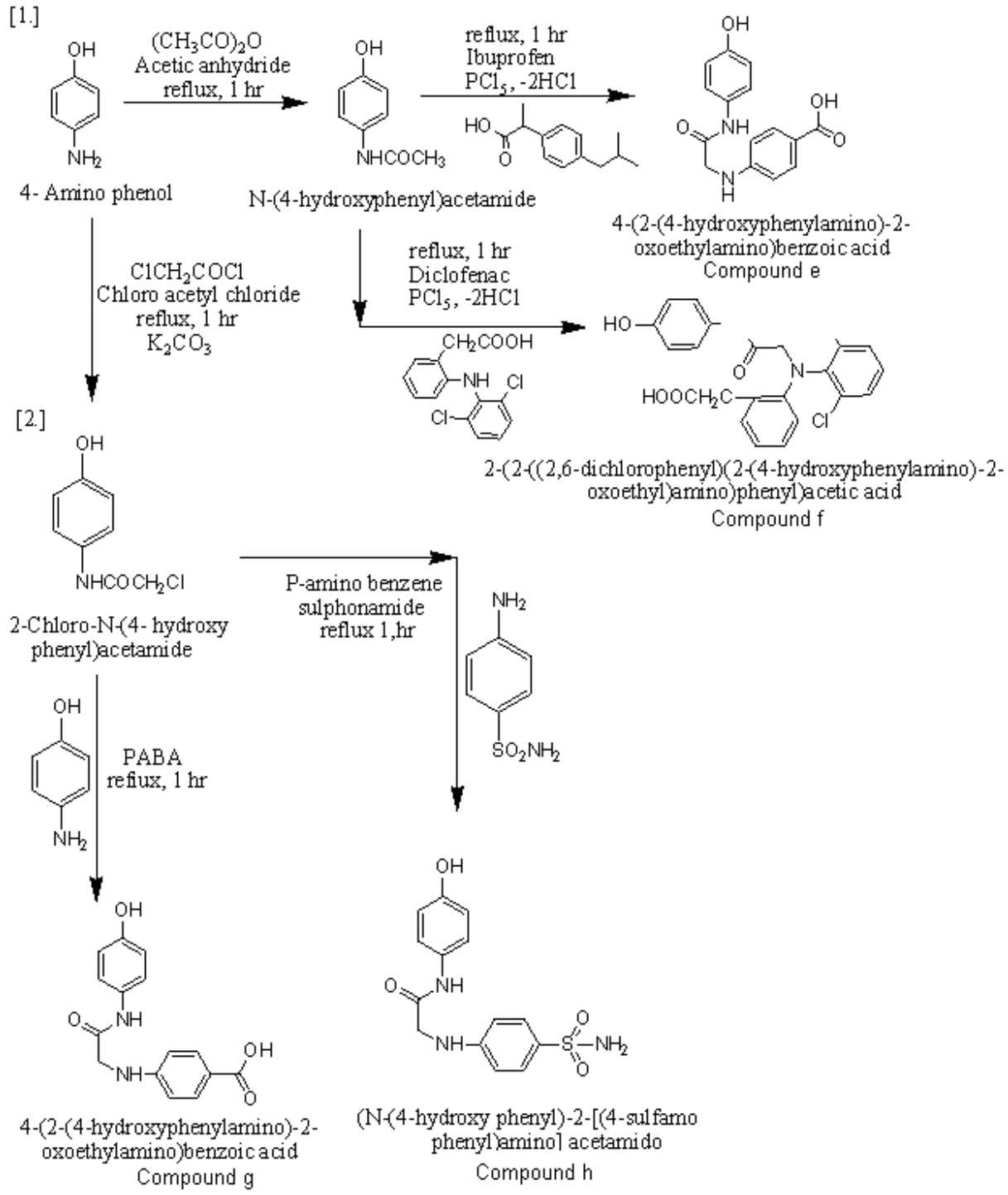
Melting points of all the synthesized compounds were determined in open capillaries and are uncorrected. Thin layer chromatography was performed on microscopic slides (2×7.5 cm) coated with Silica-Gel-Gf254 and spots were visualized under UV light and by exposure to iodine vapor. IR spectra of all compounds were recorded in FTIR 8400S Shimadzu Spectrophotometer using KBr. Mass spectra were obtained using 2010EV Shimadzu instrument. The ^{1}H-NMR was recorded on Bruker Advance-II NMR 400 MHz instruments using $CDCl_3$/ DMSO-d_6 as solvent and TMS (tetramethylsilane) as internal standard, chemical shifts were expressed as δ values (ppm).

All the chemicals were used for the synthesis of titled compounds was procured from S.D. Fine Chem. Ltd, Finar Chemical Ltd, and Loba Chemicals [13].

Scheme: Synthesis of picric acid derivatives



Synthesis of *P*- Amino Phenol (PCM) Derivatives for SAR Study-



Synthesis of picric acid derivatives (Compound a-d):

Preparation of 2, 4-diamino-6-nitro phenol:

Prepare a solution of Sodium-polysulphide by dissolving 40 gm of crystallized sodium-sulphide $Na_2S.9H_2O$ in 150 ml of H_2O, adding 10 gm of finely powdered sulphur and warming until a clear solution is produced. Heat a mixture of 25 gm of picric acid and 200 ml of H_2O contained in a 1 liter beaker, until the water boils gently stir the solution, mechanically, place the sodium poly sulphide solution in a dropping funnel and clamp the funnel. So, that the end of the stem is immediately above the beaker. Add the sodium-polysulphide solution during 30-45 minute to the vigorously stirred, boiling mixture, and boil gently for a future 20 min. Allow to cool, this can be accomplished more rapidly by adding ice, filter at the pump and wash with cool water. Trans to a 600 ml beaker containing 150 ml of water and 35 ml of conc. HCl and boil for 15 min. The m-nitro aniline dissolves leaving the sulphur and any unchanged picric acid filter and ppts m-nitro aniline from the filtrate by the addition of excess of concentrated aqueous NH_3 solution filter off the product and recrystallized it from boiling water. The yield of obtained. This product is intermediated. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 35 gm. Decomposed point: 200°C. IR (KBr Cm^{-1}): 3214, 1478 (-N-H_2), 617 (-Ar), 1210(-NO_2)

Synthesis of 2, 4-diamino-N,N'-diacetyl-6-nitro phenols:

Add 5 gm product of 2, 4-diamino-6- nitro phenol (M.wt-169) in acetic anhydride (M.wt- 102) add 6 gm for 2 mol, but acetic anhydride is a liquid form so, 5.5 ml add for 2 mol. Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 2 gm. Decomposed point: 240-242°C. IR (KBr Cm^{-1}):3324(-N-H), 1633(-C=O), 715(Ar).

Synthesis of 2, 4-diamino (N, N'-diacetyldiibuprofen)-6-nitro phenol (a):

Add 1 gm product of 2, 4-diamino-N,N'-diacetyl-6-nitro phenol (M.wt-253) in ibuprofen (M.wt-206.28) add 1.63 gm for 2 mol, and PCl_5 (M.wt- 208.24) add 1.64 gm for 2 mol, Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 0.8 gm. Decomposed point: 110-112°C. IR (KBr Cm^{-1}): 2567(-N-H), ~1282(-C-O), ~3527(-O-H), ~2843(-C-H), ~2354(-C-N), ~1421(-NO_2), ~3056(-Ar)

Synthesis of 2, 4-diamino (N, N'- diacetyl didiclofenac)-6- nitro phenol (b):

Add 1 gm product of 2, 4-diamino-N,N'-diacetyl-6-nitro phenol (M.wt-253) in diclofenac (M.wt-296.15) add 2.341 gm for 2 mol, and PCl_5 (M.wt- 208.24) add 1.64 gm for 2 mol, Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 0.8 gm. Melting point: 105-107°C. IR (KBr Cm^{-1}): ~2634(-N-H), ~1195(-C-O), ~3315(-O-H), ~2948(-C-H), ~2322(-C-N), ~1784(-Cl), ~667, 1450(-Ar)

Synthesis of 2, 4-chloro acetamido-6- nitro phenol:

Add 5 gm product of 2, 4- diamino-6- nitro phenol (M.wt-169) in chloro acetyl chloride (M.wt-112) add 6.62 gm for 2 mol, but chloro acetyl chloride is a liquid form so, 4.67 ml add for 2 mol. K_2CO_3 add as catalyst. Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute

alcohol or methanol yielded 4.5 gm. Decomposed point: 218-220°C. IR (KBr Cm^{-1}):3469(-N-H), 1715(-C=O), 680(Ar), 1760(-Cl).

Synthesis of 2, 4-diamino (N,N'-diacetyl-di-*p*-aminobenzoicacid)-6- nitro phenol (c):
Add 2 gm product of 2, 4-chloro acetamido-6- nitro phenol (M.wt-322) in PABA (M.wt- 137) add 1.70 gm for 2 mol. Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 1.30 gm. Decomposed point: 180-182°C. IR (KBr Cm^{-1}): ~2501(-N-H), ~1255(-C-O), ~3575(-O-H), ~2947(-C-H), ~2366(-C-N), ~1481(-Ar), ~2640(-O-H carboxyllic), ~1751(-C=O carboxyllic)

Synthesis of 2,4-diamino(N,N'-diacetyl-di-*p*-aminobenzenesulphonamide)-6-nitro phenol(d):
Add 2 gm product of 2, 4-chloro acetamido-6- nitro phenol (M.wt-322) in para amino benzenesulphonamide (M.wt- 172) add 2.13 gm for 2 mol. Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 1.30 gm. Decomposed point: 162-164°C. IR (KBr Cm^{-1}): ~2671(-N-H), ~1299(-C-O), ~3323(-O-H), ~2730(-C-H), ~2354(-C-N), ~1182(-O=S=O), ~711, 1760(-Ar), 1008(-S-O)

Synthesis of p-aminophenol derivatives (compound e-h):
Synthesis of N-(4-hydroxyphenyl) acetamide (PCM):
Add 5 gm product of p-aminophenol (M.wt-109.13) in acetic anhydride (M.wt- 102) add 4.69 gm for 1 mol, but acetic anhydride is a liquid form so, 4.32 ml add for 2 mol. Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 4.5 gm. Melting point: 208-210°C. IR (KBr Cm^{-1}): 3324(-N-H), 3010(-O-H), 805(Ar).

Synthesis of N-(4-hydroxy phenyl)[4-4 isobutyl phenyl]3-oxo pentanamide (e):
Add 1 gm product of N-(4-hydroxyphenyl) acetamido (M.wt-151) in ibuprofen (M.wt- 206.28) add 1.36 gm for 1 mol, and PCl_5 (M.wt- 208.24) add 1.37 gm for 1 mol, Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 0.8 gm. Melting point: 158-160°C. IR (KBr Cm^{-1}): ~2464(-N-H), ~1213(-C-O), ~3514(-O-H), ~2896(-C≡H Alkane), ~2464(-C-N), ~1525(-Ar), ~ 1620(C=O)

Synthesis of 2-{2-[2,6-dichlorophenyl){2-[(4-hydroxy phenyl) amino]-2-oxoethyl}amino] phenyl}acetic acid (f):
Add 2 gm product of N-(4-hydroxyphenyl) acetamido (M.wt-151) in diclofenac (M.wt- 296.15) add 3.92gm for 1 mol, and PCl_5 (M.wt- 208.24) add 2.758 gm for 1 mol, Add Methanol 10 ml .hen, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 1.8 or 3.90 gm. Melting point: 140-142°C. IR (KBr Cm^{-1}): ~2634(-N-H), ~1240(-C-O), ~3140(-O-H), ~2843(-C-H), ~1760(Cl), ~748(-Ar)

Synthesis of 2-chloro -N-(4-hydroxyphenyl) acetamide:
Add 5 gm product of P-aminophenol (M.wt-109.13) in chloro acetyl chloride (M.wt- 112) add 5.13 gm for 1 mol, but chloro acetyl chloride is a liquid form so, 3.61 ml add for 1 mol. K_2CO_3 add as catalyst. Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 4.15 gm. Decomposed point: 230-232°C. IR (KBr Cm^{-1}): 3469(-O-H), 1810(-C=O), 680(Ar), 1696(-Cl)

Synthesis of 4-({2-[(4-hydroxy phenyl) amino]-2-oxoethyl} amino) benzoic acid (g):
Add 1 gm product of 2-Chloro -N-(4-hydroxyphenyl) acetamido (M.wt-185) in PABA (M.wt- 137) add 0.74 gm for 1 mol. Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 0.9 gm. Decomposed point: 150-155°C. IR (KBr Cm^{-1}): ~2569(-N-H), ~1887(-C=O Carboxyllic), ~2642(-O-H Carboxyllic) ~1209(-O-H), ~2843(-C-H), ~752(-Ar)

Synthesis of (N-(4-hydroxy phenyl)-2-[(4-sulfamo phenyl) amino] acetamido (h):
Add 1 gm product of 2-Chloro -N-(4-hydroxyphenyl) acetamido (M.wt-185) in P-amino benzene sulphonamide (M.wt- 172.2) add 0.93 gm for 1 mol, Add Methanol 10 ml. Then, put 1 hr reflux in round bottom flask in heating metel. Cool and ice water and product are obtained. Dry the Precipitate and crystallized form dilute alcohol or methanol yielded 0.9 gm. Decomposed point: 190-192°C. IR (KBr Cm^{-1}): ~2522(-N-H), ~1238(-C-O), ~3492(-O-H), ~1716(-C=O), ~1238($-SO_2$), ~752(-Ar), ~1103(S-O)

Table-1. Physicochemical data of the compounds (a-h)

Compound. Code	Mol. Wt. (g/mol)	Molecular Formulae	M.P/D.P (°C)	Colour	Yield (%w/w) Found
a	629.74	$C_{36}H_{43}N_3O_7$	D.P=110-112	Black	83.53
b	841.47	$C_{38}H_{29}Cl_4N_5O_9$	M.P=105-107	Brownish black	94.97
c	523.45	$C_{24}H_{21}N_5O_9$	D.P=180-182	Black	52.94
d	593.58	$C_{22}H_{23}N_7O_9S_2$	D.P=162-164	Black	44.25
e	339.42	$C_{21}H_{25}NO_3$	M.P=158-160	Black	69.96
f	445.29	$C_{22}H_{18}Cl_2N_2O_4$	M.P=140-142	Yellowish brown	58.47
g	286.28	$C_{15}H_{14}N_2O_4$	D.P=150-155	Black	78.37
h	320.00	$C_{14}H_{14}O_4N_3S$	D.P=190-192	Black-brown	40.85

Table-1.1. Physicochemical data of the compounds (a-h)

Compound. Code	R_f [a]	Composition C, H, N (%)	Solubility	Crystallization Solvent	Polarity
a	0.52	C-68.59, H-6.82, N-6.66, O-17.78	Methanol,DMSO	Methanol	Partialpolar
b	0.54	C-54.19, H-3.44, N-8.31, O-17.11, Cl-16.87	$CHCl_3$, Methanol	Methanol	Polar
c	0.56	C-55.01, H-4.01, N-13.37, O-27.50	Methanol,DMSO	Methanol	Partialpolar
d	0.50	C-44.47, H-3.87, N-16.50, O-24.25,S-10.78	Methanol,DMSO	Methanol	Partialpolar
e	0.54	C-74.24, H-7.36, N-4.12, O-14.14	Methanol,DMSO	Methanol	Partialpolar
f	0.45	C-59.28, H-4.04, N-6.28, O-14.37,Cl-15.94	Methanol,$CHCl_3$	Methanol	Polar
g	0.48	C-62.87, H-4.89, N-9.78, O-22.55	Methanol,DMSO	Methanol	Partialpolar
h	0.50	C-52.50, H-4.375, N-13.12, O-80.00, S-10.00	Methanol,DMSO	Methanol	Partialpolar

[a] Mobile phase:- a, c,e,g- Methanol, b,d,f,h-Methanol:hexane(3:2),

Table-2. Spectral data of the compounds (a-h)

Compound. Code	Mol.Wt (g/mol)	I.R (cm^{-1}, KBr)	Mass Spectral Data (m/e)
a	629.74	~2567(-N-H), ~1282(-C-O), ~3527(-O-H), ~2843(-C-H), ~2354(-C-N), ~1421(-NO_2), ~3056(-Ar)	630.5 (M+1)Frgn: 277.4 (M+1),429.46 (M-1),246.3 (M-2)
b	841.47	~2634(-N-H), ~1195(-C-O), ~3315(-O-H), ~2948(-C-H), ~2322(-C-N), ~1784(-Cl), ~667,1450(-Ar)	841.4 (M+)Frgn:748.9 (M+3),294.1 (M+2)
c	523.45	~2501(-N-H), ~1255(-C-O), ~3575(-O-H), ~2947(-C-H), ~2366(-C-N), ~1481(-Ar), ~2640(-O-H carboxyllic), ~1751(-C=O carboxyllic)	524.5 (M-1)Frgn:193.1 (M+),313.3 (M+), 388.3 (M-1)
d	593.58	~2671(-N-H), ~1299(-C-O), ~3323(-O-H), ~2730(-C-H), ~2354(-C-N), ~1182(-O=S=O), ~711,1760(-Ar),1008(-S-O)	594.7 (M-1)Frgn: 384.5 (M-1),458.5 (M+1),228.2 (M+)
e	339.42	~2464(-N-H), ~1213(-C-O), ~3514(-O-H), ~2896(-C≡H Alkane), ~2464(-C-N), ~1525(-Ar), ~ 1620(C=O)	338.8 (M+1)Frgn: 277.1 (M+1),281.0 (M+1)
f	445.29	~2634(-N-H), ~1240(-C-O), ~3140(-O-H), ~2843(-C-H), ~1760(Cl), ~748(-Ar)	446.4 (M+1)Frgn: 387.0 (M+),154.3 (M-2),269.7 (M-2)
g	286.28	~2569(-N-H), ~1887(-C=O Carboxyllic), ~2642(-O-H Carboxyllic) ~1209(-O-H), ~2843(-C-H), ~752(-Ar)	286.28 (M+)Frgn: 136.1 (M+2),193.17 (M-1)
h	320.00	~2522(-N-H), ~1238(-C-O), ~3492(-O-H), ~1716(-C=O), ~1238(-SO_2), ~752(-Ar), ~1103(S-O)	

Antimicrobial Activity

The Synthesized compounds (a-h) were screened for their in vitro antimicrobial activity against *Staphylococcus citrus, Staphylococcus aureus, Bacillus subtillus, Escheichio coli,* and antifungal activity against *Aspargillus niger, Candidas Albicans* by measuring the zone of inhibition in mm. The antimicrobial activity was performs by cup-plate method at concentration 500 µg/ml, 750 µg/ml, 1000 µg/ml, 1250 µg/ml and reported in Table 3. Nutrient agar was employed as culture medium and methanol was used as solvent control. Streptomycin and Griseofulvin were used as standard for antibacterial and antifungal activities respectively [8-9].

Table-3. Antibacterial data of compounds

Compound Code	Antibacterial(Antimicrobial) [a]							
	[a] Zone of inhibition (mm) *B.subtillus*				[a] Zone of inhibition (mm) *S.aurius*			
	500 (µg/ml)	750 (µg/ml)	1000 (µg/ml)	1250 (µg/ml)	500 (µg/ml)	750 (µg/ml)	1000 (µg/ml)	1250 (µg/ml)
a	6±0.31	9±0.18	12±0.28	16±0.25	3±0.23	5±0.35	10±0.37	11±0.33
b	7±0.32	10±0.42	12±0.46	20±0.28	6±0.27	12±0.35	18±0.45	20±0.31
c	10±0.28	12±0.32	15±0.35	20±0.38	8±0.41	10±0.49	11±0.26	14±0.28
d	10±0.42	12±0.23	15±0.36	17±0.62	6±0.32	10±025	12±0.31	15±0.52
e	8±0.23	10±0.32	12±0.42	15±0.61	5±0.36	15±0.52	20±0.21	22±0.59
f	8±0.53	10±0.45	15±0.56	18±0.62	12±0.23	15±0.35	20±0.42	18±0.26
g	15±0.24	20±0.48	22±0.37	25±0.25	6±0.36	8±0.42	11±0.54	14±0.25
h	2±0.12	10±0.28	11±0.31	-	2±0.14	4±0.21	8±0.32	10±0.37
Streptomycin	15±0.53	20±0.62	25±0.51	30±0.66	10±0.35	12±0.33	20±0.53	22±0.41

Table-3.1 Antibacterial data of compounds

Compound. Code	Antibacterial(Antimicrobial) [a]							
	[a] Zone of inhibition (mm) *S. citrus*				[a] Zone of inhibition (mm) *E.coli*			
	500 (µg/ml)	**750 (µg/ml)**	**1000 (µg/ml)**	**1250 (µg/ml)**	**500 (µg/ml)**	**750 (µg/ml)**	**1000 (µg/ml)**	**1250 (µg/ml)**
a	1±0.3	9±0.45	12±0.36	14±0.38	-	-	-	-
b	15±0.33	20±0.17	25±0.21	30±0.32	15±0.30	20±0.32	23±0.36	28±0.35

c	12±0.36	18±0.31	20±0.36	22±0.37	10±0.26	15±0.4	20±0.31	22±0.40
d	12±0.20	15±0.51	17±0.46	20±0.36	7±0.25	10±0.53	20±0.46	25±0.35
e	15±0.62	18±0.71	20±0.23	25±0.45	18±0.52	20±0.62	30±0.54	32±0.41
f	7±0.19	10±0.25	13±0.45	14±0.51	3±0.13	18±0.42	20±0.58	-
g	10±0.39	15±0.47	18±0.54	20±0.45	4±0.17	6±0.12	12±0.35	15±0.44
h	10±0.31	18±0.45	18±0.38	20±0.54	2±0.15	3±0.18	6±0.22	10±0.29
Streptomycin	12±0.25	23±0.15	10±0.28	21±0.38	9±0.57	20±0.35	10±0.15	18±0.23

Table-3.2 Antifungal data of compounds

Compound. Code	**Antifungal [a]**							
	[a] Zone of inhibition (mm) *A.niger*				**[a] Zone of inhibition (mm) *C.albicans***			
	500 (µg/ml)	750 (µg/ml)	1000 (µg/ml)	1250 (µg/ml)	500 (µg/ml)	750 (µg/ml)	1000 (µg/ml)	1250 (µg/ml)
a	3±0.15	6±0.21	8±0.51	15±0.41	2±0.31	4±0.15	5±0.20	10±0.14
b	2±0.10	10±0.32	15±0.51	17±0.70	3±0.41	8±0.22	12±0.34	14±0.25
c	2±0.10	3±0.16	4±0.20	6±0.19	-	2±0.11	6±0.24	8±0.29
d	3±0.14	6±0.11	15±0.25	20±0.34	4±0.28	6±0.27	9±0.33	10±0.25
e	5±0.15	7±0.25	10±0.38	15±0.41	4±0.17	-	-	15±0.48
f	2±0.10	4±0.18	5±0.28	6±0.18	-	-	-	2±0.26
g	6±0.41	8±0.48	10±0.34	14±0.18	5±0.27	9±0.34	11±0.14	14±0.42
h	2±0.25	4±0.42	6±0.14	8±0.32	-	-	15±0.41	20±0.57
Griseofulvin	10±0.14	18±0.25	20±0.48	25±0.51	22±0.4	15±0.31	19±0.28	24±0.54

Table-4 Minimum Inhibitory Concentration (MIC) Data of Antimicrobial and Antifungal Activity of Compounds

Compound Code	Antimicrobial (Zone of inhibition)		Antifungal (Zone of Inhibition)	
	S.aureus		***A.niger***	
	Minimum Inhibitory Concentration		**Minimum Inhibitory Concentration**	
	µg/ml	ng/ml	µg/ml	ng/ml
a	5×10^{2}	5×10^{5}	5×10^{0}	5×10^{3}
b	5×10^{1}	5×10^{4}	5×10^{-4}	5×10^{-1}
c	5×10^{-5}	5×10^{-2}	5×10^{2}	5×10^{5}
d	5×10^{2}	5×10^{5}	5×10^{-3}	5×10^{0}
e	5×10^{-1}	5×10^{2}	5×10^{0}	5×10^{3}
f	5×10^{1}	5×10^{4}	5×10^{-3}	5×10^{0}
g	5×10^{-2}	5×10^{1}	5×10^{-5}	5×10^{-3}
h	5×10^{-4}	5×10^{-1}	5×10^{0}	5×10^{3}

Conclusion

The antibacterial screening data revealed that the compounds posses moderate activity. However the compound a, b, c, d was showed comparable activity with the standard Streptomycin at the concentration of 500 µg/ml only against the Gram +ve bacteria *S. aureus*. From the antimicrobial screening it was observed that all the compounds exhibited activity against all the organisms employed. Looking at the structure activity relationship marked inhibition in bacteria was observed the compound bearing Para amino phenol derivatives like PABA, diclofenac, ibuprofen, p-amino benzene sulphonamide showed moderate to good activity. Fungicidal screening data also revealed that all the compounds showed moderate as compared to standard. As we consider all result obtained from antibacterial and antifungal tests together we can say that entire compounds tested are active towards bacteria and fungi.

SAR

Picric acid carrying Nitro ring possesses greater antimicrobial and antifungal activity than P-amino phenol. More specifically an electron withdrawing group like Chloro and nitro substitution at 2,4 position exhibits greater anti microbial activity than their counters electron donors. PCM ring is increase the potency of picric acid rings. Hence, it is concluded that there is scope for further study in developing these as good lead compounds.

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